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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS	3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS	4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG 24	CA/CAPLUS enhanced with legal status information for U.S. patents
NEWS	6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS	8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS	9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS	10	NOV 23	Addition of SCAN format to selected STN databases
NEWS	11	NOV 23	Annual Reload of IFI Databases
NEWS	12	DEC 01	FRFULL Content and Search Enhancements
NEWS	13	DEC 01	DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS	14	DEC 02	Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS	15	DEC 02	PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS	16	DEC 02	USGENE: Enhanced coverage of bibliographic and sequence information
NEWS	17	DEC 21	New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/CAPLUS
NEWS	18	JAN 12	Match STN Content and Features to Your Information Needs, Quickly and Conveniently
NEWS	19	JAN 25	Annual Reload of MEDLINE database
NEWS	20	FEB 16	STN Express Maintenance Release, Version 8.4.2, Is Now Available for Download
NEWS	21	FEB 16	Derwent World Patents Index (DWPI) Revises Indexing of Author Abstracts
NEWS	22	FEB 16	New FASTA Display Formats Added to USGENE and PCTGEN
NEWS	23	FEB 16	INPADOCDB and INPAFAMDB Enriched with New Content and Features
NEWS	24	FEB 16	INSPEC Adding Its Own IPC codes and Author's E-mail Addresses

NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,
AND CURRENT DISCOVER FILE IS DATED 15 JANUARY 2010.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:37:35 ON 04 MAR 2010

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 11:37:59 ON 04 MAR 2010
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STRUCTURE FILE UPDATES: 3 MAR 2010 HIGHEST RN 1207829-36-4
DICTIONARY FILE UPDATES: 3 MAR 2010 HIGHEST RN 1207829-36-4

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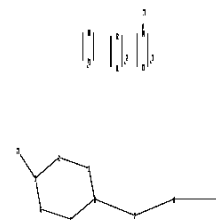
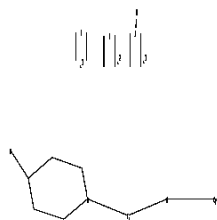
TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\STNEXP\Queries\10519113A1.str



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chain nodes :
7 8 9 10 11 12 13 14 15 20 21
ring nodes :
1 2 3 4 5 6
chain bonds :
1-21 4-7 7-8 8-20 9-10 11-12 13-14 14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 1-21 2-3 3-4 4-5 4-7 5-6 7-8 8-20 9-10 11-12 13-14
exact bonds :
14-15
isolated ring systems :
containing 1 :

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G1:[*1],[*2],[*3]
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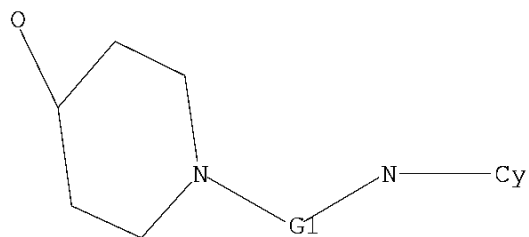
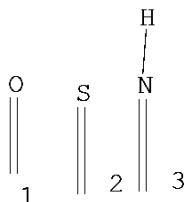
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 20:Atom 21:CLASS

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=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 [01],[02],[03]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 11:38:17 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 891 TO ITERATE

100.0% PROCESSED 891 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 16030 TO 19610

PROJECTED ANSWERS: 1435 TO 2645

L2 50 SEA SSS SAM L1

=> s l1 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 191.05 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 11:38:24 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 17610 TO ITERATE

100.0% PROCESSED 17610 ITERATIONS

1831 ANSWERS

SEARCH TIME: 00.00.02

L3 1831 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	191.54	191.76

FILE 'CAPLUS' ENTERED AT 11:38:29 ON 04 MAR 2010
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FILE COVERS 1907 - 4 Mar 2010 VOL 152 ISS 10
 FILE LAST UPDATED: 3 Mar 2010 (20100303/ED)
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 227 L3

=> d ibib abs hitstr 1-227

L4 ANSWER 1 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2010:151007 CAPLUS
 TITLE: Preparation of 1,2,4-oxadiazole substituted piperidine and piperazine derivatives as SMO antagonists
 INVENTOR(S): Dessole, Gabriella; Jones, Philip; Bufi, Laura Llauger; Muraglia, Ester; Ontoria Ontoria, Jesus Maria; Torrisi, Caterina
 PATENT ASSIGNEE(S): Istituto di Ricerche di Biologia Molecolare P. Angeletti S.p.A., Italy
 SOURCE: PCT Int. Appl., 100pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2010013037	A1	20100204	WO 2009-GB50926	20090727
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP,			

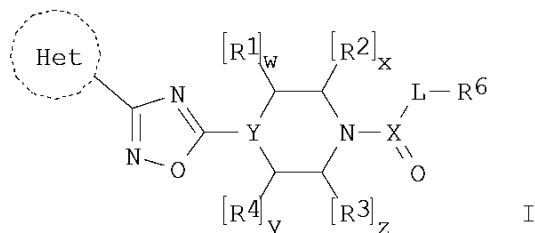
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MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE,
PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI,
SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG,
ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

GB 2008-13740

A 20080728

GI



AB The title compds. I [w, x, y and z = 0-2; Y = CH, CR5 or N; L = (NR7)a(O)b(CR8R9)c(NR7)d(C:O)f; a = 0-1; b = 0-1; c = 0-6; d = 0-1; f = 0-1; when Y = CH or CR5 then each of R1-R5 = PH, oxo, CN, halo, etc.; when Y = N then each of R1-R4 = oxo, CN, alkyl, alkenyl, etc.; R6 = H, OH, CN, halo, etc.; X = C or S(O); R7 = H or alkyl; R8, R9 = H, alkyl, haloalkyl, etc.; Het = pyridin-2-yl or (un)substituted 7-15 membered unsatd. or partially saturated heterocyclic ring containing 1-4 heteroatoms selected from

N,

O and S; and their pharmaceutically acceptable salts, stereoisomers or tautomers] which are inhibitors of the Sonic Hedgehog pathway, in particular Smo antagonists, were prepared and claimed. E.g., a 2-step synthesis of N-(2-chlorophenyl)-4-(3-quinolin-2-yl-1,2,4-oxadiazol-5-yl)piperidine-1-carboxamide, starting from quinoline-2-carbonitrile and 1-(tert-butoxycarbonyl)piperidine-4-carboxylic acid, was given. Exemplified compds. I were tested in Shh-Light II reporter assay and in SHH Smo binding assay and were found to have an IC50 value of less than 5 μ M. The compds. I are useful for the treatment of diseases associated with abnormal hedgehog pathway activation, including cancer, for example basal cell carcinoma, medulloblastoma, prostate, pancreatic, breast, colon, bone and small cell lung cancers, and cancers of the upper GI tract. Pharmaceutical compns. comprising the compound I, alone or in combination with other therapeutic agent, were disclosed.

IT 1207262-08-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,2,4-oxadiazole substituted piperidine and piperazine derivs. as SMO antagonists for treating cancer)

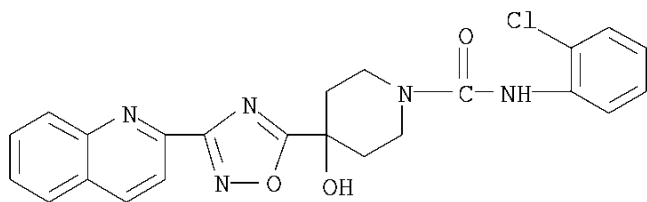
RN 1207262-08-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(2-chlorophenyl)-4-hydroxy-4-[3-(2-quinolinyl)-1,2,4-oxadiazol-5-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

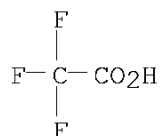
CRN 1207262-07-4

CMF C23 H20 Cl N5 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1298501 CAPLUS

DOCUMENT NUMBER: 151:491155

TITLE: Preparation of piperazine derivatives as LXR modulators

INVENTOR(S): Ho, Koc-Kan; Roughton, Andrew Laird; Neagu, Irina; Chan, Jui-Hsiang; Ansari, Nasrin; Morris, Michelle Lee; Rong, Yajing; Ohlmeyer, Michael; Cooke, Andrew John; Edwards, Andrew Stanley; Bennett, David Jonathan

PATENT ASSIGNEE(S): N.V. Organon and Pharmacoepia, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 58pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

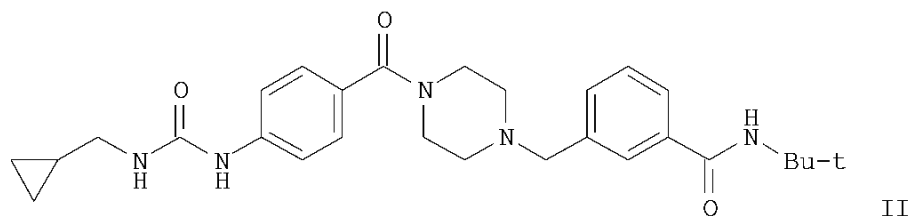
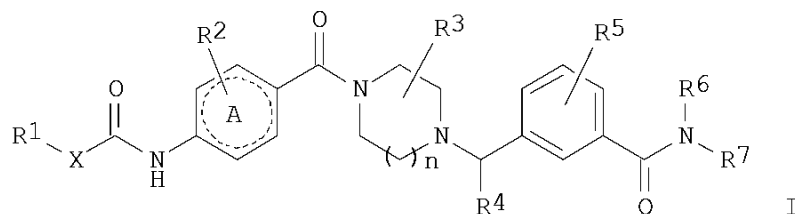
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090264416	A1	20091022	US 2008-194146	20080819
PRIORITY APPLN. INFO.:			US 2007-956791P	P 20070820
OTHER SOURCE(S):		MARPAT 151:491155		

GI



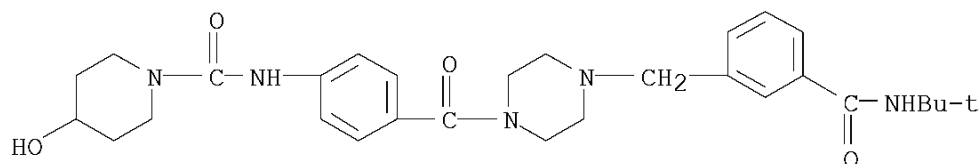
AB The invention relates to piperazine derivs. having the general formula I to pharmaceutical compns. comprising the same, and to the use of these compds. for the manufacture of a medicament for treating or preventing atherosclerosis and related disorders associated with cholesterol and bile acids transport and metabolism Compds. of formula I [n = 1-2; A = 6-membered aromatic ring; X = NH, O, bond, etc.; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = alkyl, alkyloxy, CF3 or halo; R3 = (un)substituted alkyl; R4 = H or alkyl; R5 = alkyl, alkyloxy or halo; R6 = H, (un)substituted alkyl, cycloalkyl, etc.; R7 = H or alkyl], and their pharmaceutically acceptable salts, are prepared and disclosed. Thus, e.g., acylation of N-tert-Butyl-3-[(piperazin-1-yl)methyl]benzamide dihydrochloride (preparation given) with 4-nitrobenzoyl chloride followed by reduction to give intermediate 3-[[4-(4-aminobenzoyl)piperazin-1-yl)methyl]-N-tert-butylbenzamide which was treated with 4-nitrophenyl chloroformate and (cyclopropylmethyl)amine gave trifluoroacetate salt of II. Active compds. of the invention showed pKi values > 5.5 with the binding to LXRα using purified ligand binding domain (LBD) in radioligand competition binding scintillation proximity assay.

IT 1124212-16-3P, N-[4-[[4-[3-(tert-Butylcarbamoyl)benzyl]piperazin-1-yl]carbonyl]phenyl]-4-hydroxypiperidine-1-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazine derivs. as LXR modulators)

RN 1124212-16-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[[4-[[3-[[1,1-dimethylethyl]amino]carbonyl]phenyl)methyl]-1-piperazinyl]carbonyl]phenyl]-4-hydroxy- (CA INDEX NAME)



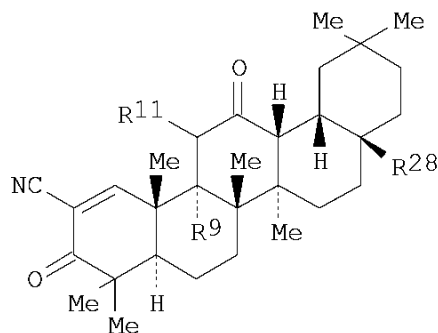
L4 ANSWER 3 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:1298455 CAPLUS
 DOCUMENT NUMBER: 151:491296
 TITLE: Antioxidant inflammation modulators: C-17 homologated
 oleanolic acid derivatives
 INVENTOR(S): Anderson, Eric; Jiang, Xin; Visnick, Melean
 PATENT ASSIGNEE(S): Reata Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 263pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009129546	A1	20091022	WO 2009-US41172	20090420
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 20100048892 A1 20100225 US 2009-426778 20090420 PRIORITY APPLN. INFO.: US 2008-46342P P 20080418 US 2008-111269P P 20081104				

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 151:491296

GI



I

AB Oleanolic acid derivs., such as I [R9 = R11 = H or R9R11 = bond; R28 = NH2, OH, acylamino, alkylsulfonylamino, carboxyamino, alkenyl, alkynyl, carboxyl, carboxamido, hydroxyalkyl, aminoalkyl, carboxyalkyl, alkylamino, alkyloxy, ureido, etc.], were prepared of use in anti-inflammatory pharmaceutical compns. Also provided were pharmaceutical compns., kits and articles of manufacture comprising such compds., methods and intermediates

useful for making the compds., and methods of using the compds. and compns. The prepared compds. were claimed for use in treating or preventing a disease with an inflammatory component, such as lupus erythematosus, rheumatoid, arthritis conditions, such as rheumatoid, psoriatic, reactive, enteropathic, juvenile rheumatoid and early inflammatory, inflammatory bowel disease, such as Crohn's disease, irritable bowel syndrome and ulcerative colitis, cardiovascular disease, diabetes, metabolic syndrome (syndrome X), psoriasis, acne, or atopic dermatitis. treating or preventing a neurodegenerative disease, such as Parkinson's disease, Alzheimer's disease, multiple sclerosis (MS), Huntington's disease and amyotrophic lateral sclerosis. These compds. were claimed for use in treating autoimmune disorders such as Sjogren's syndrome or psoriasis. treating or preventing a disorder characterized by over-expression of iNOS genes. inhibiting IFN- γ -induced nitric oxide production over-expression of COX-2 genes. Further, these compds. were claimed for use in treating chronic or acute renal/kidney disease (RKD) resulting from toxic insult, an imaging agent or a drug, ischemia/reperfusion injury, from diabetes or hypertension, an autoimmune disease. improving glomerular filtration rate or creatinine clearance. These compds. were also claimed for use in combination therapy with a cholesterol lowering drug, an antihyperlipidemic, a calcium channel blocker, an antihypertensive or an HMG-CoA reductase inhibitor. Thus, oleanane derivative I [R9R11 = bond, R28 = NHSO2Me] was prepared via a multistep synthetic sequence starting from 2-cyano-3,12-dioxooleana-1,9-dien-28-oic acid. The prepared compds. were evaluated for their effect on nitric oxide production, STAT3 phosphorylation, NF- κ B activation, I κ B α degradation, COX-2 induction and Nrf2 target gene induction.

IT 1192123-57-1P

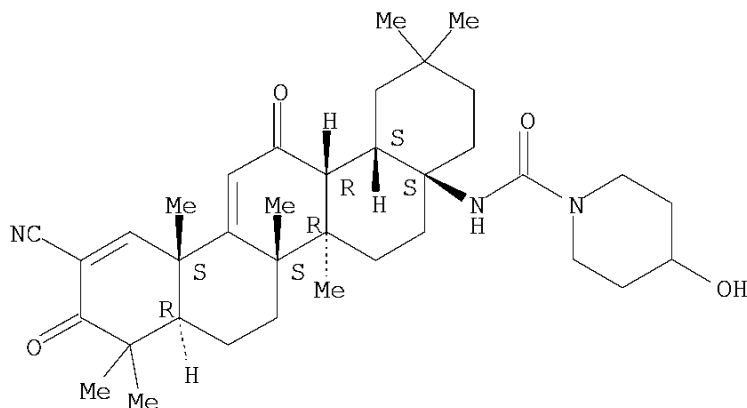
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of homologated oleanolic acid derivs. for therapeutic use in pharmaceutical compns. for the treatment of a variety of diseases and conditions with an inflammatory component)

RN 1192123-57-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(2-cyano-3,12-dioxo-28-noroleana-1,9(11)-dien-17-yl)-4-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:1231093 CAPLUS
 DOCUMENT NUMBER: 151:448242

TITLE: Preparation of hydroxymethyl pyrrolidines as β 3
adrenergic receptor agonists

INVENTOR(S) : Berger, Richard; Chang, Lehua; Edmondson, Scott D.;
Goble, Stephen D.; Ha, Sookhee Nicole; Kar, Nam Fung;
Kopka, Ihor E.; Li, Bing; Morriello, Gregori J.;
Moyes, Chris R.; Shen, Dong-Ming; Wang, Liping; Zhu,
Cheng

PATENT ASSIGNEE(S) : Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 158pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

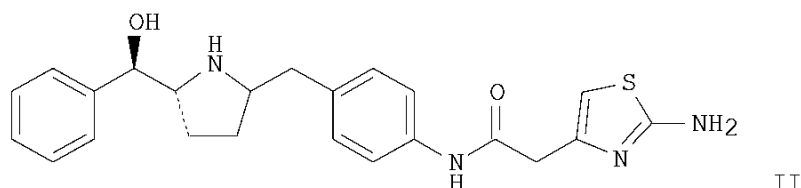
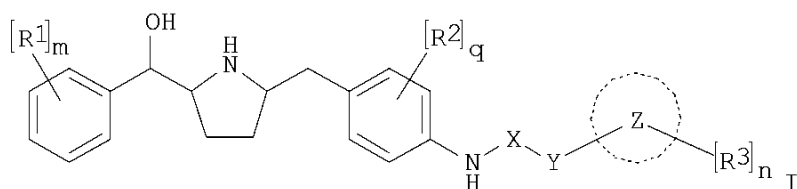
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20090253705	A1	20091008	US 2009-417239	20090402
PRIORITY APPLN. INFO.:			US 2008-123063P	P 20080404
			US 2009-206043P	P 20090127

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S) : MARPAT 151:448242

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AB The title compds. I [m = 0-4; n = 0-5; q = 0-4; X = CO or SO2; Y = alkanediyl, alkenediyl, alkynediyl, phenylene, etc.; Z = Ph, 5-6 membered heterocyclyl with 1-4 heteroatoms selected from O, S and N, benzene ring fused to carbocyclyl, etc.; R1 = alkyl, haloalkyl, cycloalkyl, halo, etc.; R2 = halo or alkyl; R3 = (un)substituted alkyl, (CH2)tphenyl,

(CH₂)_tOphenyl, etc.; t = 0-5], useful in the treatment or prevention of diseases mediated by the activation of β ₃-adrenoceptor, were prepared E.g., a multi-step synthesis of II, starting from Me (3-aminophenyl)acetate, was given. Human β ₃ functional activity of II was determined to be between 1 to 10 nM. Pharmaceutical composition comprising

the compound I, alone or in combination with other therapeutic agent, is disclosed.

IT 1190390-74-9P

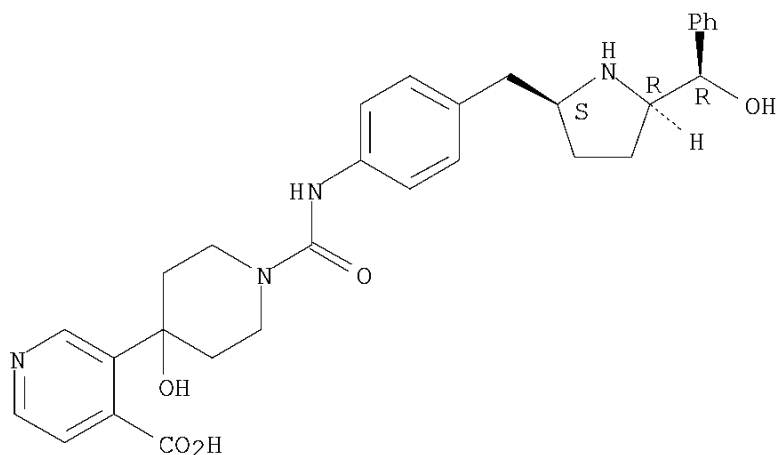
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxymethyl pyrrolidines as β ₃ adrenergic receptor agonists)

RN 1190390-74-9 CAPLUS

CN 4-Pyridinecarboxylic acid, 3-[4-hydroxy-1-[[[4-[[(2S,5R)-5-[(R)-hydroxyphenylmethyl]-2-pyrrolidinyl]methyl]phenyl]amino]carbonyl]-4-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1108533 CAPLUS

DOCUMENT NUMBER: 151:366462

TITLE: Receptor tyrosine kinase inhibitors comprising pyridine and pyrimidine derivatives

INVENTOR(S): Obaishi, Hiroshi

PATENT ASSIGNEE(S): Eisai R&D Management Co., Ltd., Japan

SOURCE: U.S. Pat. Appl. Publ., 41pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20090227556	A1	20090910	US 2009-359475	20090126
JP 2009203226	A	20090910	JP 2009-14366	20090126
PRIORITY APPLN. INFO.:			JP 2008-21195	A 20080131

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 151:366462

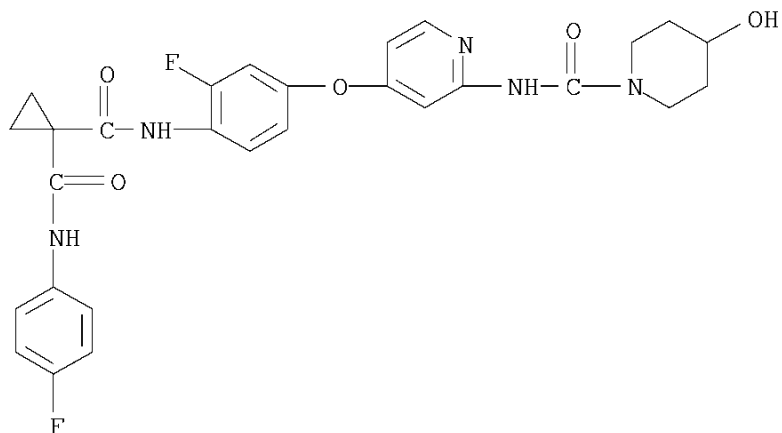
AB A compound represented by the following formula, a salt thereof or a hydrate of the foregoing can inhibit VEGFR-1, VEGFR-2, VEGFR-3, RON, RET and/or KIT. [R1 represents a 3- to 10-membered non-aromatic heterocyclic group or the like; R2 and R3 represent hydrogen; R4, R5, R6, and R7 may be the same or different and each represents hydrogen, halogen, C1-6 alkyl or the like; R8 represents hydrogen or the like; R9 represents a 3- to 10-membered non-aromatic heterocyclic group or the like; n represents an integer of 1 or 2; X represents -CH=, nitrogen or the like.].

IT 928037-79-0 928038-02-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(receptor tyrosine kinase inhibitors comprising pyridine and pyrimidine derivs.)

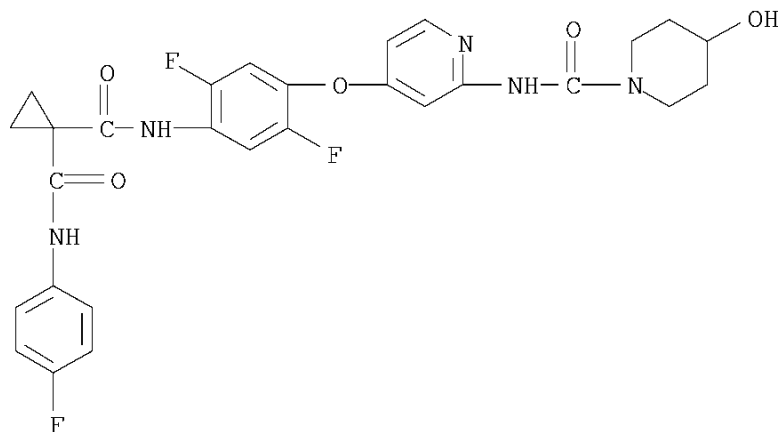
RN 928037-79-0 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2-fluoro-4-[[2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-
(CA INDEX NAME)



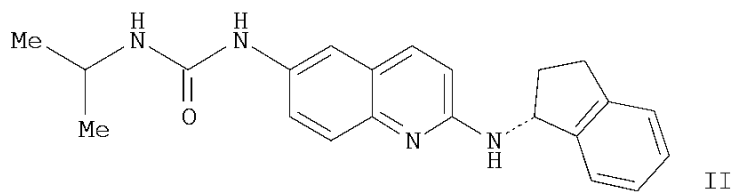
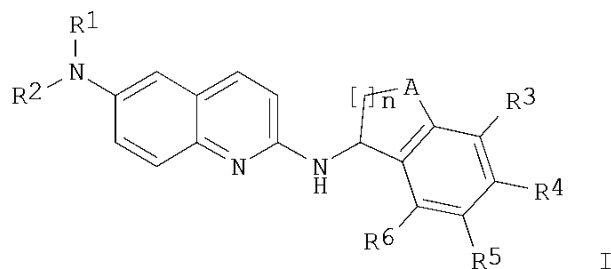
RN 928038-02-2 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2,5-difluoro-4-[[2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-
(CA INDEX NAME)



DOCUMENT NUMBER: 151:358582
 TITLE: Preparation of 2-aminoquinoline derivatives as 5-HT5A receptor antagonists
 INVENTOR(S): Kolczewski, Sabine; Riemer, Claus; Roche, Olivier; Steward, Lucinda; Wichmann, Juergen; Woltering, Thomas
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
 SOURCE: PCT Int. Appl., 109pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009109477	A1	20090911	WO 2009-EP52100	20090223
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090227570	A1	20090910	US 2009-393058	20090226
PRIORITY APPLN. INFO.:			EP 2008-152327	A 20080305
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):		MARPAT 151:358582		
GI				



AB Title compds. I [A = CH₂ or O, R₁ = H or alkyl, R₂ = H, (un)substituted

heterocycloalkyl, S(0)2-heterocycloalkyl, etc.; R1 together with R2 form a 5- or 6-membered heterocycloalkyl; R3, R4, R5 and R6 independently = H, halo, alkyl, haloalkyl, n = 1-2], and their pharmaceutically acceptable salts, are prepared and disclosed as 5-HT5A receptor antagonists, their manufacture, pharmaceutical compns. containing them and their use as medicaments.

Thus, e.g., II was prepared by condensation reaction of 2,6-dichloroquinoline with (R)-(-)-1-aminoindane followed by reduction and acylation with iso-Pr isocyanate. The invention compds. showed the affinity for the recombinant human 5-HT5A receptor, e.g., II exhibited Ki value of 2.5 nM in [3H]LSD radioligand binding assay. The compds. of the invention are useful in the prevention and/or treatment of depression, anxiety disorders, schizophrenia, panic disorders, agoraphobia, social phobia, obsessive compulsive disorders, post-traumatic stress disorders, pain, memory disorders, dementia, disorders of eating behaviors, sexual dysfunction, sleep disorders, abuse of drugs, motor disorders such as Parkinson's disease, psychiatric disorders or gastrointestinal disorders.

IT 1187159-76-7P

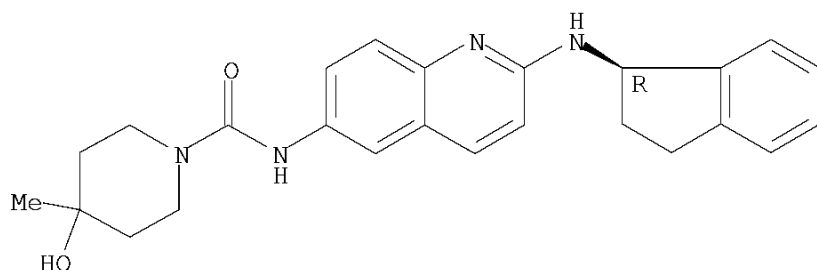
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoquinoline derivs. as 5-HT5A receptor antagonists)

RN 1187159-76-7 CAPLUS

CN 1-Piperidinecarboxamide, N-[2-[[(1R)-2,3-dihydro-1H-inden-1-yl]amino]-6-quinolinyl]-4-hydroxy-4-methyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:976610 CAPLUS

DOCUMENT NUMBER: 151:245681

TITLE: Preparation of substituted imidazopyridazines as kinase inhibitors

INVENTOR(S): Fink, Brian E.; Chen, Libing; Chen, Ping; Dodd, Dharmpal S.; Gavai, Ashvinikumar V.; Kim, Soong-Hoon; Vaccaro, Wayne; Zhang, Litai H.; Zhao, Yufen

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 433pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009100375	A1	20090813	WO 2009-US33455	20090206

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2008-26651P

P 20080206

OTHER SOURCE(S):

MARPAT 151:245681

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [R1, R3 = H, halo, CN, alkyl; R2 = (un)substituted cycloalkyl, heterocyclyl, aryl, heteroaryl; R4 = H, alkyl, cycloalkyl, etc.; R5 = H, alkyl; R6 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R7 = H, alkyl; or NR6R7 = (un)substituted 5-7 membered monocyclic heteroaryl or heterocyclyl, 7-11 membered bicyclic heteroaryl or heterocyclyl; R8 = H, alkyl; with the proviso] which inhibit protein kinase activity thereby making them useful as anticancer agents, were prepared E.g., a multi-step synthesis of trans-II, starting from 6-chloropyridazin-3-amine, was given. Exemplified compds. were tested for inhibiting protein kinase CK2 and for inhibiting cell proliferation (data given for representative compds. I). Pharmaceutical compns. comprising compound I, alone or in combination with other therapeutic agent, were disclosed.

IT 1177411-92-5P

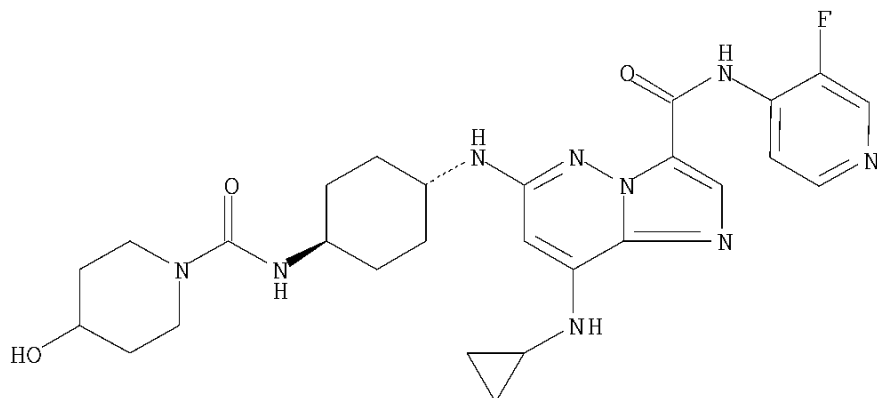
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted imidazopyridazines as protein kinase CK2 inhibitors)

RN 1177411-92-5 CAPLUS

CN Imidazo[1,2-b]pyridazine-3-carboxamide, 8-(cyclopropylamino)-N-(3-fluoro-4-pyridinyl)-6-[[trans-4-[(4-hydroxy-1-piperidinyl)carbonyl]amino]cyclohexyl]amino]- (CA INDEX NAME)

Relative stereochemistry.

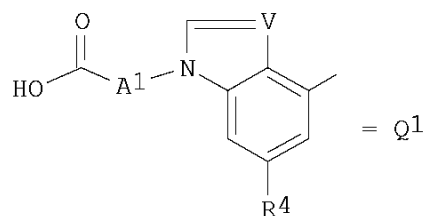
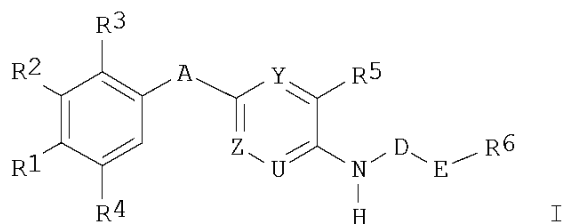


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:944279 CAPLUS
 DOCUMENT NUMBER: 151:220846
 TITLE: Preparation of (phenoxy)phenylalkanoic acid derivatives as CRTH2 antagonists for treatment of inflammatory diseases
 INVENTOR(S): Terasaka, Tadashi; Matsuda, Hiroshi; Ito, Shinji; Tasaki, Mamoru
 PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
 SOURCE: PCT Int. Appl., 117pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009096526	A1	20090806	WO 2009-JP51587	20090130
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: JP 2008-22136 A 20080131
 OTHER SOURCE(S): MARPAT 151:220846
 GI

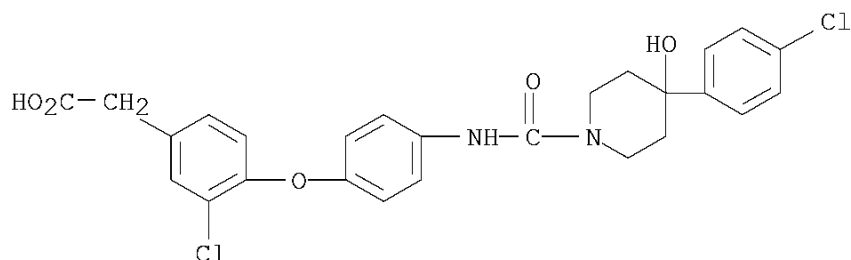


AB The title compds. I [R1 = (alkylene)-CO₂H, H; when R1 is (alkylene)-CO₂H, R2 is halo, H, and R3 is halo, alkyl, H, etc.; when R1 is H, R2 and R3 together with the benzene ring (to which R2 and R3 are connected) form Q1; A1 = (CH₂)_m; V = CH, N; m = integer from 1 to 6; R4 = halo, H; when R3 is H, R4 is halo; R5 = H, halo, alkyl; R6 = (un)substituted aryl, heteroaryl, heterocycloalkyl, etc.; A = O, S; D = CO, SO₂; E = bond, alkylene, alkenylene; Y = CR_{5a}, N; R_{5a} = H, halo, alkyl; Z = CH, N; U = CR_{5b}, N; R_{5b} = H, halo, alkyl; (a proviso specifying that 7 specific compds. are excluded is given)] are prepared. Thus, (3-chloro-4-(4-[(3,4-dichlorobenzoyl)amino]phenoxy)phenyl)acetic acid (II) was prepared in a 2-step process starting from (4-(4-aminophenoxy)-3-chlorophenyl)acetic acid Et ester and 3,4-dichlorobenzoic acid. II showed IC₅₀ value of 9.1 nM in a CRTH2 binding assay.

IT 1175652-01-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of (phenoxy)phenylalkanoic acid derivs. as CRTH2 antagonists for treatment of inflammatory diseases)

RN 1175652-01-3 CAPLUS

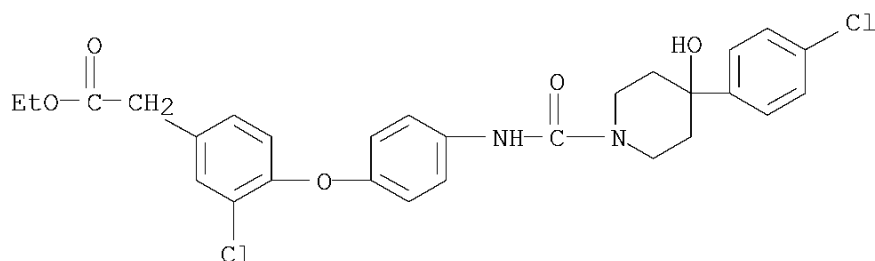
CN Benzeneacetic acid, 3-chloro-4-[4-[[[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]carbonyl]amino]phenoxy]- (CA INDEX NAME)



IT 1175655-87-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of (phenoxy)phenylalkanoic acid derivs. as CRTH2 antagonists for treatment of inflammatory diseases)

RN 1175655-87-4 CAPLUS

CN Benzeneacetic acid, 3-chloro-4-[4-[[[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]carbonyl]amino]phenoxy]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:846114 CAPLUS

DOCUMENT NUMBER: 151:92851
 TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds
 INVENTOR(S): Goldfarb, David Scott
 PATENT ASSIGNEE(S): University of Rochester, USA
 SOURCE: U.S. Pat. Appl. Publ., 57pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 20
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P 20080125
			US 2007-16362P	P 20071221
			US 2008-341615	20081222

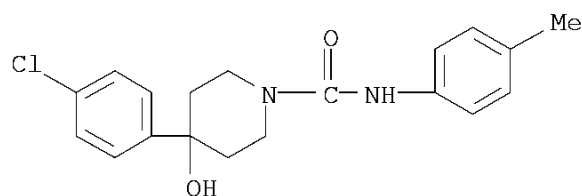
AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 606115-24-6

RL: PAC (Pharmacological activity); BIOL (Biological study)
 (method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 606115-24-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-(4-methylphenyl)-
 (CA INDEX NAME)



L4 ANSWER 10 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:846113 CAPLUS

DOCUMENT NUMBER: 151:92850

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds

INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA

SOURCE: U.S. Pat. Appl. Publ., 57pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P 20080125
			US 2007-16362P	P 20071221
			US 2008-341615	20081222

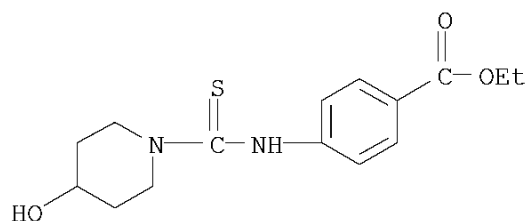
AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 516459-72-6

RL: PAC (Pharmacological activity); BIOL (Biological study)
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 516459-72-6 CAPLUS

CN Benzoic acid, 4-[[(4-hydroxy-1-piperidiny)l]thioxomethyl]amino]-, ethyl ester (CA INDEX NAME)



L4 ANSWER 11 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:846112 CAPLUS

DOCUMENT NUMBER: 151:92849

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds

INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA

SOURCE: U.S. Pat. Appl. Publ., 57pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P 20080125
			US 2007-16362P	P 20071221
			US 2008-341615	20081222

AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract

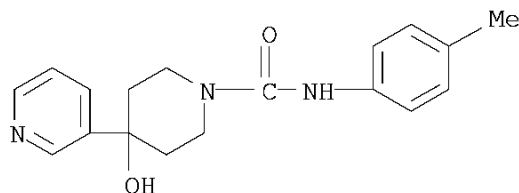
record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 842105-79-7

RL: PAC (Pharmacological activity); BIOL (Biological study)
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 842105-79-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-(4-methylphenyl)-4-(3-pyridinyl)-
(CA INDEX NAME)



L4 ANSWER 12 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:846109 CAPLUS

DOCUMENT NUMBER: 151:92846

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds

INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA

SOURCE: U.S. Pat. Appl. Publ., 57pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P 20080125
			US 2007-16362P	P 20071221
			US 2008-341615	20081222

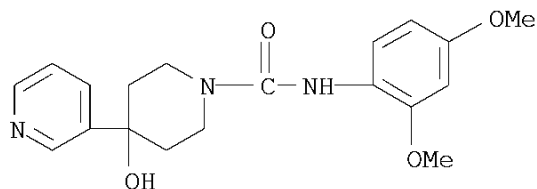
AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 842105-80-0

RL: PAC (Pharmacological activity); BIOL (Biological study)
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 842105-80-0 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,4-dimethoxyphenyl)-4-hydroxy-4-(3-pyridinyl)-
(CA INDEX NAME)



L4 ANSWER 13 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:846105 CAPLUS
 DOCUMENT NUMBER: 151:92842
 TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds
 INVENTOR(S): Goldfarb, David Scott
 PATENT ASSIGNEE(S): University of Rochester, USA
 SOURCE: U.S. Pat. Appl. Publ., 57pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 20
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P 20080125
			US 2007-16362P	P 20071221
			US 2008-341615	20081222

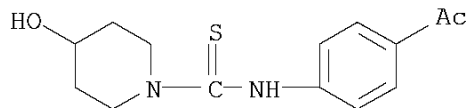
AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 708251-80-3

RL: PAC (Pharmacological activity); BIOL (Biological study)
 (method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 708251-80-3 CAPLUS

CN 1-Piperidinecarbothioamide, N-(4-acetylphenyl)-4-hydroxy- (CA INDEX NAME)



L4 ANSWER 14 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:846104 CAPLUS
 DOCUMENT NUMBER: 151:92841
 TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds

INVENTOR(S): Goldfarb, David Scott
 PATENT ASSIGNEE(S): University of Rochester, USA
 SOURCE: U.S. Pat. Appl. Publ., 57pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 20
 PATENT INFORMATION:

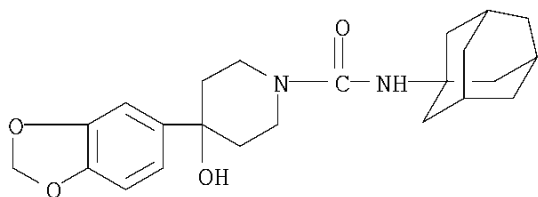
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
PRIORITY APPLN. INFO.:			US 2008-23801P	P 20080125
			US 2007-16362P	P 20071221
			US 2008-341615	20081222

AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 841227-81-4
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 841227-81-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1,3-benzodioxol-5-yl)-4-hydroxy-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



L4 ANSWER 15 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:846101 CAPLUS

DOCUMENT NUMBER: 151:92838

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds

INVENTOR(S): Goldfarb, David Scott
 PATENT ASSIGNEE(S): University of Rochester, USA
 SOURCE: U.S. Pat. Appl. Publ., 57pp.
 CODEN: USXXCO

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 20
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222

PRIORITY APPLN. INFO.:

US 2008-23801P P 20080125
US 2007-16362P P 20071221
US 2008-341615 20081222

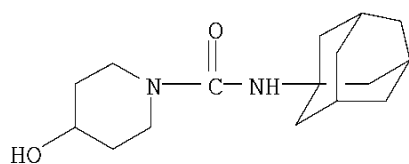
AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 774554-16-4

RL: PAC (Pharmacological activity); BIOL (Biological study)
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 774554-16-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



L4 ANSWER 16 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:846099 CAPLUS

DOCUMENT NUMBER: 151:92836

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds

INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S): University of Rochester, USA

SOURCE: U.S. Pat. Appl. Publ., 57pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 20

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222

PRIORITY APPLN. INFO.:

US 2008-23801P P 20080125
US 2007-16362P P 20071221
US 2008-341615 20081222

AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

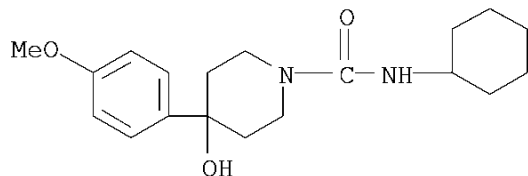
IT 841227-39-2

RL: PAC (Pharmacological activity); BIOL (Biological study)

(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 841227-39-2 CAPLUS

CN 1-Piperidinecarboxamide, N-cyclohexyl-4-hydroxy-4-(4-methoxyphenyl)- (CA INDEX NAME)



L4 ANSWER 17 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:845575 CAPLUS

DOCUMENT NUMBER: 151:304149

TITLE: Optimization of piperidin-4-yl-urea-containing melanin-concentrating hormone receptor 1 (MCH-R1) antagonists: Reducing hERG-associated liabilities

AUTHOR(S): Berglund, Susanne; Egner, Bryan J.; Graden, Henrik; Graden, Joakim; Morgan, David G. A.; Inghardt, Tord; Giordanetto, Fabrizio

CORPORATE SOURCE: Medicinal Chemistry, AstraZeneca R&D Moelndal, Moelndal, SE-431 83, Swed.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2009), 19(15), 4274-4279

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The discovery and optimization of piperidin-4-yl-urea derivs. as MCH-R1 antagonists is herein described. Previous work around the piperidin-4-yl-amides led to the discovery of potent MCH-R1 antagonists. However, high affinity towards the hERG potassium channel proved to be an issue. Different strategies to increase hERG selectivity were implemented and resulted in the identification of piperidin-4-yl-urea compds. as potent MCH-R1 antagonists with minimized hERG inhibition.

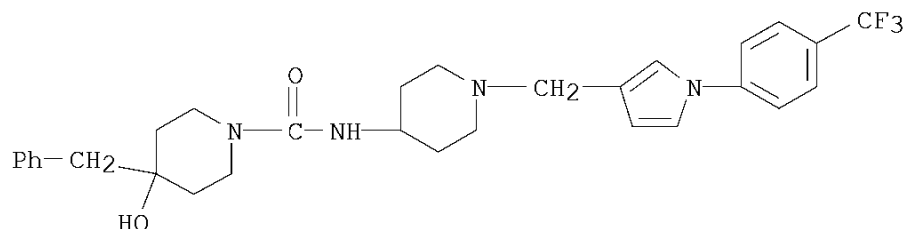
IT 1185503-22-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(optimization of piperidin-4-yl-urea-containing melanin-concentrating hormone receptor 1 (MCH-R1) antagonists)

RN 1185503-22-3 CAPLUS

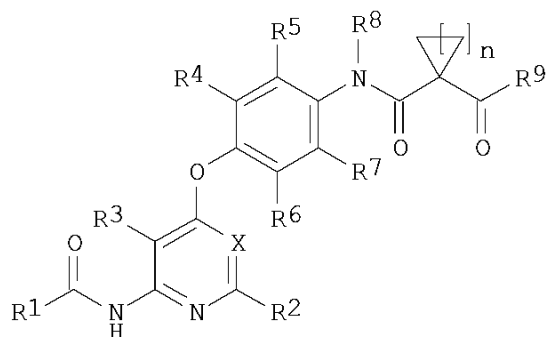
CN 1-Piperidinecarboxamide, 4-hydroxy-4-(phenylmethyl)-N-[1-[[1-[4-(trifluoromethyl)phenyl]-1H-pyrrol-3-yl]methyl]-4-piperidinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:772190 CAPLUS
DOCUMENT NUMBER: 151:93993
TITLE: Pharmaceutical compositions containing heterocyclic
compounds for treatment of esophageal cancer
INVENTOR(S): Obaishi, Hiroshi; Nakagawa, Takayuki
PATENT ASSIGNEE(S): Eisai R & D Management Co., Ltd., Japan
SOURCE: PCT Int. Appl., 57pp.; Chemical Indexing Equivalent to
151:70262 (JP)
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009077874	A2	20090625	WO 2008-IB3880	20081201
WO 2009077874	A3	20091008		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA JP 2009132660 A 20090618 JP 2007-311411 20071130 PRIORITY APPLN. INFO.: JP 2007-311411 A 20071130 OTHER SOURCE(S): MARPAT 151:93993 GI				



I

AB A compound I [R1 = 3-10-membered non-aromatic heterocyclyl, etc.; R2, R3 = H;
R4-R7 = H, halo, C1-6 alkyl, etc.; R8 = H, C1-6 alkyl; R9 = 3-10-membered

non- aromatic heterocyclcyl, etc.; n = 1, 2; X = -C(R10)=, N (R10 = H, C1-6 alkyl, etc.)], or a salt or hydrate thereof, is useful for treating esophageal cancer.

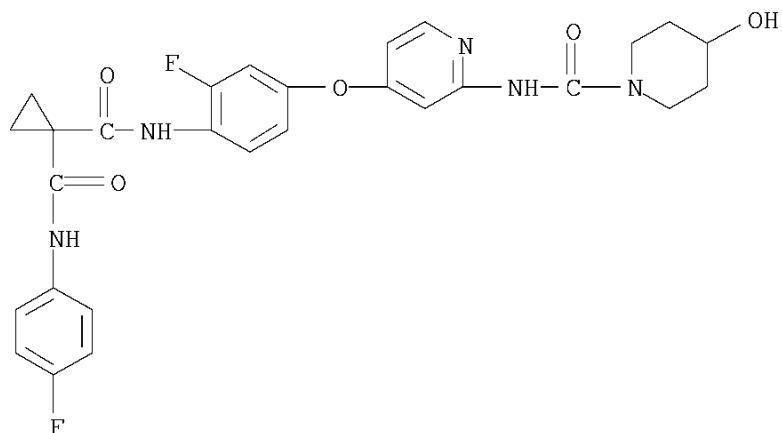
IT 928037-79-0 928038-02-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antitumor compns. containing heterocyclic compds. for treatment of esophageal cancer)

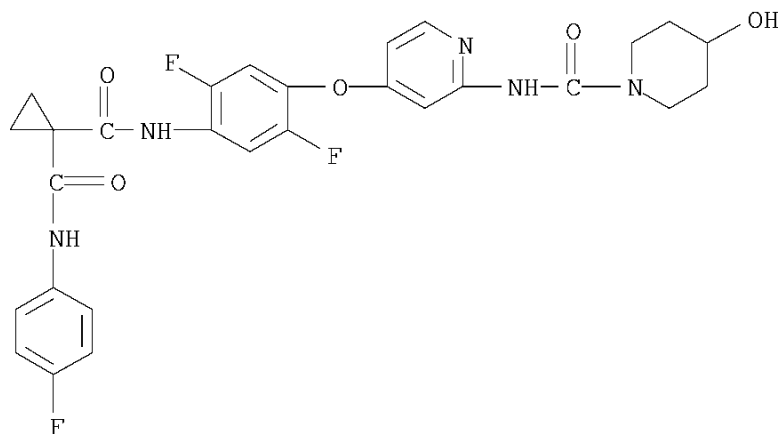
RN 928037-79-0 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2-fluoro-4-[[2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)- (CA INDEX NAME)



RN 928038-02-2 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2,5-difluoro-4-[[2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)- (CA INDEX NAME)



L4 ANSWER 19 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:769551 CAPLUS

DOCUMENT NUMBER: 151:70320

TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds

INVENTOR(S): Goldfarb, David Scott

PATENT ASSIGNEE(S):	University of Rochester, USA
SOURCE:	U.S. Pat. Appl. Publ., 57pp. CODEN: USXXCO
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	20
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
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US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
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US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
US 20090163545	A1	20090625	US 2008-341615	20081222
WO 2009086303	A2	20090709	WO 2008-US88016	20081222
WO 2009086303	A3	20091230		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

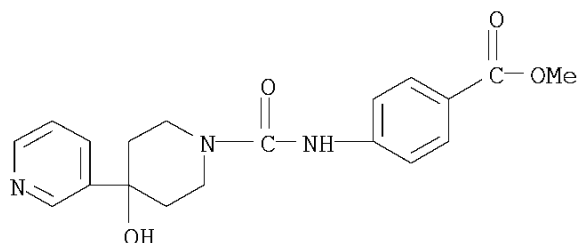
PRIORITY APPLN. INFO.:	US 2007-16362P	P	20071221
	US 2008-23801P	P	20080125
	US 2008-341615		20081222

AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 842105-82-2

RL: PAC (Pharmacological activity); BIOL (Biological study)
(method using lifespan-altering compds. for altering lifespan of
eukaryotic organisms, and screening for such compds.)

RN 842105-82-2 CAPLUS
CN Benzoic acid, 4-[[[4-hydroxy-4-(3-pyridinyl)-1-piperidinyl]carbonyl]amino]-
, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L4 ANSWER 20 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:733542 CAPLUS

DOCUMENT NUMBER: 151:70262

TITLE: Pharmaceutical compositions for treatment of
esophageal cancer

INVENTOR(S): Obaishi, Hiroshi; Nakagawa, Takayuki

PATENT ASSIGNEE(S): Eisai R & D Management Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 43pp.; Chemical Indexing
Equivalent to 151:93993 (WO)
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

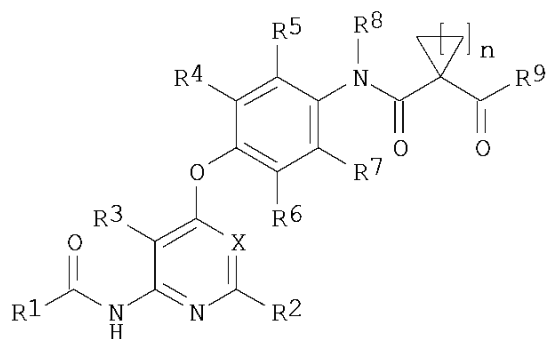
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2009132660	A	20090618	JP 2007-311411	20071130
WO 2009077874	A2	20090625	WO 2008-IB3880	20081201
WO 2009077874	A3	20091008		
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20090176797	A1	20090709	US 2008-315291	20081201

PRIORITY APPLN. INFO.: JP 2007-311411 A 20071130

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

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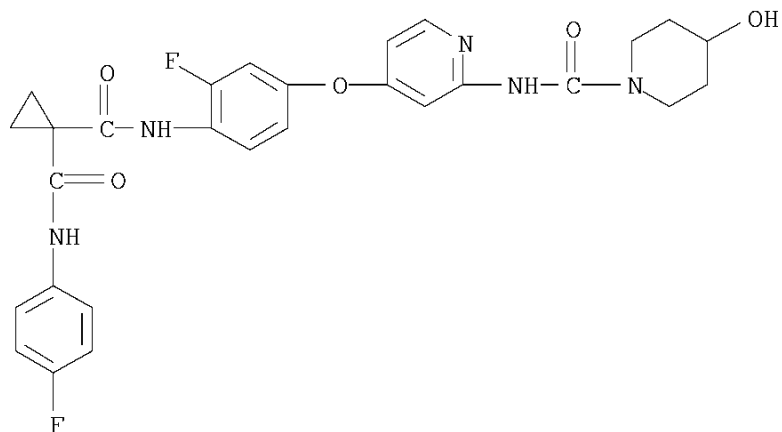
I

AB Title compns. contain heterocyclic compds. I [R1, R9 = (un)substituted 3- to 10-membered, substituted N-containing nonarom. heterocyclyl, NR11aR11b; NR11a, R11b = H, (un)substituted C1-6 alkyl, (un)substituted C3-6 alkenyl, (un)substituted C3-6 alkynyl, (un)substituted C3-10 cycloalkyl, (un)substituted C6-10 aryl, (un)substituted 5- to 10-membered heteroaryl, (un)substituted 4- to 10-membered nonarom. heterocyclyl; R2 = R3 = H; R4-R7 = H, halo, OH, cyano, CF3, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, NH2, COR12 (R12 = H, OH, C1-6 alkyl, C1-6 alkoxy, amino, etc.), etc.; R8 = H, C1-6 alkyl; n = 1, 2; X = CR10; R10 = H, halo, cyano, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, COR12, N], their salts, or hydrates. Thus, N-[2-fluoro-4-[[2-[[[4-(4-methylpiperazin-1-yl)piperidin-1-yl]carbonyl]amino]pyridin-4-yl]oxy]phenyl]-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide inhibited the growth of human malignant esophageal cell lines OE19, OE21, and OE33 with IC50 values of 1.6, 3.6, and 5.5 μ M, resp.

IT 928037-79-0 928038-02-2
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (antitumor compns. containing heterocyclic compds. for treatment of esophageal cancer)

RN 928037-79-0 CAPLUS

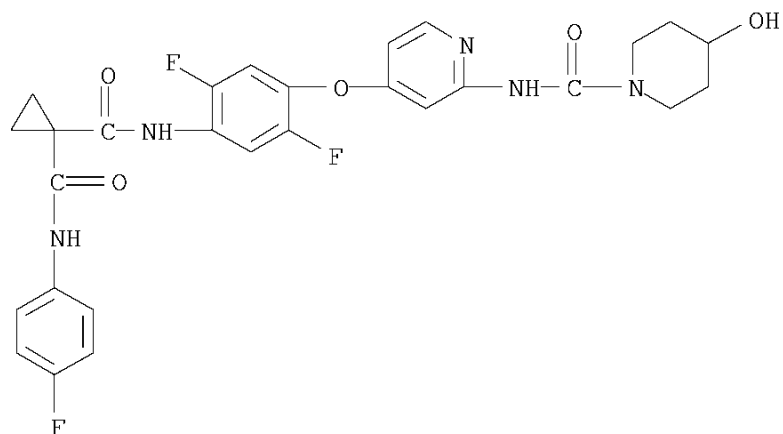
CN 1,1-Cyclopropanedicarboxamide, N-[2-fluoro-4-[[2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-(CA INDEX NAME)



RN 928038-02-2 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2,5-difluoro-4-[[2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-

(CA INDEX NAME)



L4 ANSWER 21 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:456971 CAPLUS

DOCUMENT NUMBER: 150:494897

TITLE: Preparation of piperidine compounds containing piperazine moiety as β -secretase inhibitors for the treatment of neurodegenerative diseases

INVENTOR(S): Lim, Hui Jong; Jung, Myeong Hui; Choi, Il Yeong; Park, U. Gyu

PATENT ASSIGNEE(S): Korea Research Institute of Chemical Technology, S. Korea

SOURCE: Repub. Korean Kongkae Taeho Kongbo, 109pp.
CODEN: KRXXA7

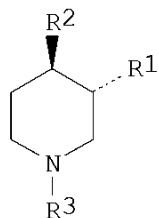
DOCUMENT TYPE: Patent

LANGUAGE: Korean

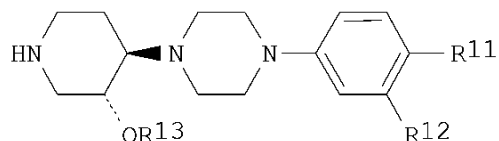
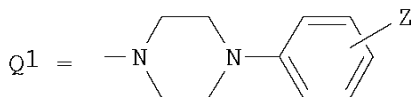
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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KR 2009035993	A	20090413	KR 2007-101067	20071008
KR 894713	B1	20090424		
PRIORITY APPLN. INFO.:			KR 2007-101067	20071008
OTHER SOURCE(S):	MARPAT 150:494897			
GI				



I



II

AB Title compds. I [R1, R2 = -O-CO-R4 or Q1; R4 = aryl-alkyl, aryl, alkoxy, etc.; Z = H, halo, alkyl, etc.; R3 = H, -CO-NH-(CH2)m-R5 or -CO-NH-C(R6)(R7)-(CH2)m-COO-R8; R5 = alkyl, alkoxy or halo-alkyl; m = 0-2; R6, R7 = H, alkyl or benzyl; R8 = alkyl; or their pharmaceutically acceptable salts], useful for treating Alzheimer's disease and Down's syndrome, were prepared For example, ring-opening reaction of tert-Bu 7-oxa-3-azabicyclo[4.1.0]heptane-3-carboxylate with 1-(4-fluorophenyl)piperazine followed by acylation with 2-naphthalenecarbonyl chloride and treatment with CF3CO2H afforded compound II [R11 = F; R12 = H; R13 = 2-naphthalenecarbonyl]. In β -secretase inhibition assays, compound II [R11 = H; R12 = Cl; R13 = biphenyl-4-carbonyl] showed the IC50 of 0.5 μ M. Pharmaceutical compns. comprising I are disclosed.

IT	1148054-63-0P	1148054-64-1P	1148054-65-2P
	1148054-66-3P	1148054-67-4P	1148054-68-5P
	1148054-69-6P	1148054-70-9P	1148054-71-0P
	1148054-72-1P	1148054-73-2P	1148054-74-3P
	1148054-75-4P	1148054-76-5P	1148054-77-6P
	1148054-78-7P	1148054-79-8P	1148054-80-1P
	1148054-81-2P	1148054-82-3P	1148054-83-4P
	1148054-84-5P	1148054-85-6P	1148054-86-7P
	1148054-87-8P	1148054-88-9P	1148054-89-0P
	1148054-90-3P	1148054-91-4P	1148054-92-5P
	1148054-93-6P	1148054-94-7P	1148054-95-8P
	1148054-96-9P	1148054-97-0P	1148054-98-1P
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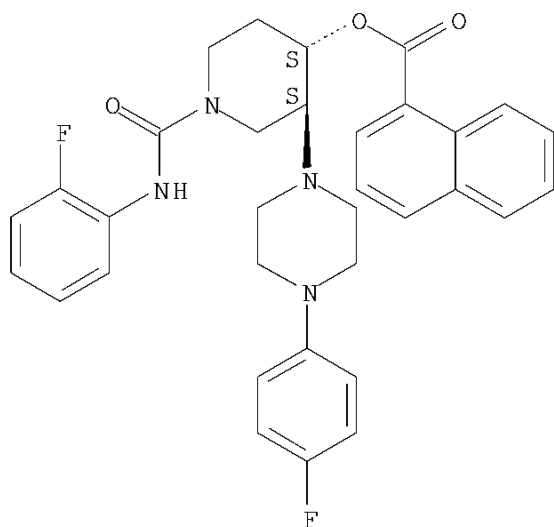
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine compds. containing piperazine moiety as β -secretase inhibitors for treatment of neurodegenerative diseases)

RN 1148054-63-0 CAPLUS

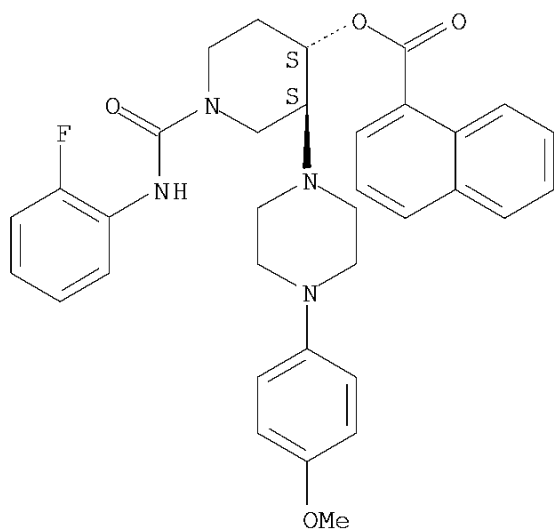
CN 1-Naphthalenecarboxylic acid, (3R,4R)-1-[[(2-fluorophenyl)amino]carbonyl]-3-[4-(4-fluorophenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



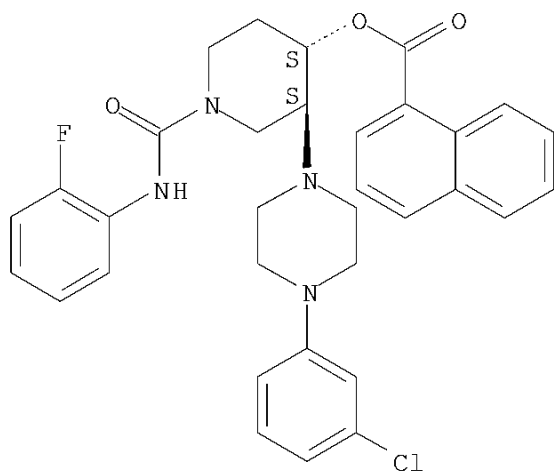
RN 1148054-64-1 CAPLUS
 CN 1-Naphthalenecarboxylic acid, (3R,4R)-1-[[[2-fluorophenyl]amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



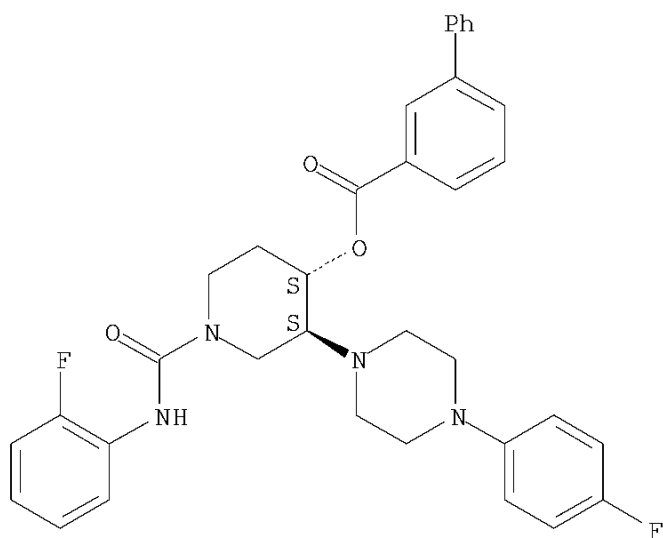
RN 1148054-65-2 CAPLUS
 CN 1-Naphthalenecarboxylic acid, (3R,4R)-3-[4-(3-chlorophenyl)-1-piperazinyl]-1-[[[2-fluorophenyl]amino]carbonyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



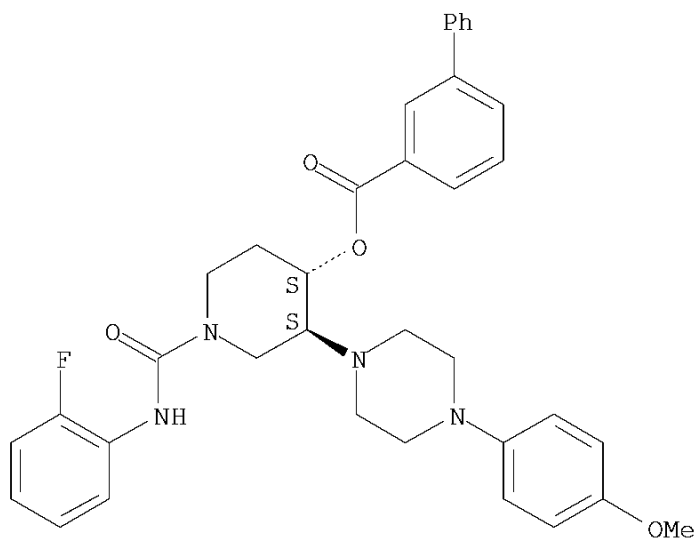
RN 1148054-66-3 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 (3R,4R)-1-[[(2-fluorophenyl)amino]carbonyl]-3-[4-(4-fluorophenyl)-1-
 piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



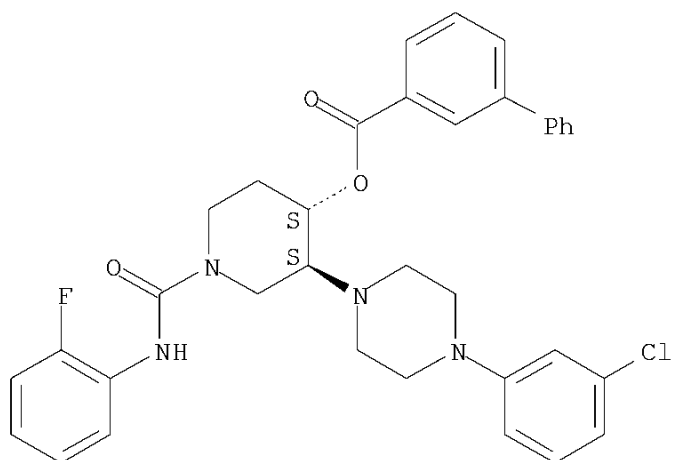
RN 1148054-67-4 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 (3R,4R)-1-[[(2-fluorophenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-
 piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



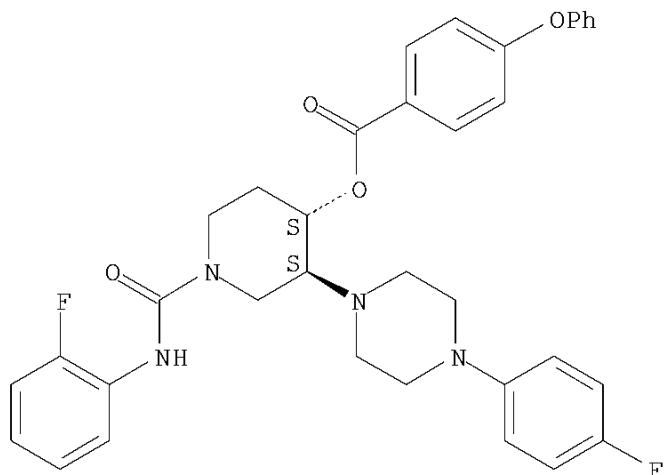
RN 1148054-68-5 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 (3R,4R)-3-[4-(3-chlorophenyl)-1-piperazinyl]-1-[[2-
 fluorophenyl)amino]carbonyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1148054-69-6 CAPLUS
 CN Benzoic acid, 4-phenoxy-, (3R,4R)-1-[[2-fluorophenyl)amino]carbonyl]-3-[4-
 (4-fluorophenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

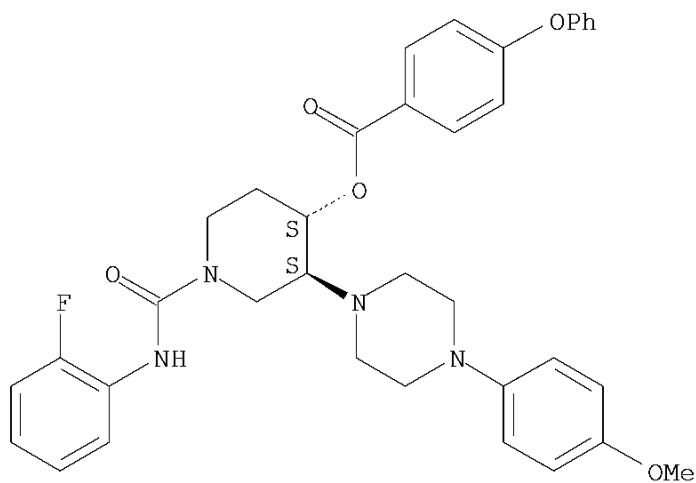
Relative stereochemistry.



RN 1148054-70-9 CAPLUS

CN Benzoic acid, 4-phenoxy-, (3R,4R)-1-[[2-(2-fluorophenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

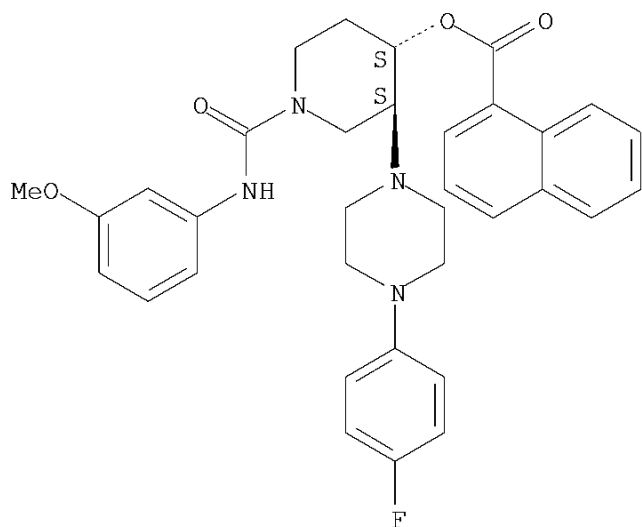
Relative stereochemistry.



RN 1148054-71-0 CAPLUS

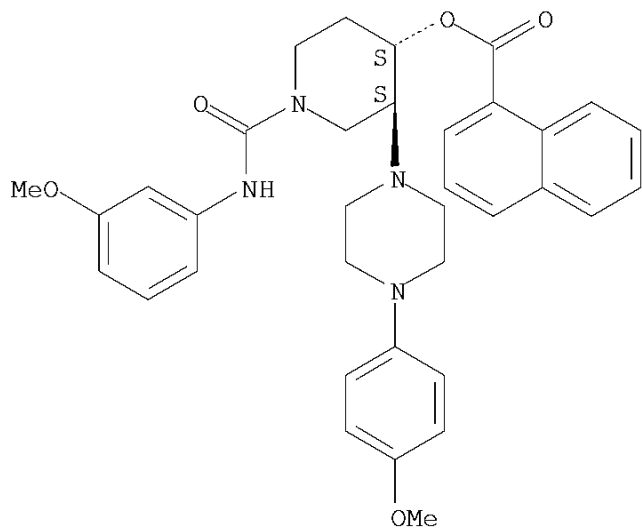
CN 1-Naphthalenecarboxylic acid, (3R,4R)-3-[4-(4-fluorophenyl)-1-piperazinyl]-1-[[3-(3-methoxyphenyl)amino]carbonyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



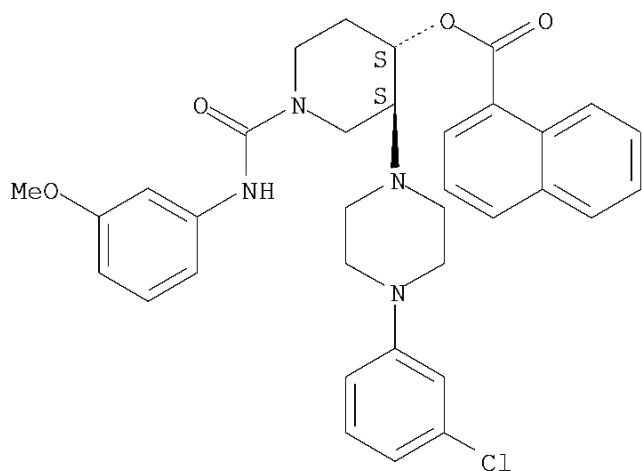
RN 1148054-72-1 CAPLUS
 CN 1-Naphthalenecarboxylic acid, (3R,4R)-1-[[[3-methoxyphenyl]amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



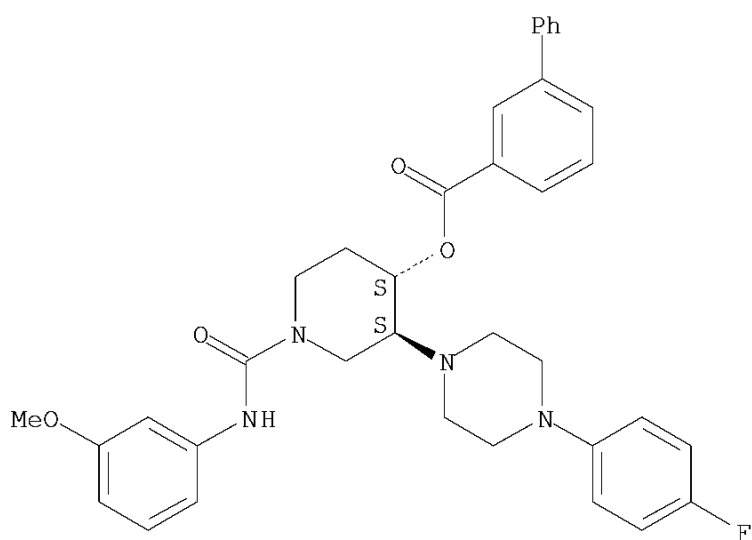
RN 1148054-73-2 CAPLUS
 CN 1-Naphthalenecarboxylic acid, (3R,4R)-3-[4-(3-chlorophenyl)-1-piperazinyl]-1-[[[3-methoxyphenyl]amino]carbonyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



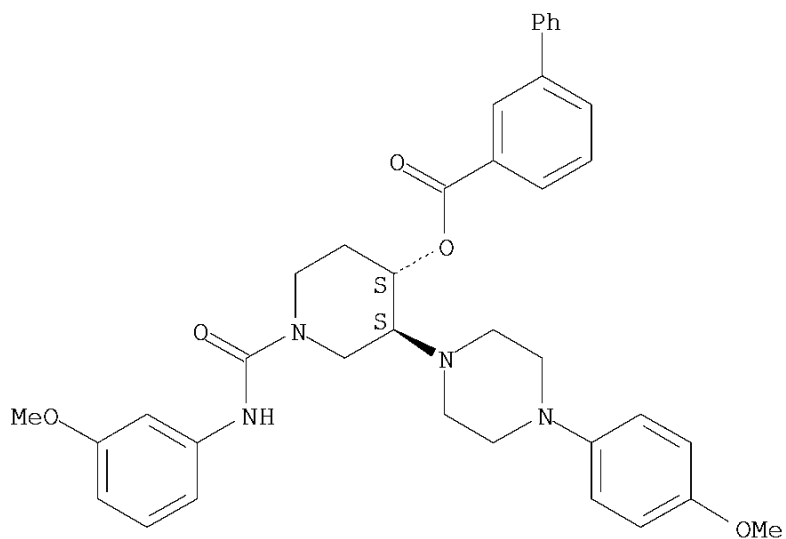
RN 1148054-74-3 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 (3R,4R)-3-[4-(4-fluorophenyl)-1-piperazinyl]-1-[[(3-
 methoxyphenyl)amino]carbonyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



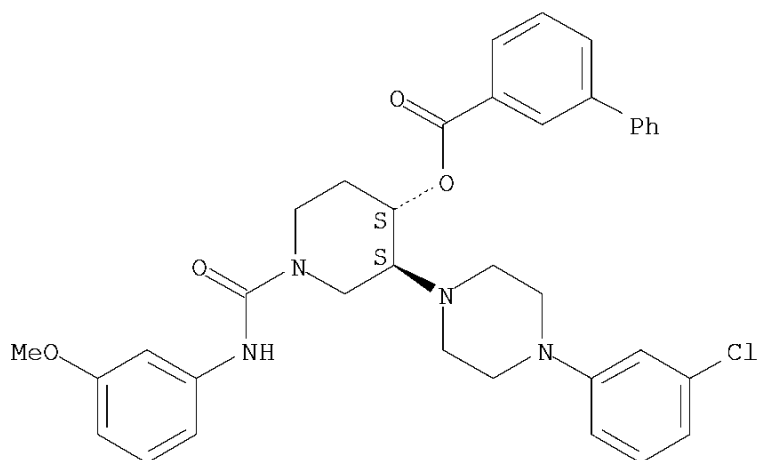
RN 1148054-75-4 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 (3R,4R)-1-[[(3-methoxyphenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-
 piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



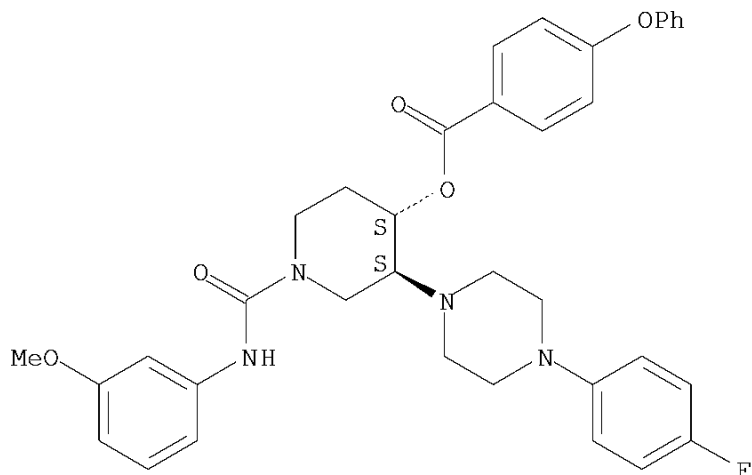
RN 1148054-76-5 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 (3R,4R)-3-[4-(3-chlorophenyl)-1-piperazinyl]-1-[[3-
 methoxyphenyl]amino]carbonyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1148054-77-6 CAPLUS
 CN Benzoic acid, 4-phenoxy-, (3R,4R)-3-[4-(4-fluorophenyl)-1-piperazinyl]-1-
 [[3-methoxyphenyl]amino]carbonyl]-4-piperidinyl ester, rel- (CA INDEX
 NAME)

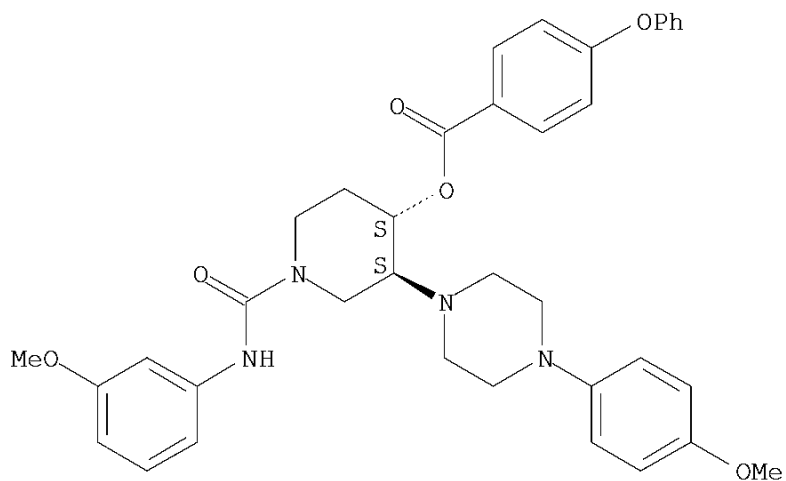
Relative stereochemistry.



RN 1148054-78-7 CAPLUS

CN Benzoic acid, 4-phenoxy-, (3R,4R)-1-[[(3-methoxyphenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

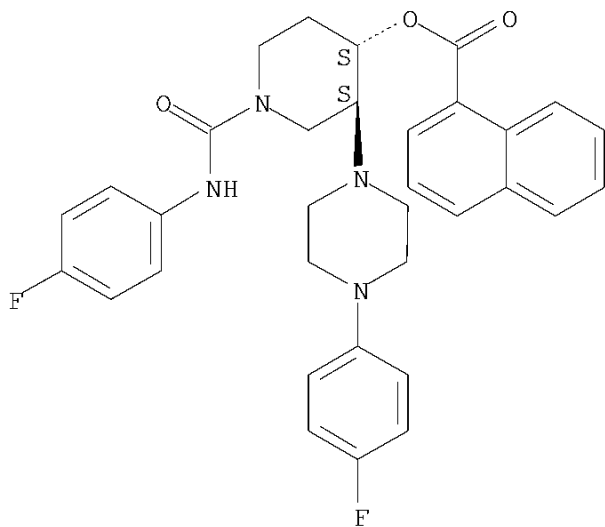
Relative stereochemistry.



RN 1148054-79-8 CAPLUS

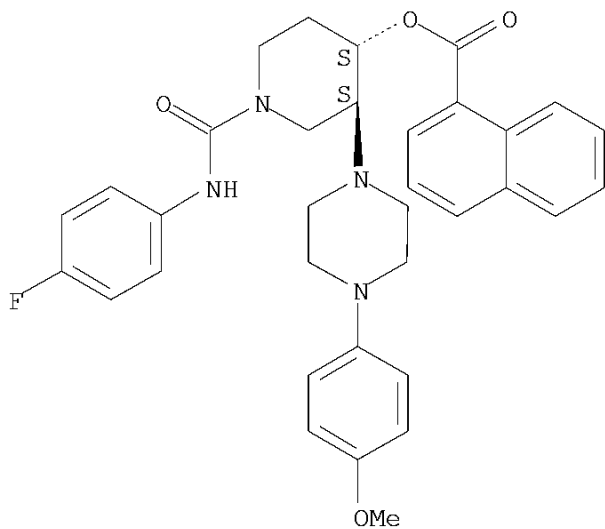
CN 1-Naphthalenecarboxylic acid, (3R,4R)-1-[[(4-fluorophenyl)amino]carbonyl]-3-[4-(4-fluorophenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



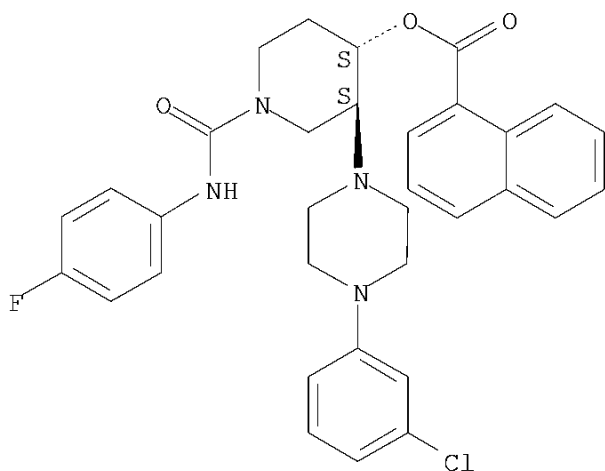
RN 1148054-80-1 CAPLUS
 CN 1-Naphthalenecarboxylic acid, (3R,4R)-1-[[[4-(4-fluorophenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1148054-81-2 CAPLUS
 CN 1-Naphthalenecarboxylic acid, (3R,4R)-3-[4-(3-chlorophenyl)-1-piperazinyl]-1-[[[4-(4-fluorophenyl)amino]carbonyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

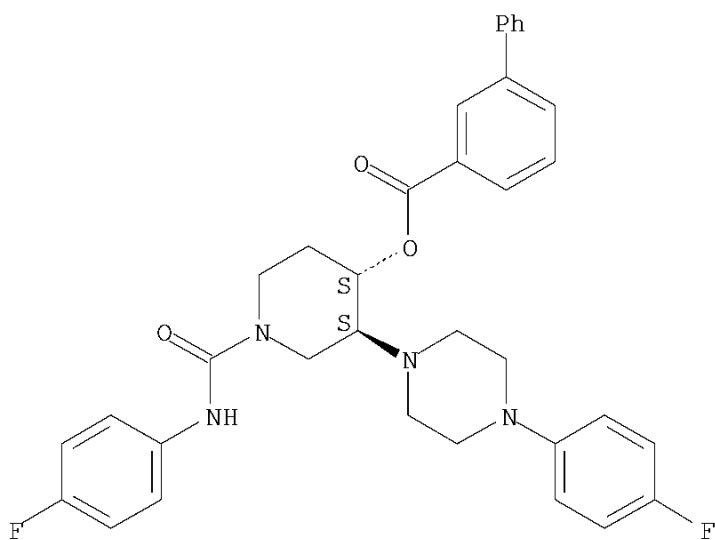
Relative stereochemistry.



RN 1148054-82-3 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid,
(3R,4R)-1-[[4-(4-fluorophenyl)amino]carbonyl]-3-[4-(4-fluorophenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

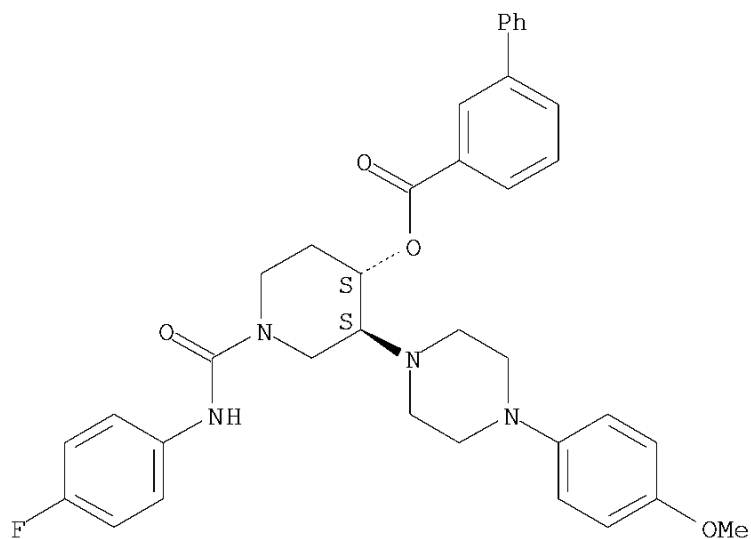
Relative stereochemistry.



RN 1148054-83-4 CAPLUS

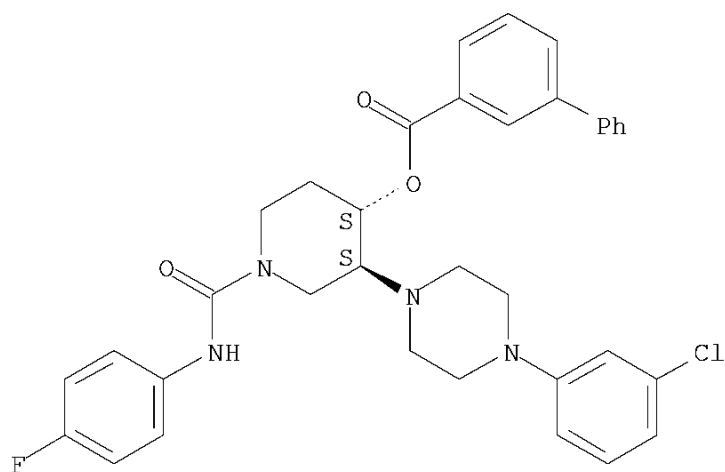
CN [1,1'-Biphenyl]-3-carboxylic acid,
(3R,4R)-1-[[4-(4-fluorophenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



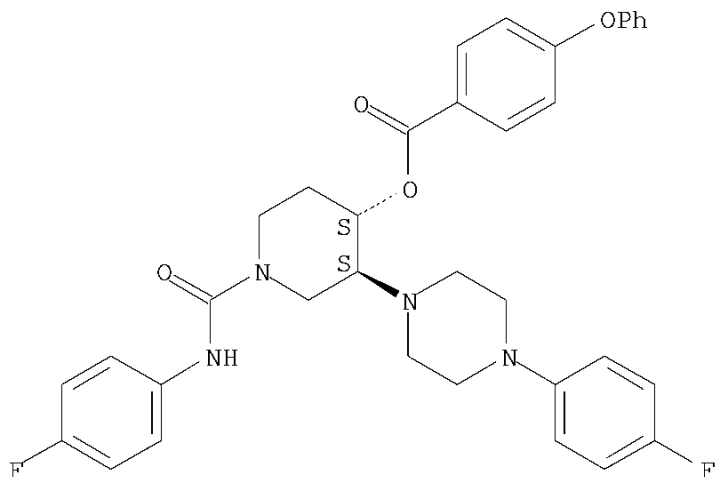
RN 1148054-84-5 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 (3R,4R)-3-[4-(3-chlorophenyl)-1-piperazinyl]-1-[[4-(4-fluorophenyl)amino]carbonyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1148054-85-6 CAPLUS
 CN Benzoic acid, 4-phenoxy-, (3R,4R)-1-[[4-(4-fluorophenyl)amino]carbonyl]-3-[4-(4-fluorophenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

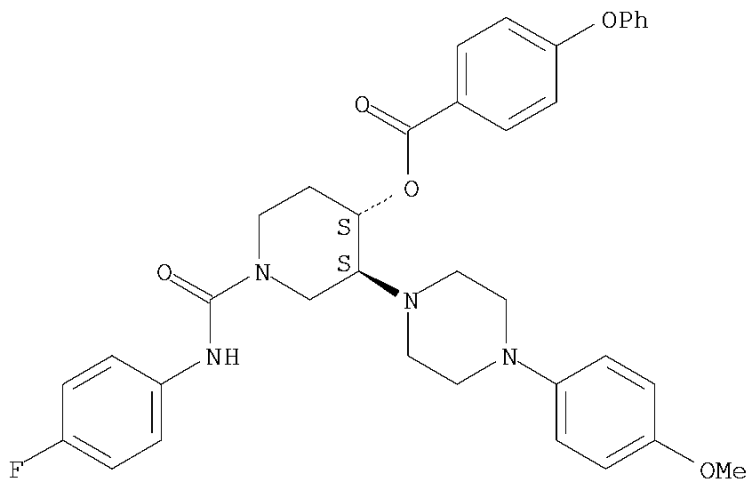
Relative stereochemistry.



RN 1148054-86-7 CAPLUS

CN Benzoic acid, 4-phenoxy-, (3R,4R)-1-[[4-(4-fluorophenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

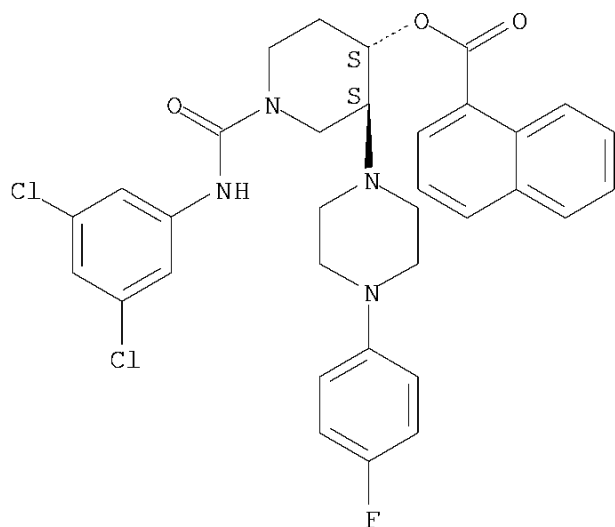
Relative stereochemistry.



RN 1148054-87-8 CAPLUS

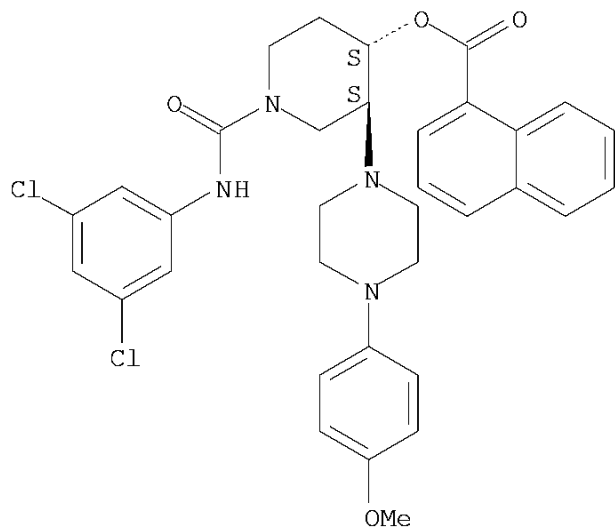
CN 1-Naphthalenecarboxylic acid, (3R,4R)-1-[[3,5-dichlorophenyl]amino]carbonyl]-3-[4-(4-fluorophenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



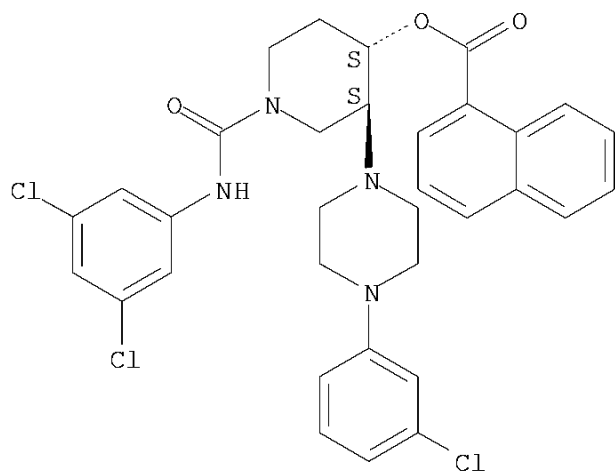
RN 1148054-88-9 CAPLUS
 CN 1-Naphthalenecarboxylic acid, (3R,4R)-1-[[(3,5-dichlorophenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



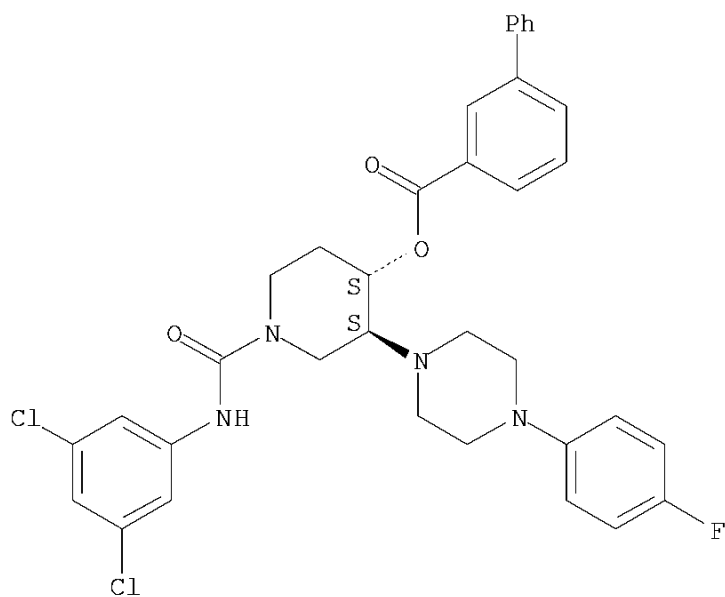
RN 1148054-89-0 CAPLUS
 CN 1-Naphthalenecarboxylic acid, (3R,4R)-3-[4-(3-chlorophenyl)-1-piperazinyl]-1-[[(3,5-dichlorophenyl)amino]carbonyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



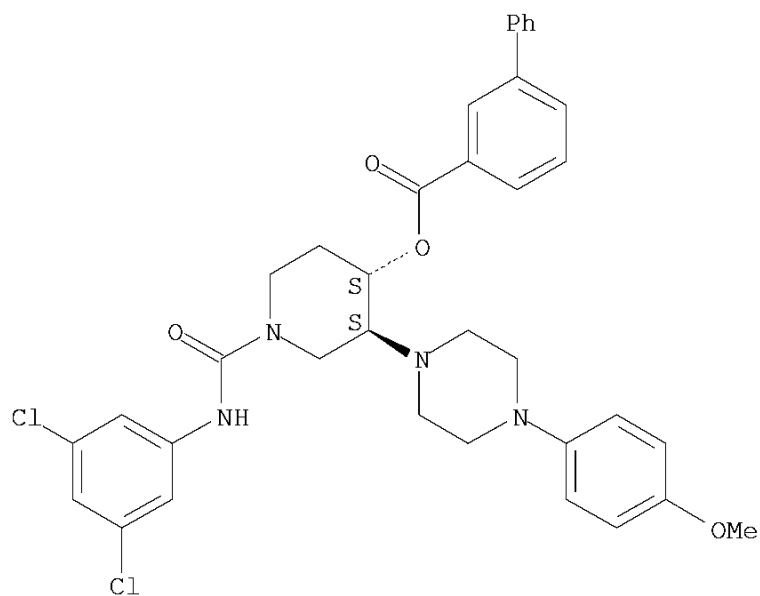
RN 1148054-90-3 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 (3R,4R)-1-[[(3,5-dichlorophenyl)amino]carbonyl]-3-[4-(4-fluorophenyl)-1-
 piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



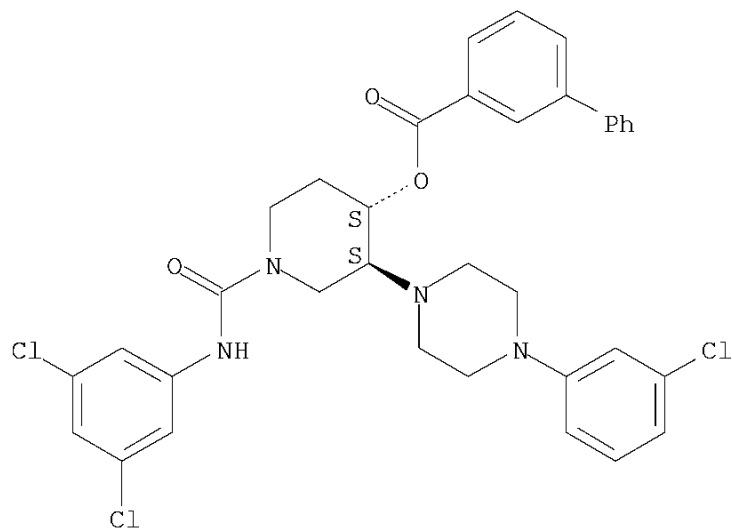
RN 1148054-91-4 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 (3R,4R)-1-[[(3,5-dichlorophenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-
 piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



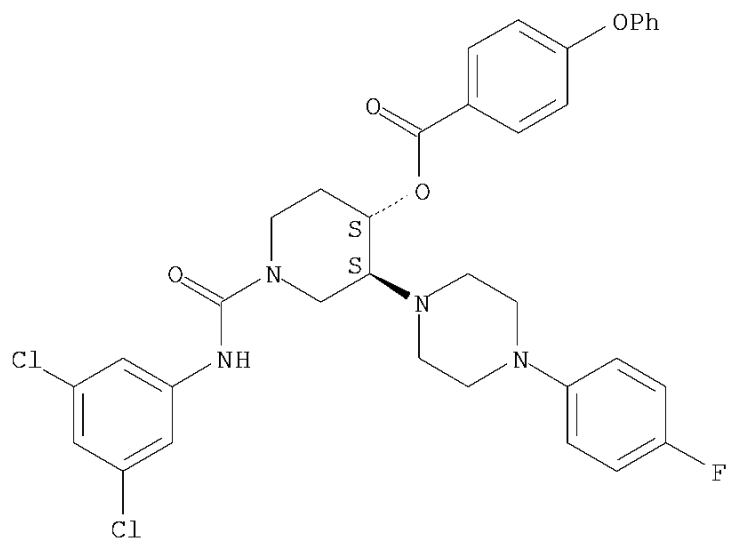
RN 1148054-92-5 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 (3R,4R)-3-[4-(3-chlorophenyl)-1-piperazinyl]-1-[[(3,5-
 dichlorophenyl)amino]carbonyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



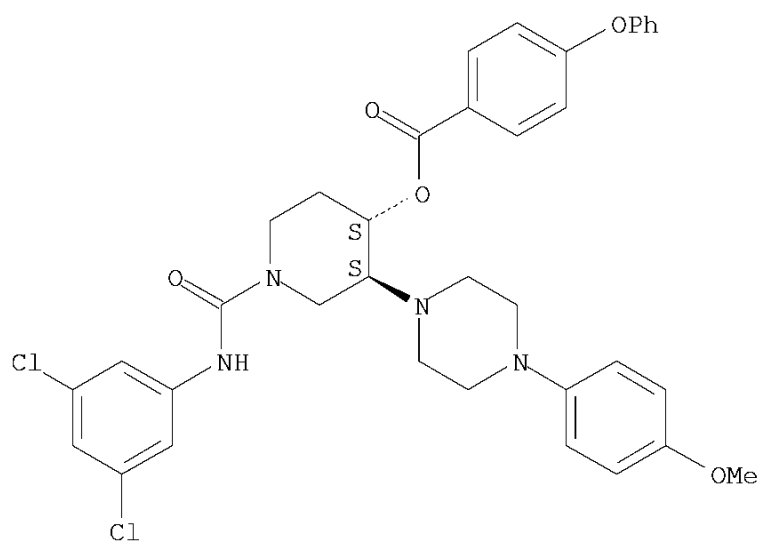
RN 1148054-93-6 CAPLUS
 CN Benzoic acid, 4-phenoxy-, (3R,4R)-1-[[(3,5-dichlorophenyl)amino]carbonyl]-
 3-[4-(4-fluorophenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX
 NAME)

Relative stereochemistry.



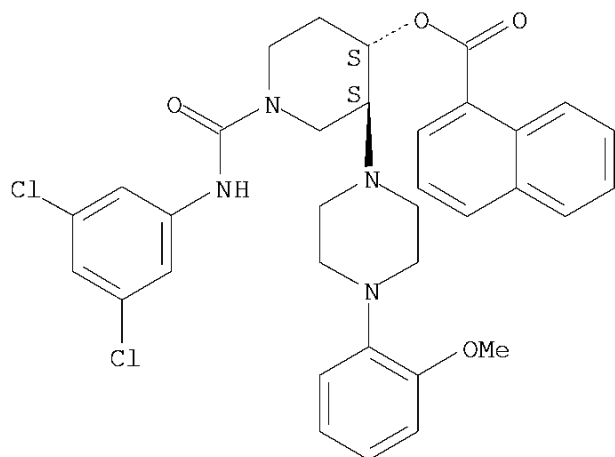
RN 1148054-94-7 CAPLUS
 CN Benzoic acid, 4-phenoxy-, (3R,4R)-1-[[(3,5-dichlorophenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1148054-95-8 CAPLUS
 CN 1-Naphthalenecarboxylic acid, (3R,4R)-1-[[(3,5-dichlorophenyl)amino]carbonyl]-3-[4-(2-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

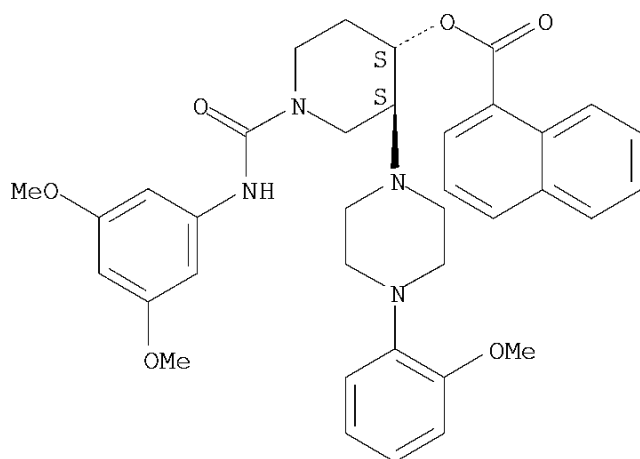
Relative stereochemistry.



RN 1148054-96-9 CAPLUS

CN 1-Naphthalenecarboxylic acid, (3R,4R)-1-[[(3,5-dimethoxyphenyl)amino]carbonyl]-3-[4-(2-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

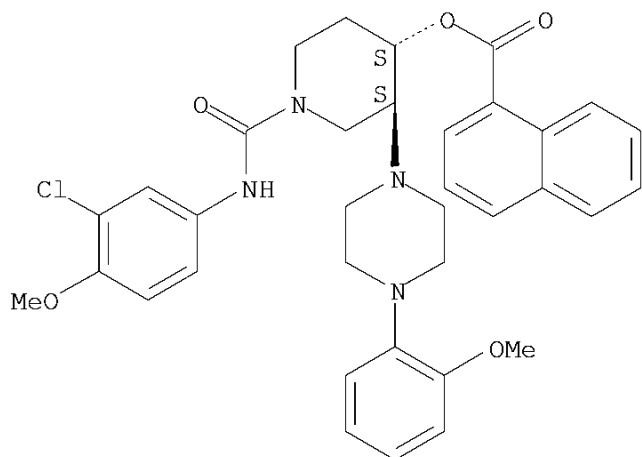
Relative stereochemistry.



RN 1148054-97-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, (3R,4R)-1-[[(3-chloro-4-methoxyphenyl)amino]carbonyl]-3-[4-(2-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

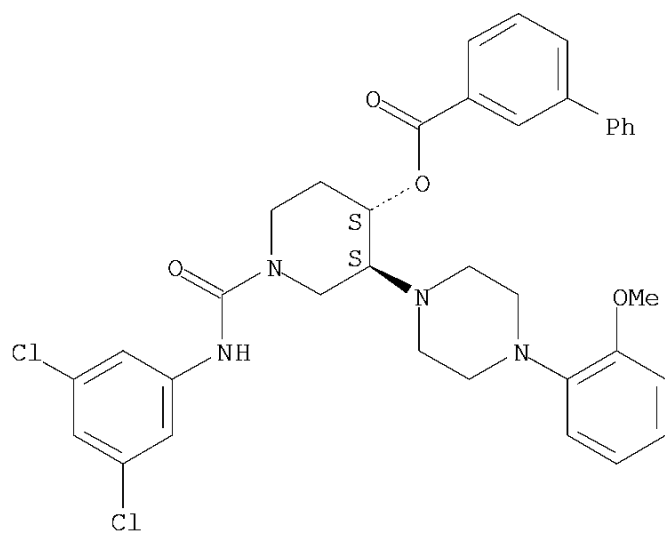
Relative stereochemistry.



RN 1148054-98-1 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid,
(3R,4R)-1-[[(3,5-dichlorophenyl)amino]carbonyl]-3-[4-(2-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

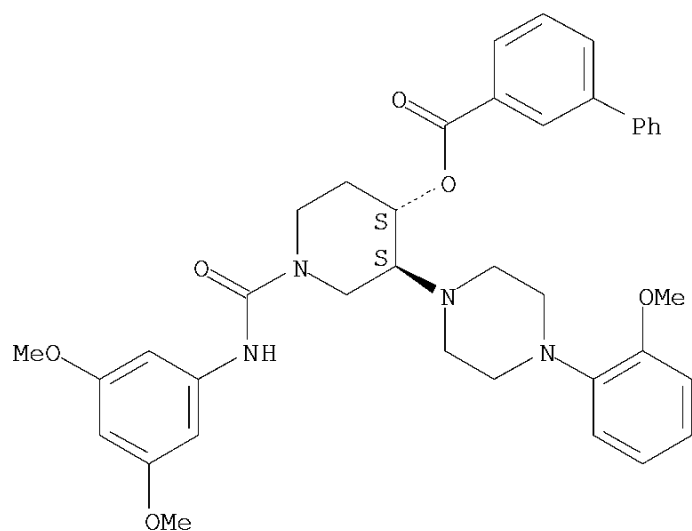
Relative stereochemistry.



RN 1148054-99-2 CAPLUS

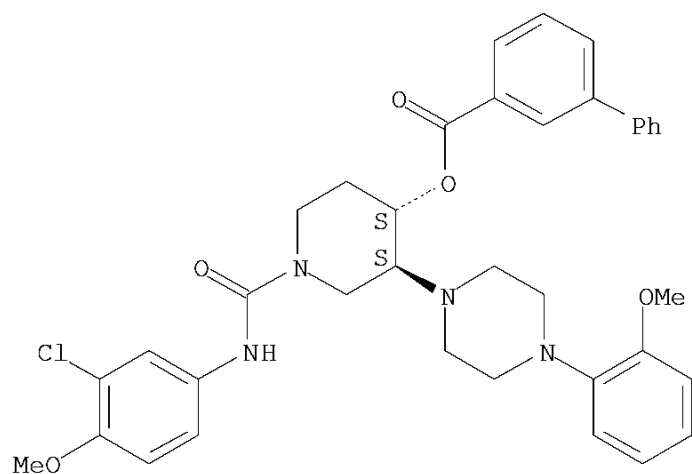
CN [1,1'-Biphenyl]-3-carboxylic acid,
(3R,4R)-1-[[(3,5-dimethoxyphenyl)amino]carbonyl]-3-[4-(2-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



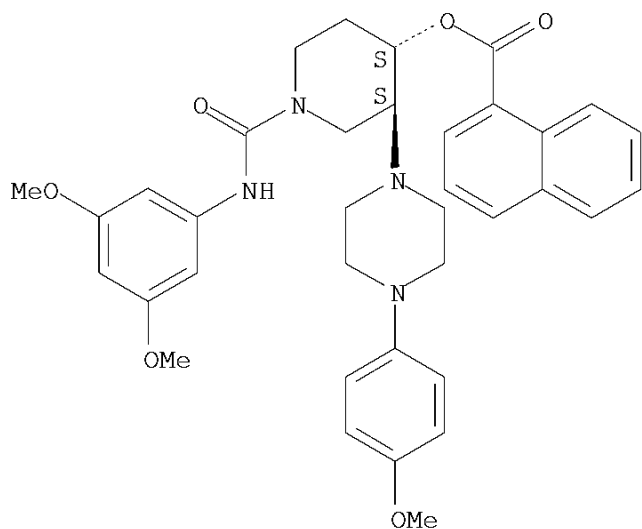
RN 1148055-00-8 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 (3R,4R)-1-[[(3-chloro-4-methoxyphenyl)amino]carbonyl]-3-[4-(2-
 methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1148055-01-9 CAPLUS
 CN 1-Naphthalenecarboxylic acid, (3R,4R)-1-[[(3,5-
 dimethoxyphenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-piperazinyl]-4-
 piperidinyl ester, rel- (CA INDEX NAME)

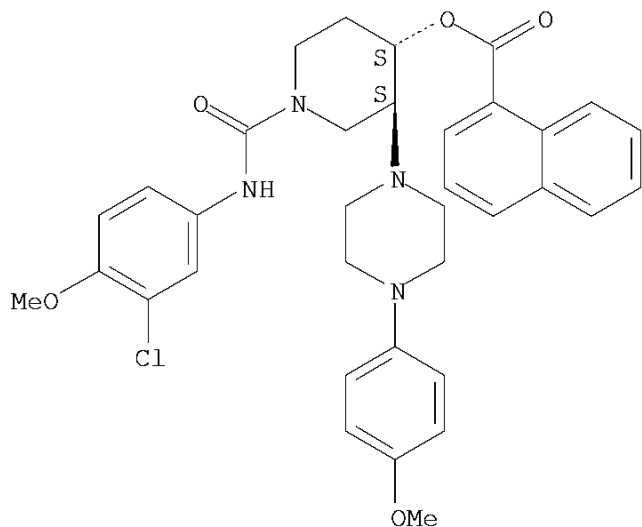
Relative stereochemistry.



RN 1148055-02-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, (3R,4R)-1-[[(3-chloro-4-methoxyphenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

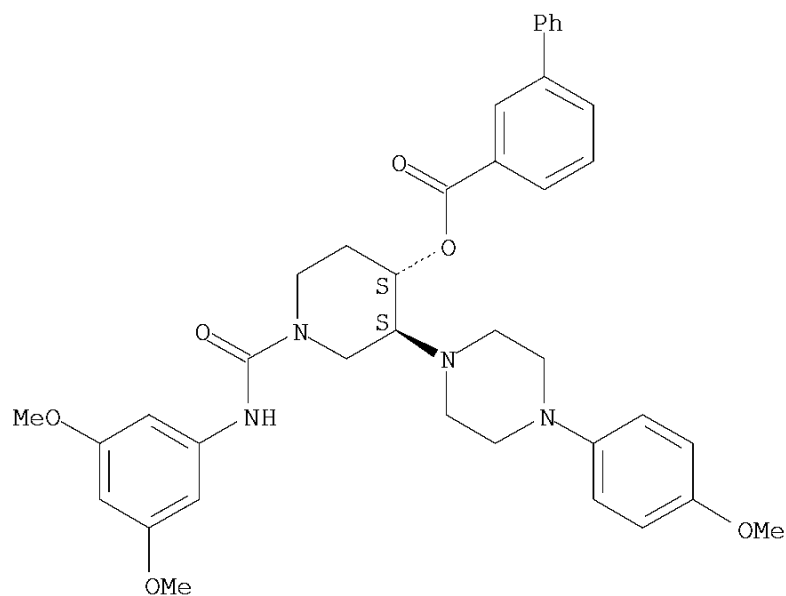
Relative stereochemistry.



RN 1148055-03-1 CAPLUS

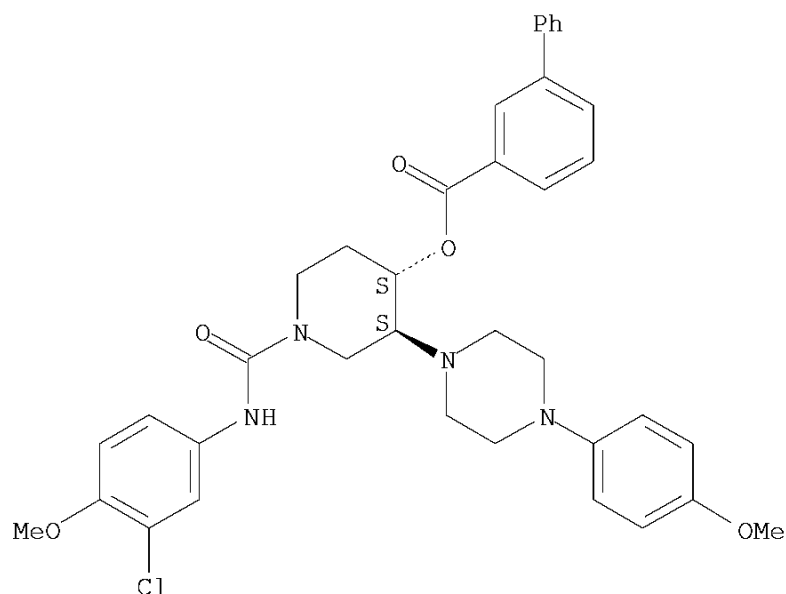
CN [1,1'-Biphenyl]-3-carboxylic acid, (3R,4R)-1-[[(3,5-dimethoxyphenyl)amino]carbonyl]-3-[4-(4-methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1148055-04-2 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 (3R,4R)-1-[[(3-chloro-4-methoxyphenyl) amino] carbonyl]-3-[4-(4-
 methoxyphenyl)-1-piperazinyl]-4-piperidinyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 22 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:425904 CAPLUS
 DOCUMENT NUMBER: 150:398370
 TITLE: Preparation of oxypiperidine derivatives as small
 molecule inhibitors of histamine H3 receptors
 INVENTOR(S): Chao, Jianhua; Aslanian, Robert G.; Zheng, Junying
 PATENT ASSIGNEE(S): Schering Corporation, USA

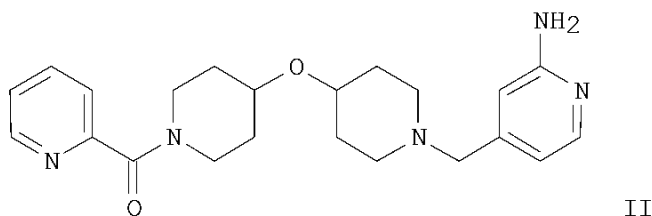
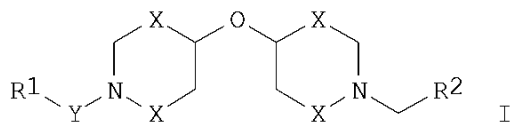
SOURCE: PCT Int. Appl., 89pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009045313	A2	20090409	WO 2008-US11111	20080925
WO 2009045313	A3	20090528		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2007-976003P P 20070928
 OTHER SOURCE(S): CASREACT 150:398370; MARPAT 150:398370
 GI

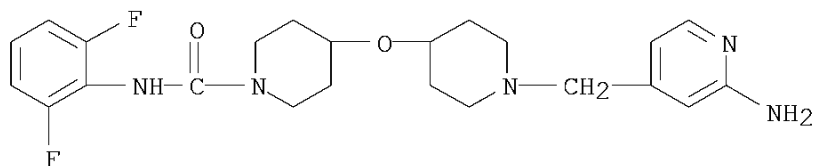


AB Title compds. I [X independently = (CH₂)_q where q = 0-2; Y = bond, alkylene, C(O), OC(O), or NHC(O); R₁ = (un)substituted aryl, cycloalkyl, cycloalkenyl, etc; R₂ = (un)substituted aryl, heterocycloalkyl, heterocycloalkenyl, or heteroaryl], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of histamine H₃ receptors. For example, compound II was prepared via reductive amination of 4-[1-(pyridin-2-ylcarbonyl)piperidin-4-yloxy]piperidine (preparation given) with 2-(tert-butoxycarbonylamino)pyridine-4-carboxaldehyde, followed by deprotection with TFA. Select I were assayed for H₃ receptor binding ability and were found to possess K_i values from 1 nM-10 μM. Select I were also assayed for their effect on glucose levels in diabetic rats and mice.

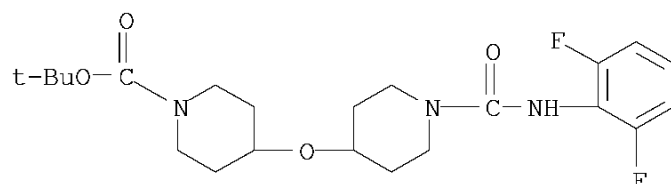
IT 1138447-73-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of oxypiperidine derivs. as small mol. inhibitors of histamine H₃ receptors)

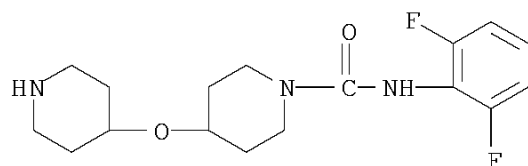
RN 1138447-73-0 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[1-[(2-amino-4-pyridinyl)methyl]-4-piperidinyl]oxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)



IT 1138448-35-7P
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of oxypiperidine derivs. as small mol. inhibitors of histamine H3 receptors)
 RN 1138448-35-7 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[1-[(2,6-difluorophenyl)amino]carbonyl]-4-piperidinyl]oxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

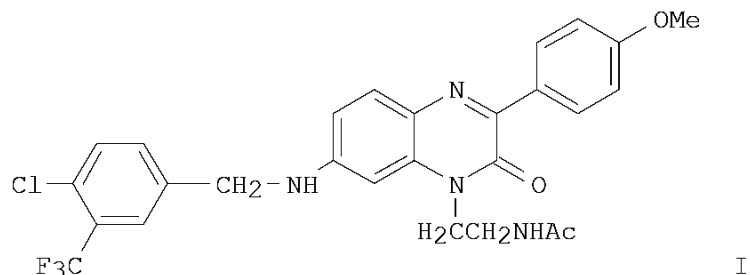


IT 1138448-08-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of oxypiperidine derivs. as small mol. inhibitors of histamine H3 receptors)
 RN 1138448-08-4 CAPLUS
 CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-(4-piperidinyl)oxy)- (CA INDEX NAME)



L4 ANSWER 23 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:322829 CAPLUS
 DOCUMENT NUMBER: 150:554901
 TITLE: Novel, potent, selective, and metabolically stable
 stearyl-CoA desaturase (SCD) inhibitors
 AUTHOR(S): Koltun, Dmitry O.; Parkhill, Eric Q.; Vasilevich,
 Natalya I.; Glushkov, Andrei I.; Zilbershtein, Timur
 M.; Ivanov, Alexei V.; Cole, Andrew G.; Henderson,
 Ian; Zautke, Nathan A.; Brunn, Sandra A.; Mollova,
 Nevena; Leung, Kwan; Chisholm, Jeffrey W.; Zablocki,
 Jeff

CORPORATE SOURCE: Department of Medicinal Chemistry, CV Therapeutics,
Inc., Palo Alto, CA, 94304, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2009),
19(7), 2048-2052
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 150:554901
GI

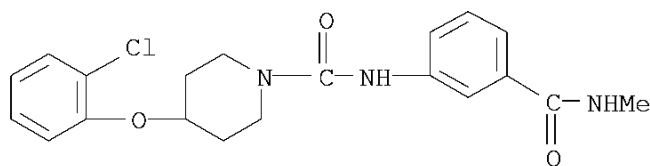


AB We identified a series of structurally novel SCD ($\Delta 9$ desaturase)
inhibitors via high-throughput screening and follow-up SAR studies.
Modification of the central bicyclic scaffold has proven key to our
potency optimization effort. The most potent analog (8g) had IC₅₀ value
of 50 pM in a HEPG2 SCD assay and has been shown to be metabolically
stable and selective against $\Delta 5$ and $\Delta 6$ desaturases.

IT 1032229-33-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(novel, potent, selective, and metabolically stable stearyl-coa
desaturase (scd) inhibitors)

RN 1032229-33-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-chlorophenoxy)-N-[3-
[(methyamino)carbonyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:294206 CAPLUS

DOCUMENT NUMBER: 150:306680

TITLE: Preparation of guanidine-containing compounds useful
as muscarinic receptor antagonists

INVENTOR(S): Ji, Yuhua; Husfeld, Craig; Mu, Yongqi; Lee, Rick; Li,
Li

PATENT ASSIGNEE(S): Theravance, Inc., USA

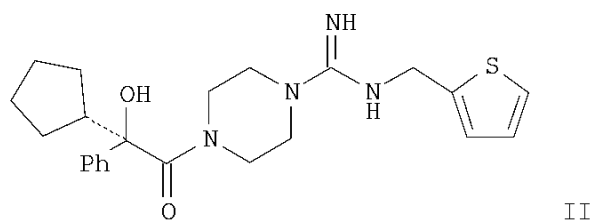
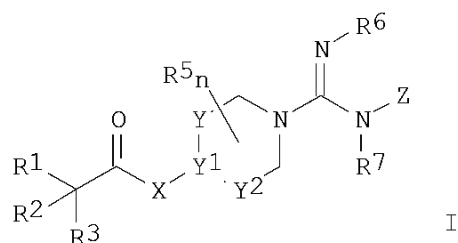
SOURCE: U.S. Pat. Appl. Publ., 33pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090069335	A1	20090312	US 2008-231861	20080905
WO 2009035542	A2	20090319	WO 2008-US10431	20080905
WO 2009035542	A3	20091126		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2007-967914P P 20070907
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 150:306680
 GI



AB Title compds. represented by the formula I [wherein R1 = (cyclo)alkyl, alkenyl or heteroaryl; R2 = (hetero)aryl; R3 = H, -alkylene-OH; or R3 forms a double bond with R1; or -CR1R2R3 together form (hetero)aryl; X = a bond, O or -O-CH2-; Y = a bond or CH2; Y1 = N or CH; Y2 = CH2 or (CH2)2; R5 = F or alkyl; n = 1-3; R6, R7 = independently H or alkyl; or R6R7 = NH2; Z = H, alkyl, alkylene-aryl, etc.; and pharmaceutically acceptable salts thereof] were prepared as muscarinic receptor antagonists. For example, reaction of (R)-2-cyclopentyl-2-hydroxy-2-phenyl-1-(piperazin-1-yl)ethanone (preparation given) with [(thiophen-2-yl)methyl]amine gave

II•TFA. I were tested in radioligand binding assay on muscarinic receptor subtypes hM1, hM2, hM3, hM4 and hM5, and muscarinic receptor functional potency assays, and etc. Thus, I and their pharmaceutical compns. are useful for the treatment of pulmonary disorders such as chronic obstructive pulmonary disease and asthma.

IT 1128093-22-0P 1128093-24-2P 1128093-26-4P
1128093-28-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of guanidine-containing piperidines useful as muscarinic receptor antagonists)

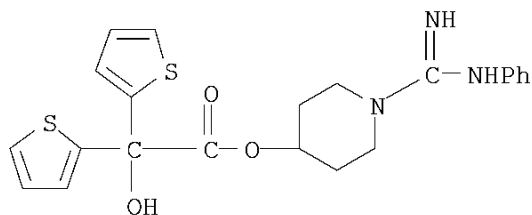
RN 1128093-22-0 CAPLUS

CN 2-Thiopheneacetic acid, α -hydroxy- α -2-thienyl-,
1-[imino(phenylamino)methyl]-4-piperidinyl ester, 2,2,2-trifluoroacetate
(1:?) (CA INDEX NAME)

CM 1

CRN 1128093-21-9

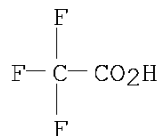
CMF C22 H23 N3 O3 S2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



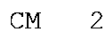
RN 1128093-24-2 CAPLUS

CN 2-Thiopheneacetic acid, α -hydroxy- α -2-thienyl-,
1-[imino(2-thienylamino)methyl]-4-piperidinyl ester,
2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 1128093-23-1

CMF C20 H21 N3 O3 S3


$$\begin{array}{c} \text{F} \\ | \\ \text{F}-\text{C}-\text{CO}_2\text{H} \\ | \\ \text{F} \end{array}$$

CM 1

Oc1ccc(NC(=O)N2CCCCC2OC(=O)C3(C4=CC=CC=C4S4)C5=CC=CC=C5S3)cc1

CM 2

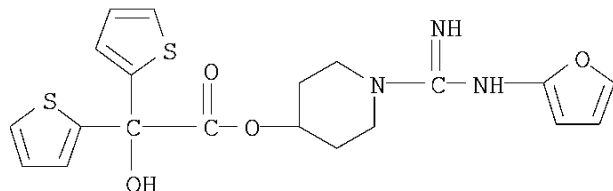
$$\begin{array}{c} \text{F} \\ | \\ \text{F}-\text{C}-\text{CO}_2\text{H} \\ | \\ \text{F} \end{array}$$

RN 1128093-28-6 CAPLUS
CN 2-Thiopheneacetic acid, α -hydroxy- α -2-thienyl-,
1-[(2-furanylamino)iminomethyl]-4-piperidinyl ester,
2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 1128093-27-5

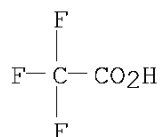
CMF C20 H21 N3 O4 S2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L4 ANSWER 25 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:237998 CAPLUS

DOCUMENT NUMBER: 150:283083

TITLE: Preparation of piperazine derivatives as LXR modulators

INVENTOR(S): Ho, Koc-Kan; Roughton, Andrew Laird; Neagu, Irina; Chan, Jui-Hsiang; Ansari, Nasrin; Morris, Michelle Lee; Rong, Yajing; Ohlmeyer, Michael; Cooke, Andrew John; Edwards, Andrew Stanley; Bennett, David Jonathan

PATENT ASSIGNEE(S): N.V. Organon, Neth.

SOURCE: PCT Int. Appl., 105pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

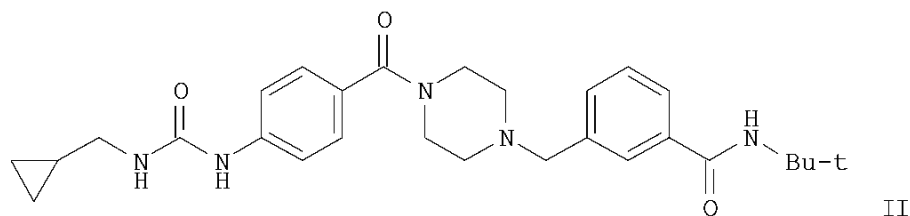
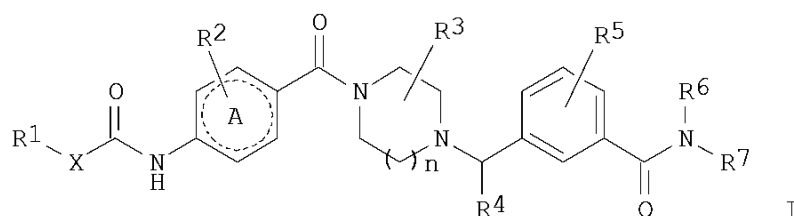
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009024550	A1	20090226	WO 2008-EP60788	20080818
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,			

AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 PRIORITY APPLN. INFO.: EP 2007-114602 A 20070820
 OTHER SOURCE(S): MARPAT 150:283083
 GI

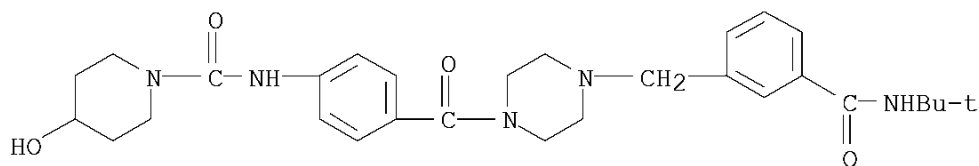


AB The invention relates to piperazine derivs. having the general formula I to pharmaceutical compns. comprising the same, and to the use of these compds. for the manufacture of a medicament for treating or preventing atherosclerosis and related disorders associated with cholesterol and bile acids transport and metabolism Compds. of formula I [n = 1-2; A = 6-membered aromatic ring; X = NR8, O or bond; R1 = H, (un)substituted alkyl, alkyloxy, alkyloxy, cycloalkyl, etc.; R2 = alkyl, alkyloxy, CF3 or halogen; R3 = (un)substituted alkyl; R4 = H or alkyl; R5 = alkyl, alkyloxy or halo; R6 = H, (un)substituted alkyl, cycloalkyl, cycloalkyl-alkyl, or a 5- or 6-membered (hetero)aryl; R7 = H or alkyl; R8 = H or alkyl; NR1R8 = 4- to 8-membered (hetero)cyclyl], and their pharmaceutically acceptable salts, are prepared and disclosed. Thus, e.g., acylation of N-tert-Butyl-3-[(piperazin-1-yl)methyl]benzamide dihydrochloride (preparation given) with 4-nitrobenzoyl chloride followed by reduction to give intermediate 3-[[4-(4-aminobenzoyl)piperazin-1-yl]methyl]-N-tert-butylbenzamide which was treated with 4-nitrophenyl chloroformate and (cyclopropylmethyl)amine gave trifluoroacetate salt of II. Active compds. of the invention showed pKi values > 5.5 with the binding to LXR α using purified ligand binding domain (LBD) in radioligand competition binding scintillation proximity assay.

IT 1124212-16-3P, N-[4-[[4-[3-(tert-Butylcarbamoyl)benzyl]piperazin-1-yl]carbonyl]phenyl]-4-hydroxypiperidine-1-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperazine derivs. as LXR modulators)

RN 1124212-16-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[[4-[[3-[[1,1-dimethylethyl]amino]carbonyl]phenyl]methyl]-1-piperazinyl]carbonyl]phenyl]-4-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:144216 CAPLUS
 DOCUMENT NUMBER: 150:214412
 TITLE: Pyrido[3,2-d]pyrimidines as immunosuppressive agents, and their preparation, pharmaceutical compositions and use in medical treatment
 INVENTOR(S): De Jonghe, Steven Cesar Alfons; Dolusic, Eduard; Gao, Ling-Jie; Maria Herdewijn, Piet Andre Maurits; Pfleiderer, Wolfgang Eugen
 PATENT ASSIGNEE(S): 4 AZA IP NV, Belg.
 SOURCE: U.S. Pat. Appl. Publ., 156 pp., Cont.-in-part of U.S. Ser. No. 771,924.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090036430	A1	20090205	US 2008-143652	20080620
US 20090264415	A2	20091022		
WO 2006069805	A2	20060706	WO 2005-EP14187	20051229
WO 2006069805	A3	20070125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
ZA 2007005281	A	20080730	ZA 2007-5281	20051229
US 20080004285	A1	20080103	US 2007-771924	20070629
WO 2009003669	A2	20090108	WO 2008-EP5331	20080630
WO 2009003669	A3	20090319		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
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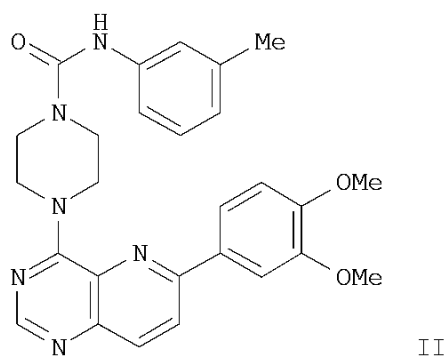
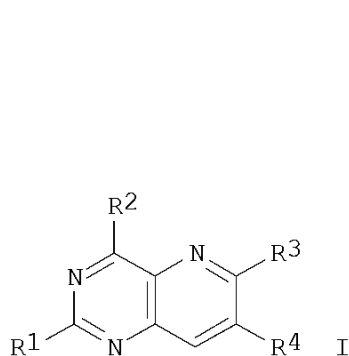
PRIORITY APPLN. INFO.:

GB 2004-28475	A	20041230
US 2005-693899P	P	20050624
WO 2005-EP14187	A2	20051229
US 2007-771924	A2	20070629
US 2008-143652	A	20080620

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 150:214412

GI



AB This invention relates to substituted pyrido[3,2-d]pyrimidine derivs. of formula I, their pharmaceutically acceptable salts, N-oxides, solvates, pro-drugs and enantiomers, possessing unexpectedly desirable pharmaceutical properties, in particular of which are highly active immunosuppressive agents, and as such are useful in the treatment in transplant rejection and/or in the treatment of certain inflammatory diseases. These derivs. are also useful in preventing or treating immune system related diseases. Comps. of formula I wherein R¹ is H, halo, CN, carboxylic acid, acyl, thioacyl, etc.; R² is (mono/di)C₁-12 alkylamino, (mono/di)arylamino, (mono/di)C₃-10 cycloalkylamino, etc.; R³ and R⁴ are independently H, and (un)substituted (hetero)aryl; and pharmaceutically acceptable addition salts, and stereochem. isomeric forms, N-oxides and solvates thereof, are claimed. Example compound II was prepared by amination of 4-chloro-6-(3,4-dimethoxyphenyl)pyrido[3,2-d]pyrimidine with piperazine-1-carboxylic acid m-tolylamide. All the invention compds. were evaluated for their mixed lymphocyte reaction (MLR), IL-1 β , and TNF- α inhibitory activity. From the assay, it was determined that compound II exhibited IC₅₀ values of 0.0094 μ M against MLR and 0.07 μ M against TNF- α .

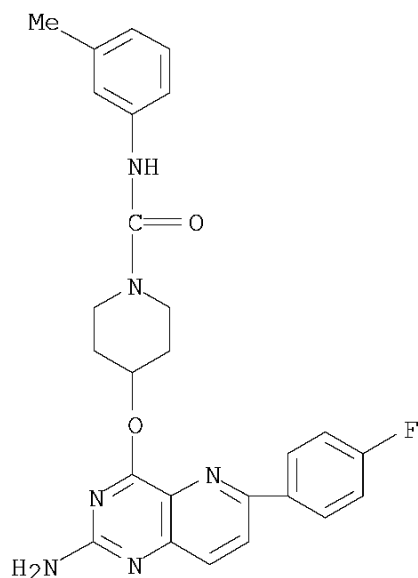
IT 1113040-74-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

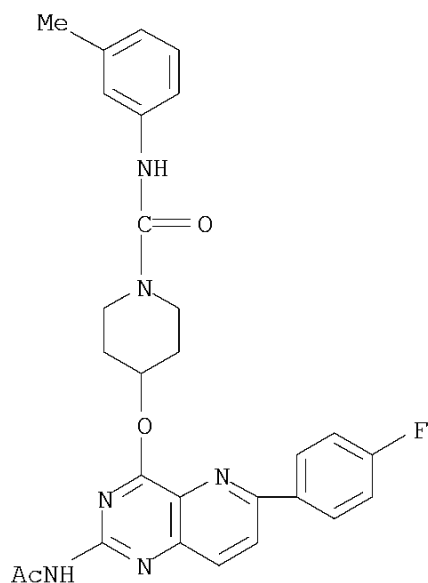
(drug candidate; preparation of pyridopyrimidines as immunosuppressive agents useful in the treatment of diseases)

RN 1113040-74-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[2-amino-6-(4-fluorophenyl)pyrido[3,2-d]pyrimidin-4-yl]oxy]-N-(3-methylphenyl)- (CA INDEX NAME)



IT 1113040-93-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of pyridopyrimidines as immunosuppressive agents
 useful in the treatment of diseases)
 RN 1113040-93-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[2-(acetylamino)-6-(4-fluorophenyl)pyrido[3,2-
 d]pyrimidin-4-yl]oxy]-N-(3-methylphenyl)- (CA INDEX NAME)



L4 ANSWER 27 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:115118 CAPLUS
 DOCUMENT NUMBER: 150:160174
 TITLE: 4-Hydroxy-4-methyl-piperidine-1-carboxylic acid
 (4-methoxy-7-morpholin-4-yl-benzothiazol-2-yl)-amide
 for the treatment of post-traumatic stress disorder

INVENTOR(S): Woiwode, Tom; Moran, Mark
 PATENT ASSIGNEE(S): Synosia Therapeutics, USA
 SOURCE: PCT Int. Appl., 135pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009015236	A1	20090129	WO 2008-US70934	20080723
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

US 20090082341 A1 20090326 US 2008-178509 20080723

PRIORITY APPLN. INFO.: US 2007-935035P P 20070723

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Provided are methods of treating post-traumatic stress disorder with the A2A receptor antagonist 4-hydroxy-4-methyl-piperidine-1-carboxylic acid (4-methoxy-7-morpholin-4-yl-benzothiazol-2-yl)-amide. Also provided are methods of improving resilience with 4-hydroxy-4-methyl-piperidine-1-carboxylic acid (4-methoxy-7-morpholin-4-yl-benzothiazol-2-yl)-amide. Also provided are methods of diagnosing post-traumatic stress disorder in a patient.

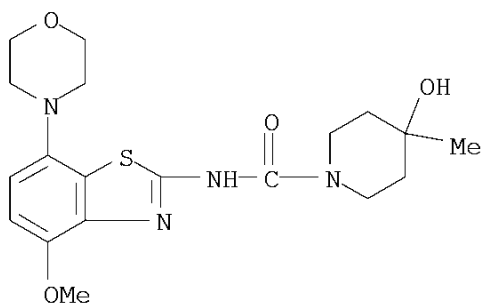
IT 870070-55-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(A2A receptor antagonist morpholinylbenzothiazolamide derivative for treatment of post-traumatic stress disorder and combination with other agents)

RN 870070-55-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-methyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

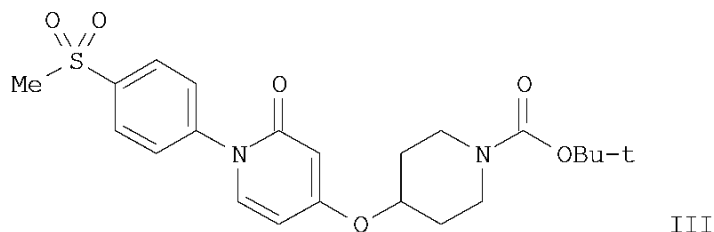
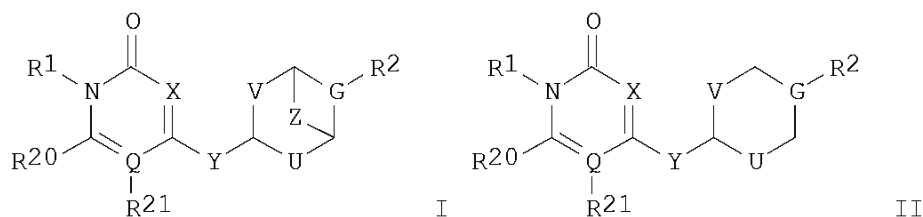
ACCESSION NUMBER: 2009:93290 CAPLUS
 DOCUMENT NUMBER: 150:168176
 TITLE: Preparation of pyridones as GPR119 G protein-coupled receptor agonists
 INVENTOR(S): Wacker, Dean A.; Rossi, Karen A.; Wang, Ying
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 428pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009012275	A1	20090122	WO 2008-US70101	20080716
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2008276055	A1	20090122	AU 2008-276055	20080716
US 20090023702	A1	20090122	US 2008-173856	20080716
US 20090042919	A1	20090212	US 2008-173864	20080716
PRIORITY APPLN. INFO.:			US 2007-950162P	P 20070717
			WO 2008-US70101	W 20080716

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 150:168176; MARPAT 150:168176

GI

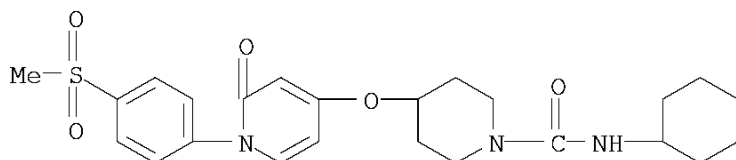


AB The invention is related to pyridones I and II [G = CH, N; Q = C, N; X = CH, N, provided that Q and X are not both N; Y = CH₂, NH and derivs., CO,

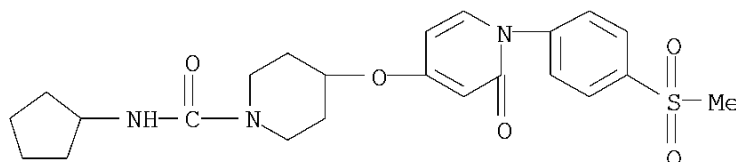
O, OCH₂ and derivs., S(O)0-2; U = (CH₂)_n; V = (CH₂)_m; n, m = independently 0-2; Z = (CH₂)_q; q = 1-2; R₁ = (un)substituted 6-membered monocyclic (hetero)/aryl, 5-membered monocyclic heteroaryl; R₂ = (un)substituted cycloalkyl, (hetero)/aryl, heterocyclyl, etc.; R₂₀, R₂₁ = independently H, halo, CN, CO₂H, OCF₃, haloalkyl, etc.] which are GPR119 G protein-coupled receptor modulators, especially GPR119 G agonists, and are useful in treating, preventing, or slowing the progression of diseases requiring GPR119 G protein-coupled receptor modulator therapy. Thus, arylation of 4-benzyloxy-2(1H)-pyridone with 4-bromophenyl Me sulfone, debenzylation, alkylation of the hydroxypyridinone with tert-Bu 4-[(methylsulfonyl)oxy]piperidine-1-carboxylate (preparation given) gave III. The in vivo modulation of recombinant human GPR119 was determined in a HIT-T15 cAMP assay, human Tet-inducible cAMP assay and luciferase assay (some data given). I, alone, or in combination with another therapeutic agent, are useful for treating diabetes, hyperglycemia, impaired glucose tolerance, obesity, metabolic syndrome, etc.

IT 1104446-30-1P, N-Cyclohexyl-4-[[1-[4-(methylsulfonyl)phenyl]-2-oxo-1,2-dihydropyridin-4-yl]oxy]piperidine-1-carboxamide
 1104446-42-5P, N-Cyclopentyl-4-[[1-[4-(methylsulfonyl)phenyl]-2-oxo-1,2-dihydropyridin-4-yl]oxy]piperidine-1-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of pyridones as GPR119 G protein-coupled receptor agonists)

RN 1104446-30-1 CAPLUS
 CN 1-Piperidinecarboxamide, N-cyclohexyl-4-[[1,2-dihydro-1-[4-(methylsulfonyl)phenyl]-2-oxo-4-pyridinyl]oxy]- (CA INDEX NAME)



RN 1104446-42-5 CAPLUS
 CN 1-Piperidinecarboxamide, N-cyclopentyl-4-[[1,2-dihydro-1-[4-(methylsulfonyl)phenyl]-2-oxo-4-pyridinyl]oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2009:20816 CAPLUS
 DOCUMENT NUMBER: 150:121678
 TITLE: Preparation of pyrido[3,2-d]pyrimidines as immunosuppressive agents
 INVENTOR(S): De Jonghe, Steven Cesar Alfons; Dolusic, Eduard; Gao,

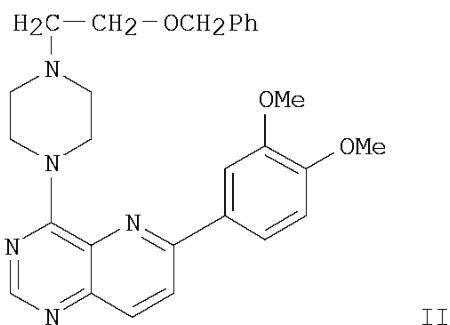
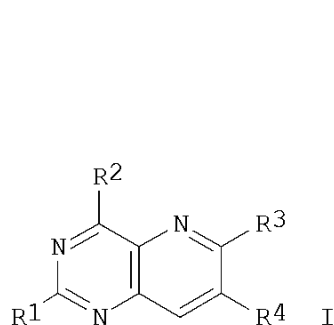
Ling-Jie; Herdewijn, Piet Andre Maurits Maria;
 Pfleiderer, Wolfgang Eugen
 PATENT ASSIGNEE(S): 4 AZA IP N.V., Belg.; 4 AZA Bioscience N.V.
 SOURCE: PCT Int. Appl., 321 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009003669	A2	20090108	WO 2008-EP5331	20080630
WO 2009003669	A3	20090319		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20080004285	A1	20080103	US 2007-771924	20070629
US 20090036430	A1	20090205	US 2008-143652	20080620
US 20090264415	A2	20091022		
PRIORITY APPLN. INFO.:			US 2007-771924	A 20070629
			US 2008-143652	A 20080620
			GB 2004-28475	A 20041230
			US 2005-693899P	P 20050624
			WO 2005-EP14187	A2 20051229

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 150:121678

GI



AB The title compds. I [R¹ = H, halo, cyano, carboxylic acid, etc.; R² = mono- or di-alkylamino, monoarylamino, diarylamino, etc.; R³, R⁴ = H, heteroaryl, aryl], useful in the treatment in transplant rejection and/or in the treatment of certain inflammatory diseases. Thus, reacting 4-chloro-6-(3,4-dimethoxyphenyl)-pyrido[3,2-d]pyrimidine with 1-(2-phenoxyethyl)piperazine afforded 84% II which showed an in vitro IC₅₀ of 0.1 μM in a mixed lymphocyte reaction assay on peripheral blood

mononuclear cells. Further, II was also tested in a TNF- α assay and showed IC₅₀ of 0.65 μ M. Compds. I are also useful in preventing or treating cardiovascular disorders, disorders of the central nervous system, TNF- α related disorders, viral diseases (including hepatitis C), erectile dysfunction and cell proliferative disorders. Pharmaceutical combinations comprising the compound I alone or in combination with other therapeutic agents are disclosed.

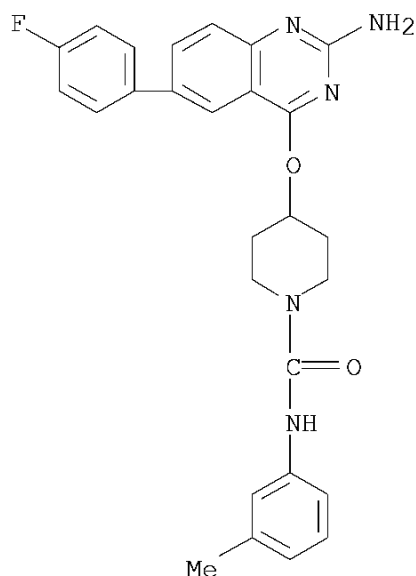
IT 1000793-63-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrido[3,2-d]pyrimidines as immunosuppressive agents)

RN 1000793-63-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[2-amino-6-(4-fluorophenyl)-4-quinazolinyl]oxy]-N-(3-methylphenyl)- (CA INDEX NAME)



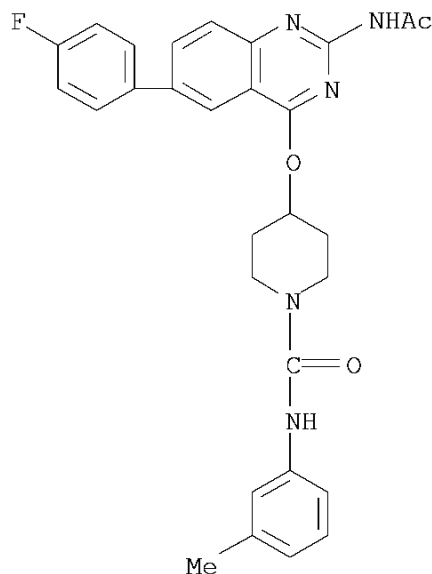
IT 1000793-61-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrido[3,2-d]pyrimidines as immunosuppressive agents)

RN 1000793-61-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[2-(acetylamino)-6-(4-fluorophenyl)-4-quinazolinyl]oxy]-N-(3-methylphenyl)- (CA INDEX NAME)



L4 ANSWER 30 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2008:1536437 CAPLUS
 DOCUMENT NUMBER: 150:98346
 TITLE: Novel pyridine derivatives and pyrimidine derivatives
 as HGFR inhibitors and their preparation and use in
 the treatment of diseases
 INVENTOR(S): Matsushima, Tomohiro; Takahashi, Keiko; Funasaka,
 Setsuo; Obaishi, Hiroshi; Shirotori, Shuji
 PATENT ASSIGNEE(S): Eisai R&D Management Co., Ltd., Japan
 SOURCE: U.S. Pat. Appl. Publ., 167 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080319188	A1	20081225	US 2006-508322	20060823
AU 2007288793	A1	20080228	AU 2007-288793	20070821
CA 2661333	A1	20080228	CA 2007-2661333	20070821
WO 2008023698	A1	20080228	WO 2007-JP66185	20070821
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2062886	A1	20090527	EP 2007-805959	20070821
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

ZA 2007009572	A	20090527	ZA 2007-9572	20071106
KR 2009054946	A	20090601	KR 2008-727527	20081110
CN 101454311	A	20090610	CN 2007-80019200	20081125
PRIORITY APPLN. INFO.:			US 2005-710671P	P 20050824
			US 2006-508322	A 20060823
			JP 2007-36690	A 20070216
			US 2007-890769P	P 20070220
			WO 2007-JP66185	W 20070821

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
GI

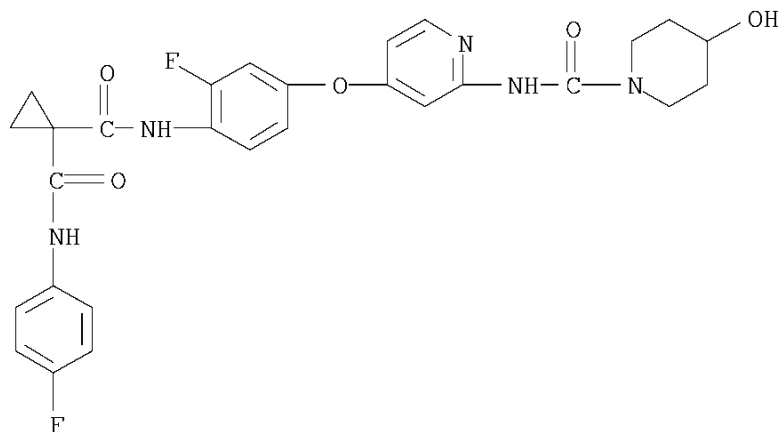
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A compound represented by formula I, a salt thereof or a hydrate of the foregoing has an excellent hepatocyte growth factor receptor (HGFR) inhibitory activity, and exhibits anti-tumor activity, angiogenesis inhibitory activity and cancer metastasis inhibitory activity. Compds. of formula I wherein R1 and R9 are independently (un)substituted 3- to 10-membered non-aromatic heterocycle; R8 is H and C1-4 alkyl; Y is CH2 and CH2CH2; X is CR10 and N; R10 is H, halo, CN, C1-6 alkyl, etc.; R2 - R7 are independently H, halo and C1-6 alkyl; and salts and hydrates thereof, are claimed. Example compound II was prepared by amidation of 1-(4-fluorophenylcarbamoyl)cyclopropanecarboxylic acid with 3-[4-(4-amino-2-phenoxy)pyridin-2-yl]-1-methyl-1-(1-methylpiperidin-4-yl)urea. All the invention compds. were evaluated for their HGFR inhibitory activity. From the assay, it was determined that compound II exhibited IC50 value of 0.066 μ M.

IT 928037-79-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of pyridine derivs. and pyrimidine derivs. as HGFR inhibitors useful in the treatment of diseases)

RN 928037-79-0 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2-fluoro-4-[[2-[[4-(4-hydroxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-(CA INDEX NAME)



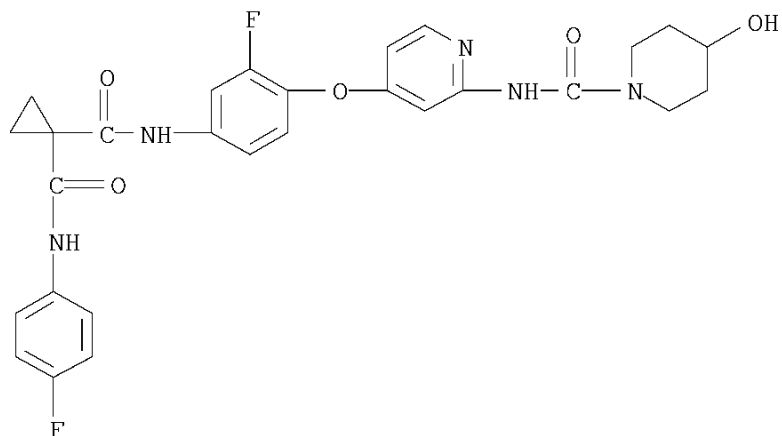
IT 928037-81-4P 928038-02-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug candidate; preparation of pyridine derivs. and pyrimidine derivs. as HGFR inhibitors useful in the treatment of diseases)

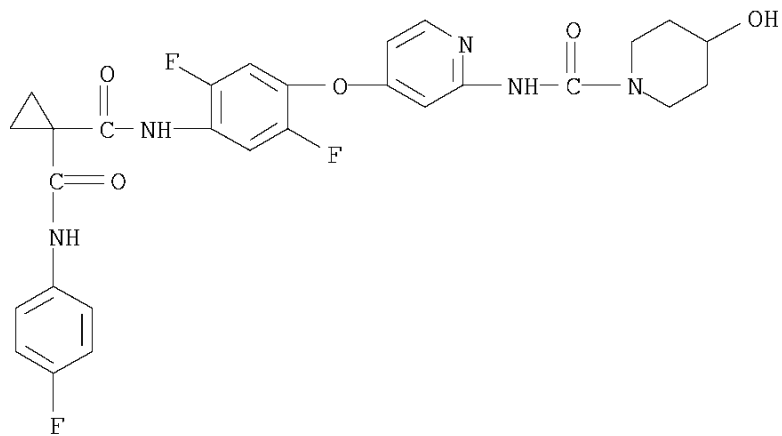
RN 928037-81-4 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[3-fluoro-4-[[2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-
(CA INDEX NAME)



RN 928038-02-2 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2,5-difluoro-4-[[2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-
(CA INDEX NAME)



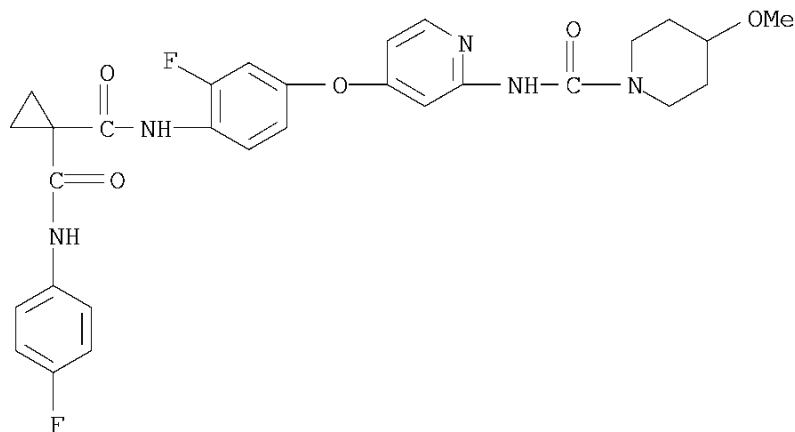
IT 1094061-71-8P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prophetic intermediate; preparation of pyridine derivs. and pyrimidine derivs. as HGFR inhibitors useful in the treatment of diseases)

RN 1094061-71-8 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2-fluoro-4-[[2-[[(4-methoxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-
(CA INDEX NAME)



L4 ANSWER 31 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2008:1337965 CAPLUS
 DOCUMENT NUMBER: 149:506125
 TITLE: Gene expression-regulating multi-ring compounds for use in disease treatment
 INVENTOR(S): Ohler, Norman E.; Watthey, Jeffrey W.; Zong, Qin; Young, Paul; Strand, Kathryn J.
 PATENT ASSIGNEE(S): Avalon Pharmaceuticals, USA
 SOURCE: PCT Int. Appl., 109pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

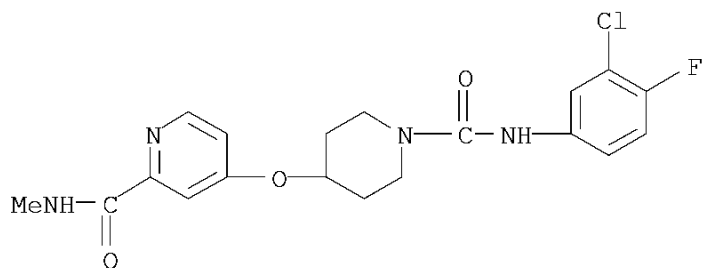
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008133975	A1	20081106	WO 2008-US5331	20080425
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2685029	A1	20081106	CA 2008-2685029	20080425
EP 2141994	A1	20100113	EP 2008-754110	20080425
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR			

PRIORITY APPLN. INFO.: US 2007-926289P P 20070426
 WO 2008-US5331 W 20080425

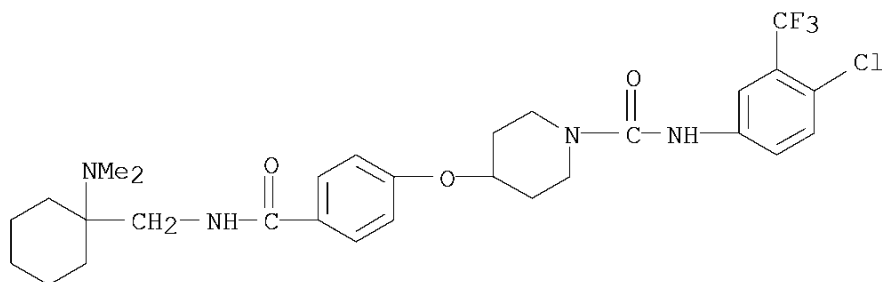
OTHER SOURCE(S): MARPAT 149:506125

AB Aryl and heteroaryl compds. containing multiple cyclic structural moieties and their use in modulating gene activity and treating diseases, esp colon cancer, are disclosed. Thus, the syntheses of various compds. of the invention are described, tables of addnl. compds. are presented, and genes whose expression is modulated by these compds. (no data) are identified.

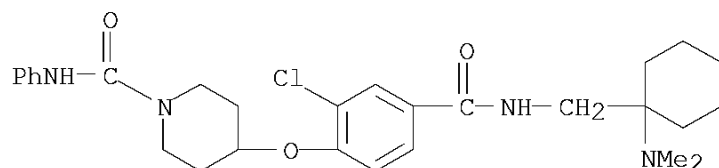
IT 1073503-00-0P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (gene expression-regulating multi-ring compds. for use in disease treatment)
 RN 1073503-00-0 CAPLUS
 CN 2-Pyridinecarboxamide, 4-[[1-[[(3-chloro-4-fluorophenyl)amino]carbonyl]-4-piperidinyl]oxy]-N-methyl- (CA INDEX NAME)



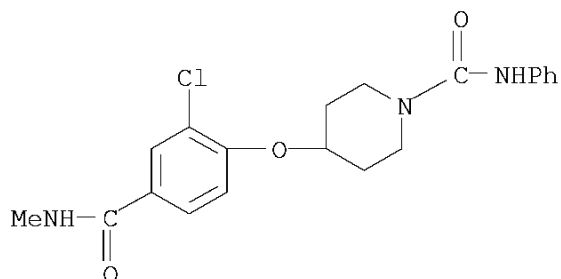
IT 1073508-17-4 1073508-20-9 1073508-62-9
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (gene expression-regulating multi-ring compds. for use in disease treatment)
 RN 1073508-17-4 CAPLUS
 CN 1-Piperidinecarboxamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-4-[4-[[[1-(dimethylamino)cyclohexyl]methyl]amino]carbonyl]phenoxy]- (CA INDEX NAME)



RN 1073508-20-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[[1-(dimethylamino)cyclohexyl]methyl]amino]carbonyl]phenoxy]-N-phenyl- (CA INDEX NAME)



RN 1073508-62-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[(methylamino)carbonyl]phenoxy]-N-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
 REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1217060 CAPLUS

DOCUMENT NUMBER: 149:425982

TITLE: Preparation of benzothiophenylpiperazine derivatives for treatment of central nervous system diseases

INVENTOR(S): Yamashita, Hiroshi; Matsubara, Atsushi; Oshima, Kunio; Kuroda, Hideaki; Ito, Nobuaki; Miyamura, Shin; Shimizu, Satoshi; Tanaka, Tatsuyoshi; Taira, Shinichi; Kondo, Hitomi; Itotani, Motohiro; Fukushima, Tae; Takahashi, Hisashi; Sakurai, Yoji; Kuroda, Takeshi

PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 454pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

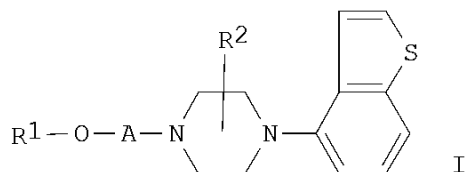
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008239617	A	20081009	JP 2008-45563	20080227
PRIORITY APPLN. INFO.:			JP 2007-46887	A 20070227
OTHER SOURCE(S):	MARPAT 149:425982			

GI



AB The title compds. I [R1 = (un)substituted cycloalkyl, (un)substituted aromatic ring, (un)substituted heterocyclic ring; R2 = H, alkyl; A = alkylene, alkenylene] are prepared. Thus, 5-[3-[4-benzo[b]thiophen-4-ylpiperazin-1-yl]propoxy]-1-methyl-1H-pyrazole-3-carboxylic acid Me ester was prepared from 5-(3-chloropropoxy)-1-methyl-1H-pyrazole-3-carboxylic acid Me ester and 1-benzo[b]thiophen-4-ylpiperazine hydrochloride. In a dopamine D2 receptor binding assay, compds. of this invention showed Ki values of 0.2 to 5 nM. The title compds. I [R1 = (un)substituted cycloalkyl,

(un)substituted aromatic ring, (un)substituted heterocyclic ring; R2 = H, alkyl; A = alkylene, alkenylene] were prepared Thus, 5-[3-[4-benzo[b]thiophen-4-ylpiperazin-1-yl]propoxy]-1-methyl-1H-pyrazole-3-carboxylic acid Me ester was prepared from 5-(3-chloropropoxy)-1-methyl-1H-pyrazole-3-carboxylic acid Me ester and 1-benzo[b]thiophen-4-ylpiperazine hydrochloride. In a dopamine D2 receptor binding assay, compds. of this invention showed Ki values of 0.2 to 5 nM.

IT 928254-86-8P

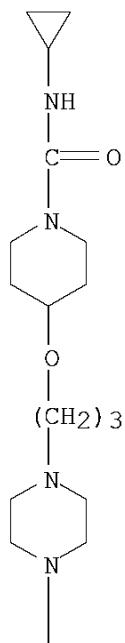
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzo[b]thiophen-4-yl-piperazine and related compds. as antipsychotic agents for the treatment of mental disorders)

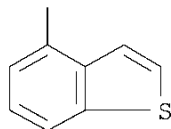
RN 928254-86-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]-N-cyclopropyl-, hydrochloride (1:?) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



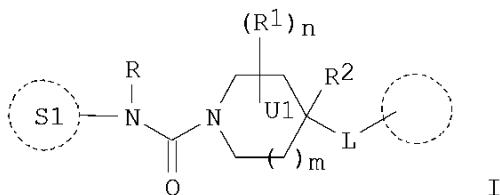
●x HCl

ACCESSION NUMBER: 2008:1210159 CAPLUS
 DOCUMENT NUMBER: 149:425926
 TITLE: Preparation of N-aryl- or
 N-heterocyclylpyrrolidine-1-carboxamides or
 -piperidine-1-carboxamides having substituted urea
 structure as inhibitors of stearyl-CoA desaturase 1
 (SCD1) inhibitors
 INVENTOR(S): Ubukata, Minoru; Maeda, Katsuya; Iida, Tetsuya;
 Mitani, Ikuo
 PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
 SOURCE: PCT Int. Appl., 244pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

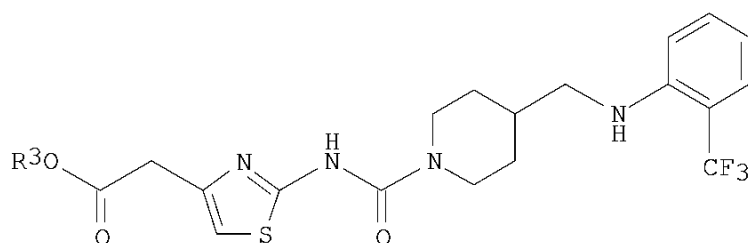
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008120759	A1	20081009	WO 2008-JP56210	20080328
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: JP 2007-95599 A 20070330
 US 2007-925787P P 20070423

OTHER SOURCE(S): MARPAT 149:425926
 GI



I



II

AB Substituted urea compds. [I; ring S1 = each substituted pyrazolyl,
 thiazolyl, 1,2,4-thiadiazolyl, or isothiazolyl; R = H, C1-6 alkyl,

CH₂CH₂OH, CH₂OH, C1-6 alkoxy carbonylmethyl, N-C1-6 alkyl- or N,N-di(C1-6 alkyl) carbamoylmethyl; R₁ = C1-6 alkyl, CO₂H, CH₂OH, C3-12 carbocyclyl-C1-6 alkyloxycarbonyl; R₂ = H, C1-6 alkyl, NH₂, C1-6 alkyl carbonylamino, C3-12 carbocyclyl-C1-6 alkyloxycarbonylamino; n = an integer of 0-2; m = 0, 1; L = O, S, CH₂ OCH₂, CH₂O, (CH₂)_pNR₂, NR₂CH₂, CONH; p = 1,2; R₂ = H, C1-6 alkyl, (4-methylthiazol-2-yl) carbamoyl; ring U₁ = each (un)substituted Ph, pyridyl, thiazolyl, pyrimidinyl, pyrazinyl, or oxoindolinyl] or pharmacol. acceptable salts thereof or solvates of the compds. or the salts were prepared These compds. have an excellent SCD1 activity inhibitory effect and are useful for the prevention and/or treatment of diabetes, diabetes complications, hypertension, hyperlipidemia, non-alc. fatty liver disease (NAFLD) including nonalcoholic steatohepatitis (NASH), insulin resistance, metabolic syndrome, impaired glucose tolerance, myocardial infarction, angina pectoris, stroke, arteriosclerotic disease, seborrheic dermatitis, acne, Meibomian gland inflammation (meibomianitis), fatty liver, hypertriglyceridemia, or low-blood HDL (high-d. lipoprotein) level. Specifically disclosed is a compound represented by the general formula [C-1'] below, a pharmaceutically acceptable salt thereof, or a solvate of the compound or the salt. [C-1'] (In the formula, the symbols are as defined in the description.). Thus, 0.17 mL Et₃N was added to a solution of 0.32 g [2-[(imidazol-1-ylcarbonyl)amino]thiazol-4-yl]acetic acid Me ester and 0.51 g (piperidin-4-ylmethyl) (2-trifluoromethylphenyl)amine dihydrochloride in 10 mL CHCl₃ and the resulting mixture was stirred at room temperature for 12 h to give [2-[[[4-[(2-trifluoromethylphenyl)amino]methyl]piperidin-1-yl]carbonyl]amino]thiazol-4-yl]acetic acid Me ester (II; R₃ = Me). II (R₃ = Me) was stirred with a mixture of 4 N aqueous LiOH solution, THF, and MeOH at room temperature for 22

h,

acidified with 6 N aqueous HCl solution to give [2-[[[4-[(2-trifluoromethylphenyl)amino]methyl]piperidin-1-yl]carbonyl]amino]thiazol-4-yl]acetic acid II (R₃ = H). II and II (R₃ = H) in vitro inhibited human SCD1 with IC₅₀ of ≥0.1 to <10 μM and <0.1 μM, resp. A capsule and a tablet formulation containing II were described.

IT

1067661-48-6P, 5-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]-1H-pyrazole-3-carboxylic acid 1067661-49-7P, 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid N-[5-[(thiazol-2-yl)methyl]carbamoyl]-1H-pyrazol-3-yl]amide 1067661-51-1P, 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid N-[5-[(pyridin-2-yl)methyl]carbamoyl]-1H-pyrazol-3-yl]amide 1067661-54-4P, 4-[(3-Isopropylphenyl)oxy]piperidine-1-carboxylic acid N-(4-methylthiazol-2-yl)amide 1067661-57-7P, 4-[(3-tert-Butylphenyl)oxy]piperidine-1-carboxylic acid N-(4-methylthiazol-2-yl)amide 1067661-59-9P, 4-(2-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid N-(4-methylthiazol-2-yl)amide 1067661-81-7P, 4-Phenoxy piperidine-1-carboxylic acid N-[3-(benzylcarbamoyl)phenyl]amide 1067661-85-1P, 4-(2-Fluorophenoxy)piperidine-1-carboxylic acid N-[3-(benzylcarbamoyl)phenyl]amide 1067661-87-3P, 4-(3-Fluorophenoxy)piperidine-1-carboxylic acid N-[3-(benzylcarbamoyl)phenyl]amide 1067661-88-4P, 4-(4-Fluorophenoxy)piperidine-1-carboxylic acid N-[3-(benzylcarbamoyl)phenyl]amide 1067661-89-5P, N-Benzyl-5-[[[4-(phenoxy)piperidin-1-yl]carbonyl]amino]isophthalamide methyl ester 1067661-90-8P, 4-Phenoxy piperidine-1-carboxylic acid N-[5-(benzylcarbamoyl)-2-methoxyphenyl]amide 1067661-91-9P, N-Benzyl-5-[[[4-(phenoxy)piperidin-1-yl]carbonyl]amino]isophthalamide 1067661-92-0P, 4-Benzyloxy piperidine-1-carboxylic acid N-[3-(benzylcarbamoyl)phenyl]amide 1067661-93-1P, 4-(m-Tolyloxy)piperidine-1-carboxylic acid

N-[3-(benzylcarbamoyl)phenyl]amide 1067661-94-2P,
 [[3-(Benzylcarbamoyl)phenyl][(4-phenoxy)piperidin-1-yl]carbonyl]amino]acetic acid tert-butyl ester 1067661-95-3P,
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 N-[3-(benzylcarbamoyl)phenyl]-N-methylamide 1067661-96-4P,
 [[3-(Benzylcarbamoyl)phenyl][(4-phenoxy)piperidin-1-yl]carbonyl]amino]acetic acid 1067661-97-5P,
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 N-[5-(benzylcarbamoyl)-2-hydroxyphenyl]amide 1067662-00-3P,
 4-Phenoxy piperidine-1-carboxylic acid
 N-[3-(benzylcarbamoyl)phenyl]-N-[(methylcarbamoyl)methyl]amide 1067662-01-4P, 4-Phenoxy piperidine-1-carboxylic acid
 N-[3-(benzylcarbamoyl)phenyl]-N-(2-hydroxyethyl)amide 1067662-02-5P, 4-Phenoxy piperidine-1-carboxylic acid
 N-[3-(benzylcarbamoyl)phenyl]-N-[2-(dimethylamino)ethyl]amide hydrochloride 1067662-03-6P, 4-Phenoxy piperidine-1-carboxylic acid
 N-[3-(benzylcarbamoyl)-4-methoxyphenyl]amide 1067662-04-7P, 4-Phenoxy piperidine-1-carboxylic acid
 N-[4-(benzylcarbamoyl)thiazol-2-yl]amide 1067662-05-8P, 4-Phenoxy piperidine-1-carboxylic acid
 N-[4-[[(thiophen-2-yl)methyl]carbamoyl]thiazol-2-yl]amide 1067662-06-9P, 4-Phenoxy piperidine-1-carboxylic acid
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 N-[3-[(2-fluorobenzyl)carbamoyl]phenyl]amide 1067662-09-2P, [3-(Benzylcarbamoyl)-5-[[(4-phenoxy)piperidin-1-yl]carbonyl]amino]phenyl]carbamic acid tert-butyl ester 1067662-10-5P, 4-Phenoxy piperidine-1-carboxylic acid
 N-[3-[(4-fluorobenzyl)carbamoyl]phenyl]amide 1067662-11-6P, 4-Phenoxy piperidine-1-carboxylic acid
 N-[3-[(3-fluorobenzyl)carbamoyl]phenyl]amide 1067662-12-7P, 4-Phenoxy piperidine-1-carboxylic acid
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 N-[3-(benzylcarbamoyl)phenyl]amide 1067662-15-0P, 4-(3-Chlorophenoxy)piperidine-1-carboxylic acid
 N-[3-(benzylcarbamoyl)phenyl]amide 1067662-16-1P, 4-Phenoxy piperidine-1-carboxylic acid
 N-[2-(benzylcarbamoyl)-1-methyl-1H-imidazol-4-yl]amide 1067662-18-3P, 4-Phenoxy piperidine-1-carboxylic acid
 N-[3-(benzylcarbamoyl)-4-hydroxyphenyl]amide 1067662-19-4P, 4-Phenoxy piperidine-1-carboxylic acid
 N-[3-amino-5-(benzylcarbamoyl)phenyl]amide 1067662-20-7P, N-Benzyl-5-[[(4-phenoxy)piperidin-1-yl]carbonyl]amino]nicotinamide 1067662-21-8P, 4-Phenoxy piperidine-1-carboxylic acid
 N-[5-(benzylcarbamoyl)-2-fluorophenyl]amide 1067662-22-9P, N-Benzyl-2-[[(4-phenoxy)piperidin-1-yl]carbonyl]amino]terephthalamide methyl ester 1067662-23-0P, 4-Phenoxy piperidine-1-carboxylic acid
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 1067662-44-5P, 4-Benzyl oxy piperidine-1-carboxylic acid
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 1067662-52-5P, 4-(3-Fluorophenoxy)piperidine-1-carboxylic acid
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 , 4-(3-Fluorophenoxy)piperidine-1-carboxylic acid
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 1067662-59-2P, 2-[[(4-Phenoxy piperidin-1-yl)carbonyl]amino]isonicotinic acid 1067662-60-5P,
 4-(3-Fluorophenoxy)piperidine-1-carboxylic acid
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 N-[3-(isobutylcarbamoyl)phenyl]amide 1067662-62-7P,
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 N-[3-(benzylcarbamoyl)-5-trifluoromethylphenyl]amide
 1067662-63-8P, [3-[[[4-(3-Fluorophenoxy)piperidin-1-yl]carbonyl]amino]benzoylamino]acetic acid methyl ester
 1067662-64-9P, [3-[[[4-(3-Fluorophenoxy)piperidin-1-yl]carbonyl]amino]benzoylamino]acetic acid 1067662-65-0P,
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 1067662-69-4P, 4-Phenoxy piperidine-1-carboxylic acid
 N-[3-(benzylcarbamoyl)-5-methylphenyl]amide 1067662-74-1P,
 4-Phenoxy piperidine-1-carboxylic acid
 N-(4-benzylcarbamoylthiophen-2-yl)amide 1067662-75-2P,

4-(3-Fluorophenoxy)piperidine-1-carboxylic acid
 N-(4-benzylcarbamoylthiophen-2-yl)amide 1067662-76-3P,
 3-[[[4-(3-Chlorophenoxy)piperidin-1-yl]carbonyl]amino]benzoic acid
 1067662-77-4P, 3-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-
 yl]carbonyl]amino]benzoic acid 1067662-78-5P,
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 N'-Benzyl-2-[[(4-phenoxy)piperidin-1-yl]carbonyl]amino]-N-(2,2,2-
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 N-[5-(benzylcarbamoyl)-2-methylphenyl]amide 1067662-88-7P,
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 benzylamide 1067662-90-1P,
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 trifluoropropyl)terephthalamide 1067662-91-2P,
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 yl]carbonyl]amino]terephthalamide 1067662-92-3P,
 6-[[(4-Phenoxy)piperidin-1-yl]carbonyl]amino]pyridine-2-carboxylic acid
 benzylamide 1067662-94-5P,
 4-(3-Fluorophenoxy)piperidine-1-carboxylic acid
 N-[3-[[(thiophen-3-yl)methyl]carbamoyl]phenyl]amide
 1067662-95-6P, N-Benzyl-2-[[[4-(3-chlorophenoxy)piperidin-1-
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 2-[[[4-(3-Chlorophenoxy)piperidin-1-yl]carbonyl]amino]-N-[(thiazol-2-
 yl)methyl]isonicotinamide 1067662-97-8P,
 4-(2-Fluorophenoxy)piperidine-1-carboxylic acid
 N-(4-methylthiazol-2-yl)amide 1067662-99-0P,
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 , 4-Phenoxy-piperidine-1-carboxylic acid
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 yl]carbonyl]amino]isonicotinamide 1067663-10-8P,
 N-[(Thiazol-2-yl)methyl]-2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-
 yl]carbonyl]amino]isonicotinamide 1067663-12-0P,
 N-[(Thiazol-4-yl)methyl]-2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-
 yl]carbonyl]amino]isonicotinamide 1067663-13-1P,
 2-[[[4-(3-Chlorophenoxy)piperidin-1-yl]carbonyl]amino]-N-[(thiazol-4-
 yl)methyl]isonicotinamide 1067663-14-2P,
 4-Phenoxy-piperidine-1-carboxylic acid N-(6-methoxypyrimidin-4-yl)amide
 1067663-23-3P, 4-(m-Tolyloxy)piperidine-1-carboxylic acid
 N-(4-methylthiazol-2-yl)amide 1067663-25-5P,
 4-(3-Chlorophenoxy)piperidine-1-carboxylic acid
 N-(4-methylthiazol-2-yl)amide 1067663-26-6P,
 4-[(3-Trifluoromethylphenyl)oxy]piperidine-1-carboxylic acid
 N-(4-methylthiazol-2-yl)amide 1067663-27-7P,
 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid
 N-(4-methylthiazol-2-yl)amide 1067663-28-8P,

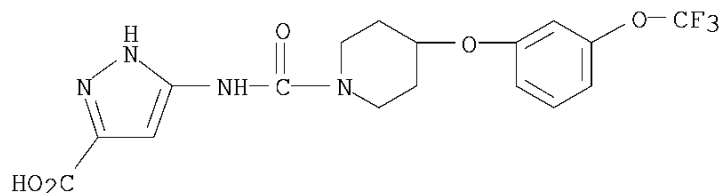
N-[(Pyridin-2-yl)methyl]-2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]isonicotinamide 1067663-29-9P,
 N-[(4-Methyloxazol-5-yl)methyl]-2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]isonicotinamide 1067663-35-7P, N-[(Oxazol-2-yl)methyl]-2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]isonicotinamide 1067663-39-1P, 4-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]pyridine-2-carboxylic acid N-[(pyridin-2-yl)methyl]amide 1067663-40-4P, 4-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]pyridine-2-carboxylic acid benzylamide 1067663-41-5P, N-[(Pyridin-3-yl)methyl]-2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]isonicotinamide 1067663-42-6P, N-[(2,4-Dimethyloxazol-5-yl)methyl]-2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]isonicotinamide 1067663-43-7P, 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid N-[3-[[[pyridin-2-yl)methyl]carbonyl]phenyl]amide 1067663-44-8P, 4-(3-Chlorophenoxy)piperidine-1-carboxylic acid N-[3-[[[pyridin-2-yl)methyl]carbonyl]phenyl]amide 1067663-45-9P, 2-[[[4-(3-Chlorophenoxy)piperidin-1-yl]carbonyl]amino]-N-[(pyridin-2-yl)methyl]isonicotinamide 1067663-55-1P, 4-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]pyridine-2-carboxylic acid N-[(4-methyloxazol-5-yl)methyl]amide 1067663-56-2P, 4-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]pyridine-2-carboxylic acid N-[(pyridin-3-yl)methyl]amide 1067663-57-3P, 4-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]pyridine-2-carboxylic acid N-[(thiazol-4-yl)methyl]amide 1067663-58-4P, 4-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]pyridine-2-carboxylic acid N-[(thiazol-2-yl)methyl]amide 1067663-59-5P, 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid N-(3-methylisothiazol-5-yl)amide 1067663-60-8P, 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid N-(1-methyl-1H-pyrazol-3-yl)amide 1067663-77-7P, 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid N-(3-methyl-[1,2,4]thiadiazol-5-yl)amide 1067663-79-9P, [2-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]thiazol-4-yl]acetic acid ethyl ester 1067663-80-2P, [2-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]thiazol-4-yl]acetic acid 1067663-82-4P, 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid N-[4-(2-hydroxyethyl)thiazol-2-yl]amide 1067663-83-5P, 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid N-(2-methylthiazol-4-yl)amide 1067663-94-8P, N-[(Thiazol-2-yl)methyl]-2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]terephthalamic acid methyl ester 1067663-95-9P, N-[(Thiazol-2-yl)methyl]-2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]terephthalamic acid 1067664-03-2P, Acetic acid [2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]thiazol-4-yl]methyl ester 1067664-04-3P, 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid N-(4-hydroxymethylthiazol-2-yl)amide 1067664-06-5P, 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid N-[4-(3-hydroxypropyl)thiazol-2-yl]amide 1067664-08-7P, 3-[2-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]thiazol-4-yl]propionic acid 1067664-09-8P, 2-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]thiazole-5-carboxylic acid methyl ester 1067664-10-1P, 2-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]thiazole-5-carboxylic acid 1067664-21-4P, 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid N-(5-hydroxymethylthiazol-2-yl)amide 1067664-23-6P, N-Benzyl-2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]terephthalamic acid 1067664-24-7P,

N-[(Pyridin-2-yl)methyl]-2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]terephthalamic acid 1067664-30-5P,
 [2-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]thiazol-5-yl]acetic acid 1067664-31-6P,
 [2-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]thiazol-5-yl]acetic acid methyl ester 1067664-35-0P,
 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid
 N-[4-(4-hydroxybutyl)thiazol-2-yl]amide 1067664-48-5P
 , 3-[4-Methyl-2-[[[4-(3-trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]thiazol-5-yl]propionic acid 1067664-53-2P,
 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid
 N-[5-(2-hydroxyethyl)thiazol-2-yl]amide 1067664-68-9P,
 4-[(3-Isopropylphenyl)oxy]piperidine-1-carboxylic acid
 N-[4-(2-hydroxyethyl)thiazol-2-yl]amide 1067664-70-3P,
 4-[(3-Trifluoromethylphenyl)oxy]piperidine-1-carboxylic acid
 N-[4-(2-hydroxyethyl)thiazol-2-yl]amide 1067664-77-0P,
 3-[2-[[[4-(3-Trifluoromethoxyphenoxy)piperidin-1-yl]carbonyl]amino]thiazol-5-yl]propionic acid 1067664-78-1P,
 4-[(3-Isopropylphenyl)oxy]piperidine-1-carboxylic acid
 N-[4-(3-hydroxypropyl)thiazol-2-yl]amide 1067664-81-6P,
 4-[(3-Trifluoromethylphenyl)oxy]piperidine-1-carboxylic acid
 N-[4-(3-hydroxypropyl)thiazol-2-yl]amide 1067664-82-7P,
 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid
 N-[1-(3-hydroxypropyl)-1H-pyrazol-3-yl]amide 1067664-84-9P,
 4-[(3-Ethylphenyl)oxy]piperidine-1-carboxylic acid
 N-[4-(2-hydroxyethyl)thiazol-2-yl]amide 1067664-85-0P,
 4-[(3-Ethylphenyl)oxy]piperidine-1-carboxylic acid
 N-[4-(3-hydroxypropyl)thiazol-2-yl]amide 1067664-86-1P,
 4-(3-Trifluoromethoxyphenoxy)piperidine-1-carboxylic acid
 N-[5-(3-hydroxypropyl)thiazol-2-yl]amide 1067664-90-7P,
 4-(3-Chlorophenoxy)piperidine-1-carboxylic acid
 N-(4-trifluoromethylthiazol-2-yl)amide 1067664-91-8P,
 [2-[[[4-(3-Chlorophenoxy)piperidin-1-yl]carbonyl]amino]thiazol-4-yl]acetic acid ethyl ester 1067664-92-9P,
 2-[2-[[[4-(3-Chlorophenoxy)piperidin-1-yl]carbonyl]amino]thiazol-4-yl]-3-hydroxypropionic acid ethyl ester 1067664-93-0P,
 [2-[[[4-(3-Chlorophenoxy)piperidin-1-yl]carbonyl]amino]thiazol-4-yl]acetic acid 1067664-94-1P, 2-[2-[[[4-(3-Chlorophenoxy)piperidin-1-yl]carbonyl]amino]thiazol-4-yl]-3-hydroxypropionic acid 1067664-95-2P, 4-(3-Chlorophenoxy)piperidine-1-carboxylic acid
 N-[4-[2-hydroxy-1-(hydroxymethyl)ethyl]thiazol-2-yl]amide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aryl- or N-heterocyclylpyrrolidine-1-carboxamides or -piperidine-1-carboxamides having substituted urea structure as inhibitors of stearyl-CoA desaturase 1 (SCD1) inhibitors)

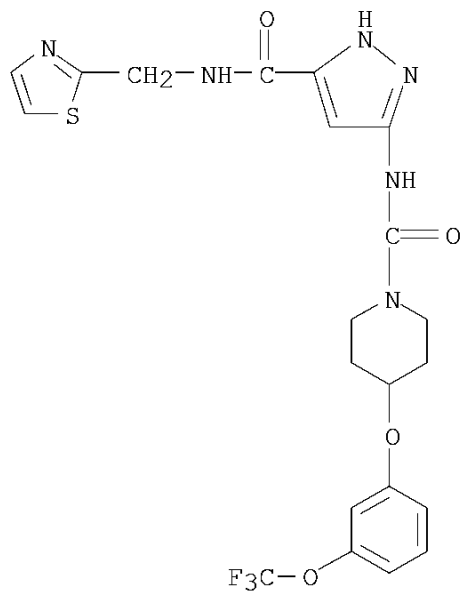
RN 1067661-48-6 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 5-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



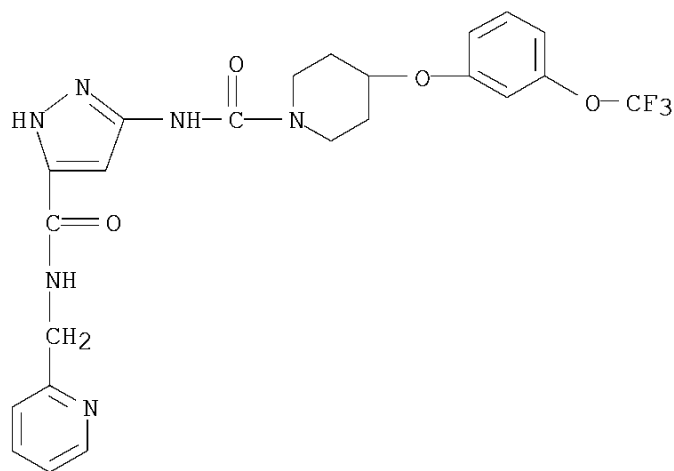
RN 1067661-49-7 CAPLUS

CN 1-Piperidinecarboxamide, N-[5-[[(2-thiazolylmethyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



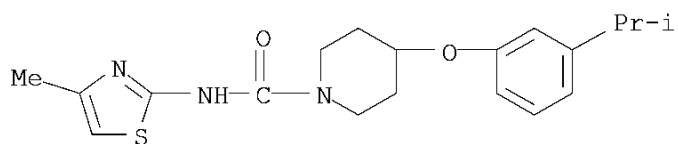
RN 1067661-51-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[5-[[(2-pyridinylmethyl)amino]carbonyl]-1H-pyrazol-3-yl]-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)

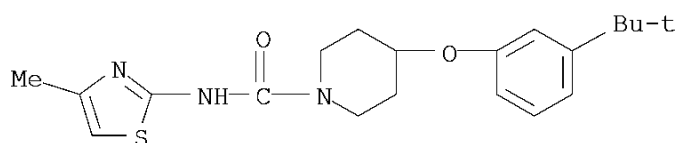


RN 1067661-54-4 CAPLUS

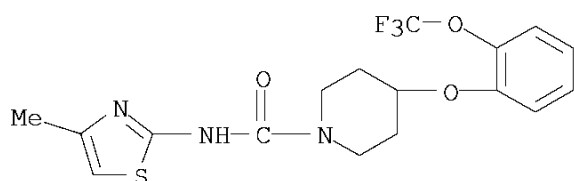
CN 1-Piperidinecarboxamide, 4-[3-(1-methylethyl)phenoxy]-N-(4-methyl-2-thiazolyl)- (CA INDEX NAME)



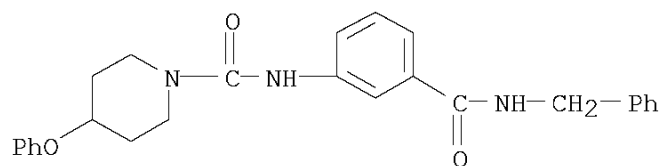
RN 1067661-57-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[3-(1,1-dimethylethyl)phenoxy]-N-(4-methyl-2-thiazolyl)- (CA INDEX NAME)



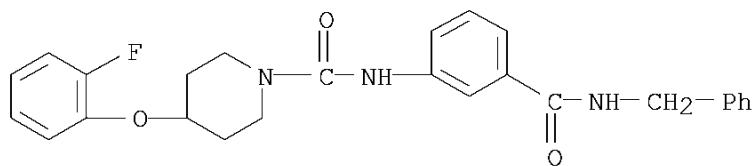
RN 1067661-59-9 CAPLUS
 CN 1-Piperidinecarboxamide, N-(4-methyl-2-thiazolyl)-4-[2-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



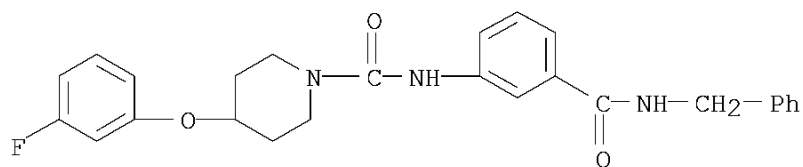
RN 1067661-81-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-phenoxy-N-[3-[[(phenylmethyl) amino] carbonyl]phenyl]- (CA INDEX NAME)



RN 1067661-85-1 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(2-fluorophenoxy)-N-[3-[[(phenylmethyl) amino] carbonyl]phenyl]- (CA INDEX NAME)

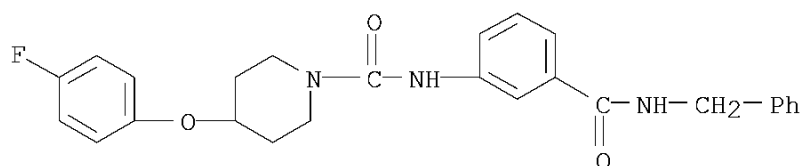


RN 1067661-87-3 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[3-[[(phenylmethyl) amino] carbonyl]phenyl]- (CA INDEX NAME)



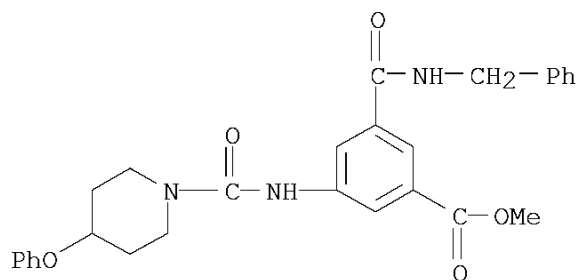
RN 1067661-88-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-fluorophenoxy)-N-[3-
[[(phenylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



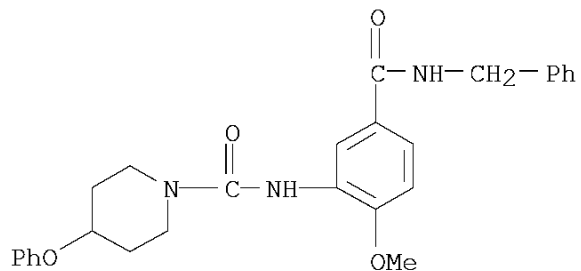
RN 1067661-89-5 CAPLUS

CN Benzoic acid, 3-[[(4-phenoxy-1-piperidinyl)carbonyl]amino]-5-
[[(phenylmethyl)amino]carbonyl]-, methyl ester (CA INDEX NAME)



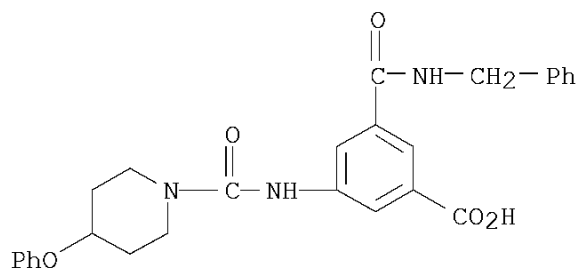
RN 1067661-90-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[2-methoxy-5-
[[(phenylmethyl)amino]carbonyl]phenyl]-4-phenoxy- (CA INDEX NAME)

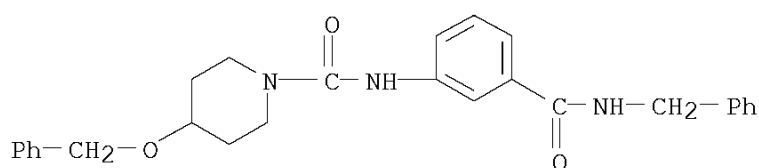


RN 1067661-91-9 CAPLUS

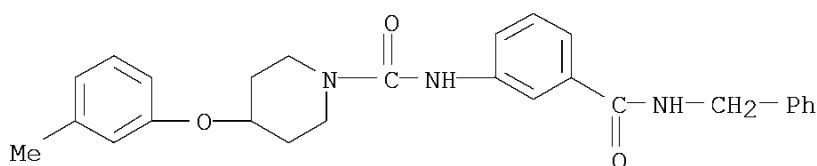
CN Benzoic acid, 3-[[(4-phenoxy-1-piperidinyl)carbonyl]amino]-5-
[[(phenylmethyl)amino]carbonyl]- (CA INDEX NAME)



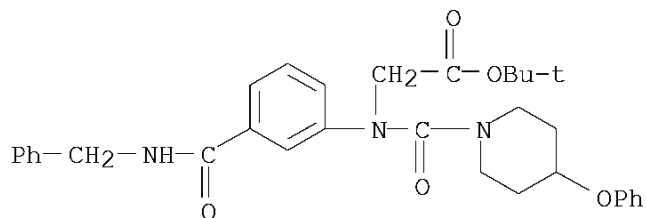
RN 1067661-92-0 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(phenylmethoxy)-N-[3-
 [[(phenylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



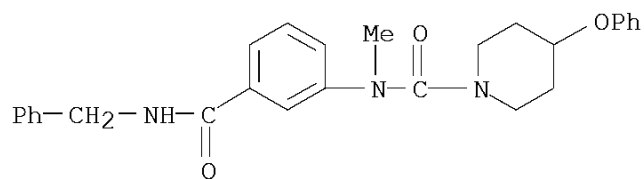
RN 1067661-93-1 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3-methylphenoxy)-N-[3-
 [[(phenylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



RN 1067661-94-2 CAPLUS
 CN Glycine, N-[(4-phenoxy-1-piperidinyl)carbonyl]-N-[3-
 [[(phenylmethyl)amino]carbonyl]phenyl]-, 1,1-dimethylethyl ester (CA
 INDEX NAME)

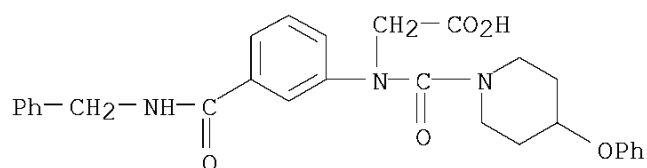


RN 1067661-95-3 CAPLUS
 CN 1-Piperidinecarboxamide, N-methyl-4-phenoxy-N-[3-
 [[(phenylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



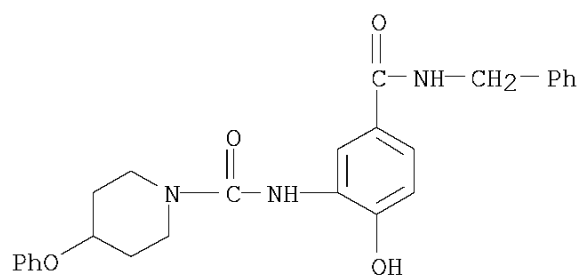
RN 1067661-96-4 CAPLUS

CN Glycine, N-[(4-phenoxy-1-piperidinyl)carbonyl]-N-[3-
[[(phenylmethyl) amino] carbonyl] phenyl]- (CA INDEX NAME)



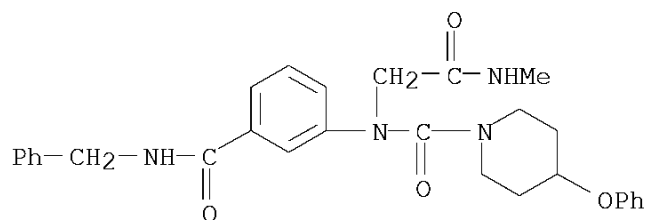
RN 1067661-97-5 CAPLUS

CN 1-Piperidinecarboxamide, N-[2-hydroxy-5-
[[(phenylmethyl) amino] carbonyl] phenyl]-4-phenoxy- (CA INDEX NAME)



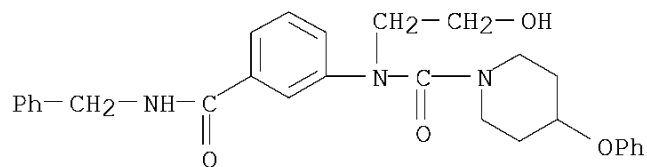
RN 1067662-00-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[2-(methylamino)-2-oxoethyl]-4-phenoxy-N-[3-
[[(phenylmethyl) amino] carbonyl] phenyl]- (CA INDEX NAME)

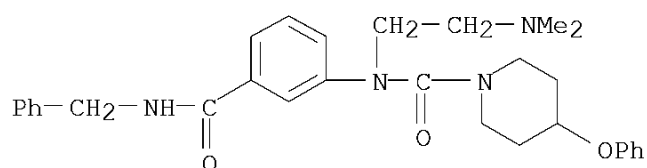


RN 1067662-01-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(2-hydroxyethyl)-4-phenoxy-N-[3-
[[(phenylmethyl) amino] carbonyl] phenyl]- (CA INDEX NAME)

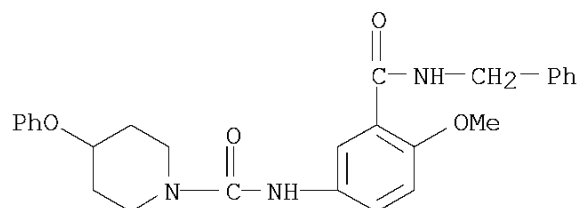


RN 1067662-02-5 CAPLUS
 CN 1-Piperidinecarboxamide, N-[2-(dimethylamino)ethyl]-4-phenoxy-N-[3-
 [[(phenylmethyl)amino]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX
 NAME)

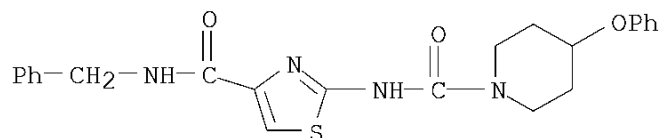


● HCl

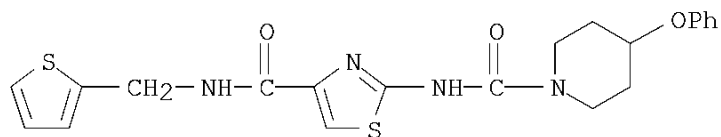
RN 1067662-03-6 CAPLUS
 CN 1-Piperidinecarboxamide, N-[4-methoxy-3-
 [[(phenylmethyl)amino]carbonyl]phenyl]-4-phenoxy- (CA INDEX NAME)



RN 1067662-04-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-phenoxy-N-[4-[[(phenylmethyl)amino]carbonyl]-2-
 thiazolyl]- (CA INDEX NAME)

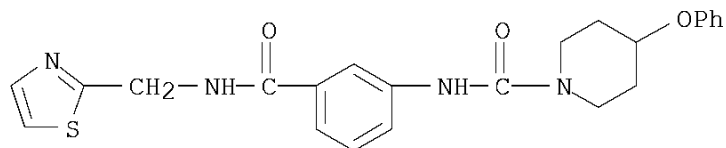


RN 1067662-05-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-phenoxy-N-[4-[[(2-thienylmethyl)amino]carbonyl]-
 2-thiazolyl]- (CA INDEX NAME)



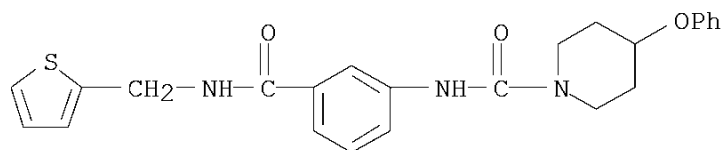
RN 1067662-06-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-phenoxy-N-[3-[(2-thiazolylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



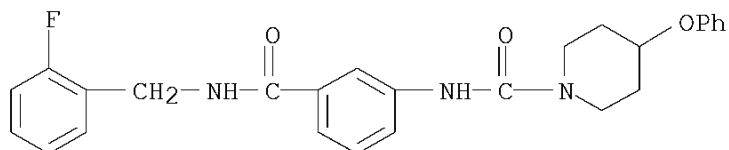
RN 1067662-07-0 CAPLUS

CN	1-Piperidinecarboxamide, 4-phenoxy-N-[3-[(2-thienylmethyl)amino]carbonyl]phenyl]-	(CA INDEX NAME)
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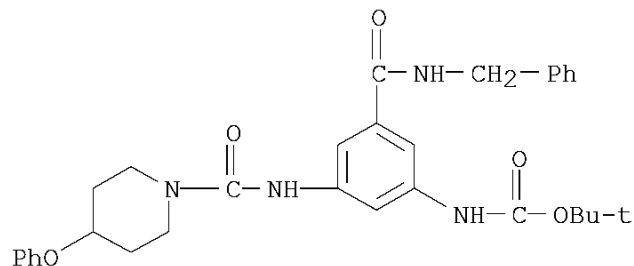
RN 1067662-08-1 CAPLUS

CN	1-Piperidinecarboxamide, N-[3-[[[(2-fluorophenyl)methyl]amino]carbonyl]phenyl]-4-phenoxy-	(CA INDEX NAME)
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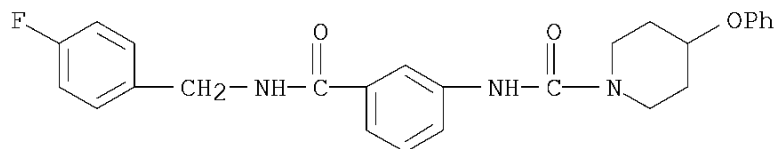
RN 1067662-09-2 CAPLUS

CN Carbamic acid, N-[3-[[4-phenoxy-1-piperidinyl]carbonyl]amino]-5-
[[phenylmethyl]amino]carbonyl]phenyl]-, 1,1-dimethylethyl ester (CA
INDEX NAME)

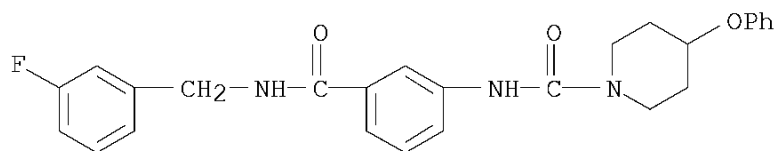


RN 1067662-10-5 CAPLUS

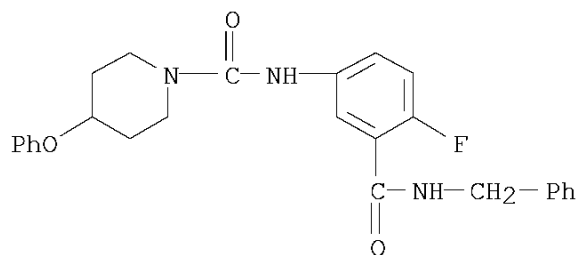
RN 1-Piperidinecarboxamide, N-[3-[[[(4-fluorophenyl)methyl]amino]carbonyl]phenyl]-4-phenoxy- (CA INDEX NAME)



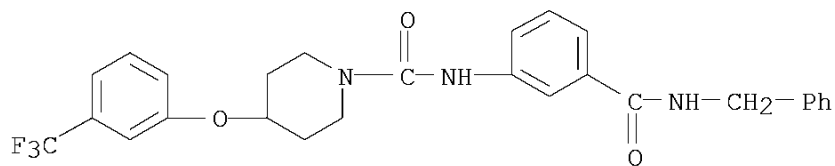
RN 1067662-11-6 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-[[[(3-fluorophenyl)methyl]amino]carbonyl]phenyl]-4-phenoxy- (CA INDEX NAME)



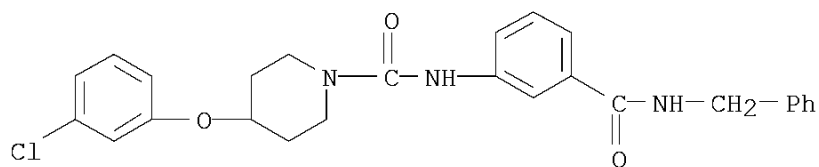
RN 1067662-12-7 CAPLUS
CN 1-Piperidinecarboxamide, N-[4-fluoro-3-[[(phenylmethyl)amino]carbonyl]phenyl]-4-phenoxy- (CA INDEX NAME)



RN 1067662-14-9 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-[[(phenylmethyl)amino]carbonyl]phenyl]-4-[3-(trifluoromethyl)phenoxy]- (CA INDEX NAME)

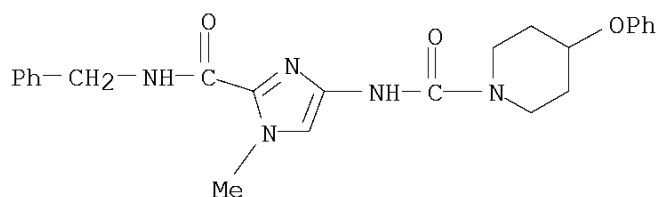


RN 1067662-15-0 CAPLUS
CN 1-Piperidinecarboxamide, 4-(3-chlorophenoxy)-N-[3-[[(phenylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



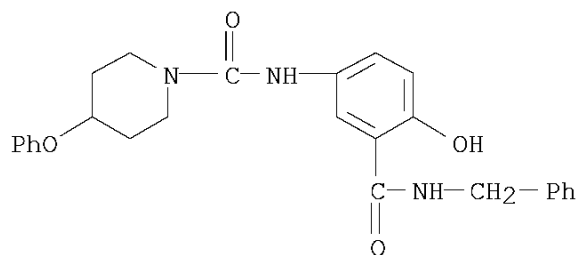
RN 1067662-16-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[1-methyl-2-[[[(phenylmethyl)amino]carbonyl]-1H-imidazol-4-yl]]-4-phenoxy- (CA INDEX NAME)



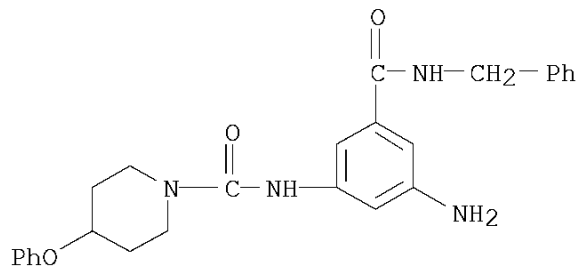
RN 1067662-18-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-hydroxy-3-[[[(phenylmethyl)amino]carbonyl]phenyl]]-4-phenoxy- (CA INDEX NAME)



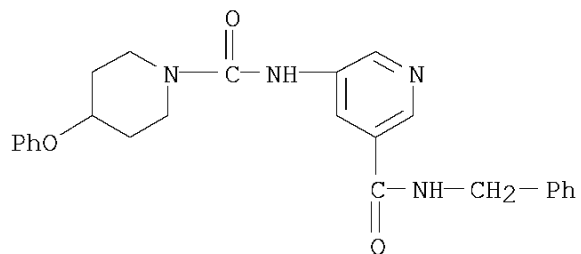
RN 1067662-19-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-amino-5-[[[(phenylmethyl)amino]carbonyl]phenyl]]-4-phenoxy- (CA INDEX NAME)

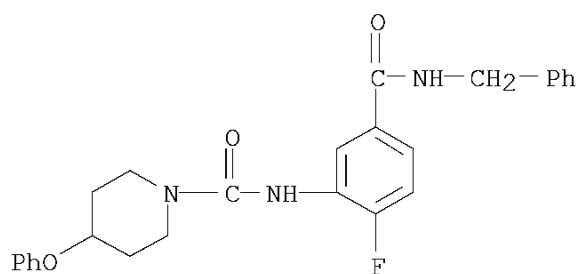


RN 1067662-20-7 CAPLUS

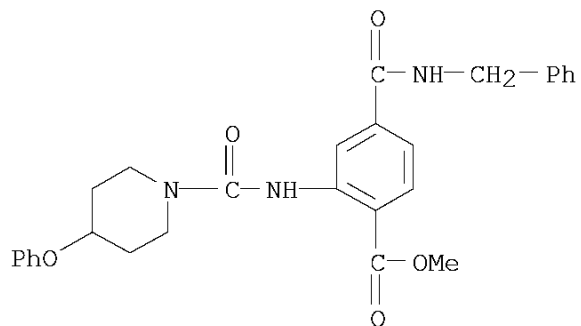
CN 3-Pyridinecarboxamide, 5-[[[(4-phenoxy-1-piperidinyl)carbonyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)



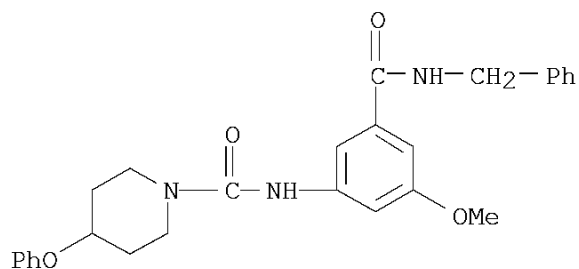
RN 1067662-21-8 CAPLUS
 CN 1-Piperidinecarboxamide, N-[2-fluoro-5-
 [[(phenylmethyl) amino] carbonyl] phenyl]-4-phenoxy- (CA INDEX NAME)



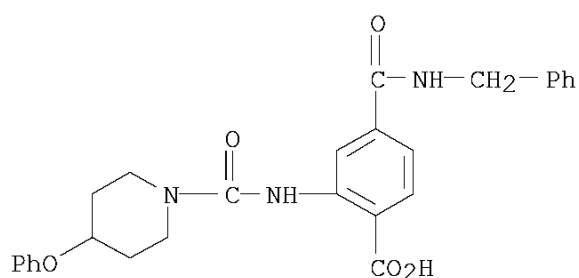
RN 1067662-22-9 CAPLUS
 CN Benzoic acid, 2-[[(4-phenoxy-1-piperidinyl) carbonyl] amino]-4-
 [[(phenylmethyl) amino] carbonyl]-, methyl ester (CA INDEX NAME)



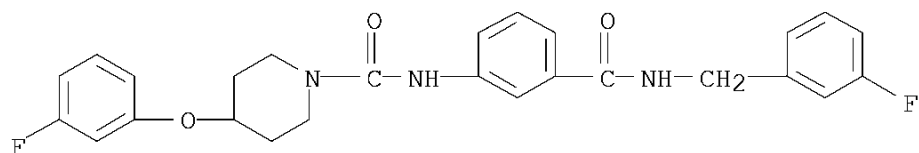
RN 1067662-23-0 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-methoxy-5-
 [[(phenylmethyl) amino] carbonyl] phenyl]-4-phenoxy- (CA INDEX NAME)



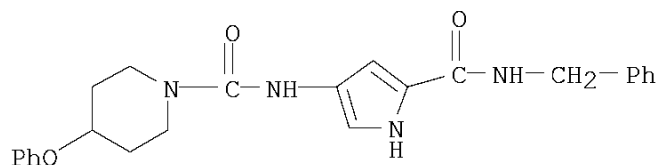
RN 1067662-24-1 CAPLUS
 CN Benzoic acid, 2-[[[4-phenoxy-1-piperidiny]carbonyl]amino]-4-
 [[[phenylmethyl]amino]carbonyl]- (CA INDEX NAME)



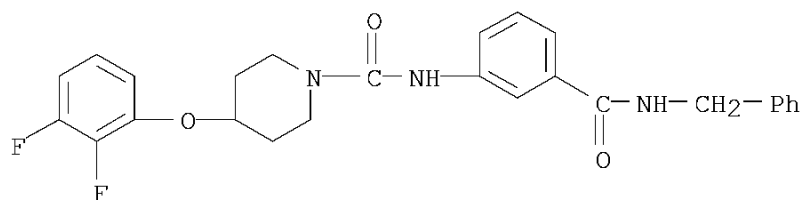
RN 1067662-25-2 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[3-[[[3-
 fluorophenyl]methyl]amino]carbonyl]phenyl]- (CA INDEX NAME)



RN 1067662-26-3 CAPLUS
 CN 1-Piperidinecarboxamide, 4-phenoxy-N-[5-[[[phenylmethyl]amino]carbonyl]-1H-
 pyrrol-3-yl]- (CA INDEX NAME)

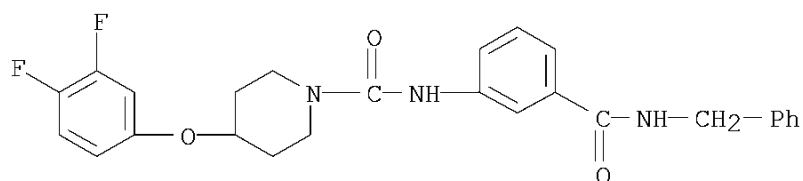


RN 1067662-27-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(2,3-difluorophenoxy)-N-[3-
 [[[phenylmethyl]amino]carbonyl]phenyl]- (CA INDEX NAME)



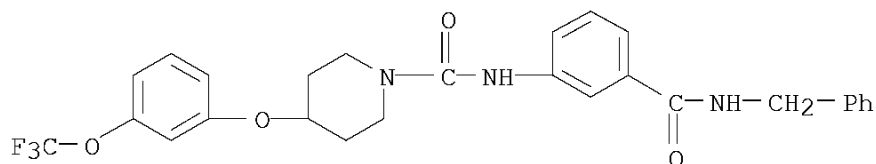
RN 1067662-29-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3,4-difluorophenoxy)-N-[3-
[[(phenylmethyl) amino] carbonyl] phenyl]- (CA INDEX NAME)



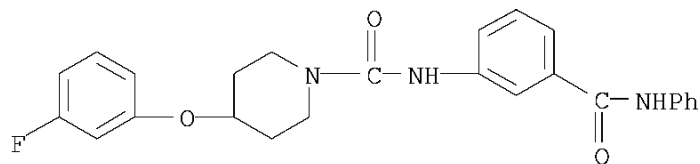
RN 1067662-30-9 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-[[(phenylmethyl) amino] carbonyl] phenyl]-4-[3-
(trifluoromethoxy) phenoxy]- (CA INDEX NAME)



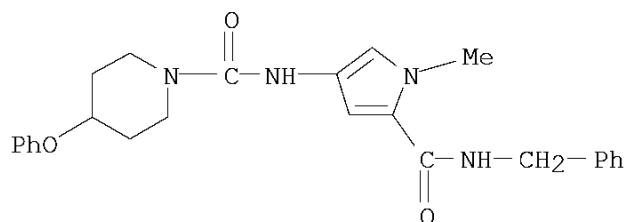
RN 1067662-31-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[3-
[(phenylamino) carbonyl] phenyl]- (CA INDEX NAME)

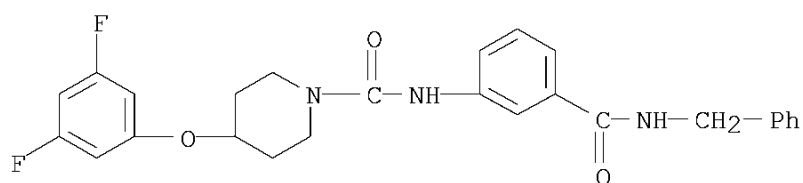


RN 1067662-32-1 CAPLUS

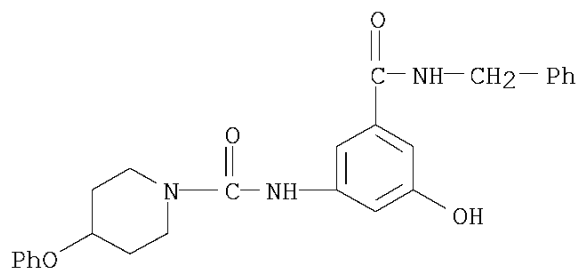
CN 1-Piperidinecarboxamide, N-[1-methyl-5-[[(phenylmethyl) amino] carbonyl]-1H-
pyrrol-3-yl]-4-phenoxy- (CA INDEX NAME)



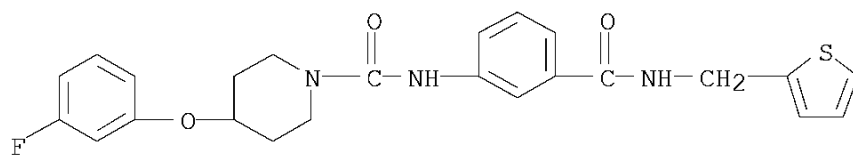
RN 1067662-33-2 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3,5-difluorophenoxy)-N-[3-
 [[(phenylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



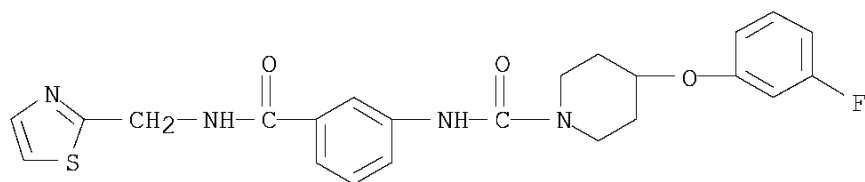
RN 1067662-34-3 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-hydroxy-5-
 [[(phenylmethyl)amino]carbonyl]phenyl]-4-phenoxy- (CA INDEX NAME)



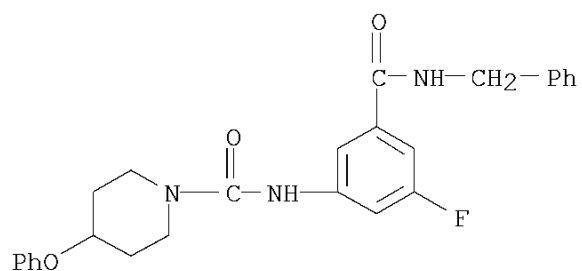
RN 1067662-35-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[3-[[2-
 thienylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



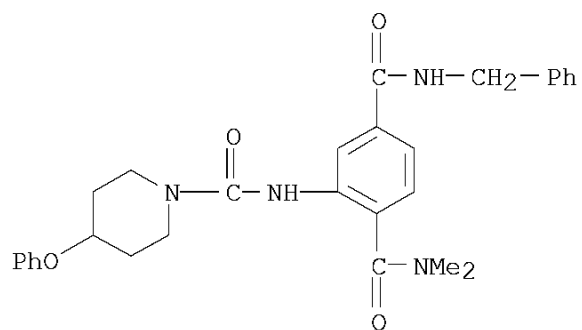
RN 1067662-36-5 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[3-[[2-
 thiazolylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



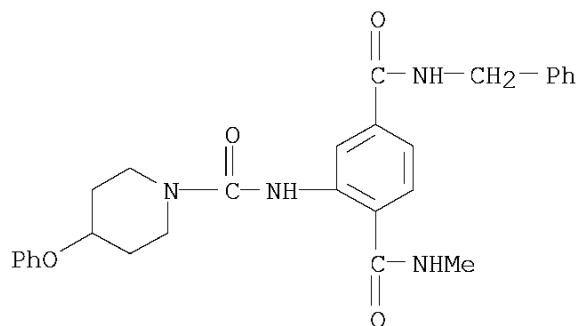
RN 1067662-37-6 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-fluoro-5-
 [[(phenylmethyl)amino]carbonyl]phenyl]-4-phenoxy- (CA INDEX NAME)



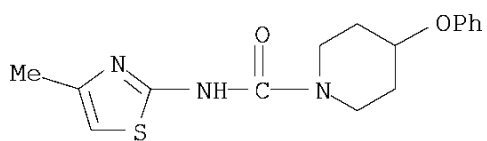
RN 1067662-38-7 CAPLUS
 CN 1,4-Benzenedicarboxamide, N1,N1-dimethyl-2-[[(4-phenoxy-1-
 piperidinyl)carbonyl]amino]-N4-(phenylmethyl)- (CA INDEX NAME)



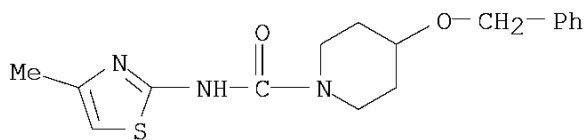
RN 1067662-39-8 CAPLUS
 CN 1,4-Benzenedicarboxamide, N1-methyl-2-[[(4-phenoxy-1-
 piperidinyl)carbonyl]amino]-N4-(phenylmethyl)- (CA INDEX NAME)



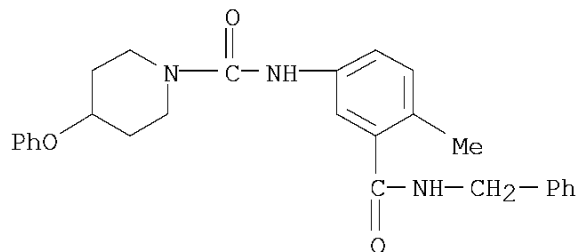
RN 1067662-43-4 CAPLUS
 CN 1-Piperidinecarboxamide, N-(4-methyl-2-thiazolyl)-4-phenoxycarbonylphenyl- (CA INDEX NAME)



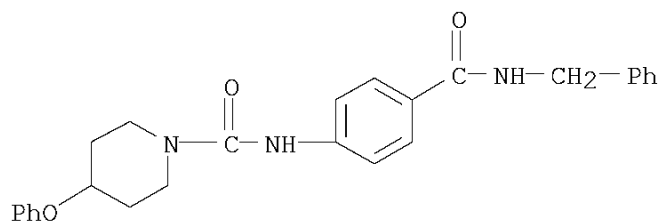
RN 1067662-44-5 CAPLUS
 CN 1-Piperidinecarboxamide, N-(4-methyl-2-thiazolyl)-4-(phenylmethoxycarbonylphenyl)- (CA INDEX NAME)



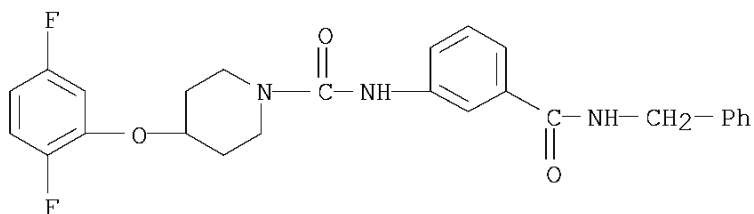
RN 1067662-46-7 CAPLUS
 CN 1-Piperidinecarboxamide, N-[4-methyl-3-[[(phenylmethyl) amino] carbonyl]phenyl]-4-phenoxycarbonylphenyl- (CA INDEX NAME)



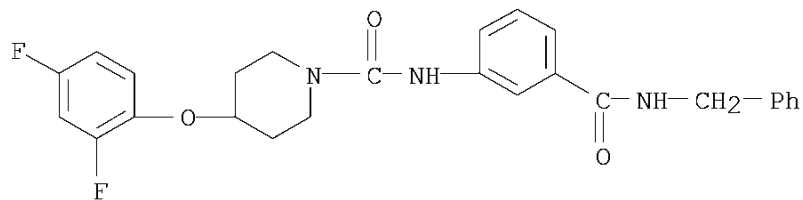
RN 1067662-47-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-phenoxycarbonylphenyl-N-[4-[[(phenylmethyl) amino] carbonyl]phenyl]- (CA INDEX NAME)



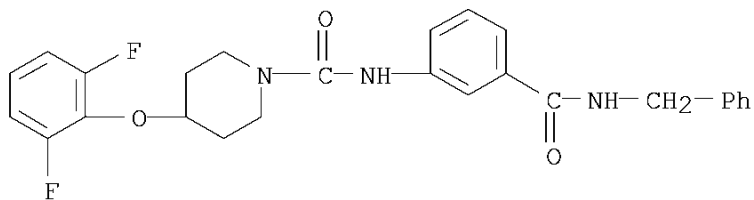
RN 1067662-48-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(2,5-difluorophenoxy)-N-[3-
 [[(phenylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



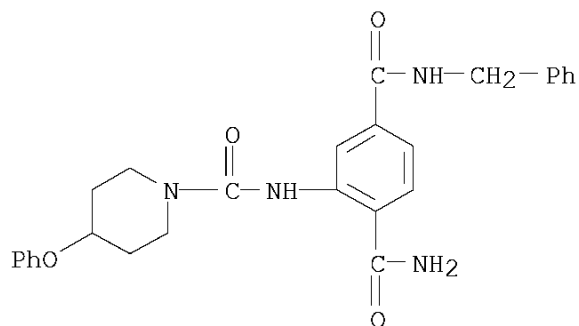
RN 1067662-49-0 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(2,4-difluorophenoxy)-N-[3-
 [[(phenylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



RN 1067662-50-3 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(2,6-difluorophenoxy)-N-[3-
 [[(phenylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)

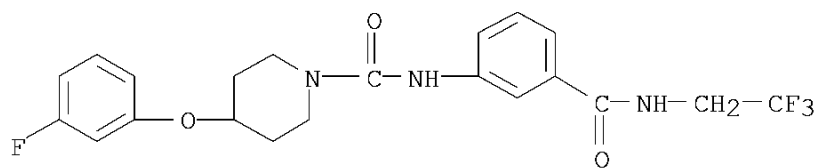


RN 1067662-51-4 CAPLUS
 CN 1,4-Benzenedicarboxamide, 2-[[[(4-phenoxy-1-piperidinyl)carbonyl]amino]-N4-(
 phenylmethyl)]- (CA INDEX NAME)



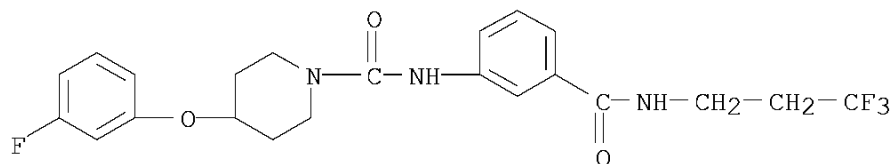
RN 1067662-52-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[3-[[2,2,2-trifluoroethyl]amino]carbonyl]phenyl]- (CA INDEX NAME)



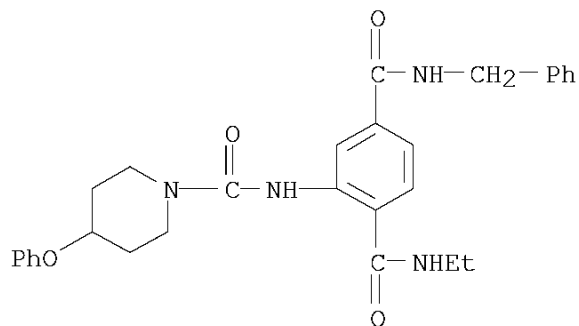
RN 1067662-53-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[3-[[3,3,3-trifluoropropyl]amino]carbonyl]phenyl]- (CA INDEX NAME)



RN 1067662-57-0 CAPLUS

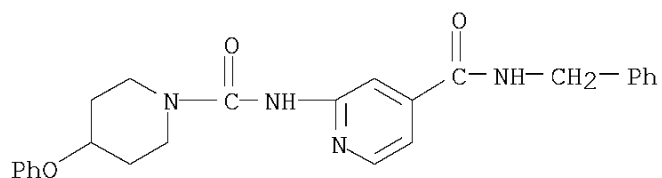
CN 1,4-Benzenedicarboxamide, N1-ethyl-2-[[4-phenoxy-1-piperidinyl]carbonyl]amino]-N4-(phenylmethyl)- (CA INDEX NAME)



RN 1067662-58-1 CAPLUS

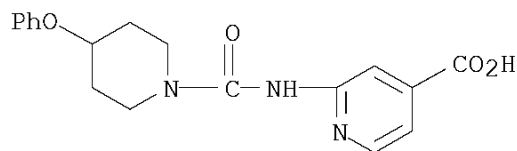
CN 4-Pyridinecarboxamide, 2-[[4-phenoxy-1-piperidinyl]carbonyl]amino]-N-

(phenylmethyl)- (CA INDEX NAME)



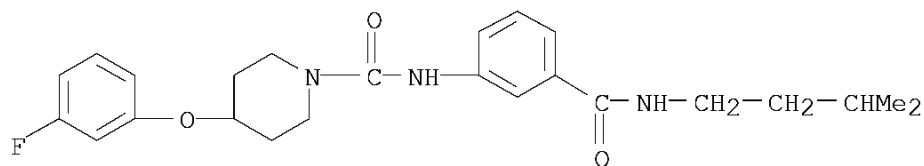
RN 1067662-59-2 CAPLUS

CN 4-Pyridinecarboxylic acid, 2-[[[(4-phenoxy-1-piperidinyl)carbonyl]amino]-
(CA INDEX NAME)



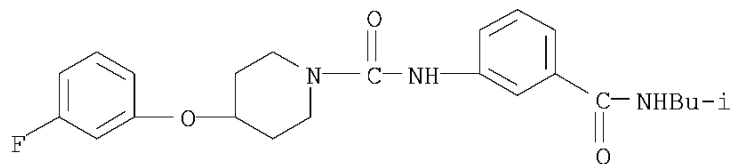
RN 1067662-60-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[3-[[(3-
methylbutyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



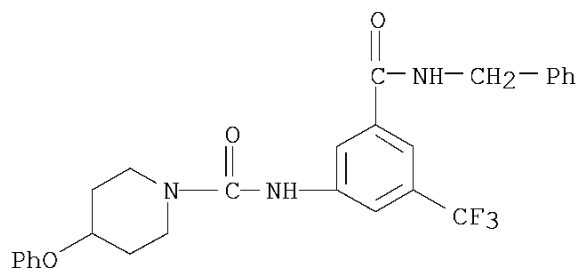
RN 1067662-61-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[3-[[(2-
methylpropyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



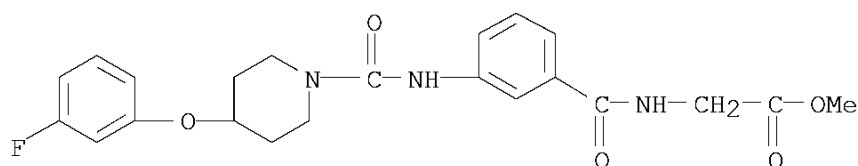
RN 1067662-62-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-phenoxy-N-[3-[[(phenylmethyl)amino]carbonyl]-5-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



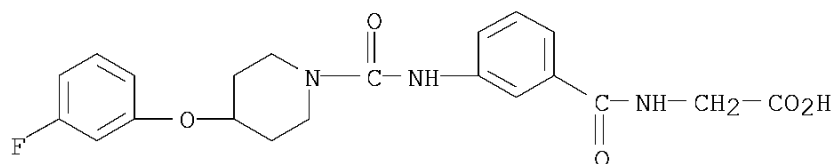
RN 1067662-63-8 CAPLUS

CN Glycine, N-[3-[[[4-(3-fluorophenoxy)-1-piperidinyl]carbonyl]amino]benzoyl]-, methyl ester (CA INDEX NAME)



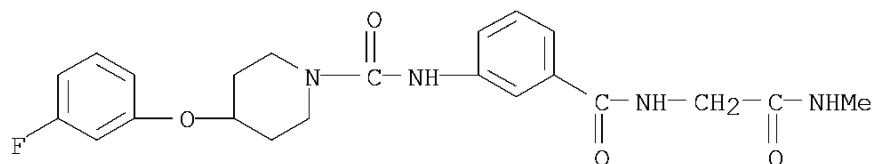
RN 1067662-64-9 CAPLUS

CN Glycine, N-[3-[[[4-(3-fluorophenoxy)-1-piperidinyl]carbonyl]amino]benzoyl]- (CA INDEX NAME)



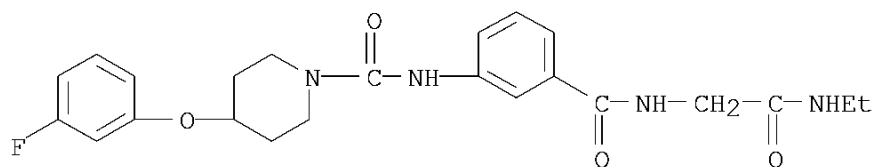
RN 1067662-65-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[3-[[[2-(methylamino)-2-oxoethyl]amino]carbonyl]phenyl]- (CA INDEX NAME)



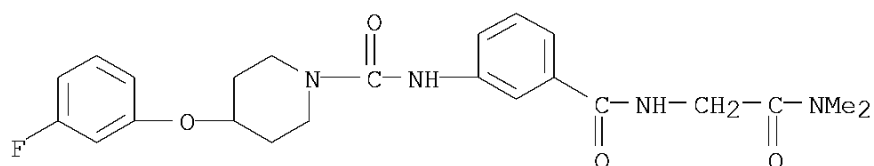
RN 1067662-66-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-[[[2-(ethylamino)-2-oxoethyl]amino]carbonyl]phenyl]-4-(3-fluorophenoxy)- (CA INDEX NAME)



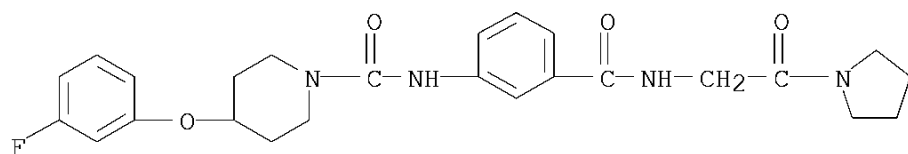
RN 1067662-67-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-[[[2-(dimethylamino)-2-oxoethyl]amino]carbonyl]phenyl]-4-(3-fluorophenoxy)- (CA INDEX NAME)



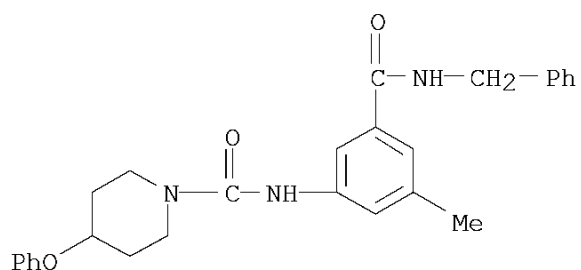
RN 1067662-68-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[3-[[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]carbonyl]phenyl]- (CA INDEX NAME)



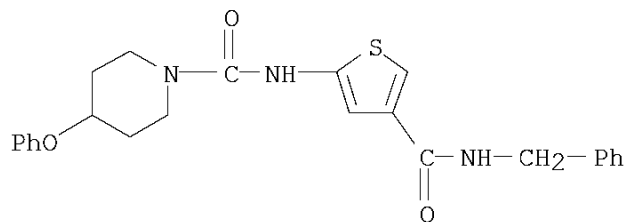
RN 1067662-69-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-methyl-5-[[[(phenylmethyl)amino]carbonyl]phenyl]-4-phenoxy)- (CA INDEX NAME)

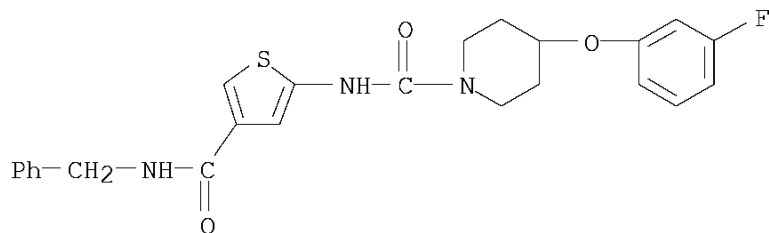


RN 1067662-74-1 CAPLUS

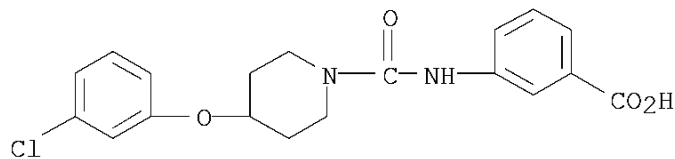
CN 1-Piperidinecarboxamide, 4-phenoxy-N-[4-[[[(phenylmethyl)amino]carbonyl]-2-thienyl]- (CA INDEX NAME)



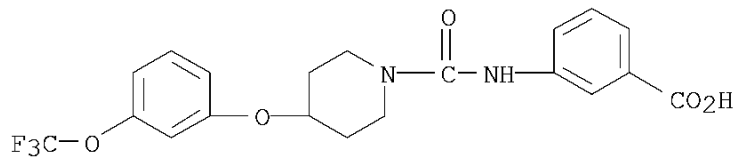
RN 1067662-75-2 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[4-
 [[(phenylmethyl)amino]carbonyl]-2-thienyl]- (CA INDEX NAME)



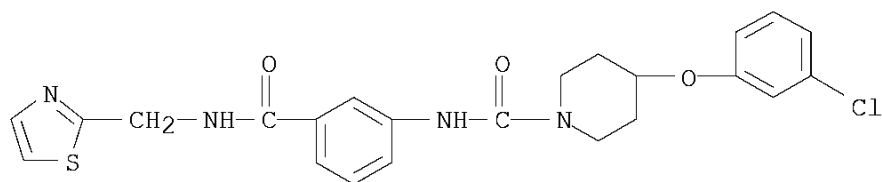
RN 1067662-76-3 CAPLUS
 CN Benzoic acid, 3-[[[4-(3-chlorophenoxy)-1-piperidinyl]carbonyl]amino]- (CA
 INDEX NAME)



RN 1067662-77-4 CAPLUS
 CN Benzoic acid, 3-[[[4-[3-(trifluoromethoxy)phenoxy]-1-
 piperidinyl]carbonyl]amino]- (CA INDEX NAME)

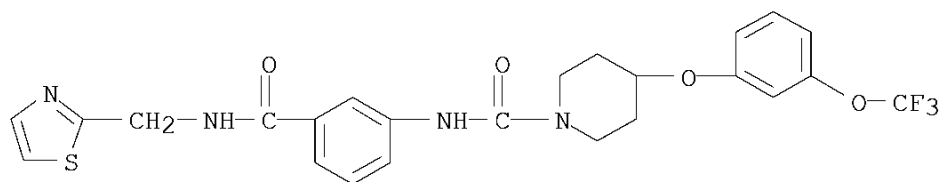


RN 1067662-78-5 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3-chlorophenoxy)-N-[3-[[2-
 thiazolylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



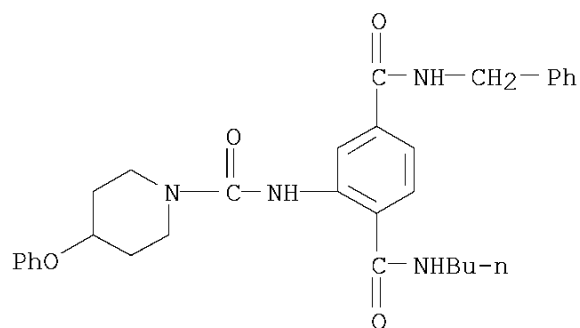
RN 1067662-79-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-[(2-thiazolylmethyl)amino]carbonyl]phenyl]-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



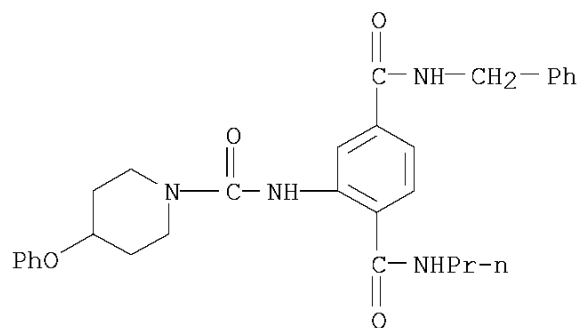
RN 1067662-80-9 CAPLUS

CN 1,4-Benzenedicarboxamide, N1-butyl-2-[[4-phenoxy-1-piperidinyl]carbonyl]amino]-N4-(phenylmethyl)- (CA INDEX NAME)

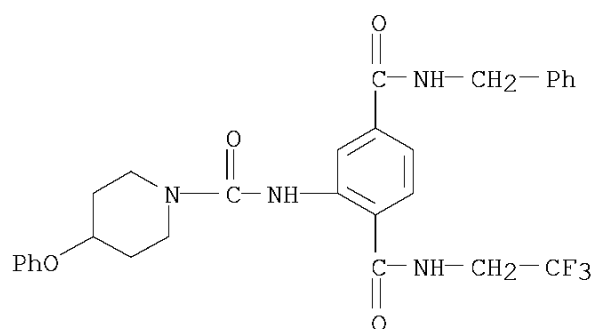


RN 1067662-81-0 CAPLUS

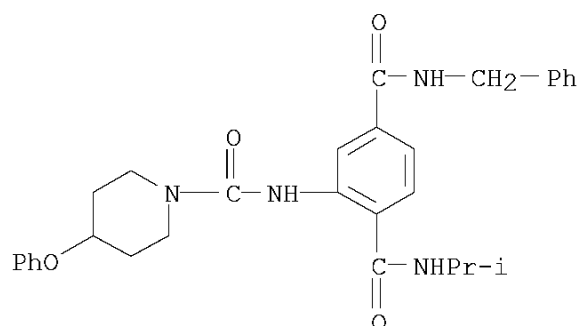
CN 1,4-Benzenedicarboxamide, 2-[[4-phenoxy-1-piperidinyl]carbonyl]amino]-N4-(phenylmethyl)-N1-propyl- (CA INDEX NAME)



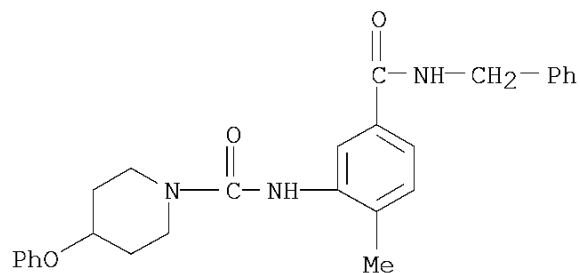
RN 1067662-83-2 CAPLUS
 CN 1,4-Benzenedicarboxamide, 2-[[(4-phenoxy-1-piperidinyl)carbonyl]amino]-N4-(phenylmethyl)-N1-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



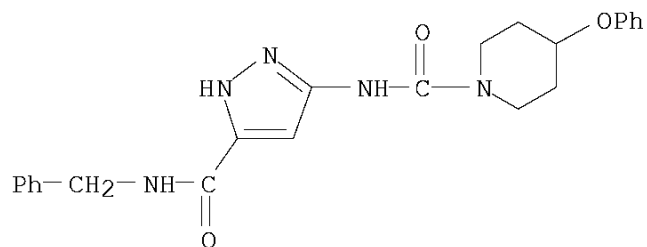
RN 1067662-84-3 CAPLUS
 CN 1,4-Benzenedicarboxamide, N1-(1-methylethyl)-2-[[(4-phenoxy-1-piperidinyl)carbonyl]amino]-N4-(phenylmethyl)- (CA INDEX NAME)



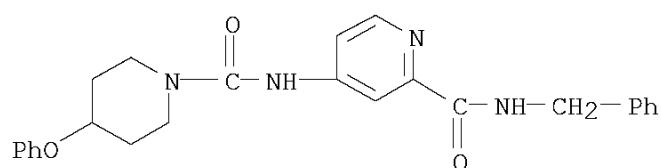
RN 1067662-86-5 CAPLUS
 CN 1-Piperidinecarboxamide, N-[2-methyl-5-[[(phenylmethyl)amino]carbonyl]phenyl]-4-phenoxy- (CA INDEX NAME)



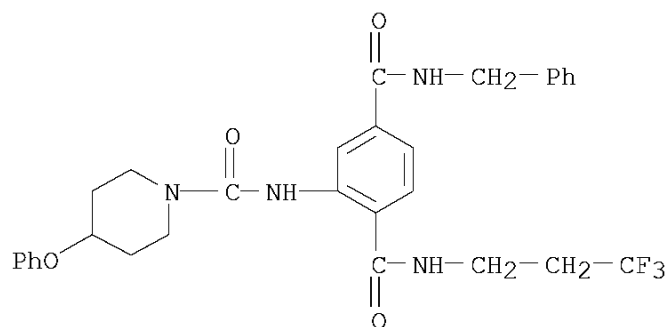
RN 1067662-88-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-phenoxy-N-[5-[[(phenylmethyl)amino]carbonyl]-1H-pyrazol-3-yl]- (CA INDEX NAME)



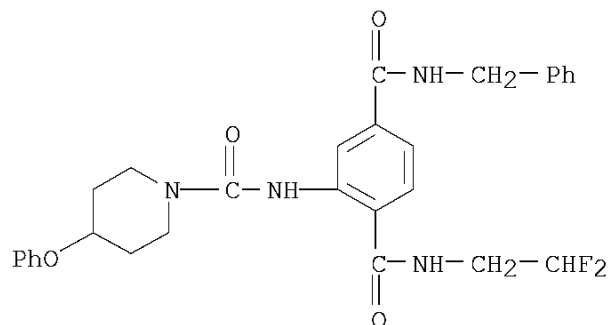
RN 1067662-89-8 CAPLUS
 CN 2-Pyridinecarboxamide, 4-[[(4-phenoxy-1-piperidinyl)carbonyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)



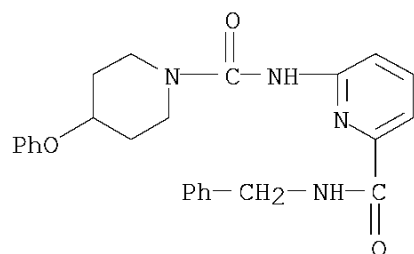
RN 1067662-90-1 CAPLUS
 CN 1,4-Benzenedicarboxamide, 2-[[(4-phenoxy-1-piperidinyl)carbonyl]amino]-N4-(phenylmethyl)-N1-(3,3,3-trifluoropropyl)- (CA INDEX NAME)



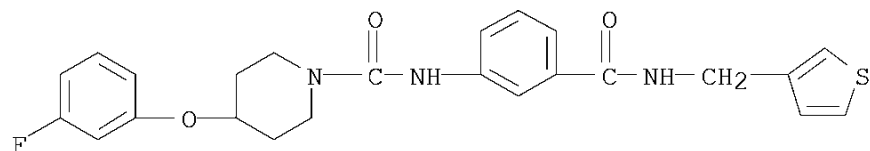
RN 1067662-91-2 CAPLUS
 CN 1,4-Benzenedicarboxamide, N1-(2,2-difluoroethyl)-2-[[(4-phenoxy-1-piperidinyl)carbonyl]amino]-N4-(phenylmethyl)- (CA INDEX NAME)



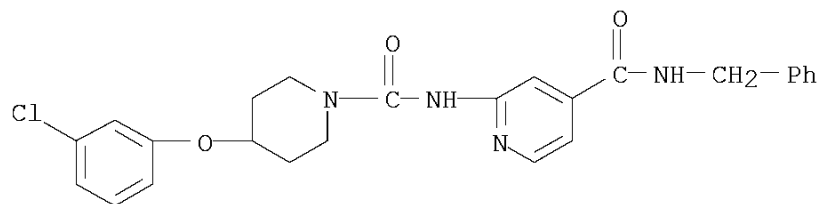
RN 1067662-92-3 CAPLUS
 CN 2-Pyridinecarboxamide, 6-[[(4-phenoxy-1-piperidinyl) carbonyl] amino] -N-(phenylmethyl)- (CA INDEX NAME)



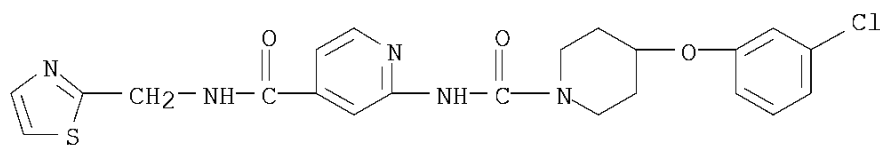
RN 1067662-94-5 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy) -N-[3-[[(3-thienylmethyl) amino] carbonyl] phenyl]- (CA INDEX NAME)



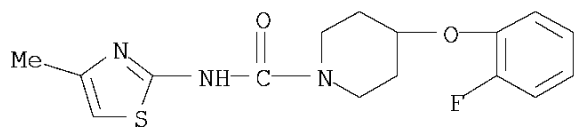
RN 1067662-95-6 CAPLUS
 CN 4-Pyridinecarboxamide, 2-[[[4-(3-chlorophenoxy) -1-piperidinyl] carbonyl] amino] -N-(phenylmethyl)- (CA INDEX NAME)



RN 1067662-96-7 CAPLUS
 CN 4-Pyridinecarboxamide, 2-[[[4-(3-chlorophenoxy) -1-piperidinyl] carbonyl] amino] -N-(2-thiazolylmethyl)- (CA INDEX NAME)

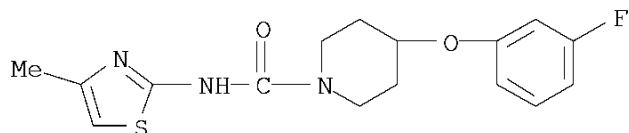


RN 1067662-97-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(2-fluorophenoxy) -N-(4-methyl-2-thiazolyl)- (CA INDEX NAME)



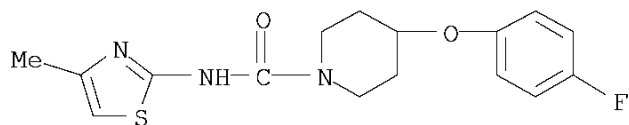
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CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-(4-methyl-2-thiazolyl)-
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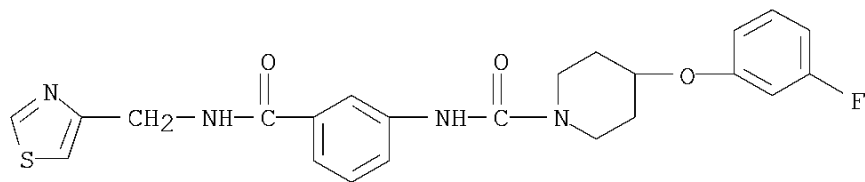
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CN 1-Piperidinecarboxamide, 4-(4-fluorophenoxy)-N-(4-methyl-2-thiazolyl)-
(CA INDEX NAME)



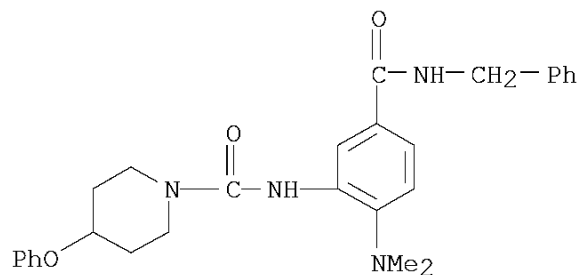
RN 1067663-01-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-[3-[[4-
thiazolylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)

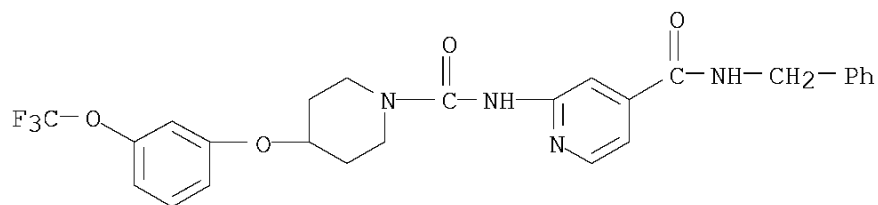


RN 1067663-04-0 CAPLUS

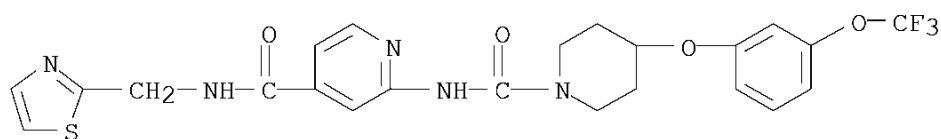
CN 1-Piperidinecarboxamide, N-[2-(dimethylamino)-5-
[[4-(thiazolylmethyl)amino]carbonyl]phenyl]-4-phenoxy-3-methyl-2-thiazolyl-
(CA INDEX NAME)



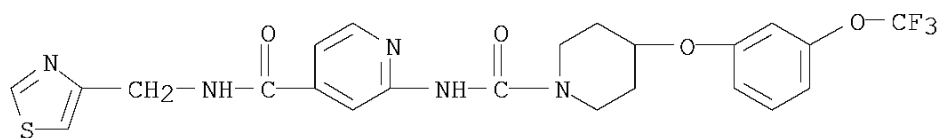
RN 1067663-09-5 CAPLUS
 CN 4-Pyridinecarboxamide, N-(phenylmethyl)-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



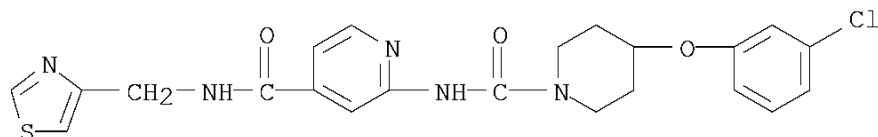
RN 1067663-10-8 CAPLUS
 CN 4-Pyridinecarboxamide, N-(2-thiazolylmethyl)-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



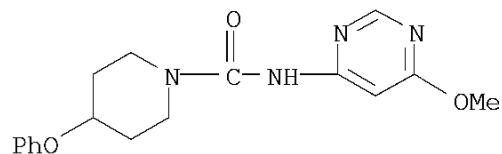
RN 1067663-12-0 CAPLUS
 CN 4-Pyridinecarboxamide, N-(4-thiazolylmethyl)-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



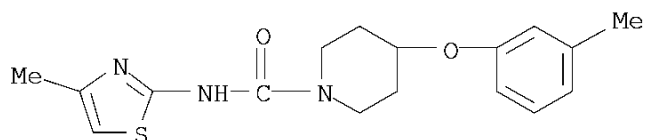
RN 1067663-13-1 CAPLUS
 CN 4-Pyridinecarboxamide, 2-[[[4-(3-chlorophenoxy)-1-piperidinyl]carbonyl]amino]-N-(4-thiazolylmethyl)- (CA INDEX NAME)



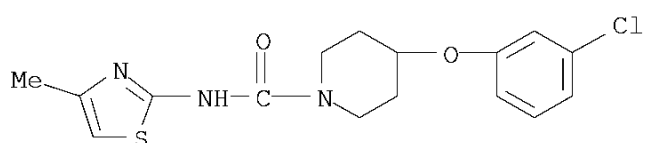
RN 1067663-14-2 CAPLUS
 CN 1-Piperidinecarboxamide, N-(6-methoxy-4-pyrimidinyl)-4-phenoxy- (CA INDEX NAME)



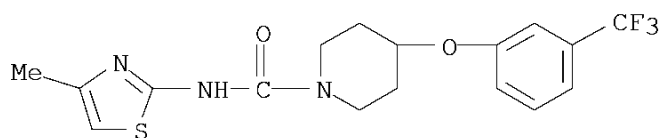
RN 1067663-23-3 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3-methylphenoxy)-N-(4-methyl-2-thiazolyl)-
 (CA INDEX NAME)



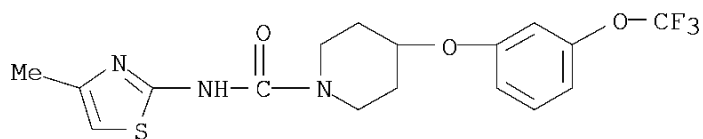
RN 1067663-25-5 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3-chlorophenoxy)-N-(4-methyl-2-thiazolyl)-
 (CA INDEX NAME)



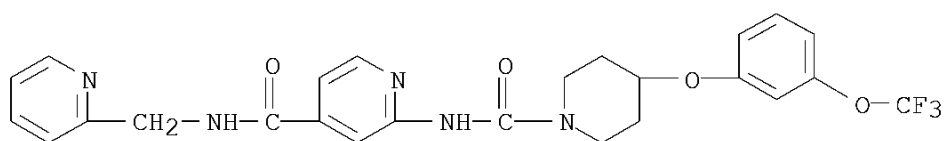
RN 1067663-26-6 CAPLUS
 CN 1-Piperidinecarboxamide, N-(4-methyl-2-thiazolyl)-4-[3-(trifluoromethyl)phenoxy]- (CA INDEX NAME)



RN 1067663-27-7 CAPLUS
 CN 1-Piperidinecarboxamide, N-(4-methyl-2-thiazolyl)-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)

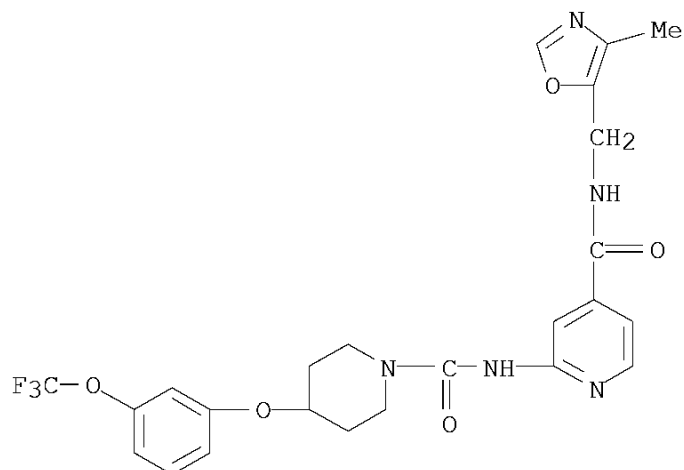


RN 1067663-28-8 CAPLUS
 CN 4-Pyridinecarboxamide, N-(2-pyridinylmethyl)-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



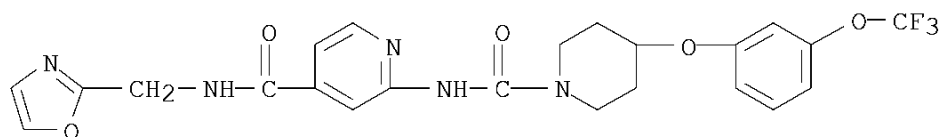
RN 1067663-29-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[(4-methyl-5-oxazolyl)methyl]-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



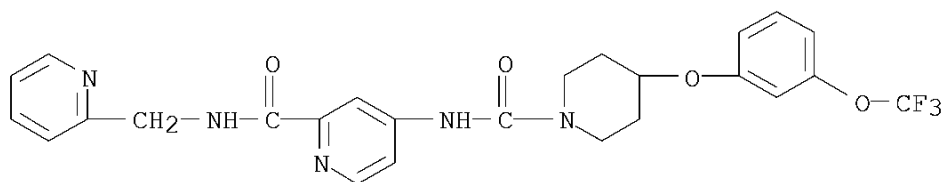
RN 1067663-35-7 CAPLUS

CN 4-Pyridinecarboxamide, N-(2-oxazolylmethyl)-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



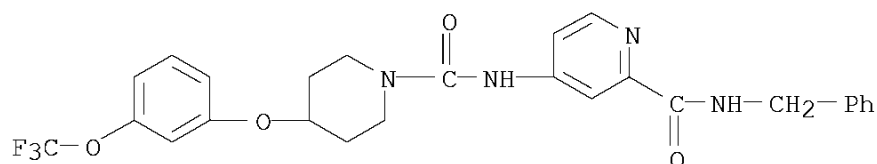
RN 1067663-39-1 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-pyridinylmethyl)-4-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)

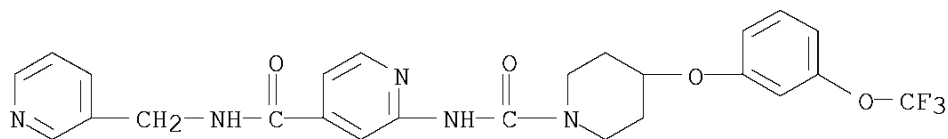


RN 1067663-40-4 CAPLUS

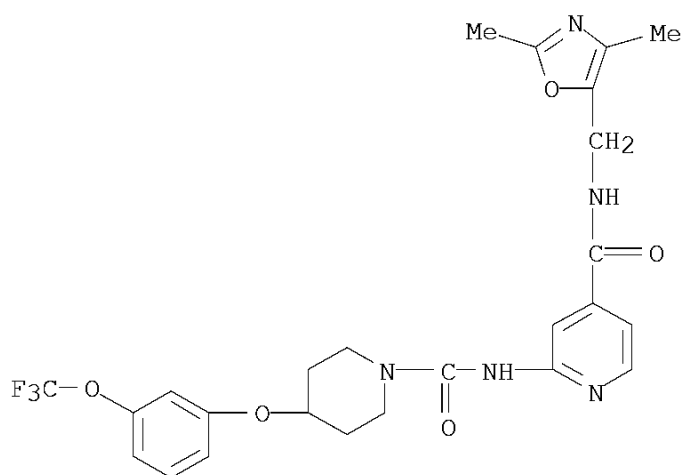
CN 2-Pyridinecarboxamide, N-(phenylmethyl)-4-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



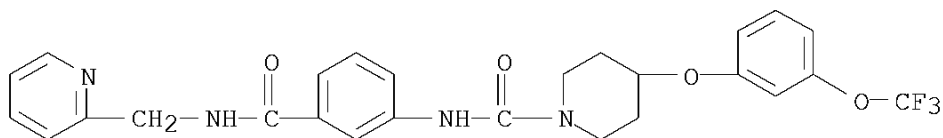
RN 1067663-41-5 CAPLUS
 CN 4-Pyridinecarboxamide, N-(3-pyridinylmethyl)-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidiny]carbonyl]amino]- (CA INDEX NAME)



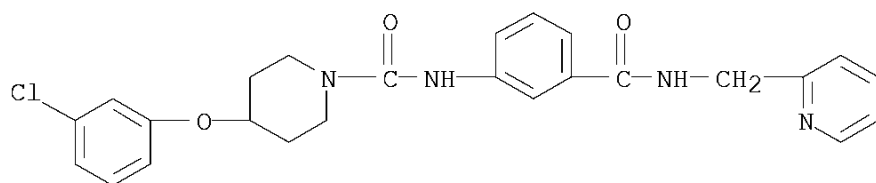
RN 1067663-42-6 CAPLUS
 CN 4-Pyridinecarboxamide, N-[(2,4-dimethyl-5-oxazolyl)methyl]-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidiny]carbonyl]amino]- (CA INDEX NAME)



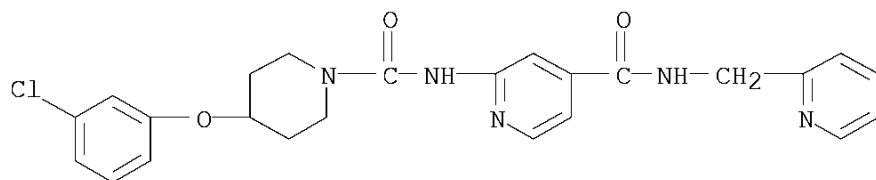
RN 1067663-43-7 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-[(2-pyridinylmethyl)amino]carbonyl]phenyl]-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



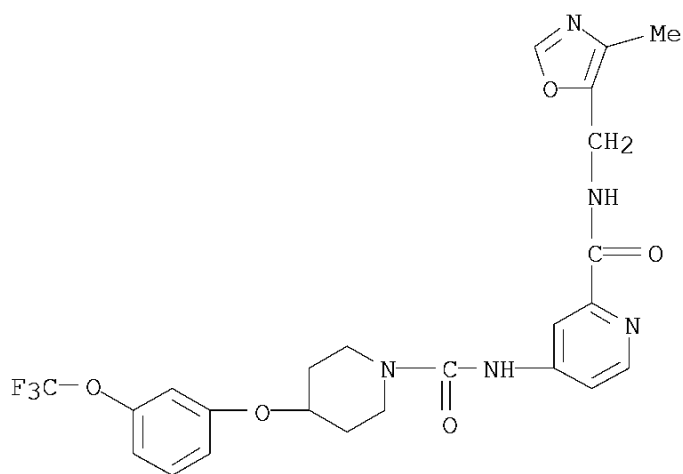
RN 1067663-44-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3-chlorophenoxy)-N-[3-[(2-pyridinylmethyl)amino]carbonyl]phenyl]- (CA INDEX NAME)



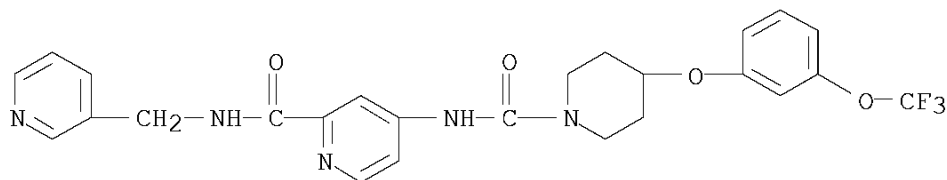
RN 1067663-45-9 CAPLUS
 CN 4-Pyridinecarboxamide, 2-[[[4-(3-chlorophenoxy)-1-piperidinyl]carbonyl]amino]-N-(2-pyridinylmethyl)- (CA INDEX NAME)



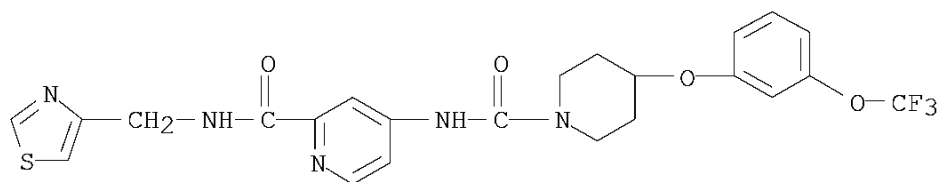
RN 1067663-55-1 CAPLUS
 CN 2-Pyridinecarboxamide, N-[(4-methyl-5-oxazolyl)methyl]-4-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



RN 1067663-56-2 CAPLUS
 CN 2-Pyridinecarboxamide, N-(3-pyridinylmethyl)-4-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)

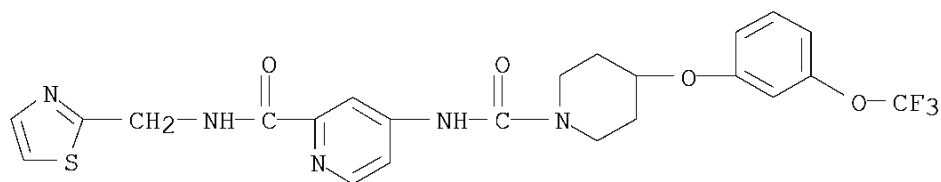


RN 1067663-57-3 CAPLUS
 CN 2-Pyridinecarboxamide, N-(4-thiazolylmethyl)-4-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



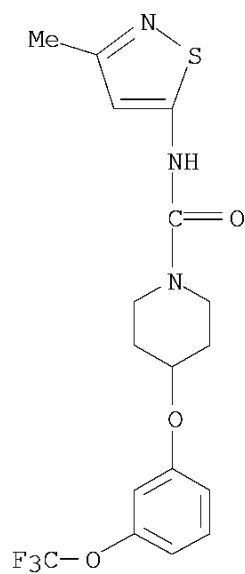
RN 1067663-58-4 CAPLUS

CN 2-Pyridinecarboxamide, N-(2-thiazolylmethyl)-4-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



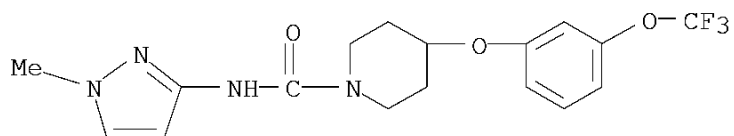
RN 1067663-59-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-methyl-5-isothiazolyl)-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



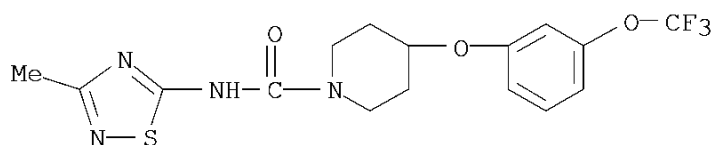
RN 1067663-60-8 CAPLUS

CN 1-Piperidinecarboxamide, N-(1-methyl-1H-pyrazol-3-yl)-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



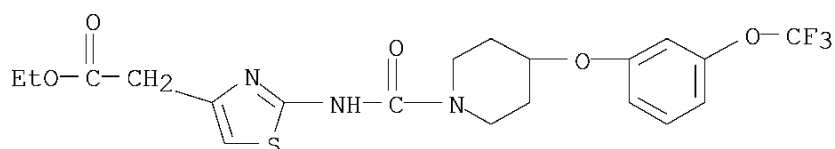
RN 1067663-77-7 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-methyl-1,2,4-thiadiazol-5-yl)-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



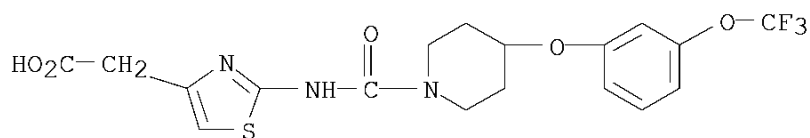
RN 1067663-79-9 CAPLUS

CN 4-Thiazoleacetic acid, 2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



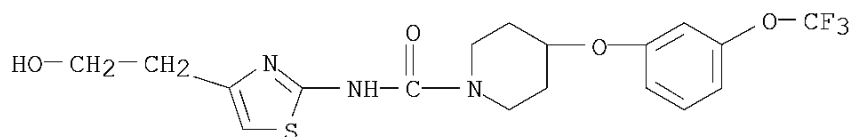
RN 1067663-80-2 CAPLUS

CN 4-Thiazoleacetic acid, 2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



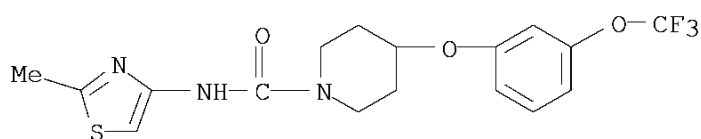
RN 1067663-82-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-(2-hydroxyethyl)-2-thiazolyl]-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



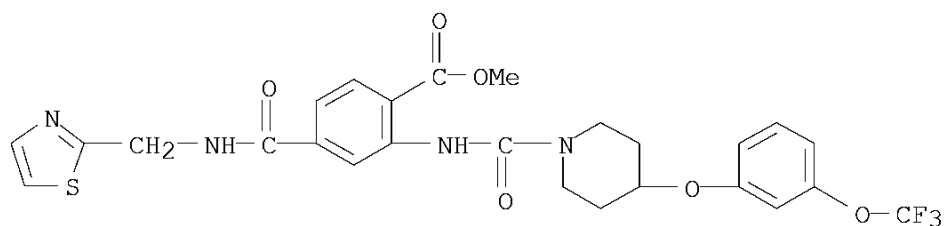
RN 1067663-83-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(2-methyl-4-thiazolyl)-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



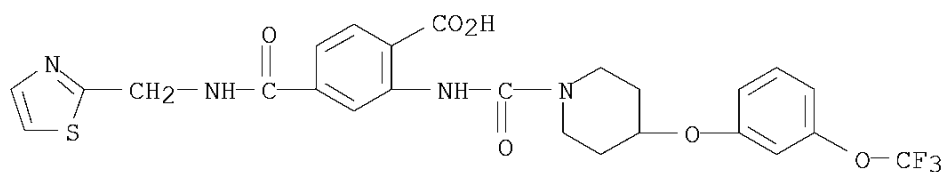
RN 1067663-94-8 CAPLUS

CN Benzoic acid, 4-[[(2-thiazolylmethyl)amino]carbonyl]-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]-, methyl ester
(CA INDEX NAME)



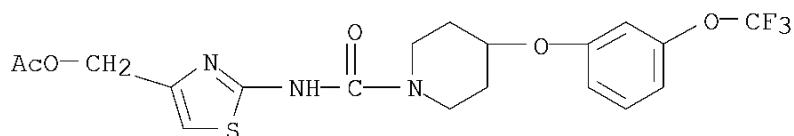
RN 1067663-95-9 CAPLUS

CN Benzoic acid, 4-[[(2-thiazolylmethyl)amino]carbonyl]-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



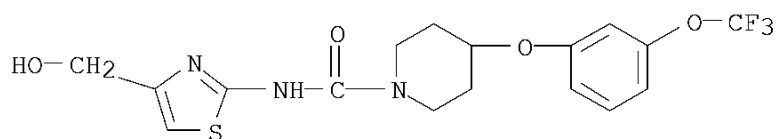
RN 1067664-03-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[(acetyloxy)methyl]-2-thiazolyl]-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



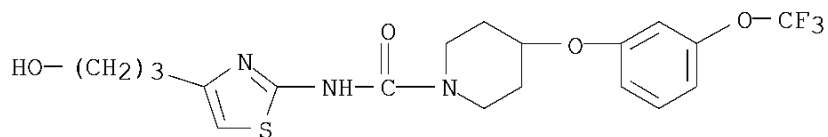
RN 1067664-04-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-(hydroxymethyl)-2-thiazolyl]-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



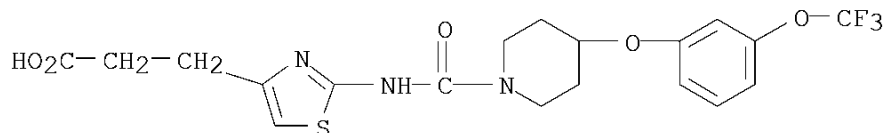
RN 1067664-06-5 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-(3-hydroxypropyl)-2-thiazolyl]-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



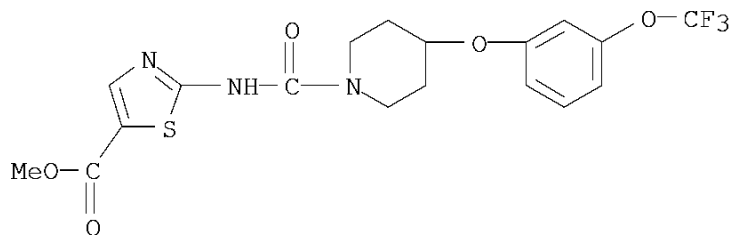
RN 1067664-08-7 CAPLUS

CN 4-Thiazolepropanoic acid, 2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



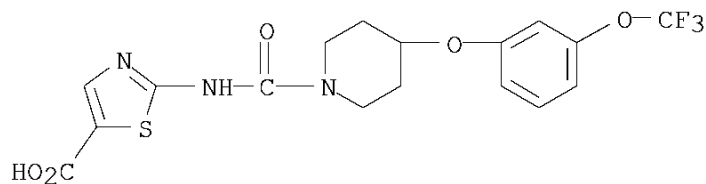
RN 1067664-09-8 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)



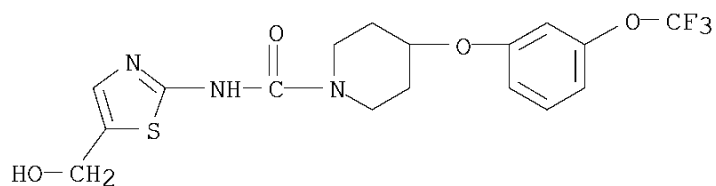
RN 1067664-10-1 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)

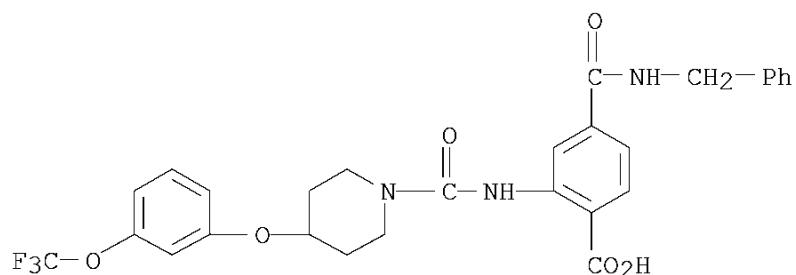


RN 1067664-21-4 CAPLUS

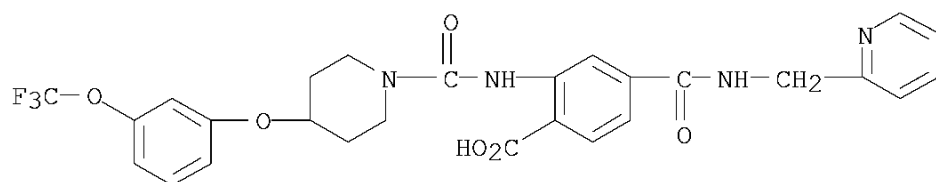
CN 1-Piperidinecarboxamide, N-[5-(hydroxymethyl)-2-thiazolyl]-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



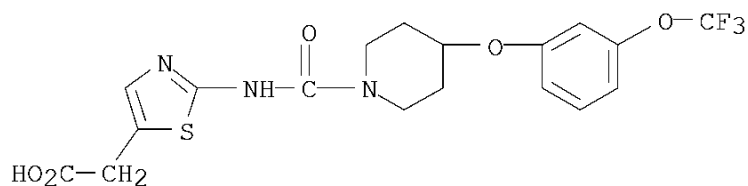
RN 1067664-23-6 CAPLUS
 CN Benzoic acid, 4-[[(phenylmethyl) amino]carbonyl]-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



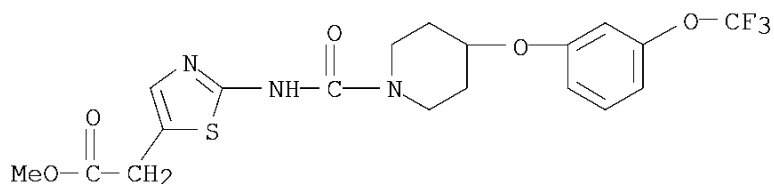
RN 1067664-24-7 CAPLUS
 CN Benzoic acid, 4-[[(2-pyridinylmethyl) amino]carbonyl]-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



RN 1067664-30-5 CAPLUS
 CN 5-Thiazoleacetic acid, 2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)

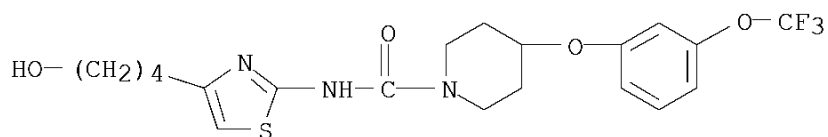


RN 1067664-31-6 CAPLUS
 CN 5-Thiazoleacetic acid, 2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)



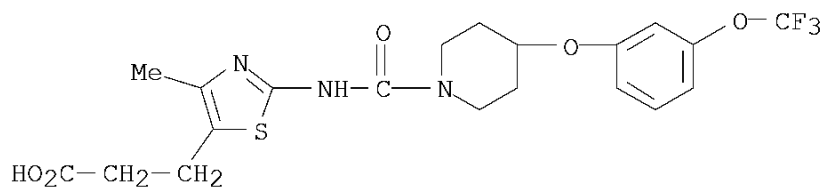
RN 1067664-35-0 CAPLUS
 CN 1-Piperidinecarboxamide, N-[4-(4-hydroxybutyl)-2-thiazolyl]-4-[3-

(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



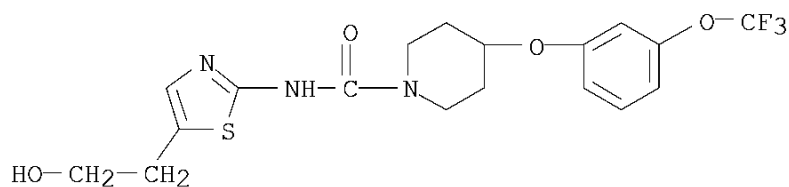
RN 1067664-48-5 CAPLUS

CN 5-Thiazolepropanoic acid, 4-methyl-2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



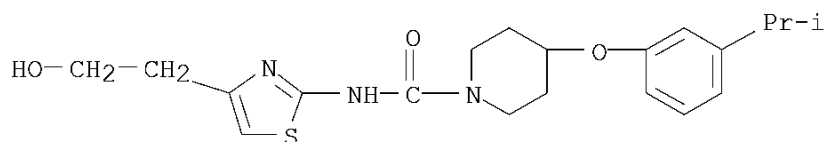
RN 1067664-53-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[5-(2-hydroxyethyl)-2-thiazolyl]-4-[3-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)



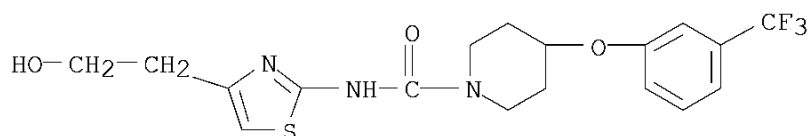
RN 1067664-68-9 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-(2-hydroxyethyl)-2-thiazolyl]-4-[3-(1-methylethyl)phenoxy]- (CA INDEX NAME)

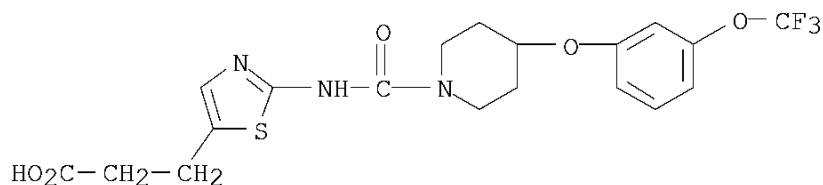


RN 1067664-70-3 CAPLUS

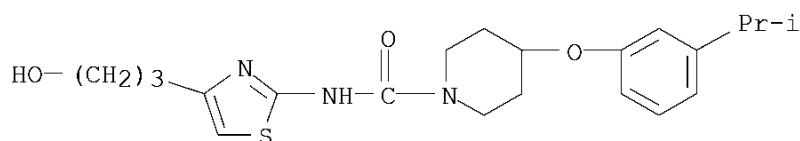
CN 1-Piperidinecarboxamide, N-[4-(2-hydroxyethyl)-2-thiazolyl]-4-[3-(trifluoromethyl)phenoxy]- (CA INDEX NAME)



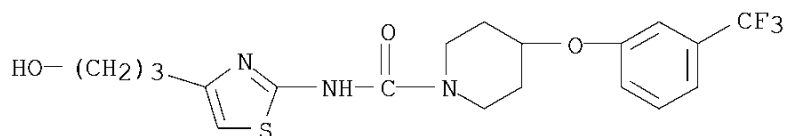
RN 1067664-77-0 CAPLUS
 CN 5-Thiazolepropanoic acid, 2-[[[4-[3-(trifluoromethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



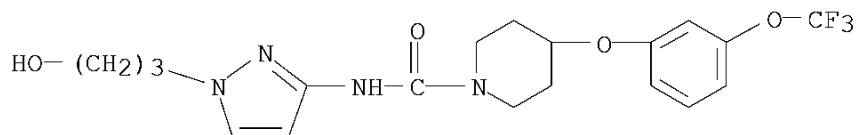
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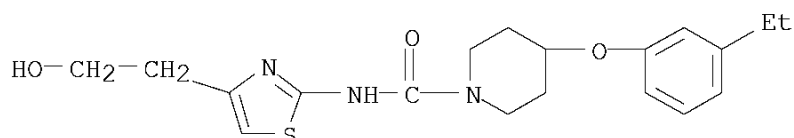
RN 1067664-81-6 CAPLUS
 CN 1-Piperidinecarboxamide, N-[4-(3-hydroxypropyl)-2-thiazolyl]-4-[3-(trifluoromethyl)phenoxy]- (CA INDEX NAME)



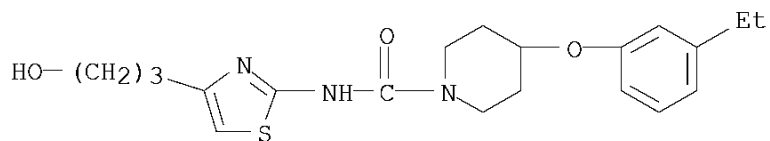
RN 1067664-82-7 CAPLUS
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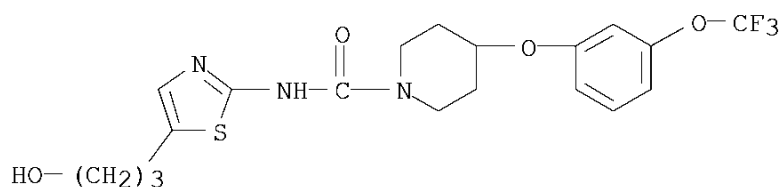
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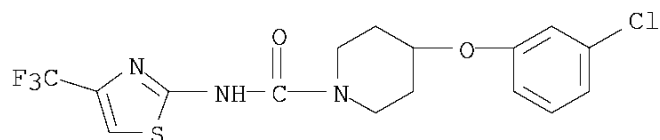
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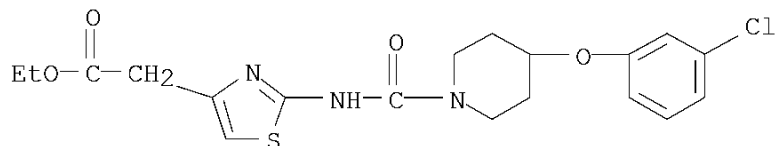
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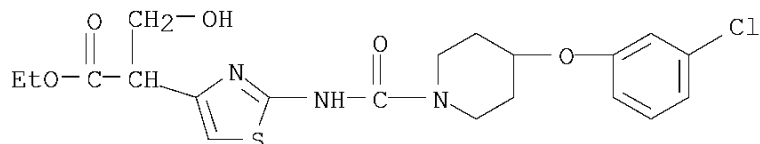
RN 1067664-90-7 CAPLUS
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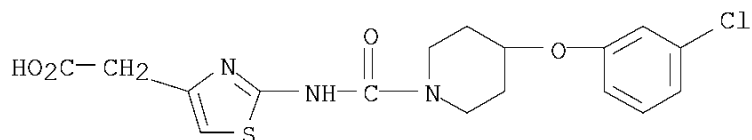
RN 1067664-91-8 CAPLUS
 CN 4-Thiazoleacetic acid, 2-[[[4-(3-chlorophenoxy)-1-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



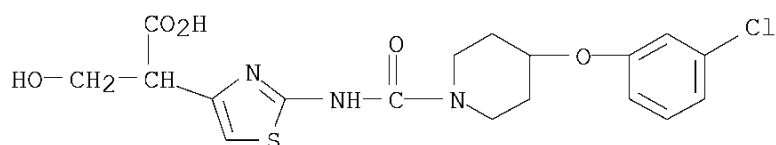
RN 1067664-92-9 CAPLUS
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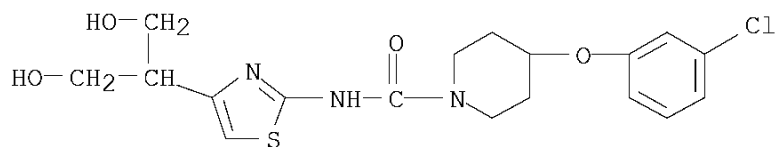
RN 1067664-93-0 CAPLUS
CN 4-Thiazoleacetic acid, 2-[[[4-(3-chlorophenoxy)-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



RN 1067664-94-1 CAPLUS
CN 4-Thiazoleacetic acid, 2-[[[4-(3-chlorophenoxy)-1-piperidinyl]carbonyl]amino]- α -(hydroxymethyl)- (CA INDEX NAME)



RN 1067664-95-2 CAPLUS
CN 1-Piperidinecarboxamide, 4-(3-chlorophenoxy)-N-[4-[2-hydroxy-1-(hydroxymethyl)ethyl]-2-thiazolyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1043561 CAPLUS

DOCUMENT NUMBER: 149:332350

TITLE: Preparation of pyridine or pyrimidine derivatives as
antitumor agents having excellent cell growth
inhibition effect and excellent antitumor effect on
cell strain having amplification of HGFR gene

INVENTOR(S): Obaishi, Hiroshi; Nakagawa, Takayuki; Matsushima,
Tomohiro; Funasaka, Setsuo; Shirotori, Shuji;
Takahashi, Keiko

PATENT ASSIGNEE(S): Eisai R & D Management Co., Ltd., Japan

SOURCE: PCT Int. Appl., 186pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

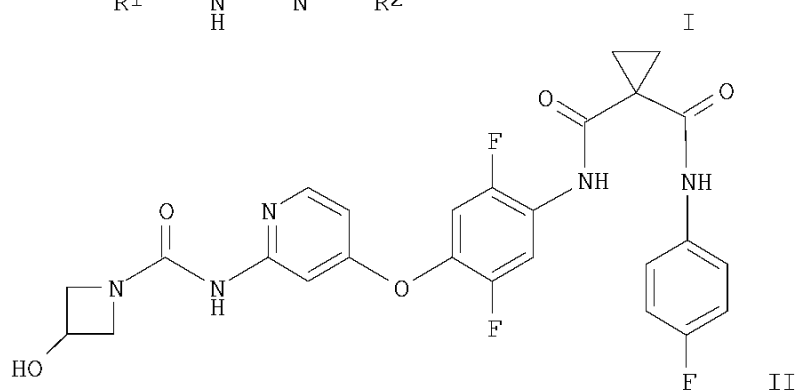
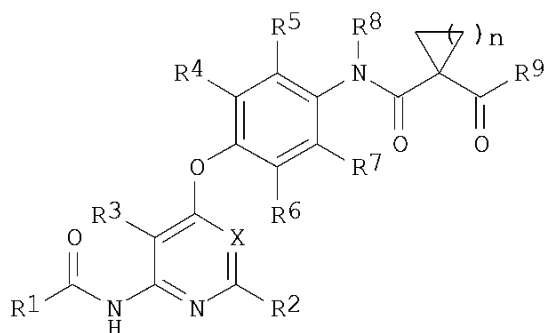
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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AU 2008217931 A1 20080828 AU 2008-217931 20080222
CA 2679602 A1 20080828 CA 2008-2679602 20080222
KR 2009090365 A 20090825 KR 2009-713723 20080222
EP 2119706 A1 20091118 EP 2008-711837 20080222
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CN 101605761 A 20091216 CN 2008-80004511 20090807
IN 2009CN05625 A 20091211 IN 2009-CN5625 20090923
PRIORITY APPLN. INFO.: JP 2007-44424 A 20070223
WO 2008-JP53066 W 20080222
OTHER SOURCE(S): MARPAT 149:332350
GI



AB The title compds. [I; R1, R9 = each (un)substituted 3- to 10-membered non-aromatic heterocyclic group containing a N atom through which the group is bonded or NH2; R2, R3 = H; R4, R5, R6, R7 = H, halo, HO, cyano, CF3, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, NH2, mono- or di(C1-6 alkyl)amino, COR12; R12 = H, HO, C1-6 alkyl, C1-6 alkoxy, NH2, mono- or di(C1-6 alkyl)amino; R8 = H, C1-6 alkyl; n = 1, 2; X = (un)substituted CH, N] or salts or solvates thereof were prepared These compds. has excellent

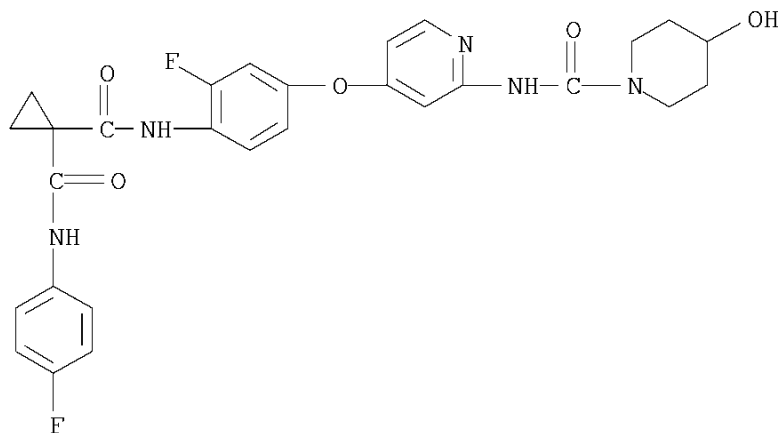
inhibitory activity on hepatocyte growth factor receptors (HGFR) and also has a potent cell growth inhibition effect and a potent anti-tumor effect on a cancer cell strain having the amplification of HGFR gene. There is also disclosed a method for predicting an antitumor effect of the pyridine or pyrimidine derivative I including (1) a step of measuring the expression of HGFR in tumor cell and (2) judging the effectiveness of the pyridine or pyrimidine derivative I against the tumor cell based on the expression of HGFR. Thus, a solution of 100 mg N-[4-[(2-aminopyridin-4-yl)oxy]-2,5-difluorophenyl]-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide in 1 mL THF was sequentially treated dropwise with 0.0630 mL Et3N and 0.0624 mL Ph chloroformate at 0° and stirred for 30 min to give, after workup, an intermediate. The intermediate was dissolved in 1.0 mL DMF, treated with 99.0 mg 3-hydroxyazetidine hydrochloride and 0.315 mL Et3N at room temperature, and stirred for .apprx.22 h to give, after workup and silica gel chromatog.. 58% N-[2,5-Difluoro-4-[(2-[(3-hydroxyazetidin-1-yl)carbonyl]amino)pyridin-4-yl)oxy]phenyl]-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide (II). II inhibited HGFR tyrosine kinase with IC50 of 0.004 µM. II also inhibited the proliferation of C-Met amplification cell lines MKN-45, SNU-5, and EBC-1 with IC50 of 0.0060, 0.0060, and 0.0064 µM, resp., compared to that of C-Met non-amplification cell lines MKN-74, SNU-1, and A549 with IC50 of 3.0, 2.0, and 1.9 µM, resp.

IT 928037-79-0P, N-[2-Fluoro-4-[[2-[[[4-hydroxypiperidin-1-yl)carbonyl]amino]pyridin-4-yl]oxy]phenyl]-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide 928037-81-4P 928038-02-2P,
N-[2,5-Difluoro-4-[[2-[[[4-hydroxypiperidin-1-yl)carbonyl]amino]pyridin-4-yl]oxy]phenyl]-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridine or pyrimidine derivs. as antitumor agents having excellent cell growth inhibition effect and excellent antitumor effect on cell strain having amplification of HGFR gene)

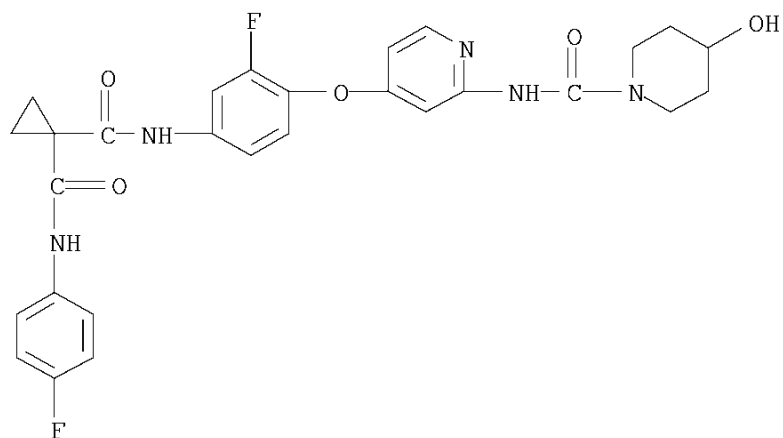
RN 928037-79-0 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2-fluoro-4-[[2-[[[4-hydroxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-
(CA INDEX NAME)



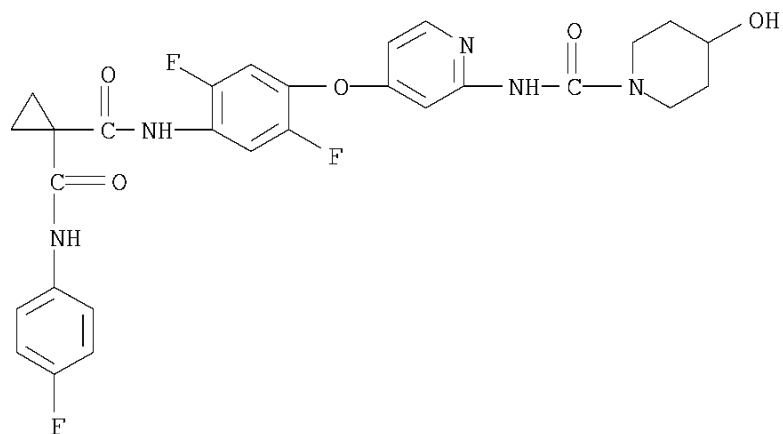
RN 928037-81-4 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[3-fluoro-4-[[2-[[[4-hydroxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-
(CA INDEX NAME)



RN 928038-02-2 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2,5-difluoro-4-[[2-[[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-
(CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:903967 CAPLUS

DOCUMENT NUMBER: 149:369633

TITLE: Discovery of piperidine-aryl urea-based stearyl-CoA desaturase 1 inhibitors

AUTHOR(S): Xin, Zhili; Zhao, Hongyu; Serby, Michael D.; Liu, Bo; Liu, Mei; Szczepankiewicz, Bruce G.; Nelson, Lissa T. J.; Smith, Harriet T.; Suhar, Tom S.; Janis, Rich S.; Cao, Ning; Camp, Heidi S.; Collins, Christine A.; Sham, Hing L.; Surowy, Teresa K.; Liu, Gang

CORPORATE SOURCE: Global Pharmaceutical Research and Development, Abbott Laboratories, Abbott Park, IL, 60064, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2008), 18(15), 4298-4302

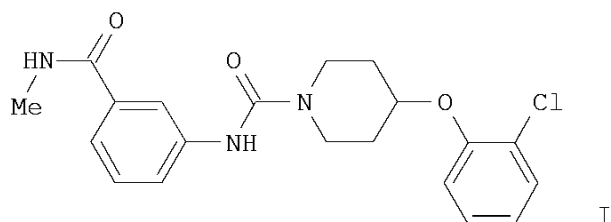
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:369633
GI



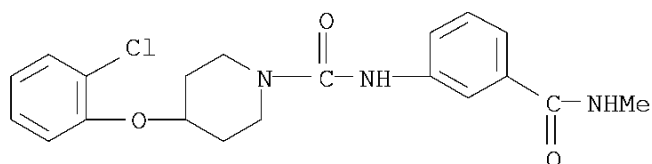
AB A series of structurally novel stearyl-Co-A desaturase 1 (SCD1) inhibitors has been identified via mol. scaffold manipulation. Preliminary structure-activity relationship (SAR) studies led to the discovery of potent, and orally bioavailable piperidine-aryl urea-based SCD1 inhibitors. 4-(2-Chlorophenoxy)-N-[3-(Me carbamoyl)phenyl]piperidine-1-carboxamide (I) exhibited robust in vivo activity with dose-dependent desatn. index lowering effects.

IT 1032229-33-6P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(discovery of piperidine-aryl urea-based stearyl-CoA desaturase 1 inhibitors)

RN 1032229-33-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-chlorophenoxy)-N-[3-[(methylamino)carbonyl]phenyl]- (CA INDEX NAME)

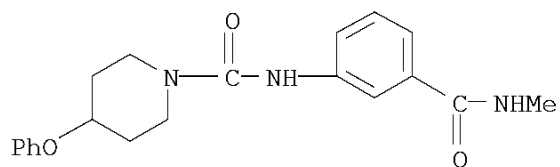


IT	1058702-67-2P	1058702-68-3P	1058702-69-4P
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	1058702-76-3P	1058702-77-4P	1058702-78-5P
	1058702-79-6P	1058702-80-9P	1058702-81-0P
	1058702-82-1P		

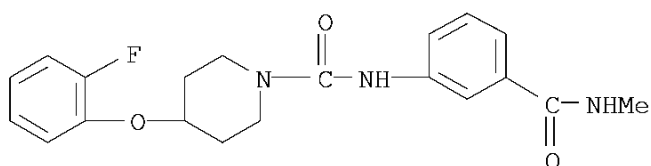
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(discovery of piperidine-aryl urea-based stearyl-CoA desaturase 1 inhibitors)

RN 1058702-67-2 CAPLUS

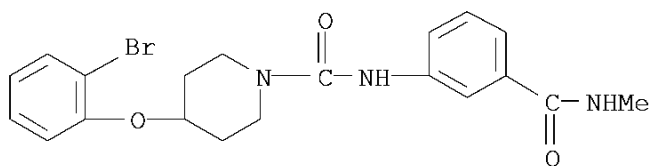
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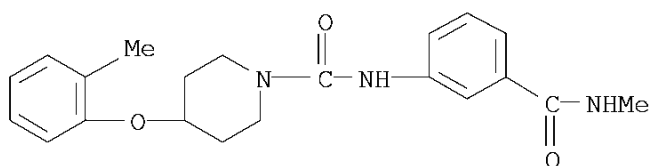
RN 1058702-68-3 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(2-fluorophenoxy)-N-[3-[(methylamino)carbonyl]phenyl]- (CA INDEX NAME)



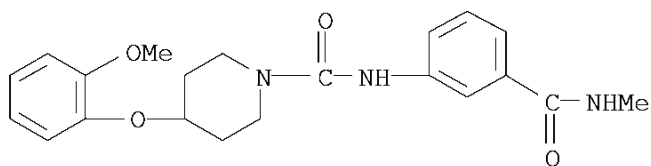
RN 1058702-69-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(2-bromophenoxy)-N-[3-[(methylamino)carbonyl]phenyl]- (CA INDEX NAME)



RN 1058702-70-7 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-[(methylamino)carbonyl]phenyl]-4-(2-methylphenoxy)- (CA INDEX NAME)

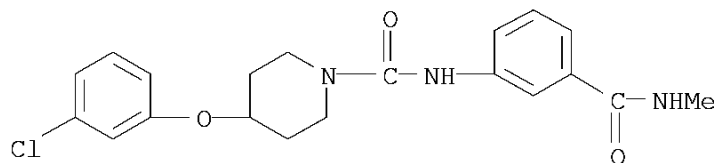


RN 1058702-71-8 CAPLUS
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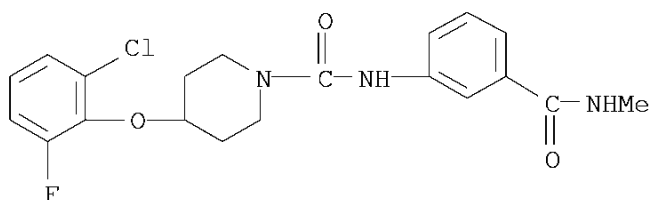
RN 1058702-72-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-chlorophenoxy)-N-[3-
[(methylamino)carbonyl]phenyl]- (CA INDEX NAME)



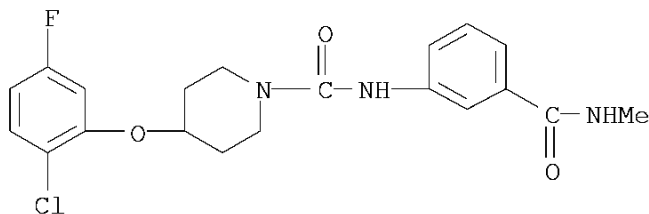
RN 1058702-73-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-chloro-6-fluorophenoxy)-N-[3-
[(methylamino)carbonyl]phenyl]- (CA INDEX NAME)



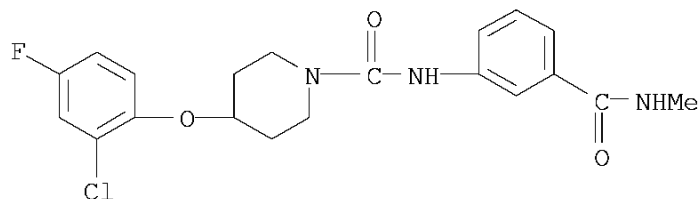
RN 1058702-74-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-chloro-5-fluorophenoxy)-N-[3-
[(methylamino)carbonyl]phenyl]- (CA INDEX NAME)



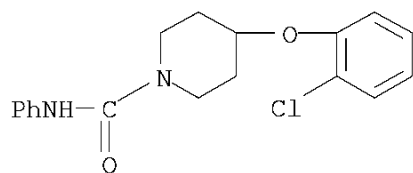
RN 1058702-75-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-chloro-4-fluorophenoxy)-N-[3-
[(methylamino)carbonyl]phenyl]- (CA INDEX NAME)



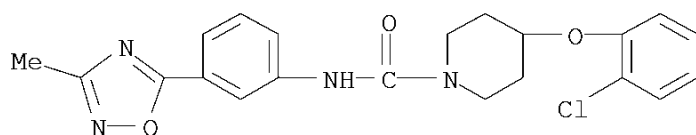
RN 1058702-76-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-chlorophenoxy)-N-phenyl- (CA INDEX NAME)



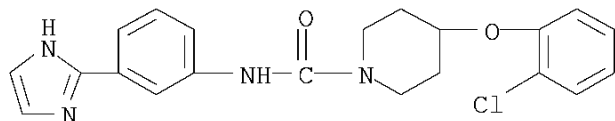
RN 1058702-77-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-chlorophenoxy)-N-[3-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl]- (CA INDEX NAME)



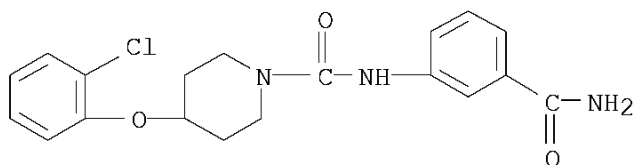
RN 1058702-78-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-chlorophenoxy)-N-[3-(1H-imidazol-2-yl)phenyl]- (CA INDEX NAME)



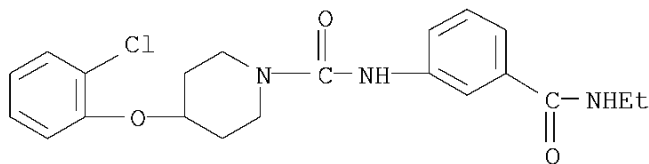
RN 1058702-79-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(aminocarbonyl)phenyl]-4-(2-chlorophenoxy)- (CA INDEX NAME)



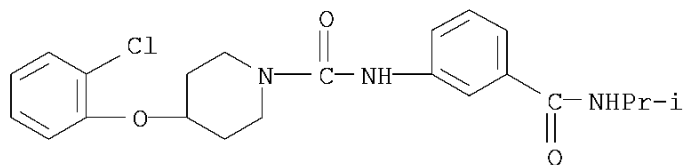
RN 1058702-80-9 CAPLUS

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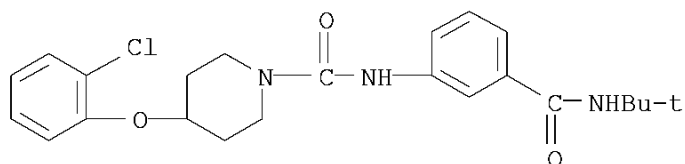
RN 1058702-81-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-chlorophenoxy)-N-[3-[[1-methylethyl]amino]carbonyl]phenyl]- (CA INDEX NAME)



RN 1058702-82-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-chlorophenoxy)-N-[3-[[1,1-dimethylethyl]amino]carbonyl]phenyl]- (CA INDEX NAME)

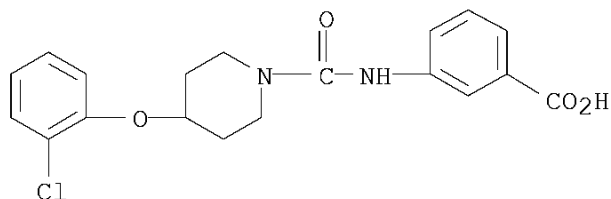


IT 1058702-87-6P 1105686-09-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(discovery of piperidine-aryl urea-based stearyl-CoA desaturase 1 inhibitors)

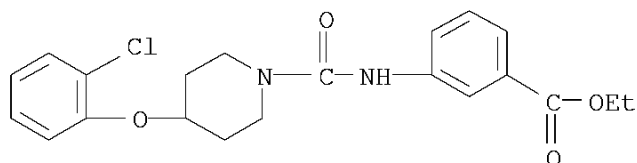
RN 1058702-87-6 CAPLUS

CN Benzoic acid, 3-[[[4-(2-chlorophenoxy)-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



RN 1105686-09-6 CAPLUS

CN Benzoic acid, 3-[[[4-(2-chlorophenoxy)-1-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



IT 1105686-54-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(discovery of piperidine-aryl urea-based stearyl-CoA desaturase 1 inhibitors)

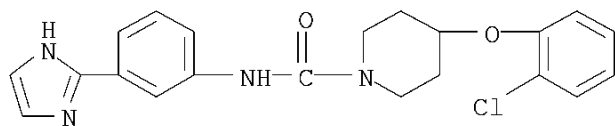
RN 1105686-54-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-chlorophenoxy)-N-[3-(1H-imidazol-2-yl)phenyl]-, 2,2,2-trifluoroacetate (10:13) (CA INDEX NAME)

CM 1

CRN 1058702-78-5

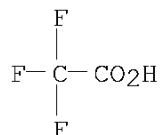
CMF C21 H21 Cl N4 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:668019 CAPLUS

DOCUMENT NUMBER: 149:200854

TITLE: Efficient synthesis of N,N'-dialkyl-N''-dialkylaminocarbothioyl thioureas from cyclic secondary amines, CS₂, and N,N'-dialkyl carbodiimides in water

AUTHOR(S): Yavari, Issa; Hosseini, Nargess; Moradi, Loghman; Mirzaei, Anvar

CORPORATE SOURCE: Chemistry Department, Tarbiat Modares University, Tehran, Iran

SOURCE: Tetrahedron Letters (2008), 49(27), 4239-4241

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 149:200854

AB A mild, convenient, and practical one-pot procedure for direct synthesis of N,N'-dialkyl-N''-dialkylaminocarbothioyl thioureas is described via 3-component reaction of cyclic secondary amines, CS₂, and N,N'-dialkyl carbodiimides in water at room temperature

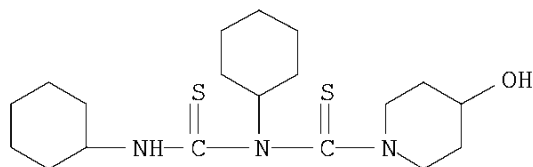
IT 1042153-89-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of aminothiocarbonyl thioureas from cyclic secondary amines, carbon disulfide, and carbodiimides in water)

RN 1042153-89-8 CAPLUS

CN 1-Piperidinecarbothioamide, N-cyclohexyl-N-[(cyclohexylamino)thioxomethyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
 REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:410465 CAPLUS

DOCUMENT NUMBER: 148:403229

TITLE: Preparation of thiadiazolone derivatives as
 TNF- α converting enzyme (TACE) inhibitors

INVENTOR(S): Kikuchi, Shinichi; Matsui, Takuya; Inoue, Teruhiko;
 Terashita, Masakazu; Miura, Tomoya; Mimura, Takayuki;
 Fukui, Kenji; Takahashi, Akihiko

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 620pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008038841	A1	20080403	WO 2007-JP69519	20070928
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: JP 2006-270144 A 20060930
 US 2006-850626P P 20061010

OTHER SOURCE(S): MARPAT 148:403229

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Raa1, Raa2 = H, C1-4 alkyl; na = 0-2; Lab1 = C(Rab5)(Rab6), Q, Q1, Q2, etc.; Rab5, Rab6 = H, C1-4 alkyl; Rab1-4 = H, halo, NO2, each (un)substituted OH, SH, NH2, CO2H, C1-4 alkyl, C3-12 carbocyclyl, or heterocyclyl, etc.; nb = 0-2; ring J1, J2 = each (un)substituted saturated monocyclic heterocyclic or nonarom. C3-8 carbocyclic ring; nc = 0,1; ring Lc = each (un)substituted C3-12 carbocyclic ring or saturated monocyclic heterocyclic ring; Lb = CON(Rba1)-Lba1,

Lba6-N(Rba2)-CO-Lba2, S(O)N(Rba3), N(Rba4)S(O), COLba3, SO2Lba4, N(Rba5)Lba5; Rba1-5 = H, (un)substituted C1-4 alkyl, C1-7 alkanoyl, C6-12 aryl-C1-7 alkanoyl, C7-11 aroyl, etc.; Lba1-6 = a bond, (un)substituted C1-3 alkylene; Ld = (CHLd1)nd1-Xda-(CHLd2)nd2-Xdb; Xda, Xdb = a bond, O, (un)substituted NH, CO, CH(OH), S, S(O), SO2; nd1, nd2 = 0-2; Ld1, Ld2 = H, C1-4 alkyl; Ue = each (un)substituted C3-12 carbocyclyl, unsatd. fused heterocyclyl, C2-6 alkynyl; Rf = H, C1-4 alkyl] or pharmaceutically acceptable salts thereof or hydrates thereof are prepared These compds. are excellent in inhibiting activity against TNF- α converting enzyme (TACE), also called as α disintegrin and metalloproteinase 17 (ADAM17) which cleaves pro-TNF- α to release TNF- α , and are selective inhibitors of TACE (ADAM17) over ADAM10 and ADAM14. Therefore, they are inhibitors of the production of TNF- α and can be used as pharmaceutical agents effective for the prevention or treatment of diseases associated with TNF- α such as inflammatory disease, autoimmune disease, allergic disease, atopic disease, transplant rejection, graft-vs.-host disease, cardiovascular disease, reperfusion, infection, osteoporosis, diabetes, hyperlipidemia, Alzheimer's disease, neuropathy, organ fibrosis, rheumatoid arthritis, malignant tumor, and inflammatory bowel disease (IBD). Thus, 0.062 g

5-(2-aminoethyl)-3H-[1,3,4]thiadiazol-2-one hydrobromide, 0.040 g 4-(2-Methylquinolin-4-ylmethoxy)benzoic, and 1.0 mL DMF were mixed, sequentially treated with 0.030 mL N-methylmorpholine, 0.042 g 1-hydroxybenzotriazole monohydrate, and 0.052 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and stirred at room temperature for 7 h to give 49%

4-(2-methylquinolin-4-ylmethoxy)-N-[2-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)ethyl]benzamide (II). II and 4-(2-methylquinolin-4-ylmethoxy)-N-[(1R,2S)-2-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)cyclohexyl]benzamide (III) in vitro showed IC50 of ≥ 0.01 - <10 and <0.01 μ M, resp., against recombinant human TACE (ADAM17). III in vitro inhibited the LPS-stimulated production of TNF- α in THP-1 cells with IC50 of <1 μ M.

IT 1016256-65-7P, 4-[(2-Methylquinolin-4-yl)methoxy]piperidine-1-carboxylic acid N-[(3S,4S)-1-methyl-4-(5-oxo-4,5-dihydro-[1,3,4]thiadiazol-2-yl)pyrrolidin-3-yl]amide

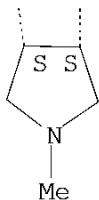
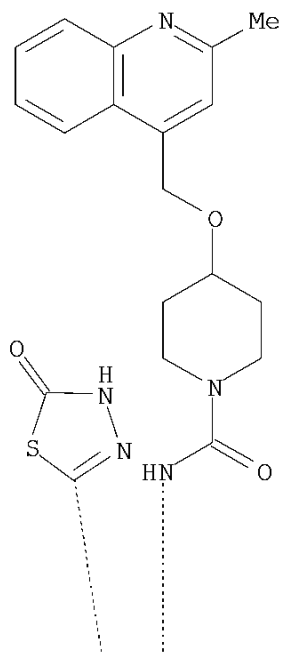
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiadiazolone derivs. as TNF- α converting enzyme (TACE) inhibitors)

RN 1016256-65-7 CAPLUS

CN 1-Piperidinecarboxamide, N-[(3S,4S)-4-(4,5-dihydro-5-oxo-1,3,4-thiadiazol-2-yl)-1-methyl-3-pyrrolidinyl]-4-[(2-methyl-4-quinolinyl)methoxy]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2008:255403 CAPLUS
 DOCUMENT NUMBER: 148:308366
 TITLE: Morpholinopyrimidine derivatives, processes for preparing them, pharmaceutical compositions containing them, and their use for treating proliferative disorders
 INVENTOR(S): Finlay, Maurice Raymond Verschoyle; Morris, Jeffrey; Pike, Kurt Gordon
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 455 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2008023159	A1	20080228	WO 2007-GB3173	20070821
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2660758	A1	20080227	CA 2007-2660758	20070821
AU 2007287428	A1	20080228	AU 2007-287428	20070821
EP 2057140	A1	20090513	EP 2007-789273	20070821
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2010501534	T	20100121	JP 2009-525103	20070821
US 20080171743	A1	20080717	US 2007-844092	20070823
NO 2009000631	A	20090316	NO 2009-631	20090210
IN 2009MN00364	A	20090522	IN 2009-MN364	20090217
MX 2009002046	A	20090306	MX 2009-2046	20090224
KR 2009053928	A	20090528	KR 2009-705961	20090323
CN 101541781	A	20090923	CN 2007-80039262	20090422
PRIORITY APPLN. INFO.:			GB 2006-16747	A 20060824
			US 2007-948544P	P 20070709
			WO 2007-GB3173	W 20070821

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 148:308366
GI

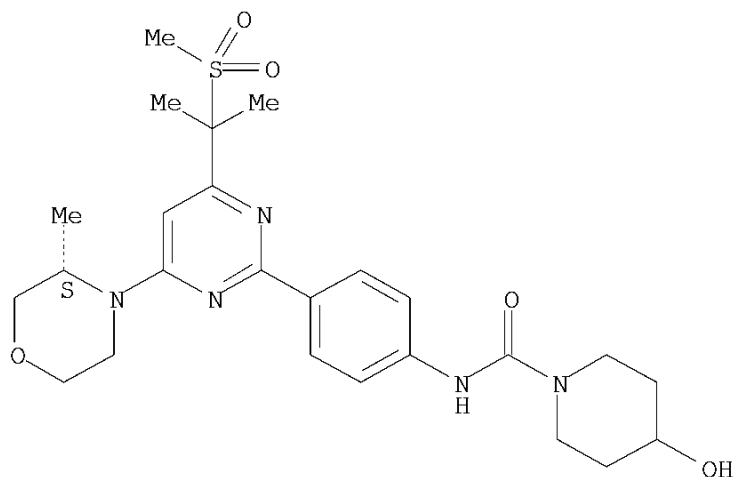
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to morpholinopyrimidine derivs. I, processes for preparing them, pharmaceutical preps. comprising them, and their pharmaceutical use. I are useful in the treatment of proliferative disease such as cancer and particularly in disease mediated by an mTOR kinase and/or one or more PI3K enzyme. In compds. I, m is 0 to 4; X and Y are independently N or (un)substituted CH provided that one of X and Y is N and the other is (un)substituted CH; A is a linker group selected from (un)substituted CH=CH, C(O)NH, or SO₂NH, etc.; R₁ is H, (un)substituted alk(yl|enyl|ynyl), or heterocycl(yl), etc.; R₂ is (un)substituted alkyl, or heterocycl(yl), etc.; R₃ is CN, NO₂, halo, or (un)substituted C(O)NH₂, etc.; including pharmaceutically acceptable salts thereof. For instance, the invention compound II was prepared in a multi-step synthesis and showed mTOR kinase inhibition IC₅₀ value of 0.0062 μ M in the in vitro mTOR kinase assay.

IT 1009624-01-4P 1009624-49-0P 1009624-90-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of morpholinopyrimidine derivs. useful in the treatment of proliferative disorders)

RN 1009624-01-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-[4-[1-methyl-1-(methylsulfonyl)ethyl]-6-[(3S)-3-methyl-4-morpholinyl]-2-pyrimidinyl]phenyl]- (CA INDEX NAME)

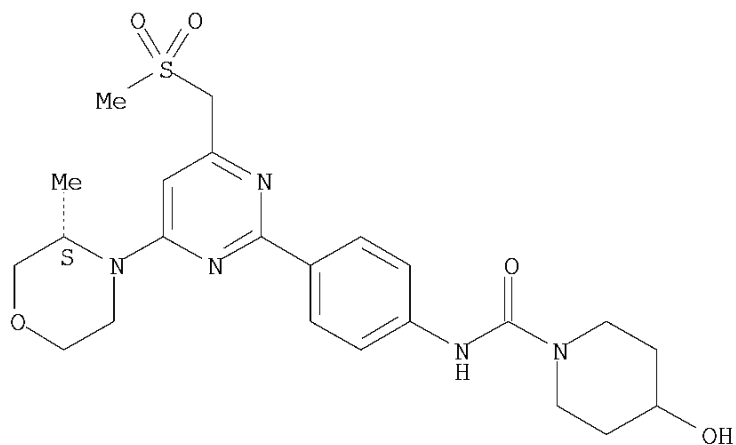
Absolute stereochemistry.



RN 1009624-49-0 CAPLUS

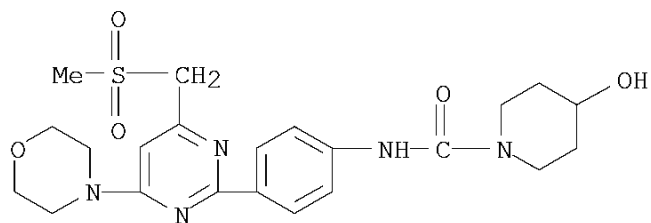
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-[4-[(3S)-3-methyl-4-morpholinyl]-6-[(methylsulfonyl)methyl]-2-pyrimidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1009624-90-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-[4-[(methylsulfonyl)methyl]-6-(4-morpholinyl)-2-pyrimidinyl]phenyl]- (CA INDEX NAME)

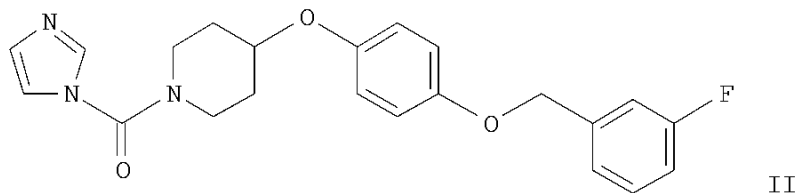
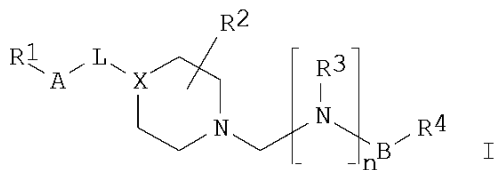


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

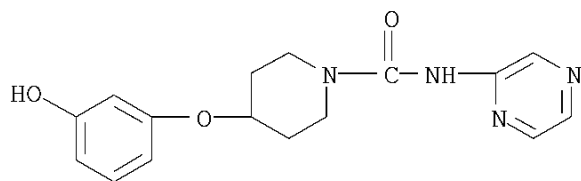
(3 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:252635 CAPLUS
DOCUMENT NUMBER: 148:285209
TITLE: Preparation of piperazine-1-carboxamide and
piperidine-1-carboxamide derivatives as inhibitors of
fatty acid amide hydrolase (FAAH)
INVENTOR(S): Ishii, Takahiro; Sugane, Takashi; Kakefuda, Akio;
Takahashi, Tatsuhisa; Kanayama, Takatoshi; Sato,
Kentaro; Kuriwaki, Ikumi; Kitada, Chika; Suzuki,
Jotaro
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 188pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008023720	A1	20080228	WO 2007-JP66236	20070822
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2665804	A1	20080228	CA 2007-2665804	20070822
EP 2065369	A1	20090603	EP 2007-792835	20070822
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
PRIORITY APPLN. INFO.:			JP 2006-226072	A 20060823
			WO 2007-JP66236	W 20070822
OTHER SOURCE(S):	MARPAT 148:285209			
GI				

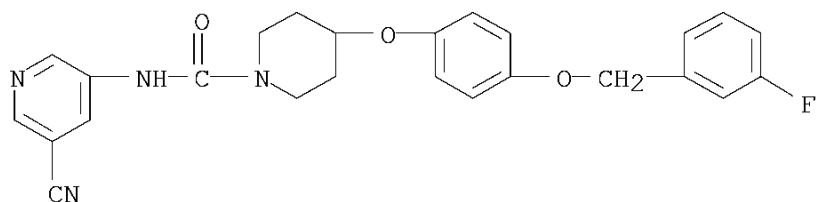


- AB Urea derivs., i.e. piperazine-1-carboxamide and piperidine-1-carboxamide derivs. [I; R1 = H, aryl, aryloxy, aryl-lower alkyl, aryl-lower alkenyl, aryl-lower alkoxy, aryl-lower alkyl-NR0, aryl-NR0-lower alkyl, aryl-CO-NR0, aryl-SO2-NR0, heteroaryl, or heteroaryl-lower alkoxy, etc., wherein aryl is optionally substituted and R0 = H or lower alkyl; A = each (un)substituted benzene or heterocyclic ring; X = N, CH; L = lower alkylene, lower alkenylene, O, O-lower alkylene, S(O)m, lower alkylene-S(O)m, CO, or lower alkylene-CO, etc., wherein m = 0-2; n = 0,1; R2, R3 = H, lower alkyl; when n = 1, B = a single bond or each (un)substituted benzene or aromatic heterocyclic ring or when n = 0, B = a single bond; when n = 1 and B = a single bond, R4 = CO-Z or S(O)m-Z; when n = 1 and B is other than a single bond, R4 = H, (un)substituted Ph, N-containing heterocyclyl, or N-containing heterocyclyl-CO, etc.; or when n = 0, B = (un)substituted N-containing heterocyclyl] or pharmacol. acceptable salts thereof were prepared These compds. can be used for the treatment of a disease associated with fatty acid amide hydrolase (FAAH), particularly frequent urination, urinary incontinence and/or overactive bladder. Thus, a solution of 500 mg 4-[4-[(3-fluorobenzyl)oxy]phenoxy]piperazine in 5 mL CH2Cl2 was treated with 269 mg N,N'-carbonyldiimidazole under ice-cooling and stirred at room temperature overnight to give 370 mg 4-(4-[(3-fluorobenzyl)oxy]phenoxy)-1-(1H-imidazol-1-ylcarbonyl)piperidine (II). II showed IC50 of 0.060 µg/mL against FAAH-mediated decomposition of [ethanolamine-3H]anandamide in human bladder epithelial cancer (carcinoma) (HTB-9) cells.
- IT 1008774-54-6P, 4-(3-Hydroxyphenoxy)-N-(pyrazin-2-yl)piperidine-1-carboxamide 1008775-23-2P, N-(5-Cyanopyridin-3-yl)-4-[4-[(3-fluorobenzyl)oxy]phenoxy]piperidine-1-carboxamide 1008775-24-3P, Methyl 4-[[[4-[4-(benzyloxy)phenoxy]piperidin-1-yl]carbonyl]amino]benzoate 1008775-27-6P, 4-[4-(Benzyloxy)phenoxy]-N-[3-[[2-(methylamino)phenyl]carbonyl]phenyl]piperidine-1-carboxamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of piperazine-1-carboxamide and piperidine-1-carboxamide derivs. as inhibitors of fatty acid amide hydrolase)
- RN 1008774-54-6 CAPLUS
- CN 1-Piperidinecarboxamide, 4-(3-hydroxyphenoxy)-N-2-pyrazinyl- (CA INDEX NAME)



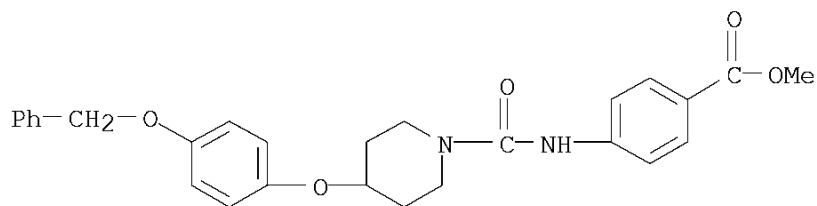
RN 1008775-23-2 CAPLUS

CN 1-Piperidinecarboxamide, N-(5-cyano-3-pyridinyl)-4-[4-[(3-fluorophenyl)methoxy]phenoxy]- (CA INDEX NAME)



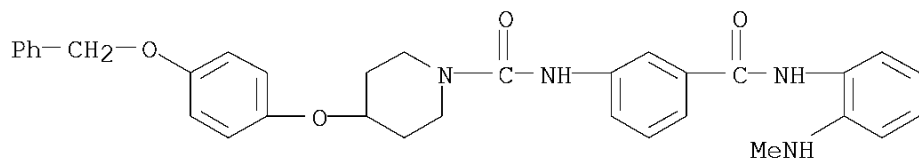
RN 1008775-24-3 CAPLUS

CN Benzoic acid, 4-[[[4-[4-(phenylmethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)



RN 1008775-27-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-[[[2-(methylamino)phenyl]amino]carbonyl]phenyl]-4-[4-(phenylmethoxy)phenoxy]- (CA INDEX NAME)



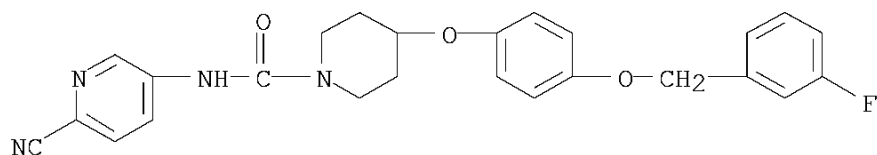
IT 1008763-70-9P, N-(6-Cyanopyridin-3-yl)-4-[4-[(3-fluorobenzyl)oxy]phenoxy]piperidine-1-carboxamide 1008763-72-1P, N-(5-Bromopyridin-3-yl)-4-[4-[(3-fluorobenzyl)oxy]phenoxy]piperidine-1-carboxamide 1008763-80-1P, Ethyl 3-[[[4-[4-[(3-fluorobenzyl)oxy]phenoxy]piperidin-1-yl]carbonyl]amino]benzoate 1008763-82-3P, 3-[[[4-[4-[(3-Fluorobenzyl)oxy]phenoxy]piperidin-1-yl]carbonyl]amino]benzoic acid 1008765-61-4P 1008766-35-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperazine-1-carboxamide and piperidine-1-carboxamide
derivs. as inhibitors of fatty acid amide hydrolase)

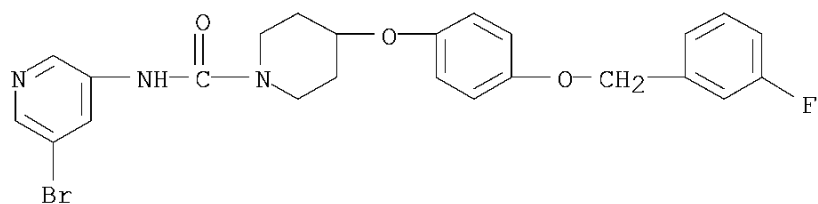
RN 1008763-70-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(6-cyano-3-pyridinyl)-4-[4-[(3-fluorophenyl)methoxy]phenoxy]- (CA INDEX NAME)



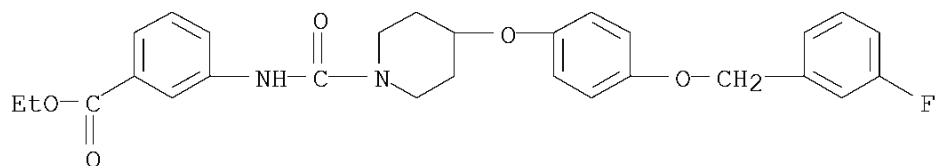
RN 1008763-72-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(5-bromo-3-pyridinyl)-4-[4-[(3-fluorophenyl)methoxy]phenoxy]- (CA INDEX NAME)



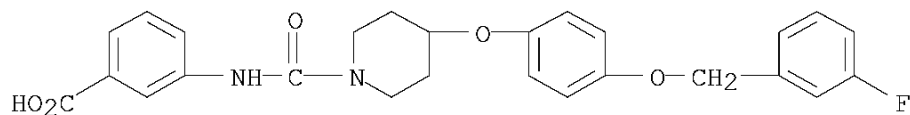
RN 1008763-80-1 CAPLUS

CN Benzoic acid, 3-[[[4-[4-[(3-fluorophenyl)methoxy]phenoxy]-1-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



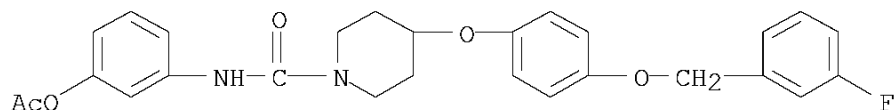
RN 1008763-82-3 CAPLUS

CN Benzoic acid, 3-[[[4-[4-[(3-fluorophenyl)methoxy]phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



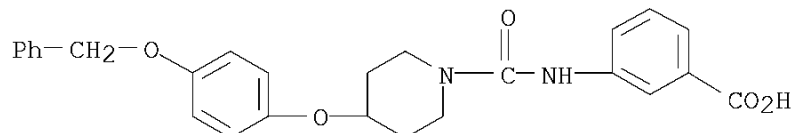
RN 1008765-61-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(acetyloxy)phenyl]-4-[4-[(3-fluorophenyl)methoxy]phenoxy]- (CA INDEX NAME)



RN 1008766-35-5 CAPLUS

CN Benzoic acid, 3-[[[4-(4-(phenylmethoxy)phenoxy]-1-piperidinyl)carbonyl]amino]- (CA INDEX NAME)



IT 1008763-68-5P, 4-[4-[(3-Fluorobenzyl)oxy]phenoxy]-N-(pyridin-3-yl)piperidine-1-carboxamide hydrochloride 1008763-74-3P,
 5-[[[4-[(3-Fluorobenzyl)oxy]phenoxy]piperidin-1-yl]carbonyl]amino]nicotinic acid 1008763-76-5P,
 4-[[[4-[(3-Fluorobenzyl)oxy]phenoxy]piperidin-1-yl]carbonyl]amino]benzoic acid 1008763-78-7P, 4-[4-(Benzyloxy)phenoxy]-N-[3-[(piperidin-1-yl)carbonyl]phenyl]piperidine-1-carboxamide 1008763-84-5P,
 N-(3-Carbamoylphenyl)-4-[4-[(3-fluorobenzyl)oxy]phenoxy]piperidine-1-carboxamide 1008763-86-7P,
 5-[[[4-[(3-Fluorobenzyl)oxy]phenoxy]piperidin-1-yl]carbonyl]amino]pyridine-2-carboxamide 1008763-88-9P,
 4-[4-[(3-Fluorobenzyl)oxy]phenoxy]-N-(3-hydroxyphenyl)piperidine-1-carboxamide 1008763-92-5P,
 4-[4-[(3-Fluorobenzyl)oxy]phenoxy]-N-(1-oxopyridin-3-yl)piperidine-1-carboxamide 1008763-94-7P,
 4-[4-[(3-Fluorobenzyl)oxy]phenoxy]-N-(1H-pyrazol-3-yl)piperidine-1-carboxamide 1008763-96-9P,
 4-[4-(Benzyloxy)phenoxy]-N-[3-(1-methyl-1H-benzimidazol-2-yl)phenyl]piperidine-1-carboxamide 1008764-76-8P
 1008764-78-0P 1008764-80-4P 1008764-82-6P
 1008764-85-9P 1008764-93-9P 1008764-96-2P
 1008764-98-4P 1008765-00-1P 1008765-08-9P
 1008765-11-4P 1008765-14-7P 1008765-22-7P
 1008765-24-9P 1008765-27-2P 1008765-44-3P
 1008765-46-5P 1008765-48-7P 1008765-50-1P
 1008765-52-3P 1008765-54-5P 1008765-57-8P
 1008765-59-0P 1008765-64-7P 1008765-66-9P
 1008766-32-2P 1008766-26-4P 1008766-29-7P
 1008766-38-8P 1008766-41-3P
 1008766-43-5P 1008766-45-7P 1008766-47-9P
 1008766-49-1P 1008766-51-5P 1008766-53-7P
 1008766-55-9P 1008766-57-1P 1008766-59-3P
 1008766-61-7P 1008766-63-9P 1008766-65-1P
 1008766-67-3P 1008766-69-5P 1008766-71-9P
 1008766-73-1P 1008766-75-3P 1008766-76-4P
 1008771-96-7P, 4-[3-(2-Cyclohexylethoxy)phenoxy]-N-(pyrazin-2-yl)piperidine-1-carboxamide 1008772-69-7P
 1008772-71-1P 1008772-73-3P 1008772-75-5P
 1008772-80-2P 1008772-82-4P 1008772-84-6P
 1008775-26-5P, 4-[4-[(3-Fluorobenzyl)oxy]phenoxy]-N-(pyridin-3-yl)piperidine-1-carboxamide

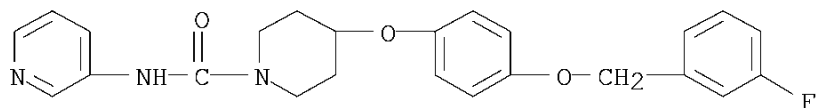
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of piperazine-1-carboxamide and piperidine-1-carboxamide
derivs. as inhibitors of fatty acid amide hydrolase)

RN 1008763-68-5 CAPLUS

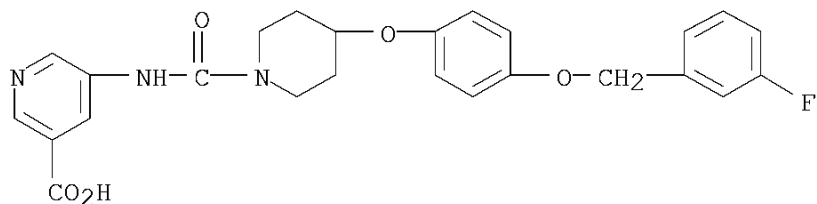
CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-3-
pyridinyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

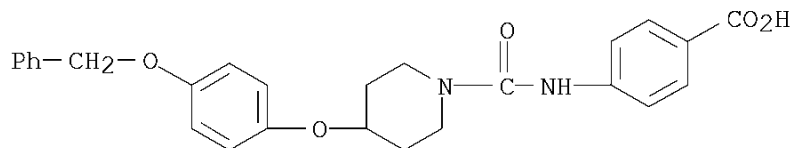
RN 1008763-74-3 CAPLUS

CN 3-Pyridinecarboxylic acid, 5-[[[4-[4-[(3-fluorophenyl)methoxy]phenoxy]-1-
piperidinyl]carbonyl]amino]- (CA INDEX NAME)



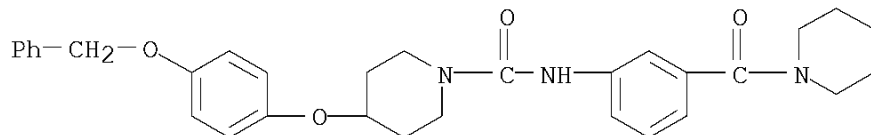
RN 1008763-76-5 CAPLUS

CN Benzoic acid, 4-[[[4-[4-(phenylmethoxy)phenoxy]-1-
piperidinyl]carbonyl]amino]- (CA INDEX NAME)



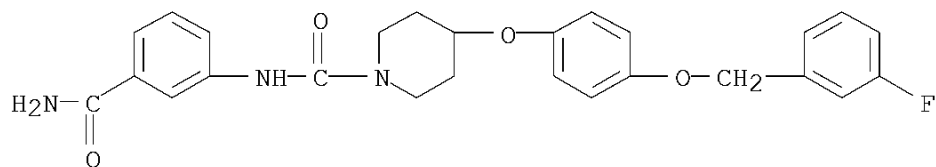
RN 1008763-78-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-(phenylmethoxy)phenoxy]-N-[3-(1-
piperidinylcarbonyl)phenyl]- (CA INDEX NAME)



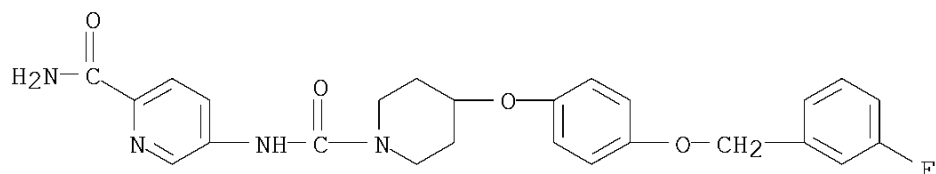
RN 1008763-84-5 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(aminocarbonyl)phenyl]-4-[4-[(3-
fluorophenyl)methoxy]phenoxy]- (CA INDEX NAME)



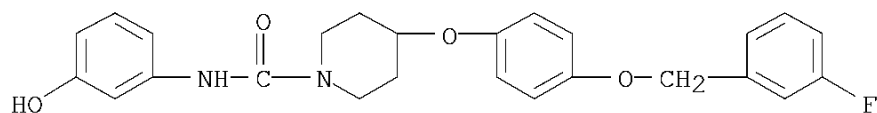
RN 1008763-86-7 CAPLUS

CN 2-Pyridinecarboxamide, 5-[[[4-[4-[(3-fluorophenyl)methoxy]phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



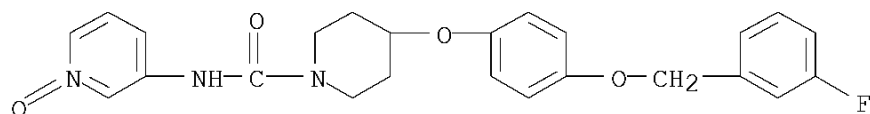
RN 1008763-88-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-(3-hydroxyphenyl)- (CA INDEX NAME)



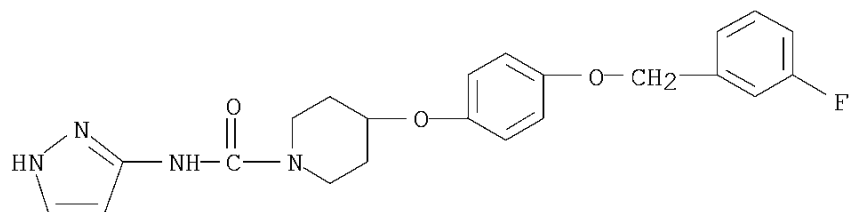
RN 1008763-92-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-(1-oxido-3-pyridinyl)- (CA INDEX NAME)



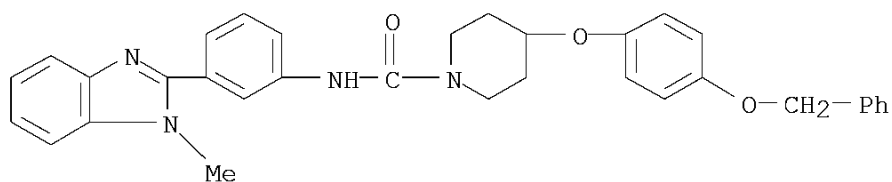
RN 1008763-94-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-1H-pyrazol-3-yl- (CA INDEX NAME)

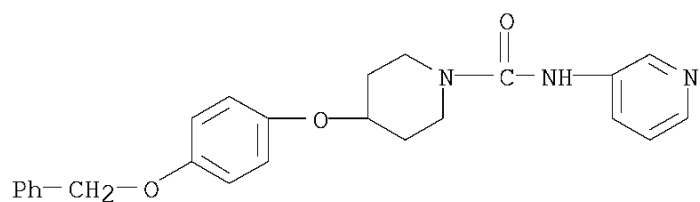


RN 1008763-96-9 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(1-methyl-1H-benzimidazol-2-yl)phenyl]-4-[4-(phenylmethoxy)phenoxy]- (CA INDEX NAME)

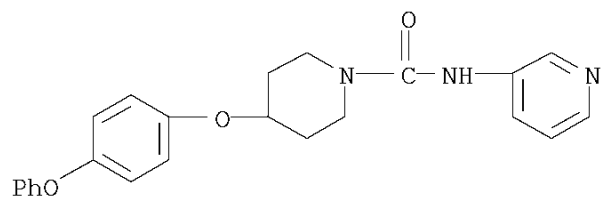


RN 1008764-76-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[4-(phenylmethoxy)phenoxy]-N-3-pyridinyl-,
 hydrochloride (1:1) (CA INDEX NAME)



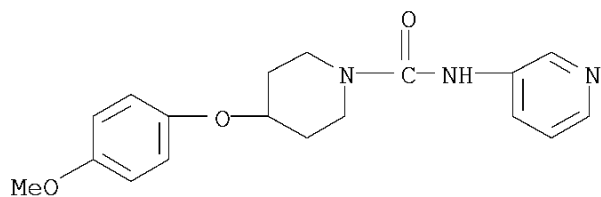
● HCl

RN 1008764-78-0 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-phenoxyphenoxy)-N-3-pyridinyl-,
 hydrochloride (1:1) (CA INDEX NAME)



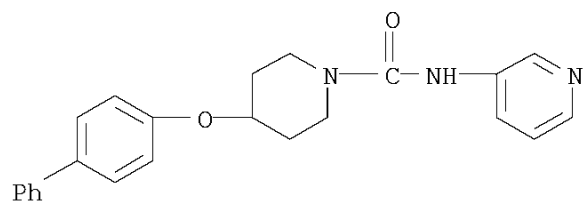
● HCl

RN 1008764-80-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-methoxyphenoxy)-N-3-pyridinyl-,
 hydrochloride (1:1) (CA INDEX NAME)



● HCl

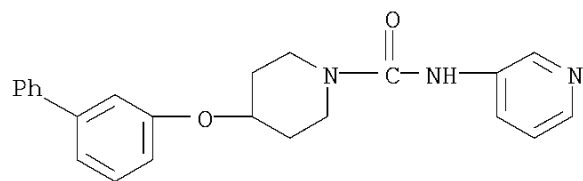
RN 1008764-82-6 CAPLUS
 CN 1-Piperidinecarboxamide, 4-([1,1'-biphenyl]-4-yloxy)-N-3-pyridinyl- (CA INDEX NAME)



RN 1008764-85-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-([1,1'-biphenyl]-3-yloxy)-N-3-pyridinyl-, ethanedioate (1:1) (CA INDEX NAME)

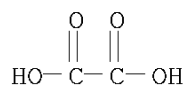
CM 1

CRN 1008764-84-8
 CMF C23 H23 N3 O2

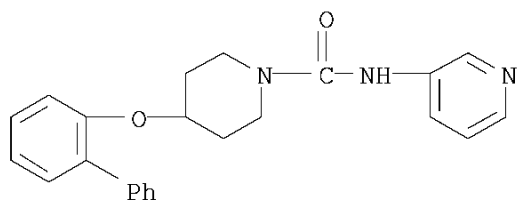


CM 2

CRN 144-62-7
 CMF C2 H2 O4



RN 1008764-93-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-([1,1'-biphenyl]-2-yloxy)-N-3-pyridinyl-, hydrochloride (1:1) (CA INDEX NAME)

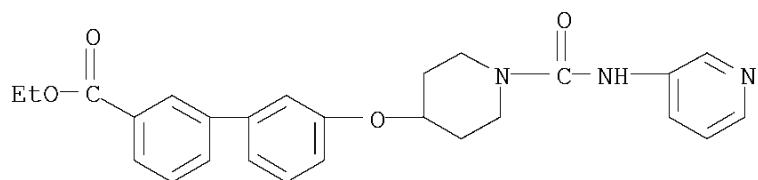


● HCl

RN 1008764-96-2 CAPLUS
 CN [1,1'-Biphenyl]-3-carboxylic acid,
 3'-[[1-[(3-pyridinylamino)carbonyl]-4-piperidinyl]oxy]-, ethyl ester,
 ethanedioate (1:1) (CA INDEX NAME)

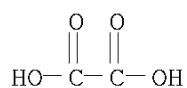
CM 1

CRN 1008764-95-1
 CMF C26 H27 N3 O4

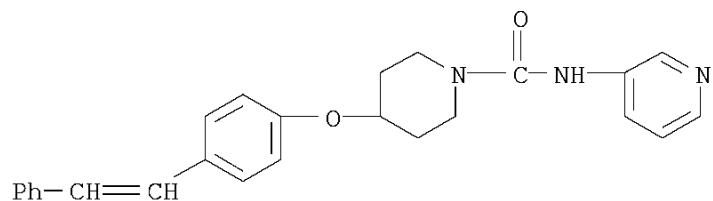


CM 2

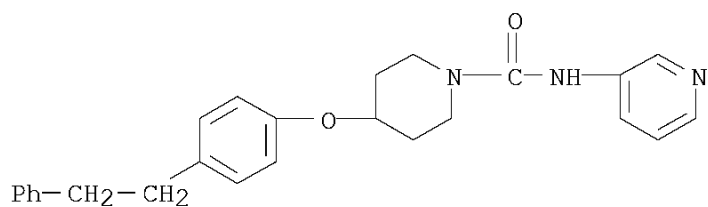
CRN 144-62-7
 CMF C2 H2 O4



RN 1008764-98-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[4-(2-phenylethenyl)phenoxy]-N-3-pyridinyl-
 (CA INDEX NAME)

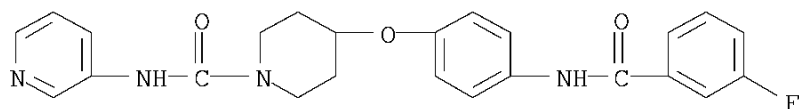


RN 1008765-00-1 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[4-(2-phenylethyl)phenoxy]-N-3-pyridinyl- (CA
 INDEX NAME)



RN 1008765-08-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorobenzoyl)amino]phenoxy]-N-3-pyridinyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

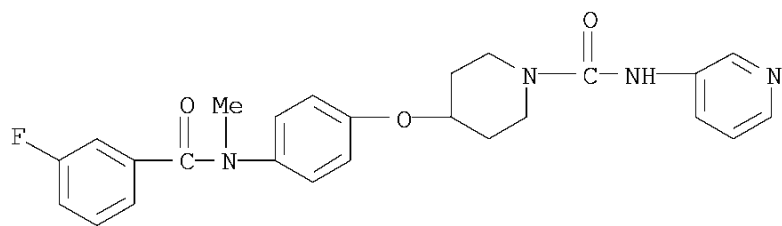
RN 1008765-11-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorobenzoyl)methylamino]phenoxy]-N-3-pyridinyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 1008765-10-3

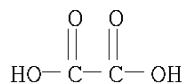
CMF C25 H25 F N4 O3



CM 2

CRN 144-62-7

CMF C2 H2 O4



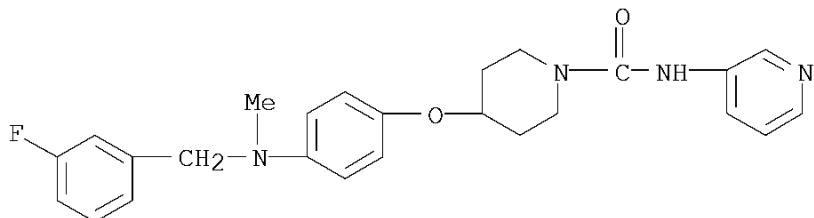
RN 1008765-14-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[[[3-fluorophenyl)methyl]methylamino]phenoxy]-N-3-pyridinyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 1008765-13-6

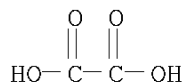
CMF C25 H27 F N4 O2



CM 2

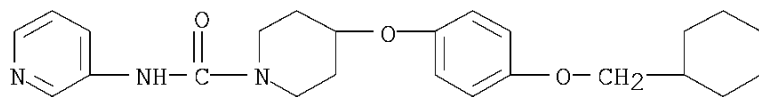
CRN 144-62-7

CMF C2 H2 O4



RN 1008765-22-7 CAPLUS

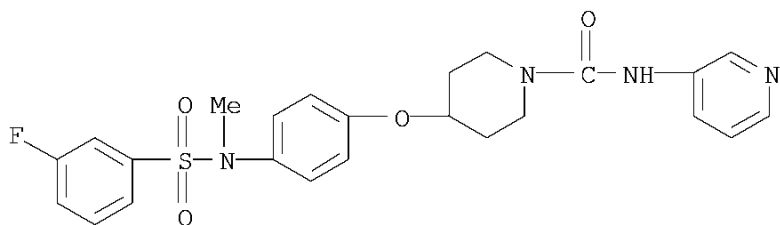
CN 1-Piperidinecarboxamide, 4-[4-(cyclohexylmethoxy)phenoxy]-N-3-pyridinyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 1008765-24-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)sulfonyl]methylamino]phenoxy]-N-3-pyridinyl-, hydrochloride (1:1) (CA INDEX NAME)

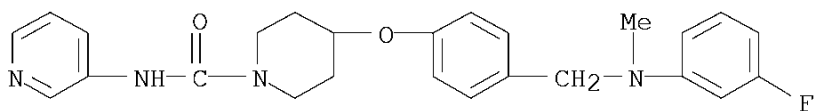


● HCl

RN 1008765-27-2 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methylamino]methyl]phenoxy]-N-3-pyridinyl-, ethanedioate
 (1:1) (CA INDEX NAME)

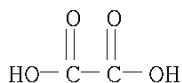
CM 1

CRN 1008765-26-1
 CMF C25 H27 F N4 O2

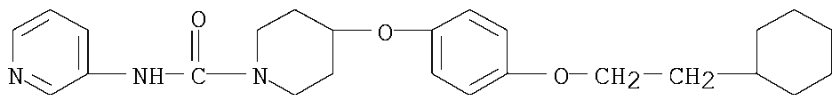


CM 2

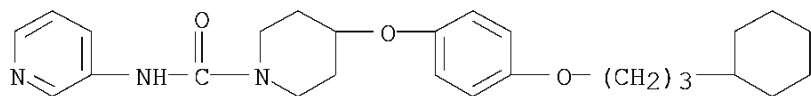
CRN 144-62-7
 CMF C2 H2 O4



RN 1008765-44-3 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[4-(2-cyclohexylethoxy)phenoxy]-N-3-pyridinyl-
 (CA INDEX NAME)

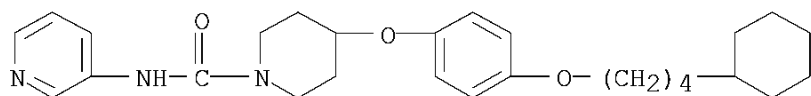


RN 1008765-46-5 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[4-(3-cyclohexylpropoxy)phenoxy]-N-3-pyridinyl-
 (CA INDEX NAME)



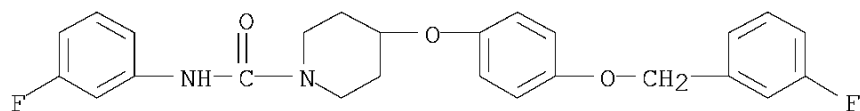
RN 1008765-48-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-(4-cyclohexylbutoxy)phenoxy]-N-3-pyridinyl-
(CA INDEX NAME)



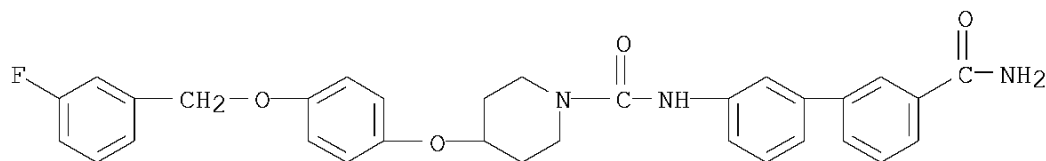
RN 1008765-50-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-fluorophenyl)-4-[4-[(3-fluorophenyl)methoxy]phenoxy]-
(CA INDEX NAME)



RN 1008765-52-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[3'-(aminocarbonyl)[1,1'-biphenyl]-3-yl]-4-[4-[(3-fluorophenyl)methoxy]phenoxy]-
(CA INDEX NAME)



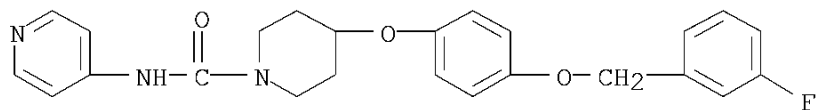
RN 1008765-54-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-4-pyridinyl-, ethanedioate (1:1)
(CA INDEX NAME)

CM 1

CRN 1008765-53-4

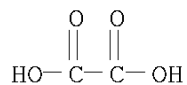
CMF C24 H24 F N3 O3



CM 2

CRN 144-62-7

CMF C2 H2 O4



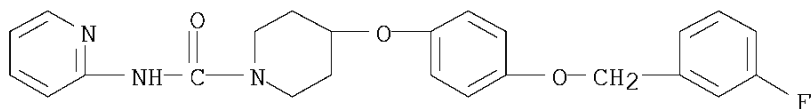
RN 1008765-57-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-2-pyridinyl-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 1008765-56-7

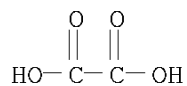
CMF C24 H24 F N3 O3



CM 2

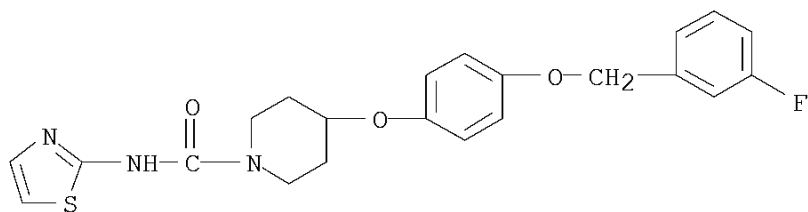
CRN 144-62-7

CMF C2 H2 O4



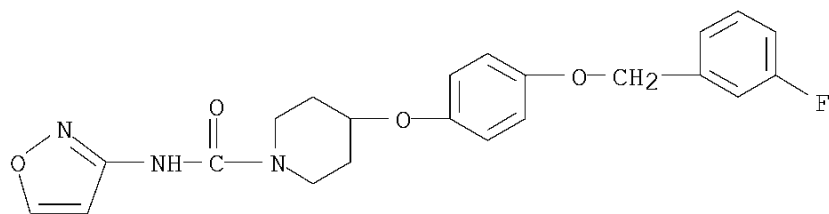
RN 1008765-59-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-2-thiazolyl- (CA INDEX NAME)



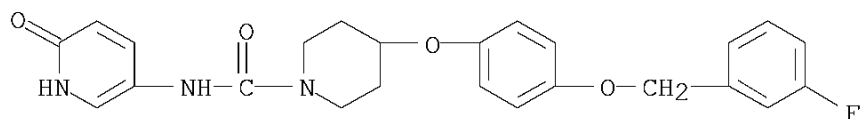
RN 1008765-64-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-3-isoxazolyl- (CA INDEX NAME)



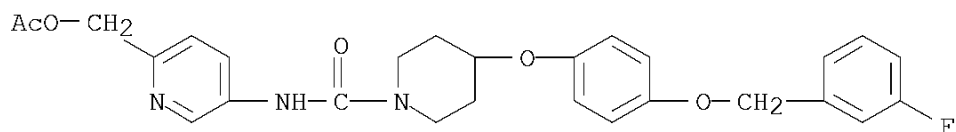
RN 1008765-66-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(1,6-dihydro-6-oxo-3-pyridinyl)-4-[4-[(3-fluorophenyl)methoxy]phenoxy]- (CA INDEX NAME)



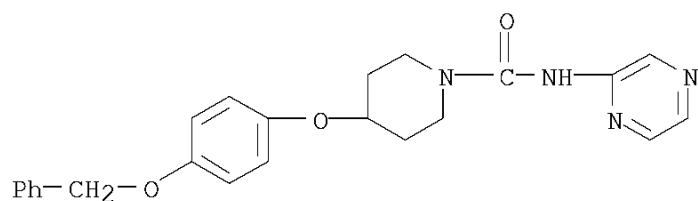
RN 1008765-68-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[6-[(acetyloxy)methyl]-3-pyridinyl]-4-[4-[(3-fluorophenyl)methoxy]phenoxy]- (CA INDEX NAME)



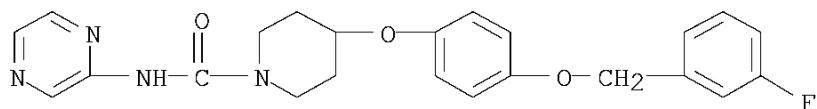
RN 1008766-26-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-(phenylmethoxy)phenoxy]-N-2-pyrazinyl- (CA INDEX NAME)



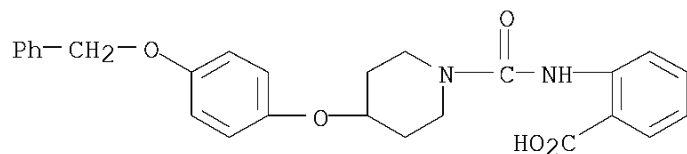
RN 1008766-29-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-2-pyrazinyl-, hydrochloride (1:1) (CA INDEX NAME)

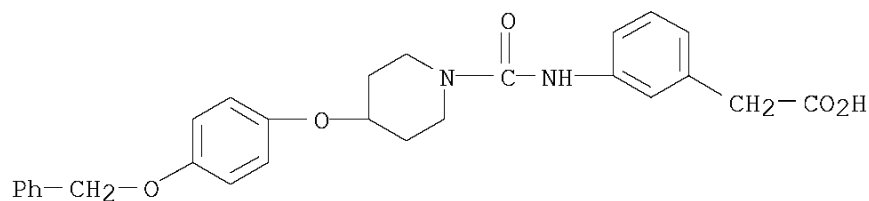


● HCl

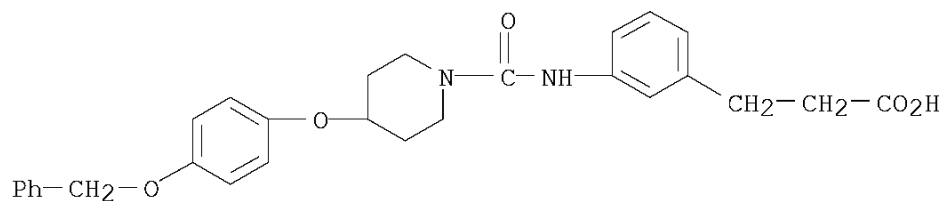
RN 1008766-32-2 CAPLUS
 CN Benzoic acid, 2-[[[4-[4-(phenylmethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



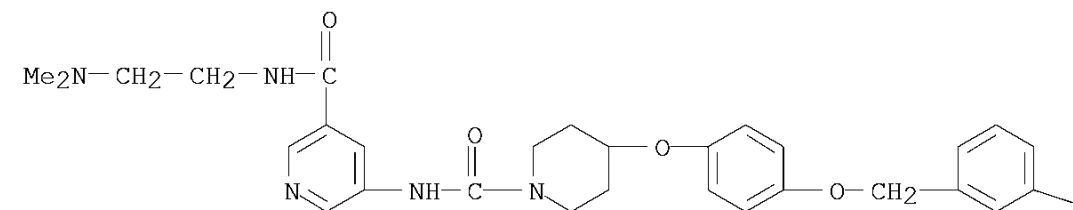
RN 1008766-38-8 CAPLUS
 CN Benzeneacetic acid, 3-[[[4-[4-(phenylmethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



RN 1008766-41-3 CAPLUS
 CN Benzenepropanoic acid, 3-[[[4-[4-(phenylmethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



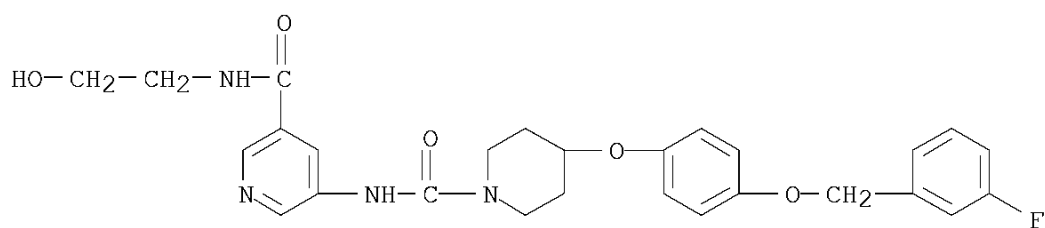
RN 1008766-43-5 CAPLUS
 CN 3-Pyridinecarboxamide, N-[2-(dimethylamino)ethyl]-5-[[[4-[4-(3-fluorophenyl)methoxy]phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)





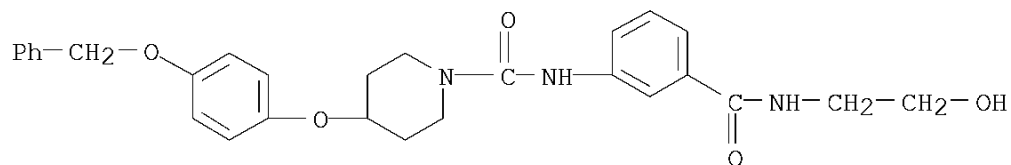
RN 1008766-45-7 CAPLUS

CN 3-Pyridinecarboxamide, 5-[[[4-[4-[(3-fluorophenyl)methoxy]phenoxy]-1-piperidinyl]carbonyl]amino]-N-(2-hydroxyethyl)- (CA INDEX NAME)



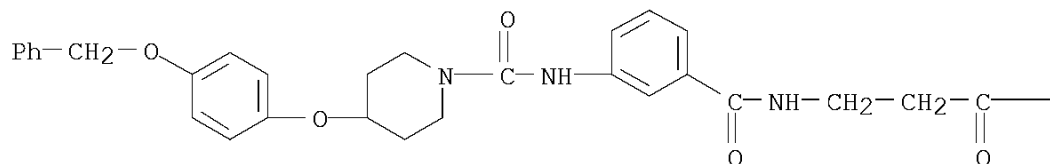
RN 1008766-47-9 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-[[(2-hydroxyethyl)amino]carbonyl]phenyl]-4-[4-(phenylmethoxy)phenoxy]- (CA INDEX NAME)



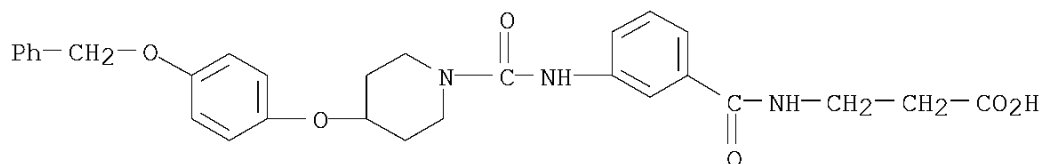
RN 1008766-49-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-[[(3-amino-3-oxopropyl)amino]carbonyl]phenyl]-4-[4-(phenylmethoxy)phenoxy]- (CA INDEX NAME)



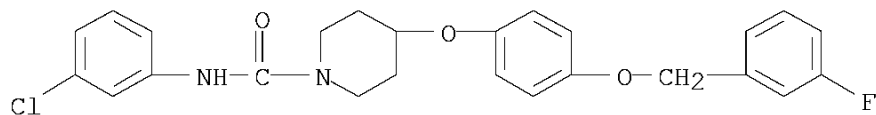
—NH₂

RN 1008766-51-5 CAPLUS

CN β -Alanine, N-[3-[[[4-(phenylmethoxy)phenoxy]-1-piperidinyl]carbonyl]amino]benzoyl]- (CA INDEX NAME)

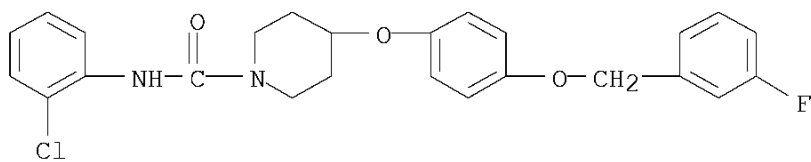
RN 1008766-53-7 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-[4-[(3-fluorophenyl)methoxy]phenoxy]- (CA INDEX NAME)



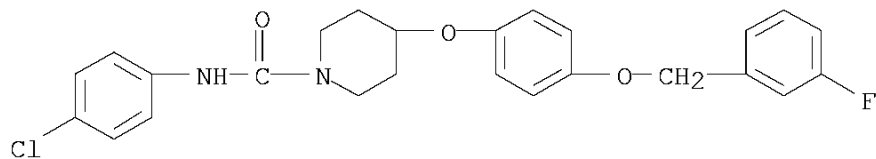
RN 1008766-55-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(2-chlorophenyl)-4-[4-[(3-fluorophenyl)methoxy]phenoxy]- (CA INDEX NAME)



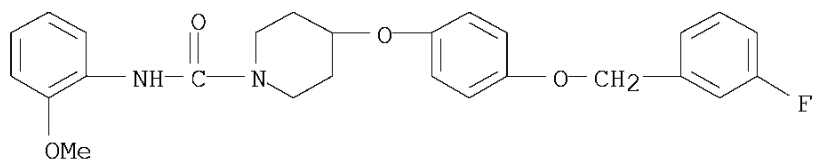
RN 1008766-57-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-chlorophenyl)-4-[4-[(3-fluorophenyl)methoxy]phenoxy]- (CA INDEX NAME)



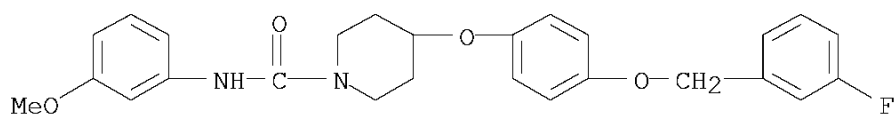
RN 1008766-59-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-(2-methoxyphenyl)- (CA INDEX NAME)



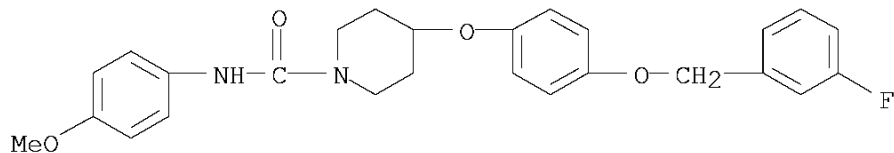
RN 1008766-61-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-(3-methoxyphenyl)- (CA INDEX NAME)



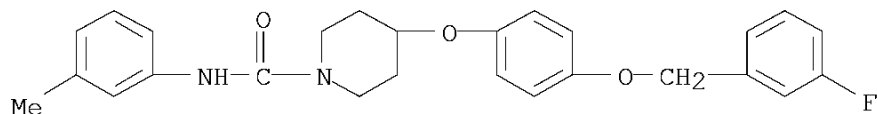
RN 1008766-63-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-(4-methoxyphenyl)- (CA INDEX NAME)



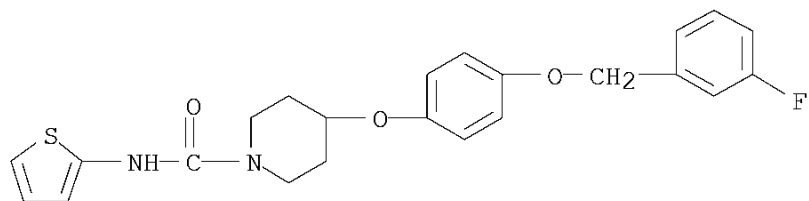
RN 1008766-65-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-(3-methylphenyl)- (CA INDEX NAME)



RN 1008766-67-3 CAPLUS

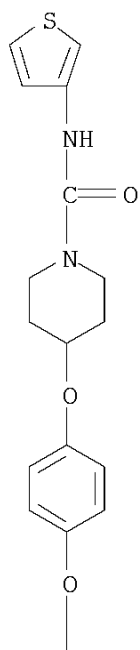
CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-2-thienyl- (CA INDEX NAME)



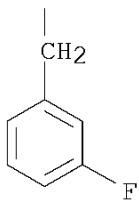
RN 1008766-69-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-3-thienyl- (CA INDEX NAME)

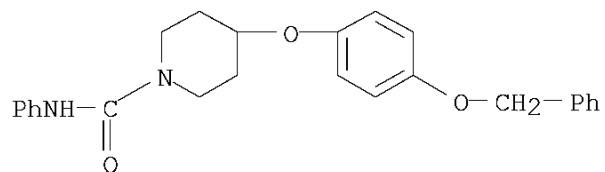
PAGE 1-A



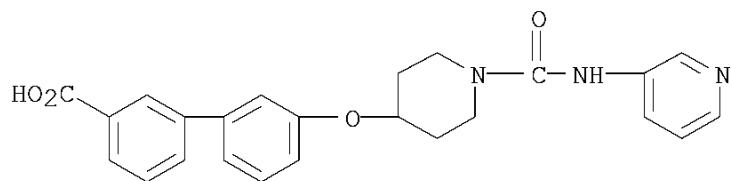
PAGE 2-A



RN 1008766-71-9 CAPLUS
CN 1-Piperidinecarboxamide, N-phenyl-4-[4-(phenylmethoxy)phenoxy]- (CA INDEX NAME)

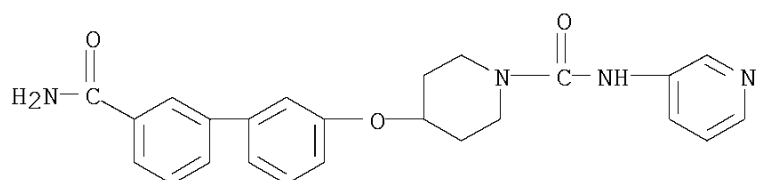


RN 1008766-73-1 CAPLUS
CN [1,1'-Biphenyl]-3-carboxylic acid, 3'-[[1-[(3-pyridinylamino)carbonyl]-4-piperidinyl]oxy]- (CA INDEX NAME)



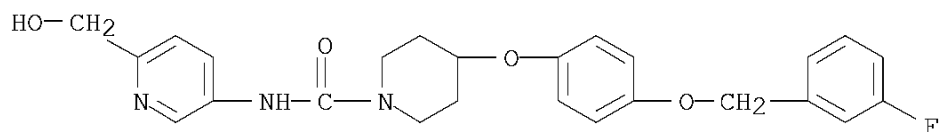
RN 1008766-75-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[3'-(aminocarbonyl)[1,1'-biphenyl]-3-yl]oxy]-N-3-pyridinyl- (CA INDEX NAME)



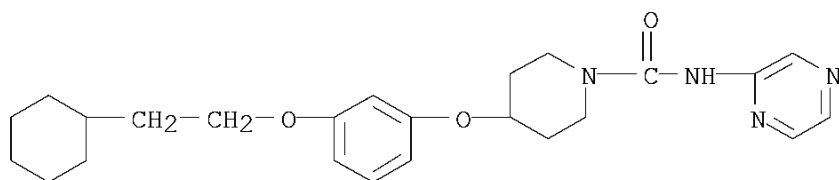
RN 1008766-76-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-[6-(hydroxymethyl)-3-pyridinyl]- (CA INDEX NAME)



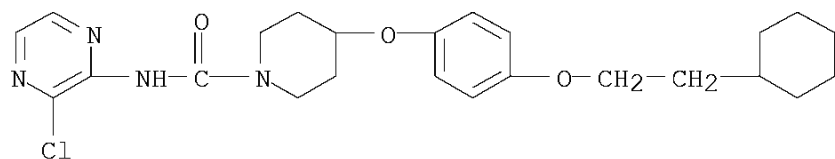
RN 1008771-96-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[3-(2-cyclohexylethoxy)phenoxy]-N-2-pyrazinyl- (CA INDEX NAME)



RN 1008772-69-7 CAPLUS

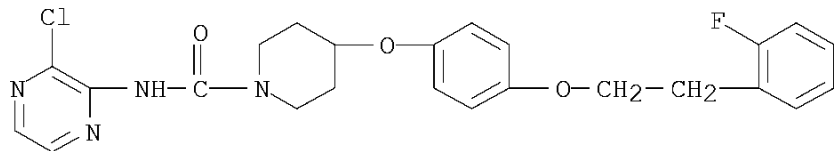
CN 1-Piperidinecarboxamide, N-(3-chloro-2-pyrazinyl)-4-[4-(2-cyclohexylethoxy)phenoxy]- (CA INDEX NAME)



RN 1008772-71-1 CAPLUS

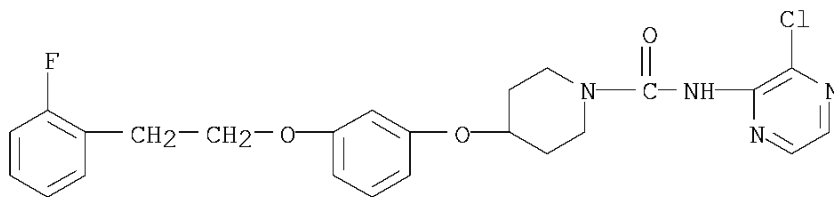
CN 1-Piperidinecarboxamide, N-(3-chloro-2-pyrazinyl)-4-[4-[2-(2-

fluorophenyl)ethoxy]phenoxy]- (CA INDEX NAME)



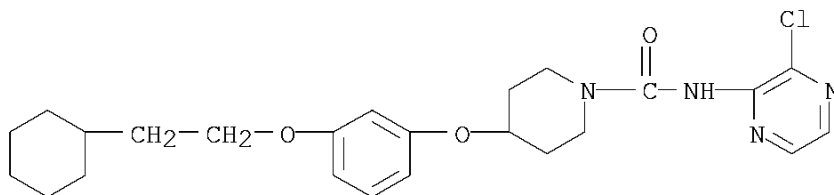
RN 1008772-73-3 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-2-pyrazinyl)-4-[3-[2-(2-fluorophenyl)ethoxy]phenoxy]- (CA INDEX NAME)



RN 1008772-75-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-2-pyrazinyl)-4-[3-(2-cyclohexylethoxy)phenoxy]- (CA INDEX NAME)



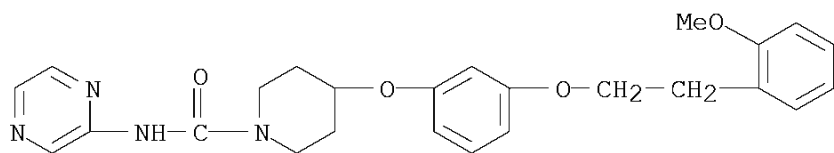
RN 1008772-80-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[3-[2-(2-methoxyphenyl)ethoxy]phenoxy]-N-2-pyrazinyl-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 1008772-79-9

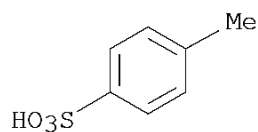
CMF C25 H28 N4 O4



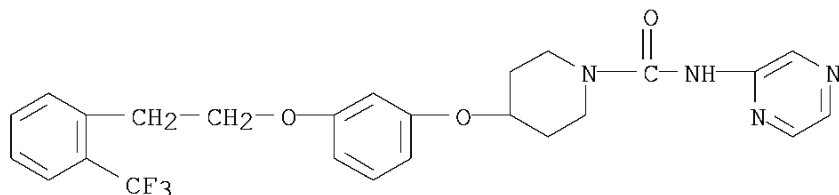
CM 2

CRN 104-15-4

CMF C7 H8 O3 S

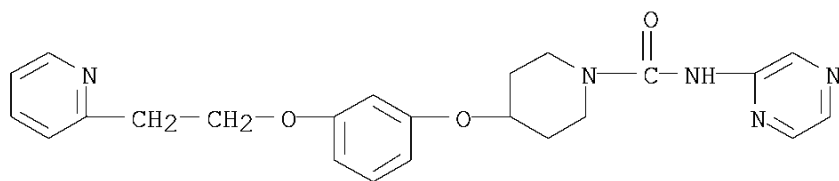


RN 1008772-82-4 CAPLUS
 CN 1-Piperidinecarboxamide, N-2-pyrazinyl-4-[3-[2-[2-(trifluoromethyl)phenyl]ethoxy]phenoxy]-, hydrochloride (1:1) (CA INDEX NAME)



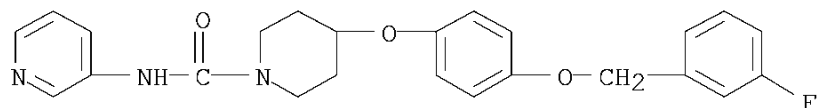
● HCl

RN 1008772-84-6 CAPLUS
 CN 1-Piperidinecarboxamide, N-2-pyrazinyl-4-[3-[2-(2-pyridinyl)ethoxy]phenoxy]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 1008775-26-5 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[4-[(3-fluorophenyl)methoxy]phenoxy]-N-3-pyridinyl- (CA INDEX NAME)

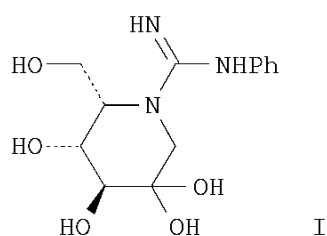


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

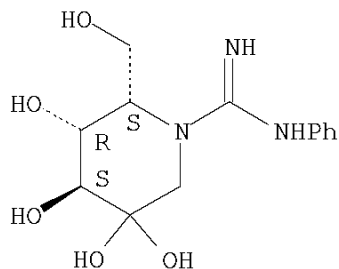
L4 ANSWER 40 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2008:90363 CAPLUS

DOCUMENT NUMBER: 148:331926
 TITLE: Synthesis and Biological Evaluation of Guanidine-Type Iminosugars
 AUTHOR(S): Aguilar, Matilde; Diaz-Perez, Paula; Garcia-Moreno, M. Isabel; Ortiz Mellet, Carmen; Garcia Fernandez, Jose M.
 CORPORATE SOURCE: Departamento de Quimica Organica, Facultad de Quimica, Universidad de Sevilla, Seville, E-41012, Spain
 SOURCE: Journal of Organic Chemistry (2008), 73(5), 1995-1998
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 148:331926
 GI



- AB The preparation of carbohydrate mimics, e.g. I·HCl, in which the endocyclic oxygen has been replaced by a guanidine-type nitrogen atom is reported. The synthetic strategy involves the furanose → piperidine rearrangement of 5-deoxy-5-guanidino-L-idose precursors. The reaction proceeds through elimination of water to give 3-oxopiperidines, which were isolated as the corresponding hydrates. Biol. evaluation of the new glycomimetics evidenced a strong influence of the nature of the substituents at the nitrogen atoms on the glycosidase inhibitory properties.
- IT 1010809-53-6P 1010809-55-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and glycosidase inhibitory property of guanidine iminosugars via rearrangement, nucleophilic addition and condensation from azidodeoxysugar)
- RN 1010809-53-6 CAPLUS
- CN 1-Piperidinecarboximidamide, 3,4,5,5-tetrahydroxy-2-(hydroxymethyl)-N-phenyl-, hydrochloride (1:1), (2S,3R,4S)- (CA INDEX NAME)

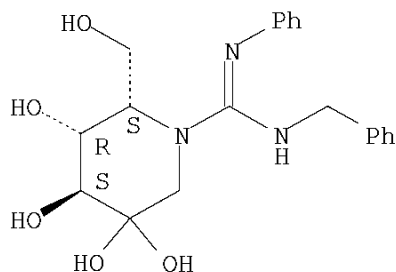
Absolute stereochemistry. Rotation (-).



● HCl

RN 1010809-55-8 CAPLUS
 CN 1-Piperidinecarboximidamide, 3,4,5,5-tetrahydroxy-2-(hydroxymethyl)-N-phenyl-N'-(phenylmethyl)-, hydrochloride (1:1), (2S,3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

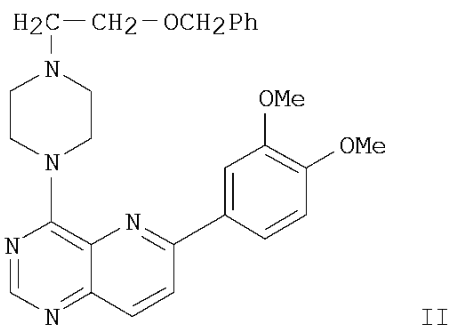
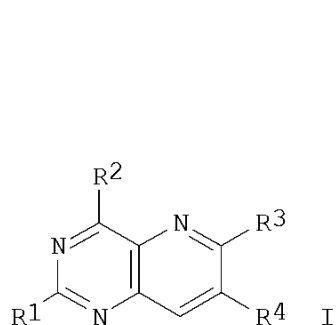


● HCl

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
 REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 41 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2008:9015 CAPLUS
 DOCUMENT NUMBER: 148:121718
 TITLE: Preparation of pyrido[3,2-d]pyrimidines as immunosuppressive agents
 INVENTOR(S): De Jonghe, Steven Cesar Alfons; Dolusic, Eduard; Gao, Ling-Jie; Herdewijn, Piet Andre Maurits Maria; Pfleiderer, Wolfgang Eugen
 PATENT ASSIGNEE(S): 4 Aza Ip NV, Belg.
 SOURCE: U.S. Pat. Appl. Publ., 161 pp., Cont.-in-part of Appl. No. PCT/EP2005/014187.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

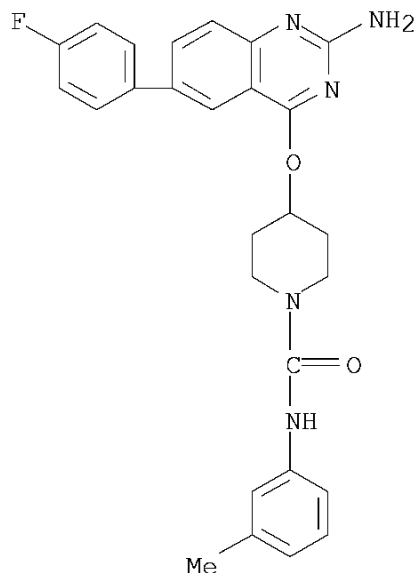
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080004285	A1	20080103	US 2007-771924	20070629
WO 2006069805	A2	20060706	WO 2005-EP14187	20051229
WO 2006069805	A3	20070125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
ZA 2007005281	A	20080730	ZA 2007-5281	20051229
US 20090036430	A1	20090205	US 2008-143652	20080620
US 20090264415	A2	20091022		
WO 2009003669	A2	20090108	WO 2008-EP5331	20080630
WO 2009003669	A3	20090319		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
PRIORITY APPLN. INFO.:			GB 2004-28475	A 20041230
			US 2005-693899P	P 20050624
			WO 2005-EP14187	A2 20051229
			US 2007-771924	A2 20070629
			US 2008-143652	A 20080620
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): MARPAT 148:121718				
GI				



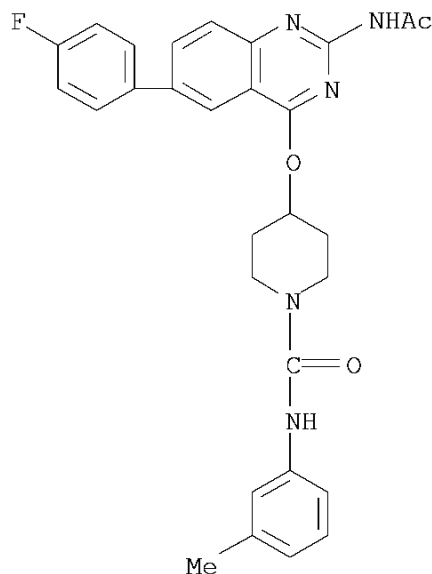
AB The title compds. I [R¹ = H, halo, cyano, carboxylic acid, etc.; R² = mono- or di- alkylamino, monoarylamino, diarylamino, etc.; R³, R⁴ = H,

heteroaryl, aryl], useful in the treatment in transplant rejection and/or in the treatment of certain inflammatory diseases. Thus, reacting 4-chloro-6-(3,4-dimethoxyphenyl)-pyrido[3,2-d]pyrimidine with 1-(2-phenoxyethyl)piperazine afforded 84% II which showed an in vitro IC₅₀ of 0.1 μ M in a mixed lymphocyte reaction assay on peripheral blood mononuclear cells. Further, II was also tested in a TNF- α assay and showed IC₅₀ of 0.65 μ M. Comps. I are also useful in preventing or treating cardiovascular disorders, disorders of the central nervous system, TNF- α related disorders, viral diseases (including hepatitis C), erectile dysfunction and cell proliferative disorders. Pharmaceutical combinations comprising the compound I alone or in combination with other therapeutic agents are disclosed.

IT 1000793-63-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrido[3,2-d]pyrimidines as immunosuppressive agents)
 RN 1000793-63-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[2-amino-6-(4-fluorophenyl)-4-quinazolinyl]oxy]-N-(3-methylphenyl)- (CA INDEX NAME)



IT 1000793-61-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of pyrido[3,2-d]pyrimidines as immunosuppressive agents)
 RN 1000793-61-2 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[2-(acetylamino)-6-(4-fluorophenyl)-4-quinazolinyl]oxy]-N-(3-methylphenyl)- (CA INDEX NAME)



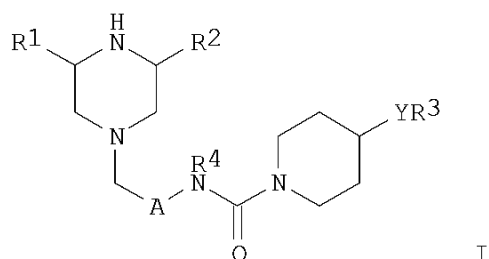
L4 ANSWER 42 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2007:1454611 CAPLUS
 DOCUMENT NUMBER: 148:79067
 TITLE: Preparation of
 piperidinylcarbonylaminobenzylpiperazines as GPR38
 receptor agonists
 INVENTOR(S): Seal, Jonathan Thomas; Stemp, Geoffrey; Thompson,
 Mervyn; Westaway, Susan Marie
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 69pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007144400	A1	20071221	WO 2007-EP55890	20070614
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 2029538	A1	20090304	EP 2007-765418	20070614
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2009539938	T	20091119	JP 2009-514801	20070614
US 20090131453	A1	20090521	US 2008-304539	20081212
PRIORITY APPLN. INFO.:			GB 2006-11907	A 20060615

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 148:79067; MARPAT 148:79067

GI



AB Title compds. [I; A = (substituted) Ph, 6-membered heteroaryl; R1, R2 = H, alkyl; R3 = (substituted) Ph, 5-6 membered heteroaryl; Y = NH, O, CH2, bond; R4 = alkyl, alkoxyalkyl], were prepared Thus, 4-[(3-fluorophenyl)amino]-N-methyl-N-[4-[(3S)-3-methyl-1-piperazinyl]methyl]phenyl]-1-piperidinecarboxamide (multistep preparation given) and other I showed pIC50 values of ≥ 6.4 in a GPR38 FLIPR assay.

IT 960121-26-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of piperidinylcarbonylaminobenzylpiperazines

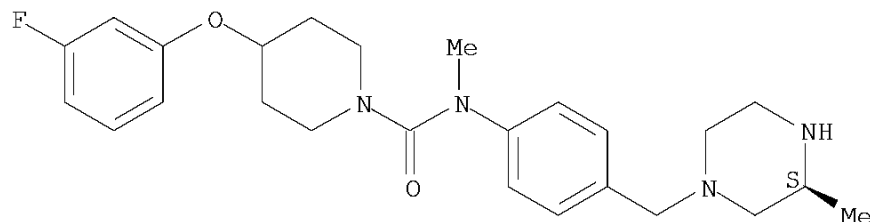
as

GPR38 receptor agonists)

RN 960121-26-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-fluorophenoxy)-N-methyl-N-[4-[(3S)-3-methyl-1-piperazinyl]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 960122-18-3P

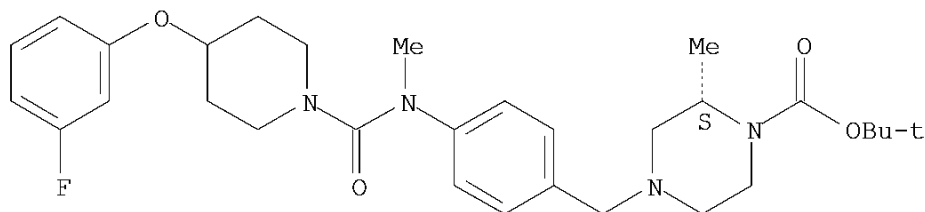
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperidinylcarbonylaminobenzylpiperazines as GPR38 receptor agonists)

RN 960122-18-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[4-[[[4-(3-fluorophenoxy)-1-piperidinyl]carbonyl]methylamino]phenyl]methyl]-2-methyl-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

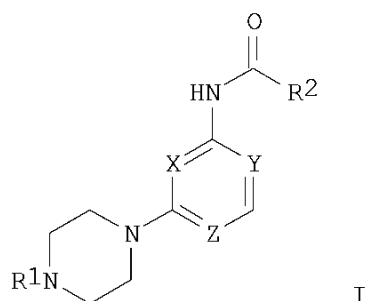
L4 ANSWER 43 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2007:1396597 CAPLUS
 DOCUMENT NUMBER: 148:33774
 TITLE: Preparation of piperazinympyrimidines as histamine 3 receptor (H3R) antagonists and/or inverse agonists.
 INVENTOR(S): Nettekoven, Matthias Heinrich; Roche, Olivier
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
 SOURCE: PCT Int. Appl., 79 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007137955	A1	20071206	WO 2007-EP54853	20070521
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2007267184	A1	20071206	AU 2007-267184	20070521
CA 2652158	A1	20071206	CA 2007-2652158	20070521
US 20070281921	A1	20071206	US 2007-804949	20070521
EP 2032554	A1	20090311	EP 2007-729297	20070521
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2009538859	T	20091112	JP 2009-512542	20070521
MX 2008014532	A	20081127	MX 2008-14532	20081113
CN 101448820	A	20090603	CN 2007-80018500	20081120
KR 2009015098	A	20090211	KR 2008-729239	20081128
IN 2008DN10194	A	20090320	IN 2008-DN10194	20081210
PRIORITY APPLN. INFO.:			EP 2006-114712	A 20060530
			WO 2007-EP54853	W 20070521

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 148:33774; MARPAT 148:33774

GI

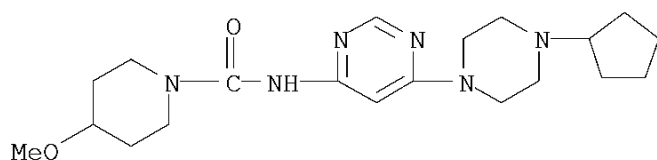


AB Title compds. [I; R1 = alkyl, cycloalkyl; X = N, Y = C, Z = N, or X = N, Y = N, Z = C, or X = C, Y = N, Z = N; R2 = alkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, (substituted) cycloalkyl, cycloalkylalkyl, Ph, pyridyl, amino], were prepared Thus, 2-chloro-4-pyrimidinylamine and 1-cyclopentylpiperazine were heated together in DMF for 16 h at 70° to give 51% 2-(4-cyclopentylpiperazin-1-yl)pyrimidin-4-ylamine. The latter was shaken with cyclopentylcarbonyl chloride and KOCMe3 in THF for 16 h to give 21% cyclopentanecarboxylic acid [2-(4-cyclopentylpiperazin-1-yl)pyrimidin-4-yl]amide. Tested I showed H3R binding with Ki = 22.2-51.0 nM.

IT 959696-35-6P, 4-Methoxy-1-piperidinecarboxamide
N-[6-(4-cyclopentylpiperazin-1-yl)-pyrimidin-4-yl]
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyipyrimidines as histamine 3 receptor antagonists and/or inverse agonists)

RN 959696-35-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[6-(4-cyclopentyl-1-piperazinyl)-4-pyrimidinyl]-4-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 44 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1391282 CAPLUS

DOCUMENT NUMBER: 148:34033

TITLE: Preparation of glycopeptide derivatives as antibiotics

INVENTOR(S): Nishitani, Yasuhiro; Yoshida, Osamu; Iwaki, Tsutomu; Kato, Issei

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 245 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007138999	A1	20071206	WO 2007-JP60673	20070525
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2007268774	A1	20071206	AU 2007-268774	20070525
CA 2652506	A1	20071206	CA 2007-2652506	20070525
EP 2030982	A1	20090304	EP 2007-744107	20070525
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
US 20090286717	A1	20091119	US 2008-224443	20080827
MX 2008015112	A	20081215	MX 2008-15112	20081126
IN 2008CN06490	A	20090327	IN 2008-CN6490	20081126
KR 2009018841	A	20090223	KR 2008-731609	20081226
CN 101501064	A	20090805	CN 2007-80028523	20090201
PRIORITY APPLN. INFO.:			JP 2006-147008	A 20060526
			WO 2007-JP60673	W 20070525

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 148:34033

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [RA represents -X1-Ar1-X2-Y-X3-Ar2 (X1, X2, X3 represent a single bond, a heteroatom group selected from -N=, =N-, -NR1- (R1 represents H or lower alkyl), O, S, SO, and SO2 or a linking group thereof, or alkylene or alkenylene which may be interrupted or substituted by one or more of such heteroatom groups); Y represents NR2CO, CONR2, etc. (R2 represents H or lower alkyl); Ar1 and Ar2 represent a carbocyclic group or heterocyclic group which may be substituted and may have an unsatd. bond; RB represents NHNRxRa or NRbOR11 (Rx represents hydrogen or lower alkyl, Ra represents hydrogen or lower alkyl which may be substituted, C(=NH)NH2, CSNH2, COCONH2, CN, a heterocyclic group which may be substituted, etc.); Rb represents hydrogen or lower alkyl; R11 represents hydrogen, lower alkyl which may be substituted, lower alkenyl which may be substituted, etc.; RC represents hydrogen or alkyl which may be substituted (the alkyl may be interrupted by a heteroatom group selected from O, S, SO, etc.); R represents alkyl which may be substituted; excluding 9 specific compds.] are prepared Thus, reaction of vancomycin HCl salt with 4-(2-oxoethyl)piperidine-1-carboxylic acid (4-trifluoromethoxyphenyl)amide in the presence of diisopropylethylamine, followed by treatment with NaBH3CN in the presence of trifluoroacetic acid, workup and reaction of the N-alkylated product with O-(tetrahydro-2H-pyran-2-yl)hydroxylamine, and treatment with HCl, gave the corresponding hydroxamic acid derivative 2HCl salt which showed MIC values of 4 µg/mL and 0.5 µg/mL against vancomycin-resistant E. faecalis SR7914 and methicillin-resistant S. aureus SR3637, resp. Formulations are given.

IT 959622-16-3P 959622-17-4P 959622-18-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

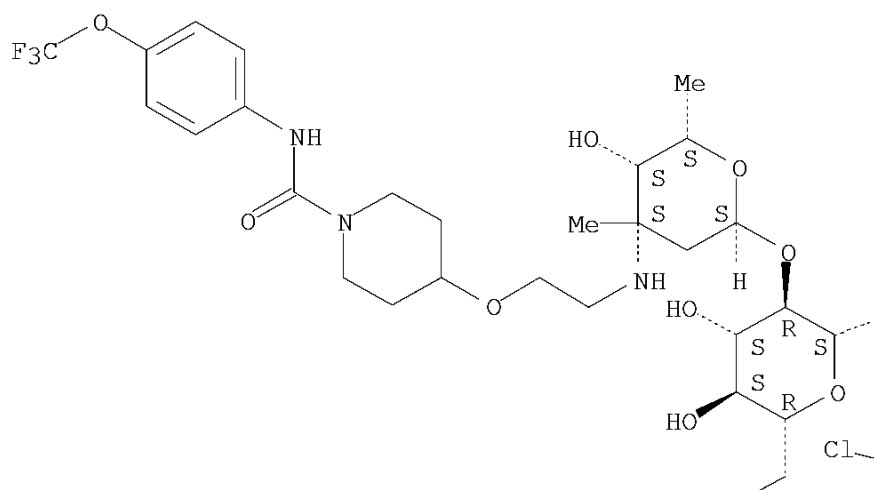
(preparation of glycopeptide derivs. as antibiotics)

RN 959622-16-3 CAPLUS

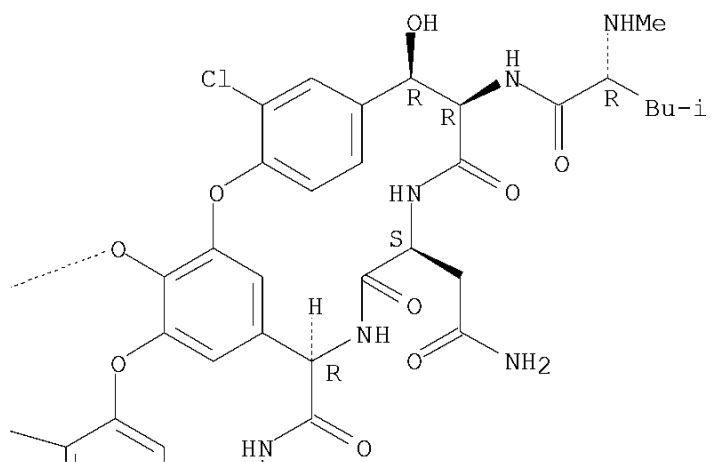
CN Vancomycin, 26-decarboxy-26-[(methoxyamino)carbonyl]-N3''-[2-[[1-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-4-piperidinyl]oxy]ethyl]-, hydrochloride (5:11) (CA INDEX NAME)

Absolute stereochemistry.

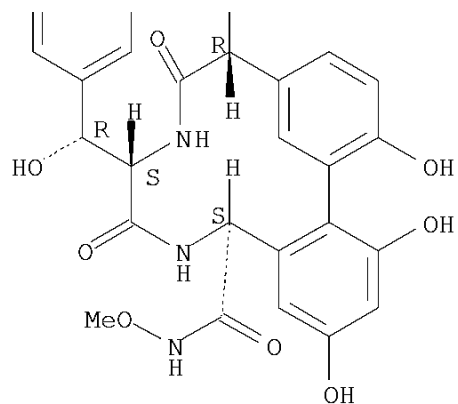
PAGE 1-A



PAGE 1-B



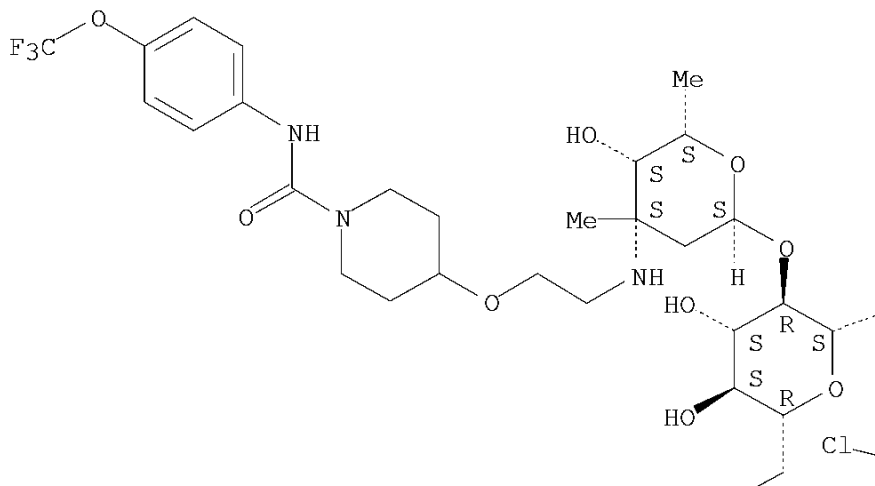
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HO-



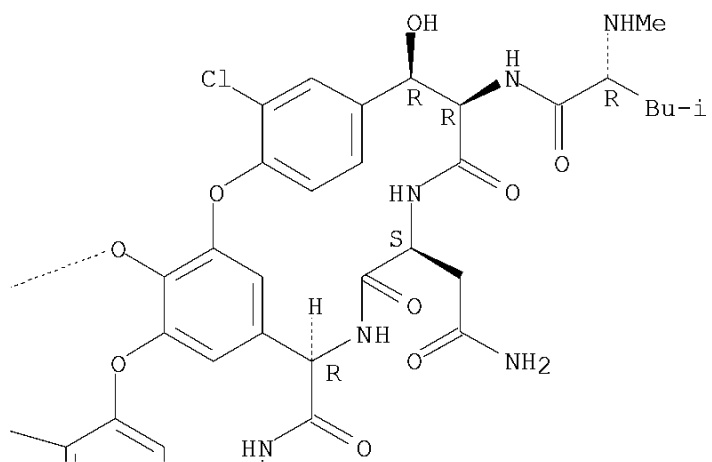
RN 959622-17-4 CAPLUS

CN Vancomycin, N3'''-[2-[[1-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-4-piperidinyl]oxy]ethyl]-, 2,2-dimethylhydrazide, hydrochloride (10:27) (CA INDEX NAME)

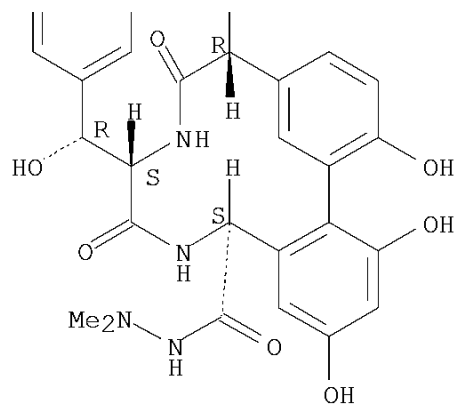
Absolute stereochemistry.



PAGE 1-B



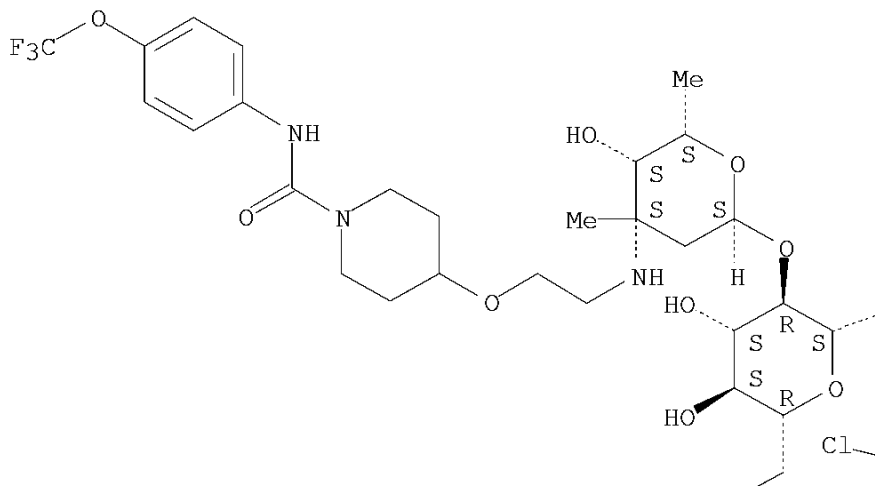
PAGE 2-A
HO



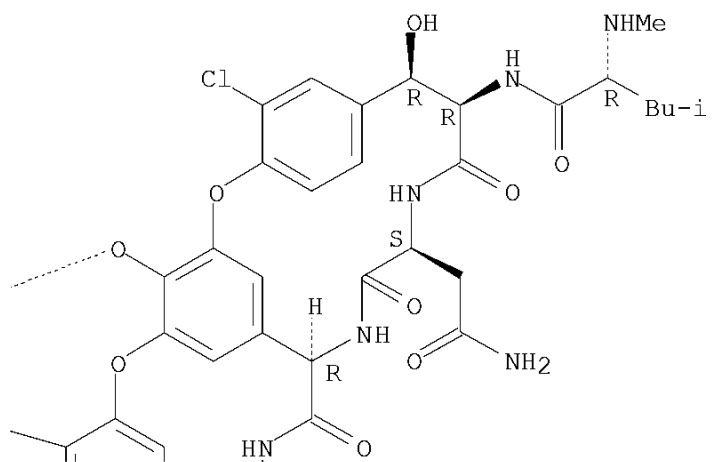
RN 959622-18-5 CAPLUS

CN Vancomycin, 26-[[[2-[(aminosulfonyl)amino]ethoxy]amino]carbonyl]-26-decarboxy-N3''-[2-[[1-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-4-piperidinyl]oxy]ethyl]-, hydrochloride (10:21) (CA INDEX NAME)

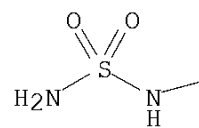
Absolute stereochemistry.



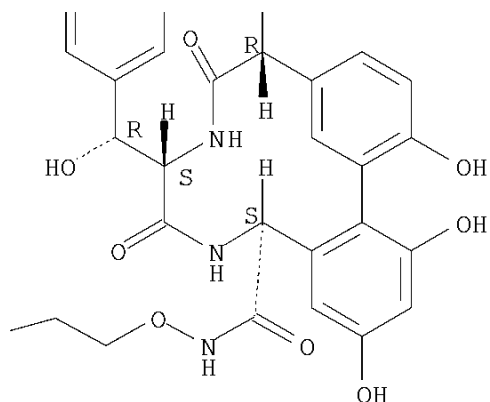
PAGE 1-B



PAGE 2-A
HO



●21/10 HCl



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 45 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1334154 CAPLUS

DOCUMENT NUMBER: 148:11255

TITLE: Preparation of N-thiazolopyrimidinyl- and/or N-thiazolopyridinylurea derivatives as adenosine A2B receptor antagonists

INVENTOR(S): Brinkman, John A.; Cheung, Adrian Wai-Hing; Firooznia, Fariborz; Guertin, Kevin Richard; Marcopulos, Nicholas; Qi, Lida; Racha, Jagdish Kumar; Sarabu, Ramakanth; Tan, Jenny; Tilley, Jefferson Wright

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 62 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

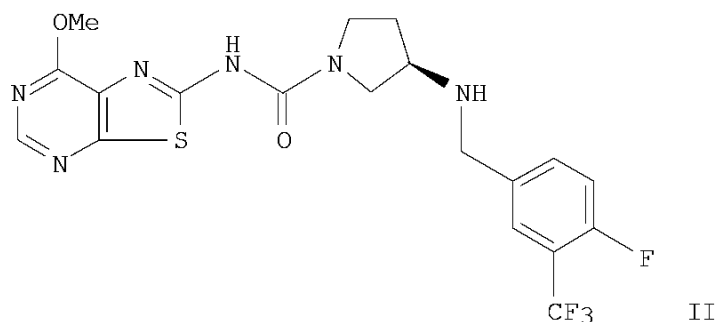
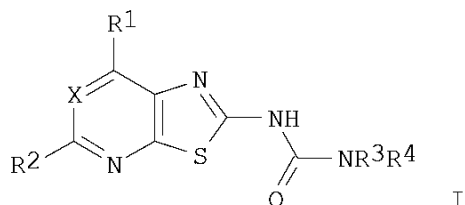
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070270433	A1	20071122	US 2007-801972	20070511
AU 2007253485	A1	20071129	AU 2007-253485	20070508
CA 2651769	A1	20071129	CA 2007-2651769	20070508
WO 2007134958	A1	20071129	WO 2007-EP54416	20070508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2027132	A1	20090225	EP 2007-728869	20070508
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009537473	T	20091029	JP 2009-510407	20070508

KR 2008111141	A	20081222	KR 2008-728022	20081117
MX 2008014690	A	20081127	MX 2008-14690	20081118
CN 101448844	A	20090603	CN 2007-80018023	20081118
IN 2008DN09797	A	20090320	IN 2008-DN9797	20081125
PRIORITY APPLN. INFO.:			US 2006-801481P	P 20060518
			WO 2007-EP54416	W 20070508
OTHER SOURCE(S):	CASREACT 148:11255; MARPAT 148:11255			
GI				



- AB The title compds. [I; X = C or N; R1 = C1-4 alkoxy; R2 = H, HO, C1-2 alkoxy, C1-2 alkylthio, R3 = H, C1-3 alkyl; R4 = C1-4 alkyl substituted with aryl, aroyl, aryloxy, arylsulfonyl, aralkylamino, or aroylamino; or R3 and R4 together with the urea nitrogen to which they are attached form each (un)substituted (1) 5 to 6 membered heterocyclic ring, (2) piperidinyl or pyrrolidinyl which is benz-fused to unsubstituted or mono-di- or trisubstituted Ph, piperidinyl which is spiro-fused to a 5 to 6 membered saturated heterocyclic ring containing from 1 or 2 heteroatoms, (3) 5-substituted 2,5-diaza-[2.2.1]-bicycloheptane, or (4) 5-substituted 2,5-diaza-[3.3.0]-bicyclooctane] or pharmaceutically acceptable salts or esters thereof are prepared. These compds. are active as adenosine A2B receptor antagonists and useful in the treatment of type 2 diabetes, diabetic retinopathy, asthma and diarrhea. Thus, 3-aminopyrrolidine-1-carboxylic acid N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)amide trifluoroacetate (2.35 g) was condensed with 4-fluoro-3-(trifluoromethyl)benzaldehyde in the presence of N,N-diisopropylethylamine in methanol (50 mL) and 50 mL toluene at 60° for 1 h and reduced by sodium triacetoxymethylborohydride in the presence of AcOH in CH2Cl2 at 25° overnight to give (R)-3-(4-fluoro-3-trifluoromethylbenzylamino)pyrrolidine-1-carboxylic acid N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)amide (II) (1.44 g, 52.8%). II showed IC50 of 0.002 μM for counteracting the 4-(3-butoxy-4-methoxybenzyl)-2-imidazolidinone (phosphodiesterase inhibitor)-induced inhibition of cAMP production in a Chinese hamster ovary (CHO.K1) cell stably transfected with human adenosine A2B receptor cDNA 4b.
- IT 957999-31-4P, 4-Hydroxy-4-(3-trifluoromethylphenyl)piperidine-1-carboxylic acid N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)amide 958000-49-2P, 4-Hydroxy-4-[3-(trifluoromethyl)phenyl]piperidine-1-

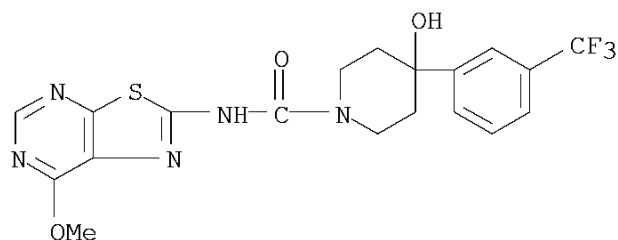
carboxylic acid N-(5-methylsulfonyl-7-methoxythiazolo[5,4-d]pyrimidin-2-yl)amide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(intermediate; preparation of N-thiazolopyrimidinyl- and/or N-thiazolopyridinylurea derivs. as adenosine A2B receptor antagonists)

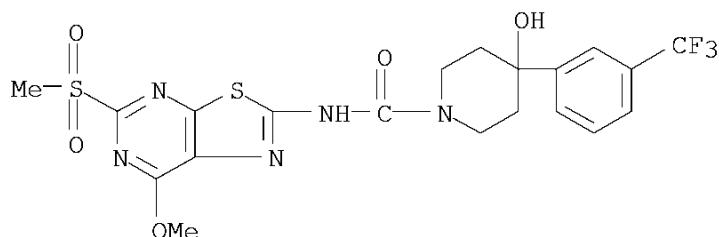
RN 957999-31-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 958000-49-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[7-methoxy-5-(methylsulfonyl)thiazolo[5,4-d]pyrimidin-2-yl]-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

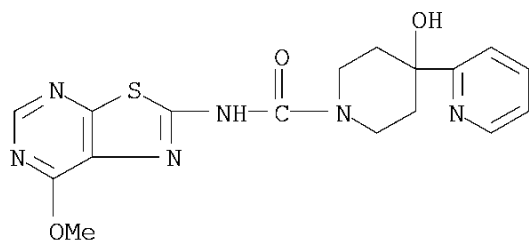


IT 957999-14-3P 957999-16-5P,
cis-3,4-Dihydroxy-4-(3-trifluoromethylphenyl)piperidine-1-carboxylic acid
N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)amide 957999-47-2P,
4-(3-Chlorophenoxy)piperidine-1-carboxylic acid
N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)amide 957999-54-1P,
4-(3-Chlorophenyl)-4-hydroxypiperidine-1-carboxylic acid
N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)amide 957999-55-2P,
4-Hydroxy-4-(3-methoxyphenyl)piperidine-1-carboxylic acid
N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)amide 957999-56-3P,
4'-Hydroxy-6-trifluoromethyl-3',4',5',6'-tetrahydro-2'H-[2,4']bipyridinyl-
1'-carboxylic acid N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)amide
958000-10-7P, 4-Hydroxy-4-[3-(trifluoromethyl)phenyl]piperidine-1-
carboxylic acid N-(7-methoxythiazolo[5,4-b]pyridin-2-yl)amide
958000-39-0P, 4-Hydroxy-4-[3-(trifluoromethyl)phenyl]piperidine-1-
carboxylic acid N-[7-methoxy-5-(methylsulfonyl)thiazolo[5,4-d]pyrimidin-2-
yl]amide 958000-47-0P,
4-Hydroxy-4-[3-(trifluoromethyl)phenyl]piperidine-1-carboxylic acid
N-(5-hydroxy-7-methoxythiazolo[5,4-d]pyrimidin-2-yl)amide
958000-70-9P, 3,4-Dihydroxy-4-(3-trifluoromethylphenyl)piperidine-
1-carboxylic acid N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of N-thiazolopyrimidinyl- and/or N-thiazolopyridinylurea

derivs. as adenosine A2B receptor antagonists)

RN 957999-14-3 CAPLUS

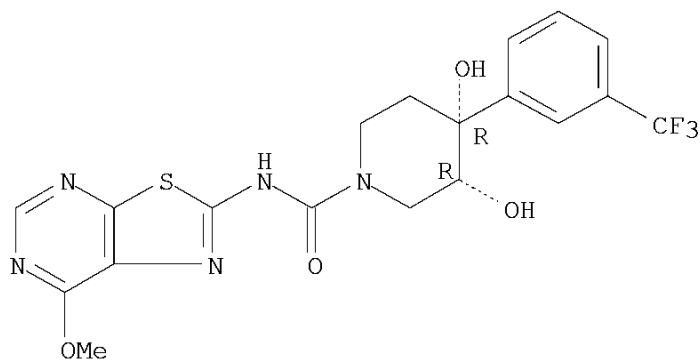
CN 1-Piperidinecarboxamide, 4-hydroxy-N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)-4-(2-pyridinyl)- (CA INDEX NAME)



RN 957999-16-5 CAPLUS

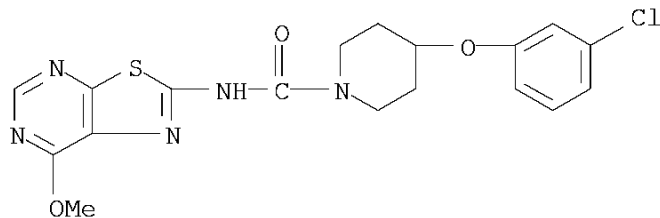
CN 1-Piperidinecarboxamide, 3,4-dihydroxy-N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)-4-[3-(trifluoromethyl)phenyl]-, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



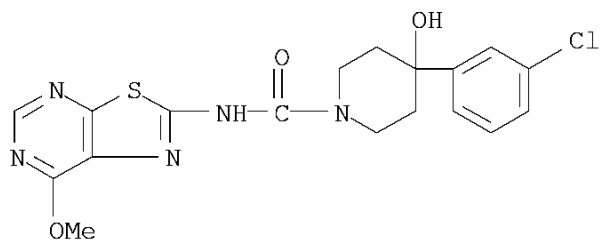
RN 957999-47-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-chlorophenoxy)-N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)- (CA INDEX NAME)



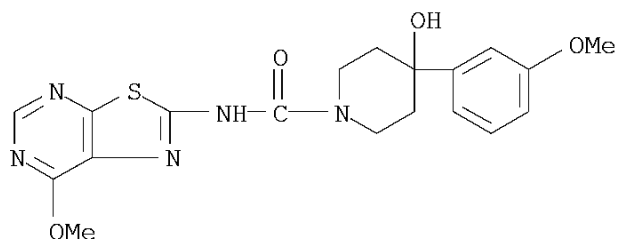
RN 957999-54-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-chlorophenyl)-4-hydroxy-N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)- (CA INDEX NAME)



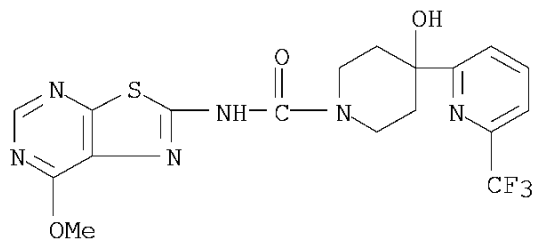
RN 957999-55-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-(3-methoxyphenyl)-N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)- (CA INDEX NAME)



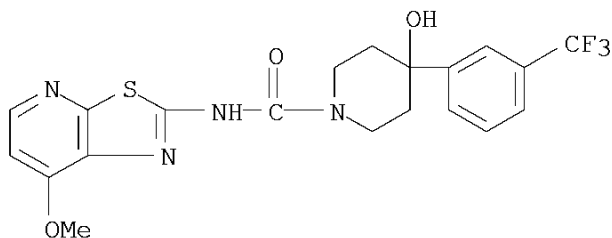
RN 957999-56-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)-4-[6-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



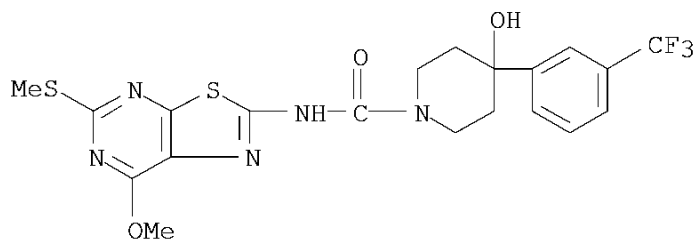
RN 958000-10-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-(7-methoxythiazolo[5,4-b]pyridin-2-yl)-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



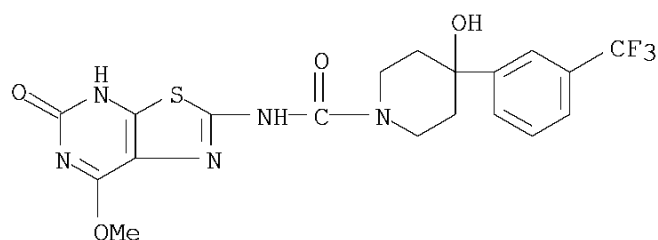
RN 958000-39-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[7-methoxy-5-(methylthio)thiazolo[5,4-d]pyrimidin-2-yl]-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



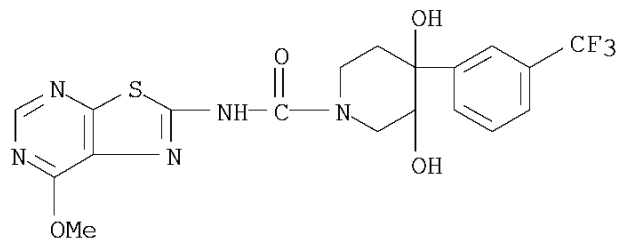
RN 958000-47-0 CAPLUS

CN 1-Piperidinecarboxamide, N-(4,5-dihydro-7-methoxy-5-oxothiazolo[5,4-d]pyrimidin-2-yl)-4-hydroxy-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 958000-70-9 CAPLUS

CN 1-Piperidinecarboxamide, 3,4-dihydroxy-N-(7-methoxythiazolo[5,4-d]pyrimidin-2-yl)-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 46 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1177785 CAPLUS

DOCUMENT NUMBER: 147:486431

TITLE: Preparation of thiazolyldihydrocyclopentapyrazoles as PI-3 kinase inhibitors

INVENTOR(S): Breitfelder, Steffen; Maier, Udo; Hoenke, Christoph; Joergensen, Anne T.; Pautsch, Alexander; Brandl, Trixi; Grauert, Matthias; Hoffmann, Matthias; Scheuerer, Stefan; Erb, Klaus; Pieper, Michael; Pragst, Ingo

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.

SOURCE: PCT Int. Appl., 172 pp.
CODEN: PIXXD2

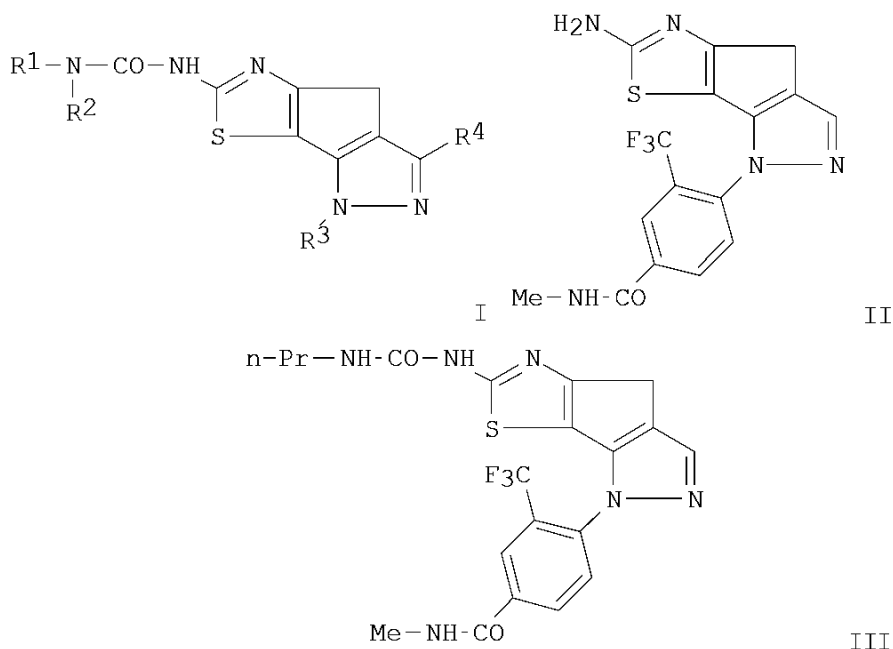
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007115931	A1	20071018	WO 2007-EP52914	20070327
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2007236045	A1	20071018	AU 2007-236045	20070327
CA 2647292	A1	20071018	CA 2007-2647292	20070327
EP 2007382	A1	20081231	EP 2007-727387	20070327
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2009532415	T	20090910	JP 2009-503534	20070327
ZA 2008007713	A	20090826	ZA 2008-7713	20080908
MX 2008012534	A	20081010	MX 2008-12534	20080930
IN 2008DN08705	A	20090522	IN 2008-DN8705	20081016
KR 2008111118	A	20081222	KR 2008-727183	20081105
CN 101460167	A	20090617	CN 2007-80020754	20081204
PRIORITY APPLN. INFO.:			EP 2006-112298	A 20060406
			WO 2007-EP52914	W 20070327
OTHER SOURCE(S):	MARPAT 147:486431			
GI				

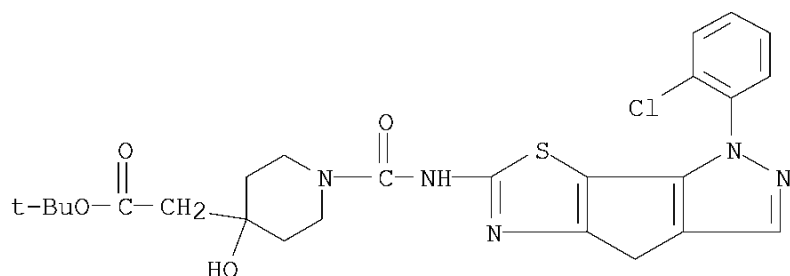


AB Title compds. I [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = H, NH2, OH, etc.] and their pharmaceutically acceptable salts were prepared For example, propylamine/carbonyldiimidazole acylation of amine II afforded urea III in 13% yield. Compds. I are claimed useful as PI-3 kinase inhibitors.

IT 953385-13-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of thiazolyldihydrocyclopentapyrazoles for use as PI-3 kinase inhibitors)

RN 953385-13-2 CAPLUS

CN 4-Piperidineacetic acid, 1-[[[1-(2-chlorophenyl)-1,4-dihydropyrazolo[3',4':3,4]cyclopenta[1,2-d]thiazol-6-yl]amino]carbonyl]-4-hydroxy-, 1,1-dimethylethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 47 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1176632 CAPLUS

DOCUMENT NUMBER: 147:486430

TITLE: Preparation of thiazolyldihydroindazoles as PI-3 kinase inhibitors

INVENTOR(S): Maier, Udo; Grauert, Matthias; Hoffmann, Matthias; Hoenke, Christoph; Joergensen, Anne T.; Pautsch, Alexander; Brandl, Trixi; Breitfelder, Steffen; Scheuerer, Stefan; Erb, Klaus; Pieper, Michael; Pragst, Ingo

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.

SOURCE: PCT Int. Appl., 188pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007115930	A1	20071018	WO 2007-EP52913	20070327
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,			

RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM

US 20070259855	A1	20071108	US 2007-690360	20070323
AU 2007236044	A1	20071018	AU 2007-236044	20070327
CA 2647434	A1	20071018	CA 2007-2647434	20070327
EP 2018386	A1	20090128	EP 2007-727386	20070327

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
 AL, BA, HR, MK, RS

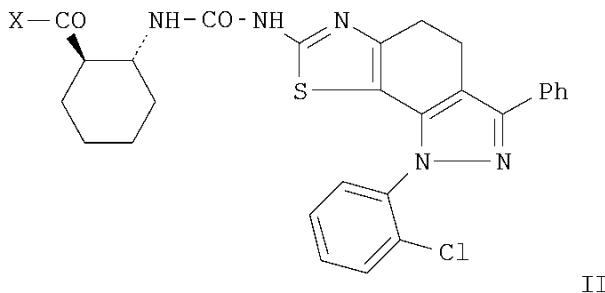
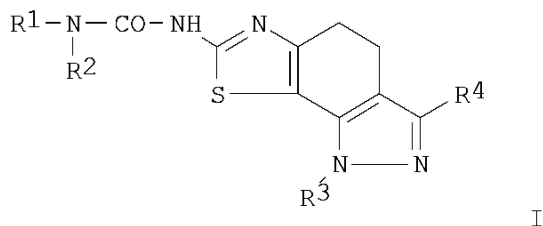
JP 2009532414	T	20090910	JP 2009-503533	20070327
ZA 2008007580	A	20090729	ZA 2008-7580	20080903
MX 2008012332	A	20081009	MX 2008-12332	20080926
IN 2008DN08658	A	20090522	IN 2008-DN8658	20081015
KR 2009006181	A	20090114	KR 2008-727276	20081106
CN 101460507	A	20090617	CN 2007-80020875	20081205

PRIORITY APPLN. INFO.:

EP 2006-112299	A	20060406
WO 2007-EP52913	W	20070327

OTHER SOURCE(S): MARPAT 147:486430

GI



AB Title compds. I [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of dimethylamine and acid II [X =OH] afforded pyrazole II [X = NMe2] in 32% yield. Compds. I are claimed useful as PI-3 kinase inhibitors.

IT 953052-08-9P

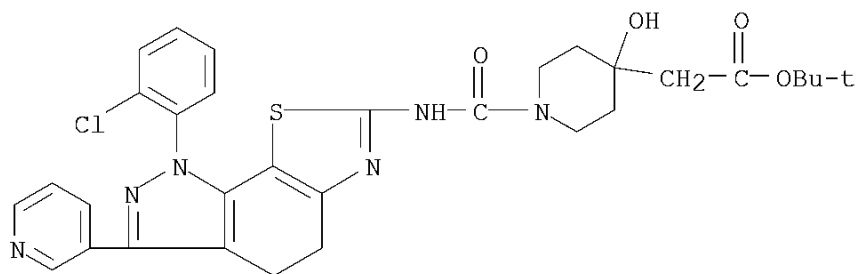
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolyldihydroindazoles as PI-3 kinase inhibitors)

RN 953052-08-9 CAPLUS

CN 4-Piperidineacetic acid, 1-[[[1-(2-chlorophenyl)-4,5-dihydro-3-(3-pyridinyl)-1H-pyrazolo[4,3-g]benzothiazol-7-yl]amino]carbonyl]-4-hydroxy-,

1,1-dimethylethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 48 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1176376 CAPLUS

DOCUMENT NUMBER: 147:486429

TITLE: Preparation of indazole compounds that inhibit one or
more receptor, or non-receptor, tyrosine or
serine/threonine kinase

INVENTOR(S): Ericsson, Anna M.; Burchat, Andrew; Frank, Kristine
E.; Calderwood, David J.; Abbott, Lily K.; Argiriadi,
Maria A.; Borhani, David W.; Cusack, Kevin P.; Dixon,
Richard W.; Gordon, Thomas D.; Mullen, Kelly D.;
Talanian, Robert V.; Wu, Xiaoyun; Zhang, Xiaolei;
Wang, Lu X.; Li, Biqin; Barberis, Claude E.; Wishart,
Neil

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 266 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007117465	A2	20071018	WO 2007-US8307	20070402
WO 2007117465	A3	20080828		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
CA 2644910	A1	20071018	CA 2007-2644910	20070402
US 20070282101	A1	20071206	US 2007-731950	20070402
EP 2001480	A2	20081217	EP 2007-754773	20070402
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,			

AL, BA, HR, MK, RS					
JP 2009532370	T	20090910	JP 2009-503091		20070402
MX 2008012482	A	20081010	MX 2008-12482		20080929
CN 101437519	A	20090520	CN 2007-80012071		20081006
PRIORITY APPLN. INFO.:			US 2006-788553P	P	20060331
			WO 2007-US8307	W	20070402

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:486429

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title indazoles I [R1 = H, benzyl substituted with OMe, (un)substituted alkyl, etc.; R3 = H, halo, NH2, OH, etc.; R4 = H or NH2; R5 = H, NH2, NO2, halo, etc.; R6 = H, alkoxy, alkyl, benzo[b]thienyl, etc.; R7 = H, halo, NH2, alkenyl, etc.] that inhibit one or more receptor, or non-receptor, tyrosine or S/T kinase, were prepared and formulated. Thus, reacting thiocarbamate II with 2-(pyridin-2-yl)ethylamine afforded 39% III. The exemplified compds. I inhibit either COT or MK2 at concns. of 50 μ M or below.

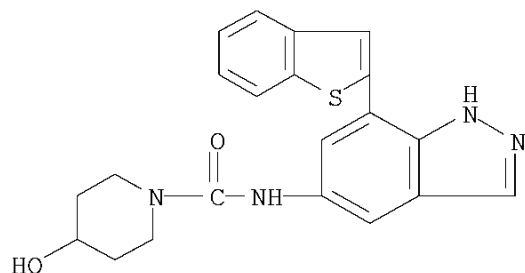
IT 953401-62-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indazoles that inhibit one or more receptor, or non-receptor, tyrosine or serine/threonine kinase)

RN 953401-62-2 CAPLUS

CN 1-Piperidinecarboxamide, N-(7-benzo[b]thien-2-yl-1H-indazol-5-yl)-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L4 ANSWER 49 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1151172 CAPLUS

DOCUMENT NUMBER: 147:448802

TITLE: Preparation of thiazolyl-dihydro-quinazolines as PI3 kinase inhibitors

INVENTOR(S): Brandl, Trixi; Maier, Udo; Hoenke, Christoph; Joergensen, Anne T.; Pautsch, Alexander; Breitfelder, Steffen; Grauert, Matthias; Hoffmann, Matthias; Scheuerer, Stefan; Erb, Klaus; Pieper, Michael; Pragst, Ingo

PATENT ASSIGNEE(S): Switz.

SOURCE: U.S. Pat. Appl. Publ., 103pp.

CODEN: USXXCO

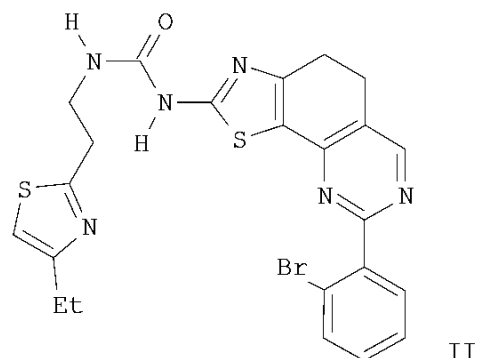
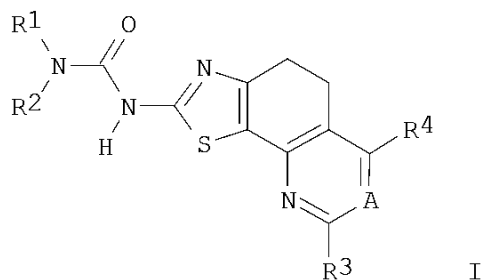
DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070238746	A1	20071011	US 2007-690355	20070323
AU 2007236046	A1	20071018	AU 2007-236046	20070327
CA 2646571	A1	20071018	CA 2007-2646571	20070327
WO 2007115932	A1	20071018	WO 2007-EP52915	20070327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 2007772	A1	20081231	EP 2007-727388	20070327
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009532416	T	20090910	JP 2009-503535	20070327
ZA 2008007791	A	20090826	ZA 2008-7791	20080910
MX 2008012645	A	20081013	MX 2008-12645	20081001
IN 2008DN08704	A	20090515	IN 2008-DN8704	20081016
KR 2009023560	A	20090305	KR 2008-727171	20081105
CN 101460508	A	20090617	CN 2007-80021077	20081208
US 20090131424	A1	20090521	US 2009-351017	20090109
PRIORITY APPLN. INFO.:			EP 2006-112296	A 20060406
			US 2007-690355	A1 20070323
			WO 2007-EP52915	W 20070327

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:448802

GI



AB The title compds. I [A = N or CH; R1 = alkyl, cycloalkyl, arylalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; or NR1R2 = 5-7 membered ring consisting of carbon atoms and optionally 1 to 2 heteroatoms, selected from O, S and N; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, OH or NH2], useful as inhibitors of PI3-kinase, particularly as inhibitors of PI3-kinase gamma, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 1,3-cyclohexanedione, was given. Pharmaceutical compns. comprising the compound I alone or in combination with other therapeutic agents were disclosed.

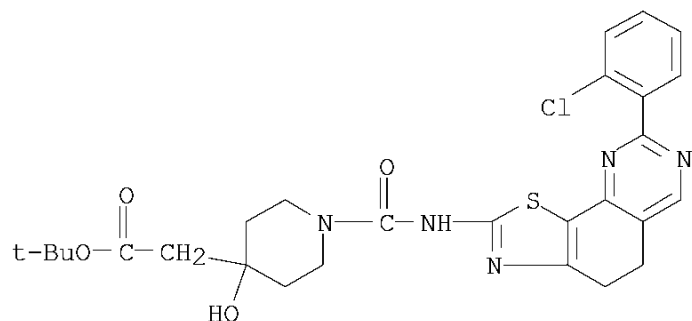
IT 952298-58-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolyl-dihydro-quinazolines as PI3 kinase inhibitors for treating and preventing diseases)

RN 952298-58-7 CAPLUS

CN 4-Piperidineacetic acid, 1-[[[8-(2-chlorophenyl)-4,5-dihydrothiazolo[4,5-h]quinazolin-2-yl]amino]carbonyl]-4-hydroxy-, 1,1-dimethylethyl ester (CA INDEX NAME)

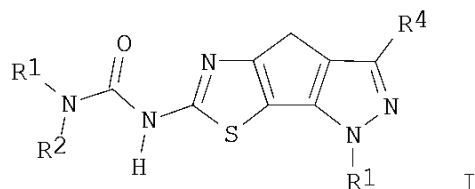


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L4 ANSWER 50 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:1151160 CAPLUS
DOCUMENT NUMBER: 147:448772
TITLE: Preparation of thiazolyl-dihydro-cyclopentapyrazoles
as PI3 kinase inhibitors
INVENTOR(S): Breitfelder, Steffen; Maier, Udo; Hoenke, Christoph;
Joergensen, Anne T.; Pautsch, Alexander; Brandl,
Trixi; Grauert, Matthias; Hoffmann, Matthias;
Scheuerer, Stefan; Erb, Klaus; Pieper, Michael;
Pragst, Ingo
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 87 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070238730	A1	20071011	US 2007-690356	20070323
US 7517995	B2	20090414		
ZA 2008007713	A	20090826	ZA 2008-7713	20080908
PRIORITY APPLN. INFO.:			EP 2006-112298	A 20060406

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 147:448772
GI



AB The title compds. I [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; or NR1R2 = 5-7 membered ring consisting of carbon atoms and optionally 1-2 heteroatoms selected from O, S and N; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, NH2 or OH], useful as inhibitors of PI3-kinase, particularly as inhibitors of PI3-kinase gamma, were prepared and formulated. E.g., a multi-step synthesis of the urea I [R1 = H; R2 = Bu; R3 = 2-ClC6H4; R4 = H], starting from 2-bromocyclopentan-1,3-dione and N-acetylthiourea, was given. Pharmaceutical compns. comprising the compound I alone or in combination with other therapeutic agents are disclosed.

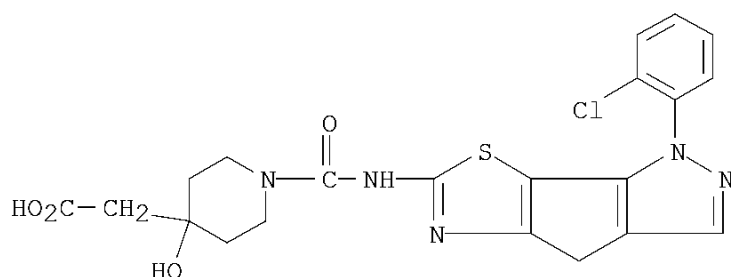
IT 952232-41-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolyl-dihydro-cyclopentapyrazoles as PI3 kinase inhibitors for treating and preventing diseases)

RN 952232-41-6 CAPLUS

CN 4-Piperidineacetic acid, 1-[[[1-(2-chlorophenyl)-1,4-dihydropyrazolo[3',4':3,4]cyclopenta[1,2-d]thiazol-6-yl]amino]carbonyl]-4-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 51 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1064553 CAPLUS

DOCUMENT NUMBER: 147:385845

TITLE: Preparation of piperidinecarboxylic acid benzylamides as soluble epoxide hydrolase inhibitors

INVENTOR(S): Delombaert, Stephane; Eldrup, Anne Bettina; Kowalski, Jennifer A.; Mugge, Ingo Andreas; Soleymanzadeh, Fariba; Swinamer, Alan David; Taylor, Steven John
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. KG

SOURCE: PCT Int. Appl., 222pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

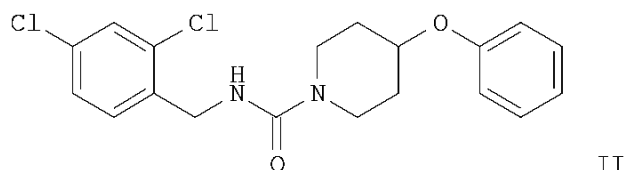
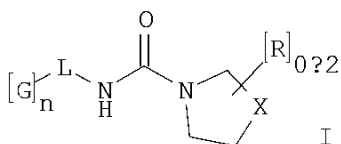
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007106705	A1	20070920	WO 2007-US63544	20070308
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2643859	A1	20070920	CA 2007-2643859	20070308
EP 1996545	A1	20081203	EP 2007-758126	20070308
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR			
JP 2009529577	T	20090820	JP 2009-500557	20070308
US 20090111791	A1	20090430	US 2008-281065	20080828
PRIORITY APPLN. INFO.:			US 2006-743452P	P 20060310
			WO 2007-US63544	W 20070308

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

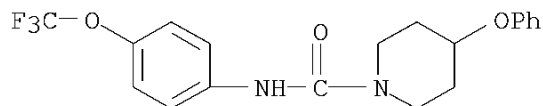
OTHER SOURCE(S): CASREACT 147:385845; MARPAT 147:385845

GI

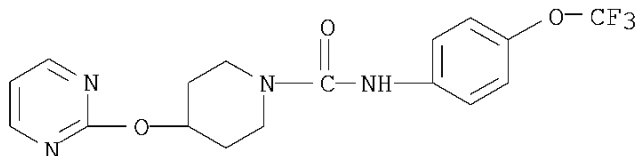


AB The title compds. I [G = (un)substituted cycloalkyl, heteroaryl or heterocyclyl; n = 1 or 2 such that L can be substituted with one or two G; L = (un)substituted methylene or ethylene; X = a bond, methylene or ethylene; R if present is chosen from C(O)R1 (wherein R1 = OH, O(CH2)0-5Me, heteroaryl, etc.), heteroaryl, cycloalkyl, etc.] which are active against soluble epoxide hydrolase (sEH), were prepared and claimed. Thus, reacting 4-phenoxy piperidine hydrochloride with 2,4-dichloro-1-(isocyanatomethyl)benzene in the presence of Et3N in MeCN afforded 56% II. Pharmaceutical composition comprising compound I is disclosed.

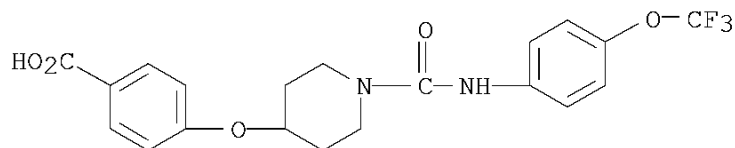
IT 950649-33-9P 950649-77-1P 950650-15-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperidinecarboxylic acid benzylamides as soluble epoxide hydrolase inhibitors)
 RN 950649-33-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-phenoxy-N-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



RN 950649-77-1 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(2-pyrimidinylloxy)-N-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



RN 950650-15-4 CAPLUS
 CN Benzoic acid, 4-[[1-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-4-piperidinyl]oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
 REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 52 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:1010684 CAPLUS

DOCUMENT NUMBER: 148:517741

TITLE: Quinazoline derivatives as adrenergic receptor antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Sarma, Pakala Kumara Savithru; Dharmarajan, Sankaranarayanan; Pal, Arani; Kondaskar, Atul; Ashani, K.; Shelka, Sandeep Y.; Gupta, Praful; Sharma, Somesh; Chugh, Anita; Tiwari, Atul; Palle, Venkata P.

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: Indian Pat. Appl., 55pp.

CODEN: INXXBQ

DOCUMENT TYPE: Patent

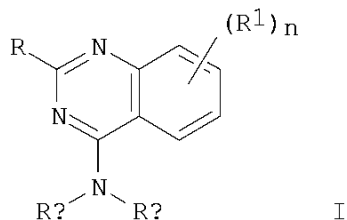
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

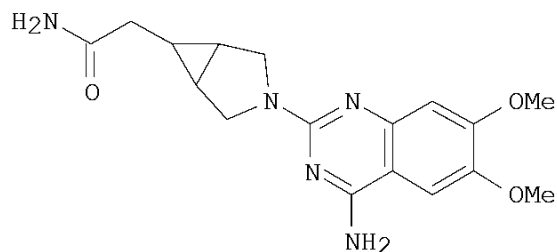
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2005DE01706	A	20070831	IN 2005-DE1706	20050630
PRIORITY APPLN. INFO.:			IN 2005-DE1706	20050630
OTHER SOURCE(S):	CASREACT 148:517741			

GI



I



II

AB The invention relates to quinazoline derivs. of formula I, which can function as α 1a and/or α 1b adrenergic receptor antagonist and

can be used for the treatment of a disease or disorder mediated through α 1a and/or α 1b adrenergic receptors. Compds. of formula I can be used for the treatment of benign prostatic hyperplasia (BPH) and the related symptoms thereof. Further, compds. of formula I can be used for the treatment of lower urinary tract symptoms associated with or without BPH. The invention also relates to processes for preparing such compds., pharmaceutical compns. thereof, and the method of treating BPH or related symptoms thereof. Compds. of formula I, wherein each R1 are independently halo, OH, alkyl, alkoxy, CN, NO2, amino, alkylamino, etc.; n is 1-4; Ra and Rb are independently H, alkyl, cycloalkyl, aryl, alkenyl and C(=V)R4; R4 is H, OR5 and NH2 and derivs.; V is O, S and NH; R5 is H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, etc.; and their pharmaceutically acceptable salts, solvates, polymorphs, prodrugs, stereoisomers, tautomers, N-oxides, and metabolites thereof, are claimed. Example compound II•HCl was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their antagonistic activity against α 1a and α 1b adrenergic receptors. From the assays, it was determined that all the tested compds. exhibited the Ki values of 3.5 - 121 nM and 2.3 - 44 nM against α 1a and α 1b adrenergic receptors, resp.

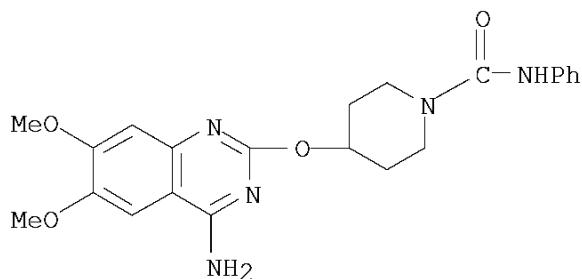
IT 1022897-52-4P 1022898-23-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinazoline derivs. as adrenergic receptor antagonists useful in the treatment of diseases)

RN 1022897-52-4 CAPLUS

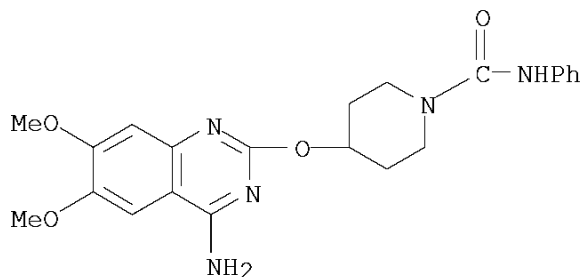
CN 1-Piperidinecarboxamide, 4-[(4-amino-6,7-dimethoxy-2-quinazolinyl)oxy]-N-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 1022898-23-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(4-amino-6,7-dimethoxy-2-quinazolinyl)oxy]-N-phenyl- (CA INDEX NAME)



L4 ANSWER 53 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:944016 CAPLUS

DOCUMENT NUMBER: 147:300995

TITLE: Substituted dipiperidines as CCR2 antagonists, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Demong, Duane E.; Xia, Mingde; Pollack, Scott R.; Zheng, Xiaoping; Brackley, James A.; Wachter, Michael P.; Cavender, Druie E.; Demarest, Keith T.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: U.S. Pat. Appl. Publ., 120 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

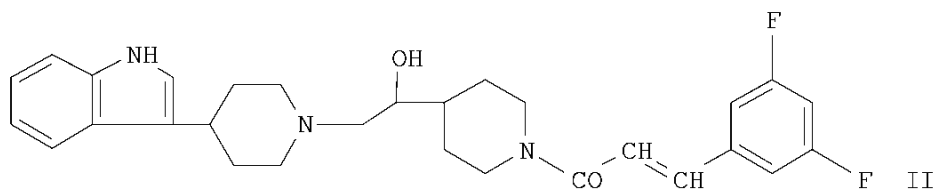
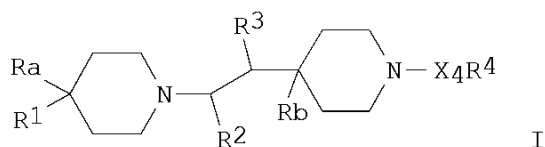
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070197590	A1	20070823	US 2007-669284	20070131
WO 2007130712	A1	20071115	WO 2007-US61354	20070131
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-763608P P 20060131

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:300995

GI



AB Substituted dipiperidine compds. of formula I (wherein R1 is (un)substituted aryl or heterocyclyl; Ra and Rb are H or OH; R2 is H, oxo, hydroxyalkyl, haloalkyl, etc.; R3 is H, oxo, OH, hydroxyalkyl, etc.; R4 is H or (un)substituted cycloalkyl, aryl or heterocyclyl; X4 is absent or is carbonyl, carboxy, alkylcarbonyl, etc.) or a form thereof, are CCR2 antagonists and are useful in preventing, treating or ameliorating CCR2 mediated inflammatory syndromes, disorders or diseases. Preparation of I is exemplified. Example compound II was prepared by reacting 3-piperidin-4-yl-1H-indole and 1-benzyl-4-oxiranylpiperidine to give an intermediate which was deprotected to give 2-[4-(1H-indol-3-yl)piperidin-1-yl]-1-piperidin-4-ylethanol (III). Reaction of III with 3-(3,5-difluorophenyl)acrylic acid gave II, which had an IC50 value of 0.03 μ M for inhibition of MCP-1 binding to CCR2 receptors in THP-1 cells.

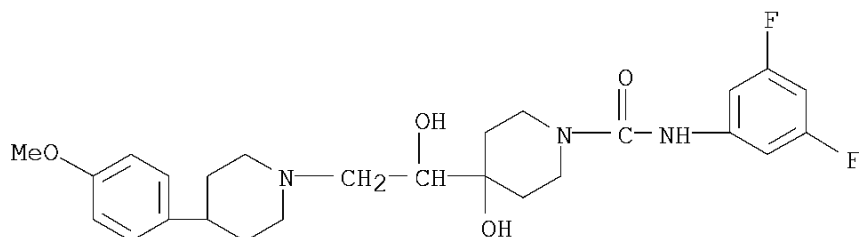
IT 946429-71-6P, 4-Hydroxy-4-[1-hydroxy-2-[4-(4-methoxyphenyl)piperidin-1-yl]ethyl]piperidine-1-carboxylic acid N-(3,5-difluorophenyl)amide 946429-83-0P, 4-Hydroxy-4-[1-hydroxy-2-[4-(4-trifluoromethylphenyl)piperidin-1-yl]ethyl]piperidine-1-carboxylic acid N-(3,4-dichlorophenyl)amide 946430-12-2P, 4-Hydroxy-4-[1-hydroxy-2-[4-(1H-indol-3-yl)piperidin-1-yl]ethyl]piperidine-1-carboxylic acid N-(3,4-difluorophenyl)amide 946430-13-3P, 4-Hydroxy-4-[1-hydroxy-2-[4-(4-methoxyphenyl)piperidin-1-yl]ethyl]piperidine-1-carboxylic acid N-(3,4-difluorophenyl)amide 946430-14-4P, 4-Hydroxy-4-[1-hydroxy-2-[4-(4-methoxyphenyl)piperidin-1-yl]ethyl]piperidine-1-carboxylic acid N-(3,4-dichlorophenyl)amide 946430-15-5P, 4-[2-[4-(4-Fluorophenyl)piperidin-1-yl]-1-hydroxyethyl]-4-hydroxypiperidine-1-carboxylic acid N-(3,4-difluorophenyl)amide 946430-16-6P, 4-[2-[4-(4-Fluorophenyl)piperidin-1-yl]-1-hydroxyethyl]-4-hydroxypiperidine-1-carboxylic acid N-(3,5-difluorophenyl)amide 946430-17-7P, 4-[2-[4-(4-Fluorophenyl)piperidin-1-yl]-1-hydroxyethyl]-4-hydroxypiperidine-1-carboxylic acid N-(3,4-dichlorophenyl)amide 946430-18-8P, 4-Hydroxy-4-[1-hydroxy-2-[4-(4-trifluoromethylphenyl)piperidin-1-yl]ethyl]piperidine-1-carboxylic acid N-(3,4-difluorophenyl)amide 946430-19-9P, 4-Hydroxy-4-[1-hydroxy-2-[4-(4-trifluoromethylphenyl)piperidin-1-yl]ethyl]piperidine-1-carboxylic acid N-(3,5-difluorophenyl)amide 946430-20-2P, 4-[2-[4-(5-Fluoro-1H-indol-3-yl)piperidin-1-yl]-1-hydroxyethyl]-4-hydroxypiperidine-1-carboxylic acid N-(2-chloro-5-fluorophenyl)amide 946430-21-3P, 4-[2-[4-(4-Chlorophenyl)piperidin-1-yl]-1-hydroxyethyl]-4-hydroxypiperidine-1-carboxylic acid

N-(3,4-dichlorophenyl)amide 946430-22-4P,
 4-Hydroxy-4-[1-hydroxy-2-[4-[5-(morpholin-4-yl)-1H-indol-3-yl]piperidin-1-yl]ethyl]piperidine-1-carboxylic acid N-(3,4-difluorophenyl)amide
 946430-23-5P, 4-Hydroxy-4-[1-hydroxy-2-[4-[5-(morpholin-4-yl)-1H-indol-3-yl]piperidin-1-yl]ethyl]piperidine-1-carboxylic acid
 N-(3-fluoro-5-trifluoromethylphenyl)amide 946430-24-6P,
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 946430-26-8P, 4-Hydroxy-4-[1-hydroxy-2-[4-(4-methoxyphenyl)piperidin-1-yl]ethyl]piperidine-1-carbothioic acid
 N-(3,4-dichlorophenyl)amide 946430-27-9P,
 4-Hydroxy-4-[1-hydroxy-2-[4-(4-methoxyphenyl)piperidin-1-yl]ethyl]piperidine-1-carbothioic acid N-(3,5-dichlorophenyl)amide
 946430-28-0P, 4-Hydroxy-4-[1-hydroxy-2-[4-(4-methoxyphenyl)piperidin-1-yl]ethyl]piperidine-1-carbothioic acid
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 946430-30-4P, 4-Hydroxy-4-[1-hydroxy-2-[4-(4-trifluoromethylphenyl)piperidin-1-yl]ethyl]piperidine-1-carbothioic acid
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 946430-32-6P, 4-[2-[4-(5-Fluoro-1H-indol-3-yl)piperidin-1-yl]-1-hydroxyethyl]-4-hydroxypiperidine-1-carbothioic acid
 N-(3,4-dichlorophenyl)amide 946430-33-7P,
 4-[2-[4-(4-Chlorophenyl)piperidin-1-yl]-1-hydroxyethyl]-4-hydroxypiperidine-1-carbothioic acid N-(2,3,5-trifluorophenyl)amide
 946430-34-8P, 4-[2-[4-(5-Fluoro-1H-indol-3-yl)piperidin-1-yl]-1-hydroxyethyl]-4-hydroxypiperidine-1-carboxylic acid
 N-(3,4-dichlorophenyl)amide 946430-35-9P,
 4-Hydroxy-4-[1-hydroxy-2-[4-[5-(morpholin-4-yl)-1H-indol-3-yl]piperidin-1-yl]ethyl]piperidine-1-carbothioic acid N-(3,5-dichlorophenyl)amide
 946430-36-0P, 4-Hydroxy-4-[1-hydroxy-2-[4-[5-(morpholin-4-yl)-1H-indol-3-yl]piperidin-1-yl]ethyl]piperidine-1-carbothioic acid
 N-(3,5-difluorophenyl)amide 946431-00-1P,
 4-Hydroxy-4-[1-hydroxy-2-[4-(1H-indol-3-yl)piperidin-1-yl]ethyl]piperidine-1-carboxylic acid N-(3,5-difluorophenyl)amide 946431-02-3P,
 4-Hydroxy-4-[1-hydroxy-2-[4-(1H-indol-3-yl)piperidin-1-yl]ethyl]piperidine-1-carbothioic acid N-(3,5-dichlorophenyl)amide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

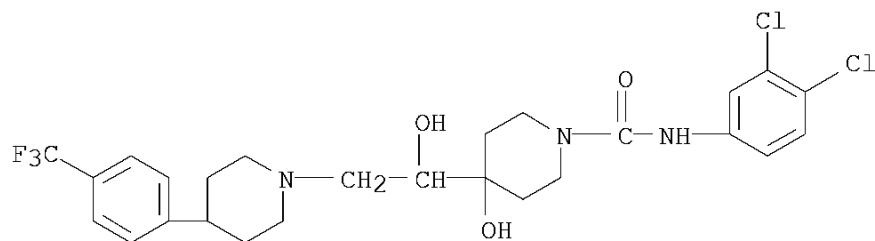
(drug candidate; substituted dipiperidines as CCR2 antagonists, their preparation, pharmaceutical compns., and use in therapy)

RN 946429-71-6 CAPLUS

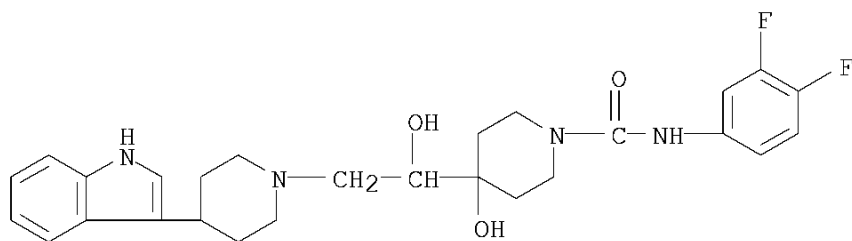
CN 1-Piperidinecarboxamide, N-(3,5-difluorophenyl)-4-hydroxy-4-[1-hydroxy-2-[4-(4-methoxyphenyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



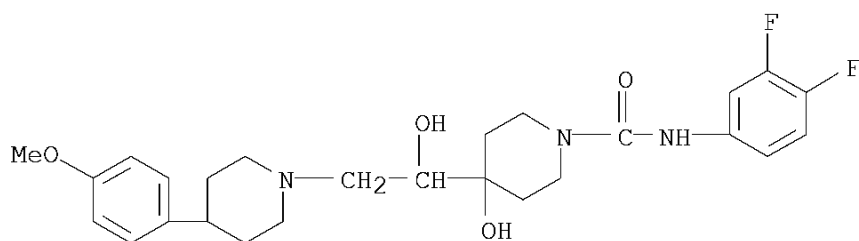
RN 946429-83-0 CAPLUS
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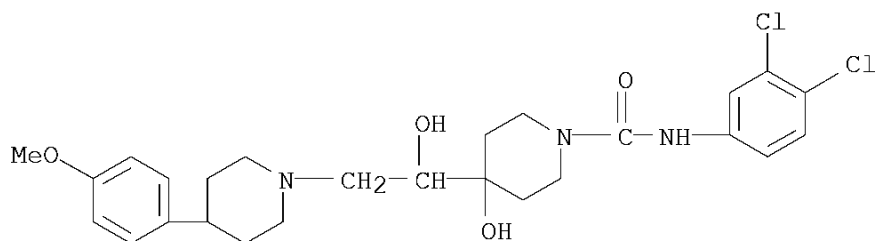
RN 946430-12-2 CAPLUS
 CN 1-Piperidinecarboxamide, N-(3,4-difluorophenyl)-4-hydroxy-4-[1-hydroxy-2-[4-(1H-indol-3-yl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



RN 946430-13-3 CAPLUS
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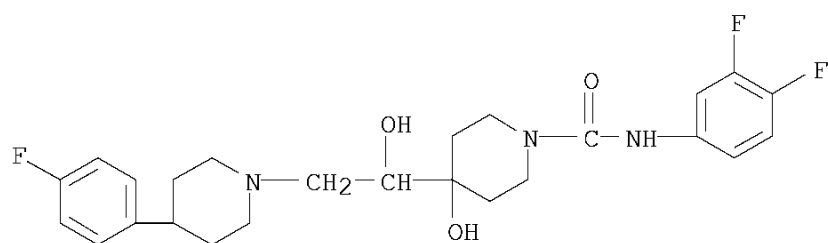


RN 946430-14-4 CAPLUS
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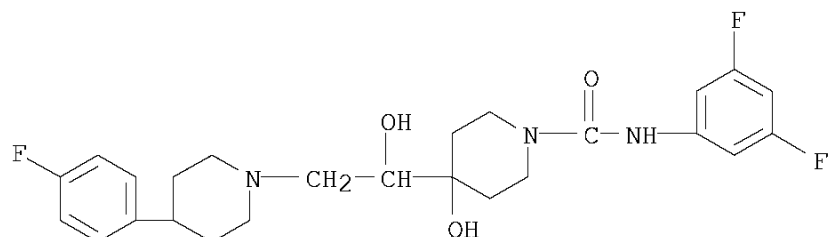
RN 946430-15-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,4-difluorophenyl)-4-[2-[4-(4-fluorophenyl)-1-piperidinyl]-1-hydroxyethyl]-4-hydroxy- (CA INDEX NAME)



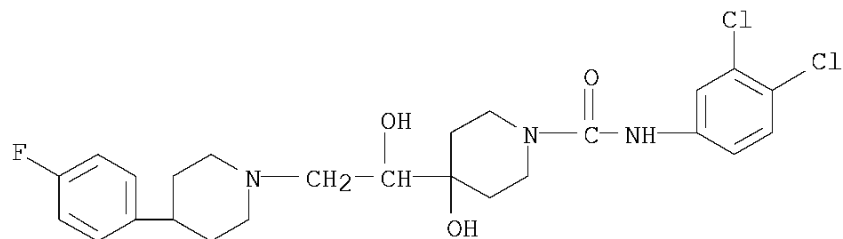
RN 946430-16-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-difluorophenyl)-4-[2-[4-(4-fluorophenyl)-1-piperidinyl]-1-hydroxyethyl]-4-hydroxy- (CA INDEX NAME)



RN 946430-17-7 CAPLUS

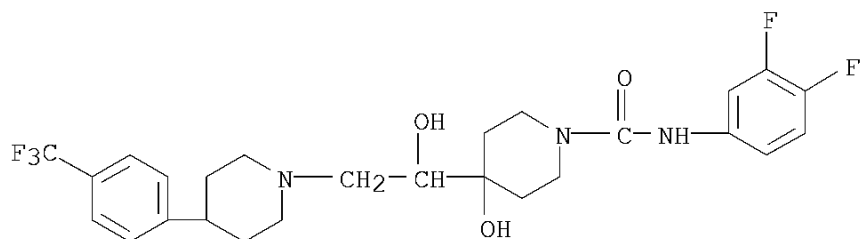
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RN 946430-18-8 CAPLUS

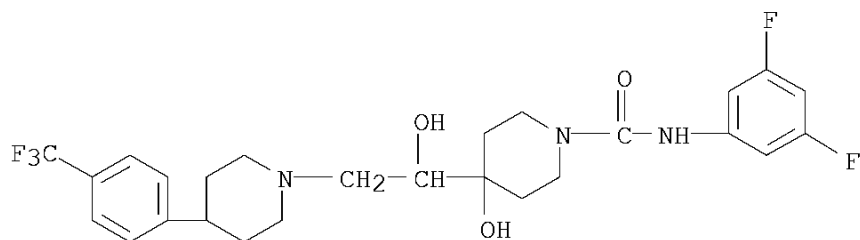
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[4-[4-(trifluoromethyl)phenyl]-1-piperidinyl]ethyl)- (CA INDEX NAME)



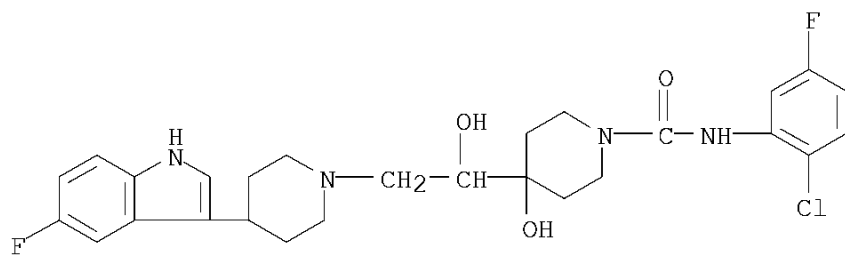
RN 946430-19-9 CAPLUS

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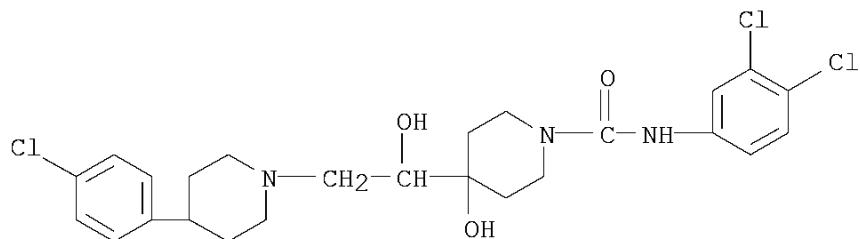
RN 946430-20-2 CAPLUS

CN 1-Piperidinecarboxamide, N-(2-chloro-5-fluorophenyl)-4-[2-[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]-1-hydroxyethyl]-4-hydroxy- (CA INDEX NAME)



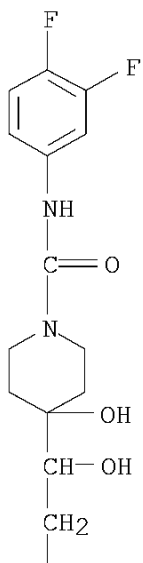
RN 946430-21-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[4-(4-chlorophenyl)-1-piperidinyl]-1-hydroxyethyl]-N-(3,4-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)

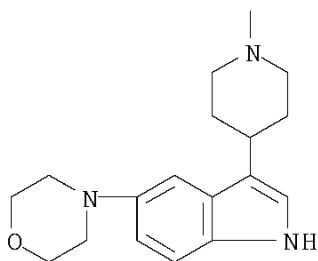


RN 946430-22-4 CAPLUS
 CN 1-Piperidinecarboxamide, N-(3,4-difluorophenyl)-4-hydroxy-4-[1-hydroxy-2-[4-[5-(4-morpholinyl)-1H-indol-3-yl]-1-piperidinyl]ethyl]- (CA INDEX NAME)

PAGE 1-A

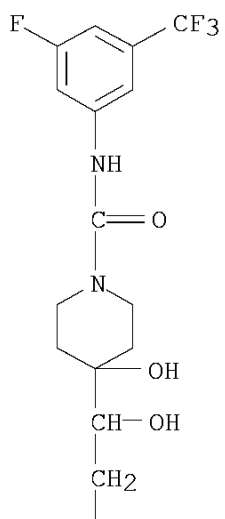


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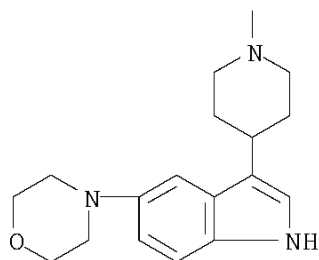


RN 946430-23-5 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy-4-[1-hydroxy-2-[4-[5-(4-morpholinyl)-1H-indol-3-yl]-1-piperidinyl]ethyl]- (CA INDEX NAME)

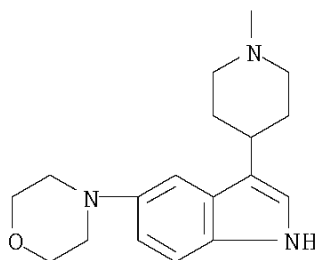
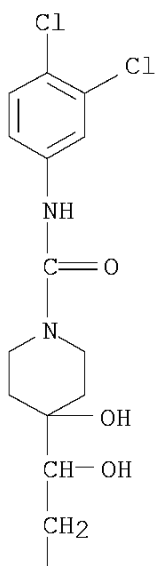
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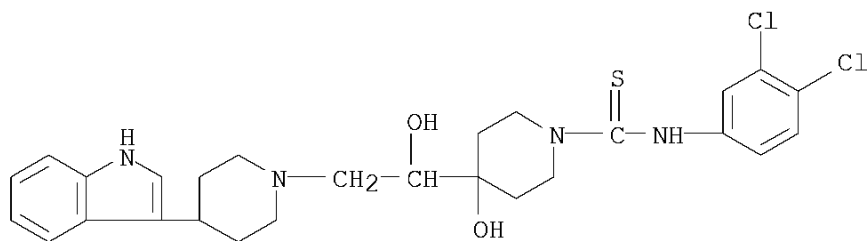
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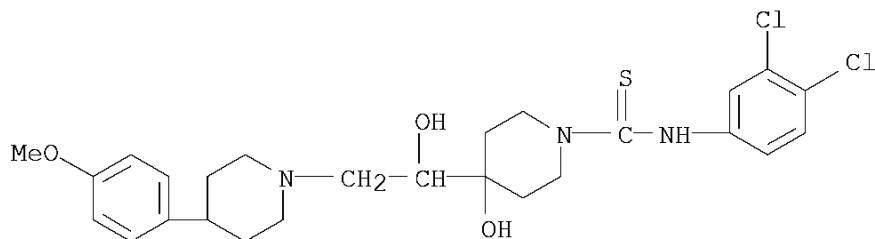
RN 946430-24-6 CAPLUS
CN 1-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-4-hydroxy-4-[1-hydroxy-2-[4-[5-(4-morpholinyl)-1H-indol-3-yl]-1-piperidinyl]ethyl]- (CA INDEX NAME)



RN 946430-25-7 CAPLUS
 CN 1-Piperidinecarbothioamide, N-(3,4-dichlorophenyl)-4-hydroxy-4-[1-hydroxy-2-[4-(1H-indol-3-yl)-1-piperidinyl]ethyl]- (CA INDEX NAME)

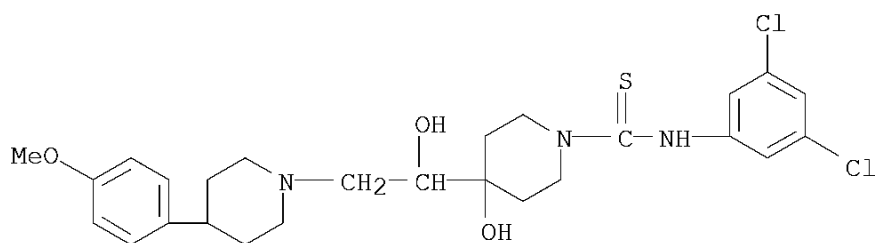


RN 946430-26-8 CAPLUS
 CN 1-Piperidinecarbothioamide, N-(3,4-dichlorophenyl)-4-hydroxy-4-[1-hydroxy-2-[4-(4-methoxyphenyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



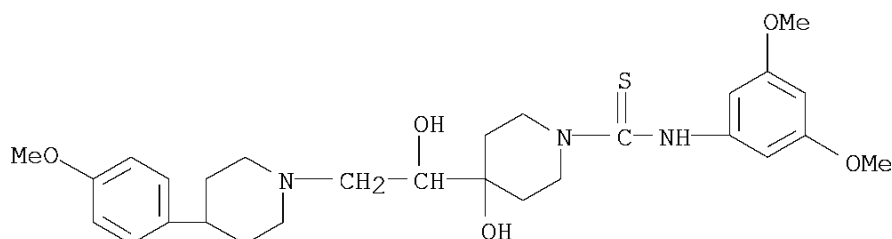
RN 946430-27-9 CAPLUS

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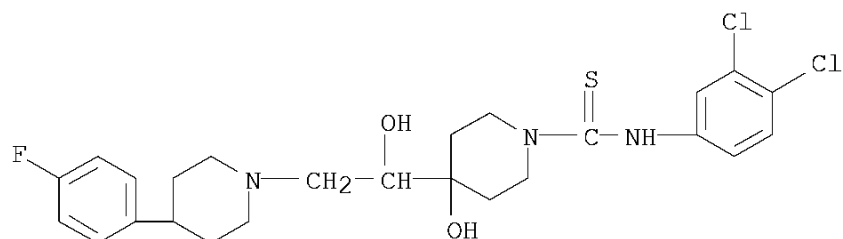
RN 946430-28-0 CAPLUS

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RN 946430-29-1 CAPLUS

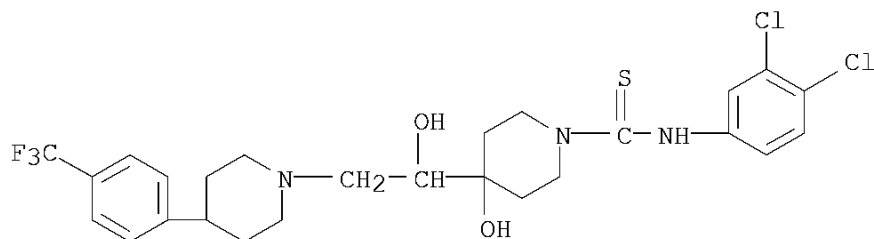
CN 1-Piperidinecarbothioamide, N-(3,4-dichlorophenyl)-4-[2-[4-(4-fluorophenyl)-1-piperidinyl]-1-hydroxyethyl]-4-hydroxy- (CA INDEX NAME)



RN 946430-30-4 CAPLUS

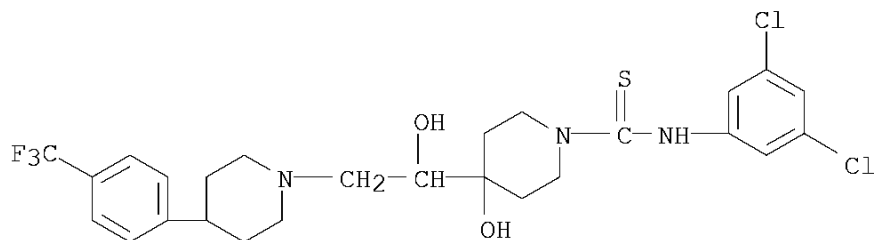
CN 1-Piperidinecarbothioamide, N-(3,4-dichlorophenyl)-4-hydroxy-4-[1-hydroxy-

2-[4-[4-(trifluoromethyl)phenyl]-1-piperidinyl]ethyl)- (CA INDEX NAME)



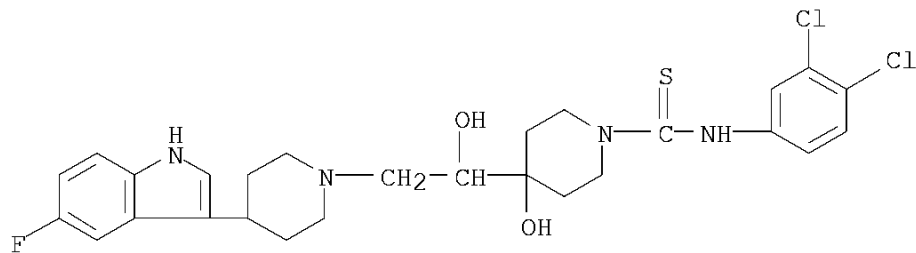
RN 946430-31-5 CAPLUS

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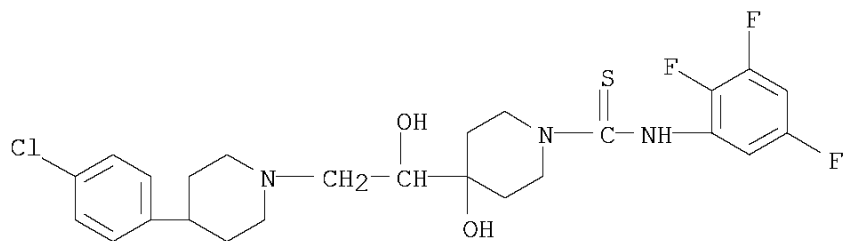
RN 946430-32-6 CAPLUS

CN 1-Piperidinecarbothioamide, N-(3,4-dichlorophenyl)-4-[2-[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]-1-hydroxyethyl]-4-hydroxy- (CA INDEX NAME)

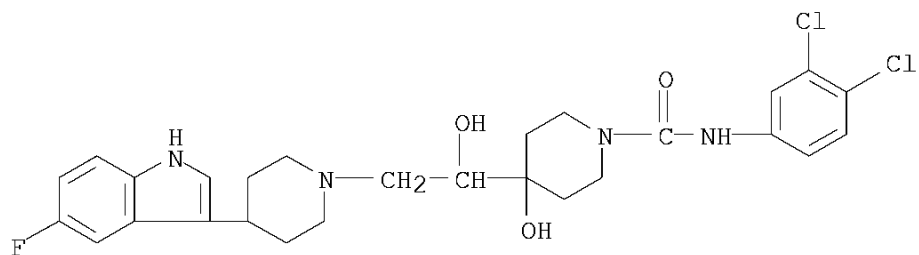


RN 946430-33-7 CAPLUS

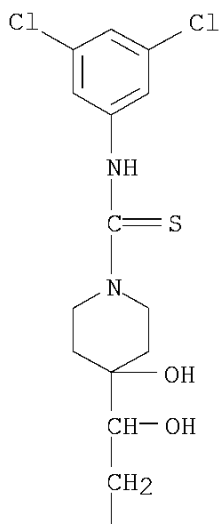
CN 1-Piperidinecarbothioamide, 4-[2-[4-(4-chlorophenyl)-1-piperidinyl]-1-hydroxyethyl]-4-hydroxy-N-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



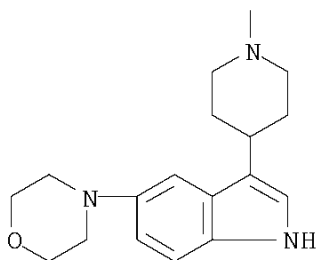
RN 946430-34-8 CAPLUS
 CN 1-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-4-[2-[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]-1-hydroxyethyl]-4-hydroxy- (CA INDEX NAME)



RN 946430-35-9 CAPLUS
 CN 1-Piperidinecarbothioamide, N-(3,5-dichlorophenyl)-4-hydroxy-4-[1-hydroxy-2-[4-[5-(4-morpholinyl)-1H-indol-3-yl]-1-piperidinyl]ethyl]- (CA INDEX NAME)



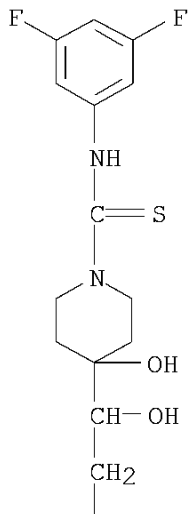
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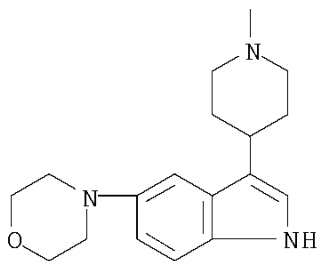
PAGE 2-A

RN 946430-36-0 CAPLUS
 CN 1-Piperidinecarbothioamide, N-(3,5-difluorophenyl)-4-hydroxy-4-[1-hydroxy-2-[4-[5-(4-morpholinyl)-1H-indol-3-yl]-1-piperidinyl]ethyl]- (CA INDEX NAME)

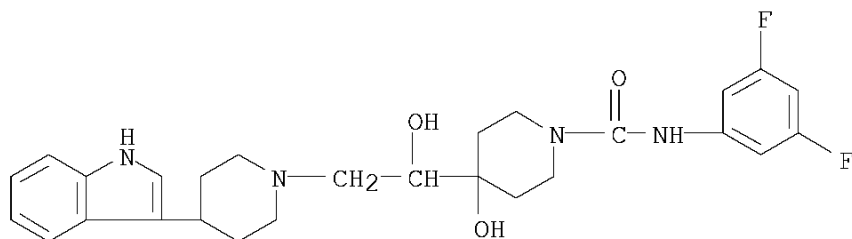
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PAGE 2-A

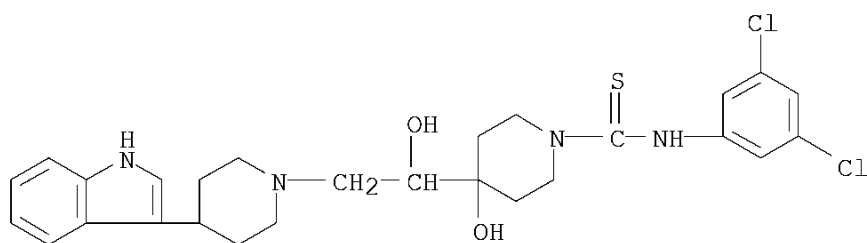


RN 946431-00-1 CAPLUS
 CN 1-Piperidinecarboxamide, N-(3,5-difluorophenyl)-4-hydroxy-4-[1-hydroxy-2-[4-(1H-indol-3-yl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



RN 946431-02-3 CAPLUS

CN 1-Piperidinecarbothioamide, N-(3,5-dichlorophenyl)-4-hydroxy-4-[1-hydroxy-2-[4-(1H-indol-3-yl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



L4 ANSWER 54 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:873810 CAPLUS

DOCUMENT NUMBER: 147:235180

TITLE: Preparation of 3-arylamino-1,2,4-triazole derivatives as 11 β -HSD1 inhibitors

INVENTOR(S): Itoh, Manabu; Ohta, Masahiko; Miyazaki, Yutaka; Sawama, Yuka; Matsumoto, Shigeki; Yamasaki, Fumiaki

PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 231pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007088895	A1	20070809	WO 2007-JP51611	20070131
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
WO 2006080533	A1	20060803	WO 2006-JP301586	20060131
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,			

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 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

WO 2006-JP301586 A 20060131
 JP 2006-207255 A 20060728
 JP 2005-24618 A 20050131
 JP 2005-112861 A 20050408

OTHER SOURCE(S): MARPAT 147:235180
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = hydroxy, alkoxy, alkyl, etc.; n = 1-3; R = Q1, etc.;
 Y = hydroxy, alkoxy, alkyl, etc.; Z = R1O2C-, R2R3NCO-; R1 = H, alkyl or
 phenyl; R2 = H or alkyl; R3 = H, alkoxyalkyl, hydroxy, etc.] or
 pharmaceutically acceptable salts, prodrugs or solvates thereof were
 prepared For example, reaction of 3-[4-amino-2-(trifluoromethyl)phenyl]-5-[N-
 (4-fluorophenyl)-N-methylamino]-4-methyl-4H-1,2,4-triazole, e.g., prepared
 from 4-fluoro-N-methylaniline in 5 steps, with dimethylsulfamoyl chloride
 afforded compound II [R11 = CF3; R12 = (dimethylamino)sulfonylamino]. In
 11 β -HSD1 inhibition assays, compound II [R11 = ethoxy; R12 =
 acetylamino] exhibited the IC50 value of 3.4 nM. Of note, compds. I are
 useful for the treatment of diabetes, obesity, etc.

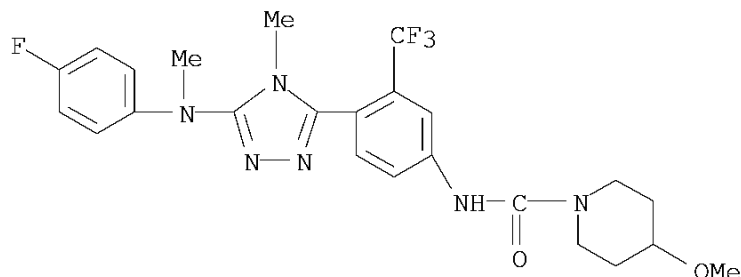
IT 945664-34-6P 945664-35-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of 3-arylamino-1,2,4-triazole derivs. as 11 β -HSD1
 inhibitors)

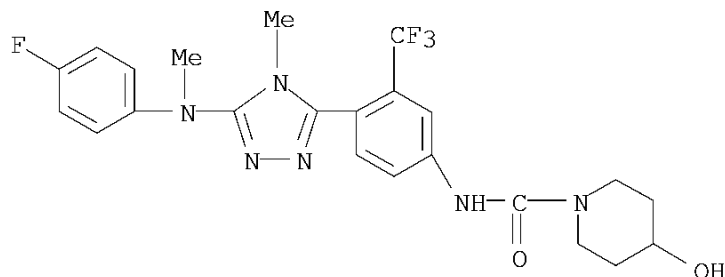
RN 945664-34-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[5-[(4-fluorophenyl)methylamino]-4-methyl-4H-
 1,2,4-triazol-3-yl]-3-(trifluoromethyl)phenyl]-4-methoxy- (CA INDEX NAME)



RN 945664-35-7 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[5-[(4-fluorophenyl)methylamino]-4-methyl-4H-
 1,2,4-triazol-3-yl]-3-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 55 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:862445 CAPLUS

DOCUMENT NUMBER: 147:335625

TITLE: Anthranilamide inhibitors of factor Xa

AUTHOR(S): Mendel, David; Marquart, Angela L.; Joseph, Sajan; Waid, Philip; Yee, Ying K.; Tebbe, Anne Louise; Ratz, Andrew M.; Herron, David K.; Goodson, Theodore; Masters, John J.; Franciskovich, Jeffry B.; Tinsley, Jennifer M.; Wiley, Michael R.; Weir, Leonard C.; Kyle, Jeffrey A.; Klimkowski, Valentine J.; Smith, Gerald F.; Towner, Richard D.; Froelich, Larry L.; Buben, John; Craft, Trelia J.

CORPORATE SOURCE: Lilly Research Laboratories, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(17), 4832-4836

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:335625

AB SAR about the B-ring of a series of N2-aroyle anthranilamide factor Xa (fXa) inhibitors is described. B-ring o-aminoalkylether and B-ring p-amine probes of the S1' and S4 sites, resp., afforded picomolar fXa inhibitors that performed well in in vitro anticoagulation assays.

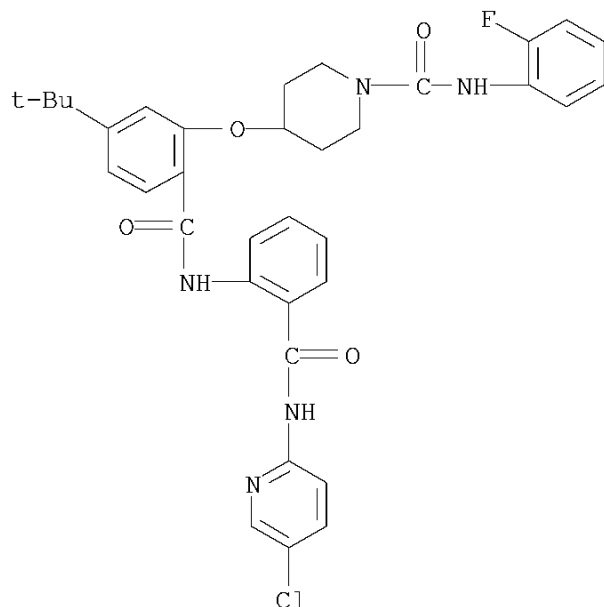
IT 889120-10-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(anthranilamide inhibitors of factor Xa)

RN 889120-10-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[[[2-[[[5-chloro-2-pyridinyl]amino]carbonyl]phenyl]amino]carbonyl]-5-(1,1-dimethylethyl)phenoxy]-N-(2-fluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
 REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 56 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:841351 CAPLUS

DOCUMENT NUMBER: 147:235153

TITLE: Preparation of heterocyclic ring-containing urea compounds as antibacterial agents with FabI and FabK inhibiting activity

INVENTOR(S): Kitagawa, Hideo; Ozawa, Tomohiro; Iida, Maiko; Watanabe, Takashi; Takahata, Sho; Yamada, Mototsugu; Yamamoto, Yasuo

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: PCT Int. Appl., 207pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007086584	A1	20070802	WO 2007-JP51523	20070130
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
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JP 2009091251	A	20090430	JP 2006-21372	20060130

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007080140	A1	20070719	WO 2007-EP50034	20070103

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2007204426	A1	20070719	AU 2007-204426	20070103
CA 2635719	A1	20070719	CA 2007-2635719	20070103
EP 1976840	A1	20081008	EP 2007-703607	20070103

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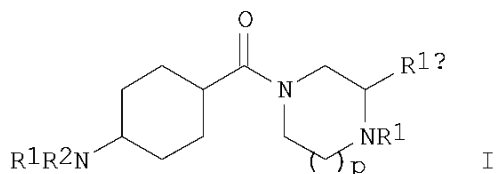
JP 2009523150	T	20090618	JP 2008-549858	20070103
US 20070167436	A1	20070719	US 2007-649532	20070104
ZA 2008005679	A	20091125	ZA 2008-5679	20080627
NO 2008002939	A	20080917	NO 2008-2939	20080702
CN 101374825	A	20090225	CN 2007-80001927	20080704
MX 2008008893	A	20080717	MX 2008-8893	20080709
KR 2008085031	A	20080922	KR 2008-716874	20080711

PRIORITY APPLN. INFO.:	EP 2006-100331	A	20060113
	WO 2007-EP50034	W	20070103

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 147:189199; MARPAT 147:189199

GI



AB Title compds. [I; R1 = alkyl, cycloalkyl; R1a = H, alkyl; R2 = H, alkyl, haloalkyl, alkoxyalkyl, cyanoalkyl; R3 = (CH₂)_mA, indanyl, alkylcarbonyl, carboxamide, etc.; m = 0-2; p = 1, 2; A = (substituted) aryl, heteroaryl], were prepared Thus, 4-oxocyclohexanecarboxylic acid, 1-isopropylpiperazine, TBTU, and diisopropylethylamine were stirred together in DMF for 3 h at room temperature to give 53% ketoamide. The latter was stirred with p-tolylamine, HOAc, and NaBH(OAc)₃ in THF at 70° for 16 h to give 15% (4-isopropylpiperazin-1-yl) (4-p-tolylaminocyclohexyl)methanone. The latter showed a K_i of 52.8 nM in a H₃ binding assay using 3H-(R)α-methylhistamine.

IT 944403-72-9P

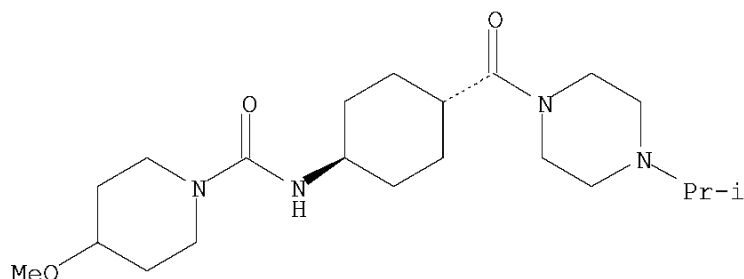
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of aminocyclohexyl piperazinyl methanones as histamine H₃ receptor modulators)

RN 944403-72-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-methoxy-N-[trans-4-[[4-(1-methylethyl)-1-piperazinyl]carbonyl]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 58 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:729396 CAPLUS

DOCUMENT NUMBER: 147:134403

TITLE: Compositions and methods comprising proteinase
activated receptor 2 antagonists for treatment of
angiogenesis and inflammatory disorders and cancer
INVENTOR(S): Hembrough, Todd A.; Agoston, Gregory E.; Treston,
Anthony M.; Hanson, Arthur D.

PATENT ASSIGNEE(S): Entremed, Inc., USA

SOURCE: PCT Int. Appl., 200pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007076055	A2	20070705	WO 2006-US49117	20061221
WO 2007076055	A9	20070830		
WO 2007076055	A3	20080228		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				

PRIORITY APPLN. INFO.: US 2005-753363P P 20051222

AB The present invention provides compns. and methods comprising proteinase
activated receptor antagonists for treatment of disorders associated with
abnormal cellular proliferation, angiogenesis and inflammation and cancer.
More particularly, the present invention relates to the use of proteins,
peptides and mols. that bind to proteinase activated receptor 2, and
inhibit the processes associated with the activation of that receptor. A
non-proprietary High Throughput Screening (HTS) system for 384-well based
biochem. and functional assay formats incorporating a third dimension for
automated screening was used to assess PAR signaling and inhibition.
Several cell lines were tested for endogenous expression of PAR-2 by
stimulating with the human agonist peptide SLIGKV and measuring the

calcium flux response. Several transfected cell lines were validated in an agonist titration and an EC50 between 1 and 2 μM was calculated being in good agreement with literature data. Two measurements for each plate were performed, the first after compound addition to test a possible agonistic effect and the second after peptide agonist addition to test the antagonistic effect of the compound. Such a combined test on compound agonists is usually not performed for GPCR but should be included for PAR-2 which is known to be receptive towards agonists. The compds. were measured in singlicates at 10 μM concentration. As described above, two measurements were performed to test agonists and antagonists. The hit population was picked from the screening set and confirmed in replicates. Hit confirmation screening was next performed on those compds. which demonstrated statistically significant inhibition of PAR-2 signaling in primary screening. These compds. were repeated as triplicate samples at a single (10 μM) concentration of compound. The mean percent inhibition of PAR-2 signaling in response to agonist peptide addition is provided.

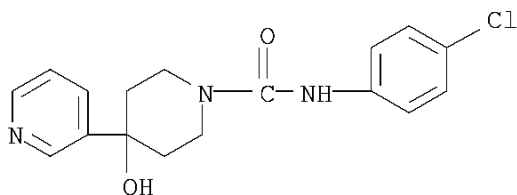
IT 943339-01-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(comps. and methods comprising proteinase activated receptor 2 antagonists for treatment of angiogenesis and inflammatory disorders and cancer)

RN 943339-01-3 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-chlorophenyl)-4-hydroxy-4-(3-pyridinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 59 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:526090 CAPLUS

DOCUMENT NUMBER: 147:143379

TITLE: The discovery of highly selective erbB2 (Her2) inhibitors for the treatment of cancer

AUTHOR(S): Lippa, Blaise; Kauffman, Goss S.; Arcari, Joel; Kwan, Tricia; Chen, Jinshan; Hungerford, William; Bhattacharya, Samit; Zhao, Xumiao; Williams, Courtney; Xiao, Jun; Pustilnik, Leslie; Su, Chunyan; Moyer, James D.; Ma, Ling; Campbell, Mary; Steyn, Stefanus

CORPORATE SOURCE: PGRD Groton, Pfizer, Inc., Groton, CT, 06340, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(11), 3081-3086

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:143379

AB The synthesis and biol. evaluation of potent and selective inhibitors of the erbB2 kinase is presented. Based on the 4-anilinoquinazoline chemotype, the syntheses of several new series of erbB2 inhibitors are described with quinazoline and pyrido[3,4-d]pyrimidine cores. The vast majority of these compds. are >100+ selective over the closely

related EGFR kinase. Two lead compds.

(4-[[4-[[1-(cyclopentylcarbonyl)piperidin-4-yl]oxy]-3-methylphenyl]amino]-6-(morpholin-4-yl)pyrido[3,4-d]pyrimidine hydrochloride and tert-Bu 4-[2-methyl-4-[[6-(morpholin-4-yl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]benzoate) further have low clearance and moderate bioavailability in rat.

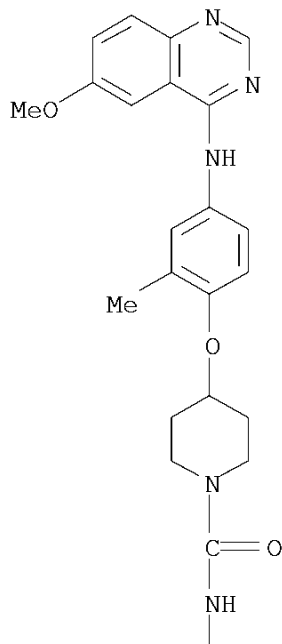
IT 799242-65-2P, N-(2,6-Difluorophenyl)-4-[[4-[(6-methoxyquinazolin-4-yl)amino]-2-methylphenyl]oxy]piperidine-1-carboxamide
799242-69-6P, N-(2,6-Difluorophenyl)-4-[[4-[(6,7-dimethoxyquinazolin-4-yl)amino]-2-methylphenyl]oxy]piperidine-1-carboxamide 799243-18-8P,
4-[[4-[[1-[N-(2,6-Difluorophenyl)carbamoyl]piperidin-4-yl]oxy]-3-methylphenyl]amino]-6-(morpholin-4-yl)pyrido[3,4-d]pyrimidine
799243-20-2P, 4-[[4-[[1-[N-(2,6-Difluorophenyl)carbamoyl]piperidin-4-yl]oxy]-3-methylphenyl]amino]-6-(dimethylamino)pyrido[3,4-d]pyrimidine
799244-11-4P, 4-[[4-[[1-[N-(2,6-Difluorophenyl)carbamoyl]piperidin-4-yl]oxy]-3-methylphenyl]amino]-6-(methylamino)pyrido[3,4-d]pyrimidine
799245-36-6P, N-(2,6-Difluorophenyl)-4-[[4-[[6,7-bis(2-methoxyethoxy)quinazolin-4-yl]amino]-2-methylphenyl]oxy]piperidine-1-carboxamide 943784-37-0P,
N-[3-[4-[[4-[[1-[(2,6-Difluorophenyl)carbamoyl]piperidin-4-yl]oxy]-3-methylphenyl]amino]quinazolin-6-yl]-2-propynyl]-2-methoxyacetamide
943784-58-5P, N-(2,6-Difluorophenyl)-4-[[4-[[6-(2-methoxyethoxy)quinazolin-4-yl]amino]-2-methylphenyl]oxy]piperidine-1-carboxamide 943784-59-6P,
N-(2,6-Difluorophenyl)-4-[2-methyl-4-[[6-[3-(morpholin-4-yl)propoxy]quinazolin-4-yl]amino]phenoxy]piperidine-1-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of anilinoquinazolines and anilinopyridopyrimidines as highly selective erbB2 (Her2) inhibitors for treatment of cancer)

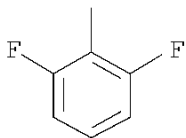
RN 799242-65-2 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[(6-methoxy-4-quinazolinyl)amino]-2-methylphenoxy]- (CA INDEX NAME)

PAGE 1-A

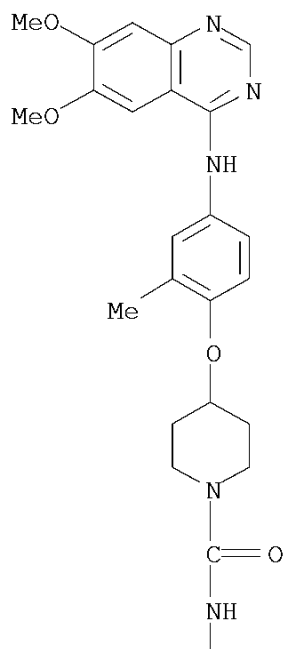


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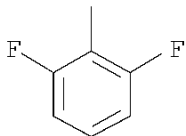


RN 799242-69-6 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methylphenoxy]- (CA INDEX NAME)

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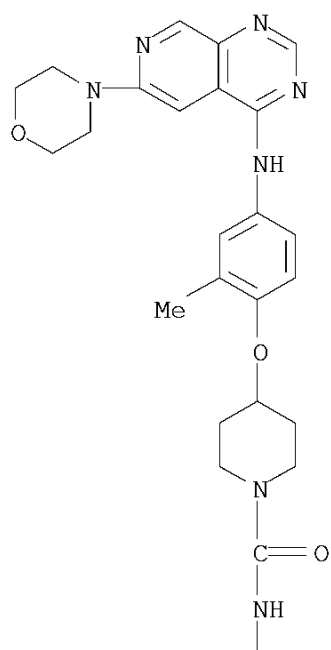


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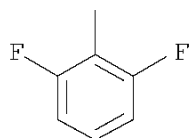


RN 799243-18-8 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-(4-morpholinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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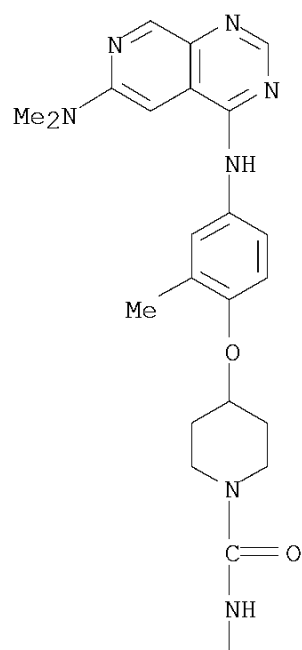


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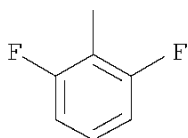


RN 799243-20-2 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[[6-(dimethylamino)pyrido[3,4-d]pyrimidin-4-yl]amino]-2-methylphenoxy]- (CA INDEX NAME)

PAGE 1-A

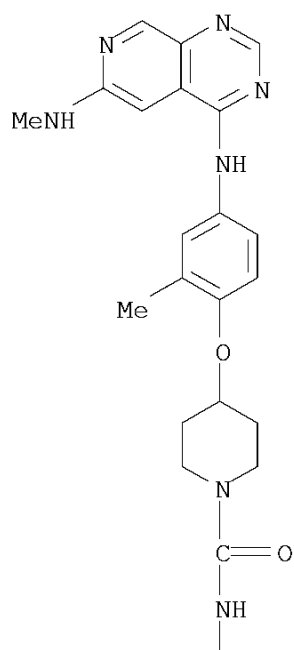


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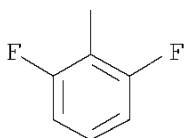


RN 799244-11-4 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-(methylamino)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

PAGE 1-A

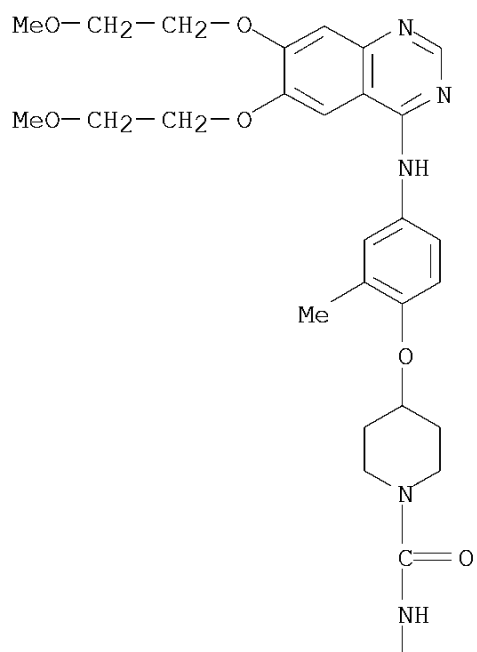


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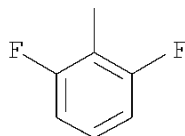


RN 799245-36-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[6,7-bis(2-methoxyethoxy)-4-quinazolinyl]amino]-2-methylphenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

PAGE 1-A

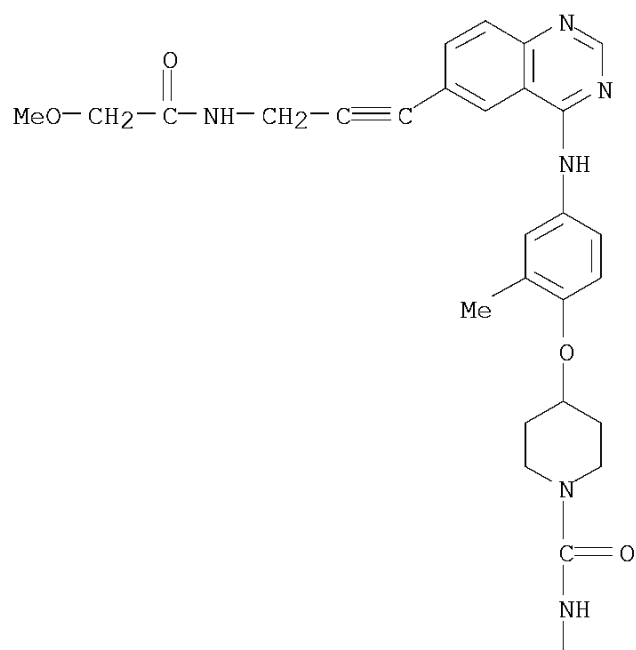


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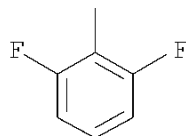


RN 943784-37-0 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[[6-[3-[(2-methoxyacetyl)amino]-1-propyn-1-yl]-4-quinazolinyl]amino]-2-methylphenoxy]-(CA INDEX NAME)

PAGE 1-A

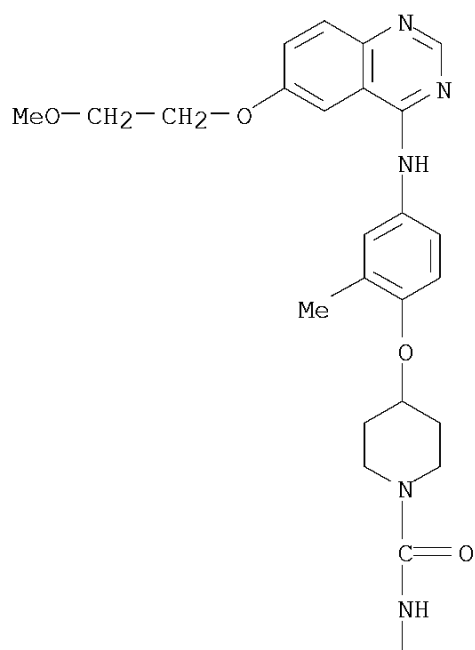


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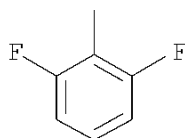


RN 943784-58-5 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[[6-(2-methoxyethoxy)-4-quinazolinyl]amino]-2-methylphenoxy]- (CA INDEX NAME)

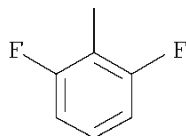
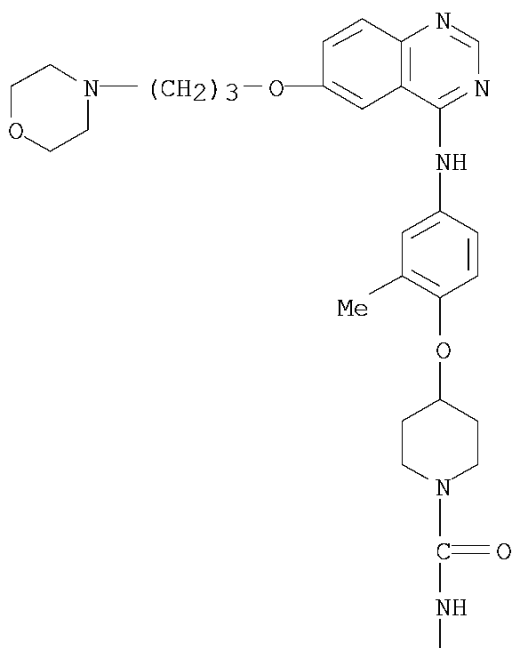
PAGE 1-A



PAGE 2-A



RN 943784-59-6 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenoxy]- (CA INDEX NAME)

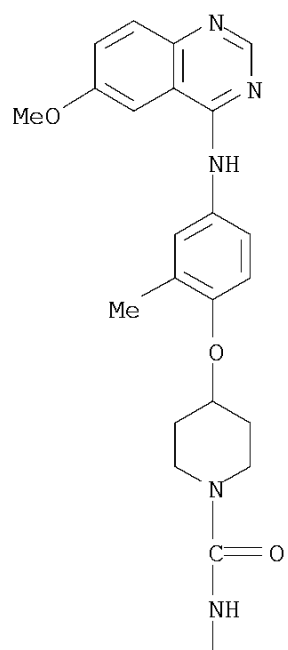


IT 799242-38-9P, 4-[[4-[(6-Methoxyquinazolin-4-yl)amino]-2-methylphenyl]oxy]piperidine-1-carboxylic acid cyclopentylamide
 799242-55-0P, 4-[2-Methyl-4-[[6-(morpholin-4-yl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]piperidine-1-carboxylic acid cyclopentylamide
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of anilinoquinazolines and anilinopyridopyrimidines as highly selective erbB2 (Her2) inhibitors for treatment of cancer)

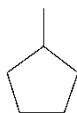
RN 799242-38-9 CAPLUS

CN 1-Piperidinecarboxamide, N-cyclopentyl-4-[4-[(6-methoxy-4-quinazolinyl)amino]-2-methylphenoxy]- (CA INDEX NAME)

PAGE 1-A

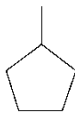
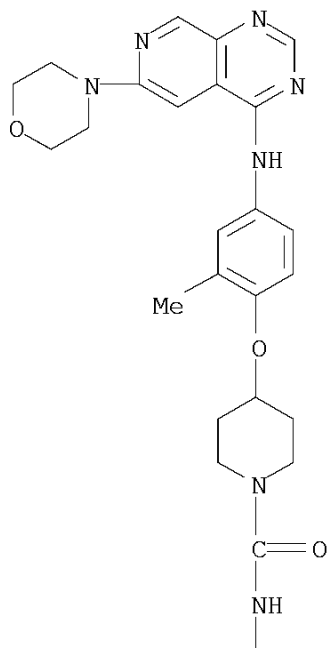


PAGE 2-A



RN 799242-55-0 CAPLUS

CN 1-Piperidinecarboxamide, N-cyclopentyl-4-[2-methyl-4-[[6-(4-morpholinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 60 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:384275 CAPLUS

DOCUMENT NUMBER: 146:401997

TITLE: Preparation of diarylamine-containing compounds and
compositions, and their use as modulators of c-kit
receptors

INVENTOR(S): Molteni, Valentina; Li, Xiaolin; Chianelli, Donatella;
Loren, Jon; Liu, Yi; Karanewsky, Donald S.; Furet,
Pascal; Guagnano, Vito; You, Shuli; Nabakka, Juliet;
Liu, Xiaodong; Pan, Shifeng

PATENT ASSIGNEE(S): IRM LLC, Japan; Novartis A.-G.

SOURCE: PCT Int. Appl., 241 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007038669	A2	20070405	WO 2006-US37820	20060926
WO 2007038669	A3	20071122		
WO 2007038669	A9	20080529		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU 2006297089	A1	20070405	AU 2006-297089	20060926
CA 2622494	A1	20070405	CA 2006-2622494	20060926
US 20070149538	A1	20070628	US 2006-535455	20060926
US 7514447	B2	20090407		
EP 1928236	A2	20080611	EP 2006-815653	20060926

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

JP 2009510086	T	20090312	JP 2008-533595	20060926
US 20080139597	A1	20080612	US 2007-932986	20071031
US 20080139559	A1	20080612	US 2007-933056	20071031
US 7592349	B2	20090922		
US 20080167308	A1	20080710	US 2007-932945	20071031
US 7563894	B2	20090721		
US 20090012094	A1	20090108	US 2007-933030	20071031
US 7638523	B2	20091229		
ZA 2008001732	A	20090930	ZA 2008-1732	20080222
IN 2008DN02474	A	20080627	IN 2008-DN2474	20080324
MX 2008003975	A	20080414	MX 2008-3975	20080325
KR 2008048041	A	20080530	KR 2008-707357	20080326
CN 101272685	A	20080924	CN 2006-80035547	20080326
NO 2008001975	A	20080602	NO 2008-1975	20080424
US 20090264649	A1	20091022	US 2009-475266	20090529

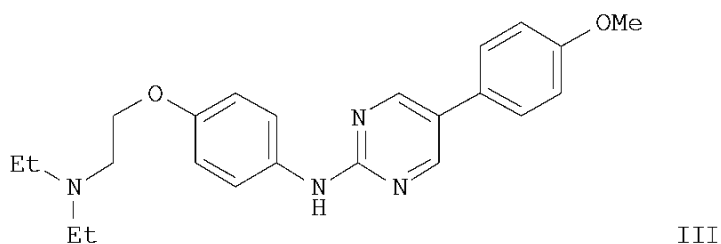
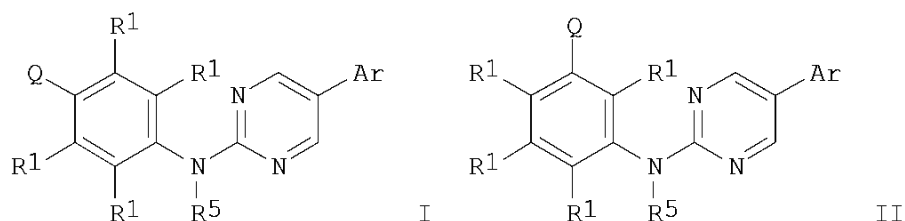
PRIORITY APPLN. INFO.:

US 2005-721015P	P	20050927
US 2006-535455	A1	20060926
WO 2006-US37820	W	20060926
US 2007-932945	A1	20071031

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:401997; MARPAT 146:401997

GI



AB Title compds. I and II [Ar = (un)substituted 5 or 6-membered aryl heterocycle or carbocycle; Q = non-aromatic tertiary amine or secondary amine with provisions; R1 independently = H, halo, alkyl, etc.; R5 = H or alkyl], and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of c-kit receptors. Thus, e.g., III was prepared by coupling of N-(5-bromopyrimidin-2-yl)-4-(2-diethylaminoethoxy)phenylamine (preparation given) with 4-methoxyphenylboronic acid. In certain embodiments, compds. of the invention have IC50 values greater than 10 μ M (no specific data given). Also described herein are methods for making such compds., methods for using such compds. to modulate the activity of c-kit receptors, and pharmaceutical compns. and medicaments comprising such compds. Also described herein are methods of using such compds., pharmaceutical compns. and medicaments to treat and/or prevent and/or inhibit and/or ameliorate the pathol. and/or symptomol. diseases or conditions associated with the activity of c-kit receptors.

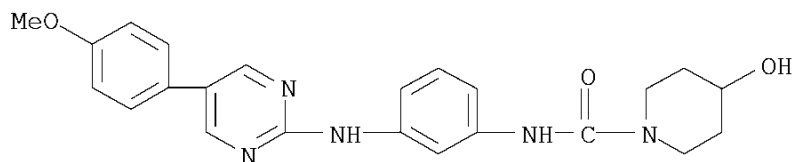
IT 932403-13-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpyrimidinyl amines and their use as modulators of c-kit receptors)

RN 932403-13-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[3-[[5-(4-methoxyphenyl)-2-pyrimidinyl]amino]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 61 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:259556 CAPLUS

DOCUMENT NUMBER: 146:316951

TITLE: Preparation of piperazinecarboxamides,
diazepanecarboxamides and their analogs as niacin
receptor agonists for the treatment of
atherosclerosis, dyslipidemia and diabetes

INVENTOR(S): Colletti, Steven L.; Shen, Hong; Tata, James R.;
Szymonifka, Michael J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 55pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

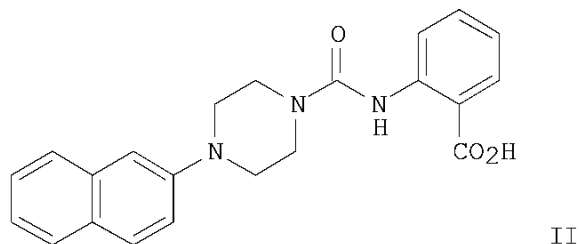
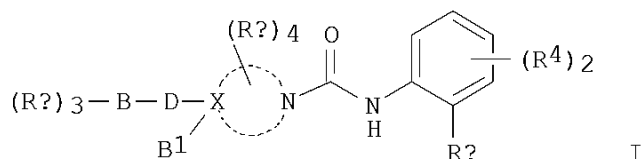
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007027532	A2	20070308	WO 2006-US33304	20060825
WO 2007027532	A3	20090618		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006285064	A1	20070308	AU 2006-285064	20060825
CA 2620570	A1	20070308	CA 2006-2620570	20060825
EP 1942905	A2	20080716	EP 2006-790003	20060825
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
JP 2009507791	T	20090226	JP 2008-529136	20060825
US 20090258862	A1	20091015	US 2008-991188	20080228
PRIORITY APPLN. INFO.:			US 2005-712275P	P 20050829
			WO 2006-US33304	W 20060825

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:316951; MARPAT 146:316951

GI



AB Title compds. I [wherein X = C or N; D = bond, O, CH2, CH2CH2 or CH2CH2CH2; B = (hetero)aryl; B' = H or absent; B and B' can be taken together to form a spiro ring while D = bond; Ra = H, halo, OH, etc.; Rb = H, halo, alkyl, etc.; Rc = COOH or tetrazol-5-yl; R4 = H, halo or (halo)methyl, with limitations] or pharmaceutically acceptable salts and solvates were prepared as niacin receptor agonists. Solid-phase synthesis of I such as II on Wang resin was disclosed. The invented compds. generally have EC50 in the range of 1 μ M to 100 μ M for niacin receptor in the binding assay. I are useful for the treatment of atherosclerosis, dyslipidemia, diabetes and other conditions.

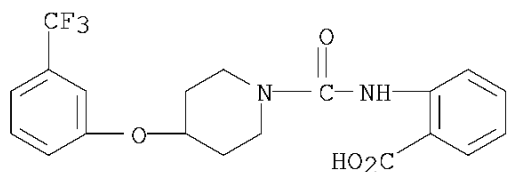
IT 928642-27-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperazinecarboxamides, diazepanecarboxamides and their analogs as niacin receptor agonists for treatment of atherosclerosis, dyslipidemia and diabetes)

RN 928642-27-7 CAPLUS

CN Benzoic acid, 2-[[[4-[3-(trifluoromethyl)phenoxy]-1-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 62 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:257347 CAPLUS

DOCUMENT NUMBER: 146:316939

TITLE: Preparation of benzo[b]thiophen-4-yl-piperazine and related compounds as antipsychotic agents for the treatment of mental disorders

INVENTOR(S): Yamashita, Hiroshi; Matsubara, Jun; Oshima, Kunio; Kuroda, Hideaki; Ito, Nobuaki; Miyamura, Shin; Shimizu, Satoshi; Tanaka, Tatsuyoshi; Taira, Shinichi;

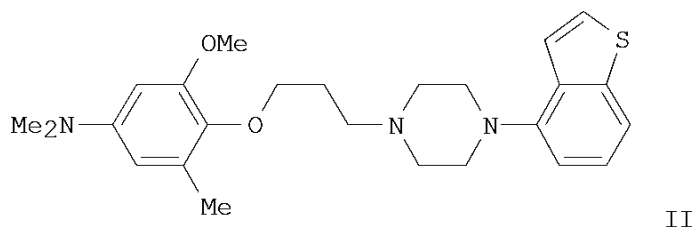
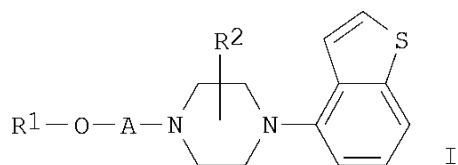
Kondo, Kazumi; Itotani, Motohiro; Bando, Masahiko;
 Fukushima, Tae; Oshiro, Yasuo; Takahashi, Haruka;
 Sakurai, Yohji; Kuroda, Takeshi; Shimada, Jun; Maeda,
 Kenji; Tadori, Yoshihiro; Amada, Naoki; Akazawa,
 Hitomi; Yamashita, Junko; Mori, Atsushi; Uwahodo,
 Yasufumi; Masumoto, Takumi; Sugino, Haruhiko; Kikuchi,
 Tetsuro; Hashimoto, Kazuya

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 686pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007026959	A2	20070308	WO 2006-JP317704	20060831
WO 2007026959	A3	20070816		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006285607	A1	20070308	AU 2006-285607	20060831
CA 2620688	A1	20070308	CA 2006-2620688	20060831
JP 2007091733	A	20070412	JP 2006-235401	20060831
EP 1919907	A2	20080514	EP 2006-797580	20060831
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
ZA 2008001888	A	20090729	ZA 2008-1888	20060831
SG 155180	A1	20090930	SG 2009-5174	20060831
BR 2006015140	A2	20100112	BR 2006-15140	20060831
IN 2008DN01407	A	20080808	IN 2008-DN1407	20080219
KR 2008033446	A	20080416	KR 2008-704418	20080225
MX 2008002736	A	20080326	MX 2008-2736	20080226
US 20090264404	A1	20091022	US 2008-991146	20080228
CN 101258147	A	20080903	CN 2006-80032043	20080229
PRIORITY APPLN. INFO.:			JP 2005-251055	A 20050831
			WO 2006-JP17704	W 20060831
			WO 2006-JP317704	W 20060831

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 146:316939
 GI



AB Title compds. I [R1 = cycloalkyl, (un)substituted aryl, heterocyclyl; R2 = H or lower alkyl; A = lower alkylene or lower alkenylene], and their pharmaceutically acceptable salts, are prepared and disclosed as antipsychotic agents for the treatment of mental disorders. Thus, e.g., II·HCl was prepared via nucleophilic substitution of [4-(3-chloropropoxy)-3-methoxy-5-methylphenyl]-carbamic acid tert-Bu ester (preparation given) with 1-benzo[b]thiophen-4-yl-piperazine hydrochloride (preparation given) followed by deprotection and dimethylation. Binding assays were used to determine Ki values for I, e.g., II·HCl demonstrated Ki values of 0.4 nM in Dopamine D2 receptor and 5.9 nM in Serotonin 5-HT2A receptor. Serotonin uptake inhibitory activity of II·HCl was also determined as 95.3%. The invention compds. may be widely used in the treatment and prevention of mental disorders including central nervous system disorders, while demonstrating no side effects.

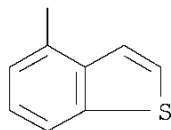
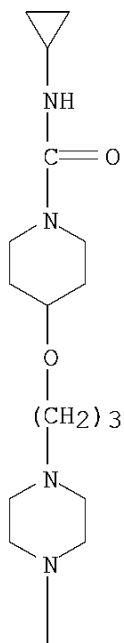
IT 928254-86-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzo[b]thiophen-4-yl-piperazine and related compds. as antipsychotic agents for the treatment of mental disorders)

RN 928254-86-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]-N-cyclopropyl-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L4 ANSWER 63 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:230567 CAPLUS

DOCUMENT NUMBER: 146:295957

TITLE: Preparation of diaminopyrimidines as P2X3 and P2X2/3 modulators

INVENTOR(S): Dillon, Michael Patrick; Jahangir, Alam; Lin, Clara Jeou Jen

PATENT ASSIGNEE(S): Roche Palo Alto LLC, USA

SOURCE: U.S. Pat. Appl. Publ., 42pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20070049534	A1	20070301	US 2006-509890	20060825
CA 2620129	A1	20070308	CA 2006-2620129	20060821
WO 2007025901	A1	20070308	WO 2006-EP65526	20060821

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

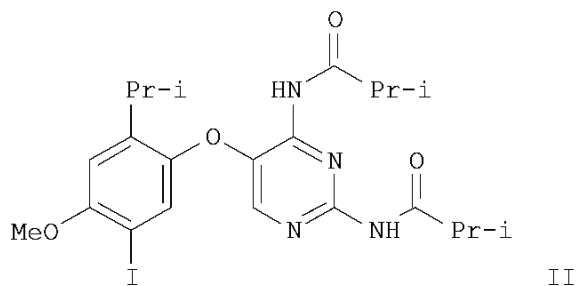
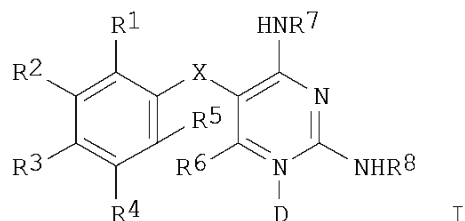
EP 1924566	A1	20080528	EP 2006-778314	20060821
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R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

JP 2009506999	T	20090219	JP 2008-528474	20060821
CN 101300235	A	20081105	CN 2006-80040678	20080429

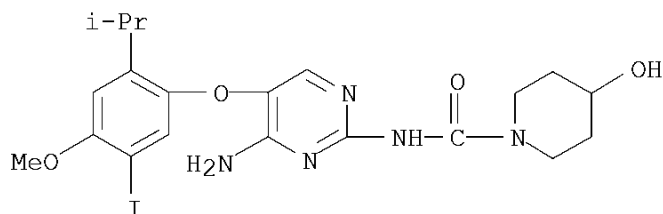
PRIORITY APPLN. INFO.: US 2005-713398P P 20050901
WO 2006-EP65526 W 20060821

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 146:295957; MARPAT 146:295957
GI



AB Title compds. I [X = CH₂, O, S(O)_n, NH or N-alkyl; D = optional O; R₁ = alkyl, alkenyl, halo, etc.; R₂-5 independently = H, alkyl, amido, etc.; R₆ = H, amino, alkoxy, etc.; one of R₇ and R₈ = H and the other is R₉, or both R₇ and R₈ are R₉; R₉ = carbonyl derivative, phosphonate derivative, or sulfonate derivative, or a mono-, di- or tri-peptide], and their pharmaceutically acceptable salts, are prepared and disclosed for treating diseases mediated by a P2X₃ and/or a P2X_{2/3} receptor antagonist. Thus, e.g., II was prepared by iodination of 5-(2-isopropyl-4-methoxyphenoxy)pyrimidine-2,4-diamine (preparation given) followed by consecutive N-acylations with 2-methylpropanoyl chloride. In FLIPR assays, II exhibited a pIC₅₀ of approx. 7.8 for the P2X₃ receptor and 7.4 for the P2X_{2/3} receptor. Formulation examples are given.

IT 927875-74-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of diaminopyrimidines as P2X3 and P2X2/3 modulators)
 RN 927875-74-9 CAPLUS
 CN 1-Piperidinecarboxamide, N-[4-amino-5-[5-iodo-4-methoxy-2-(1-
 methylethyl)phenoxy]-2-pyrimidinyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
 (1 CITINGS)

L4 ANSWER 64 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:227494 CAPLUS

DOCUMENT NUMBER: 146:295945

TITLE: Preparation of pyridines and pyrimidines having
 cyclopropane-1,1-dicarboxamide moiety as HGFR
 inhibitors

INVENTOR(S): Matsushima, Tomohiro; Takahashi, Keiko; Funasaka,
 Setsuo; Obaishi, Hiroshi; Shirotori, Shuji

PATENT ASSIGNEE(S): Eisai R & D Management Co., Ltd., Japan

SOURCE: PCT Int. Appl., 271pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007023768	A1	20070301	WO 2006-JP316331	20060821
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006282456	A1	20070301	AU 2006-282456	20060821
AU 2006282456	B2	20090827		
CA 2605854	A1	20070301	CA 2006-2605854	20060821
EP 1889836	A1	20080220	EP 2006-796594	20060821
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
JP 4077028	B2	20080416	JP 2007-532099	20060821

RU 2362771	C1	20090727	RU 2008-110932	20060821
ZA 2007009572	A	20090527	ZA 2007-9572	20071106
KR 2008008365	A	20080123	KR 2007-726886	20071119
CN 101198590	A	20080611	CN 2006-80021939	20071218
NO 2008000460	A	20080523	NO 2008-460	20080124
MX 2008002156	A	20080422	MX 2008-2156	20080214
IN 2008CN01424	A	20081128	IN 2008-CN1424	20080324
PRIORITY APPLN. INFO.:			US 2005-710671P	P 20050824
			WO 2006-JP316331	W 20060821
OTHER SOURCE(S):	MARPAT 146:295945			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = nitrogen-linked non aromatic heterocycle containing nitrogen atom or -NR11aR11b; R11a, R11b = H, alkyl, alkenyl, etc. (wherein R11a and R11b are optionally substituted with halo, hydroxy, mercapto, etc.); R2, R3 = H; R4-R7 = H, halo, hydroxy, etc.; R8 = H, alkyl; R9 = nitrogen-linked non aromatic heterocycle containing nitrogen atom or -NR11aR11b (wherein R9 is optionally substituted with halo, hydroxy, mercapto, etc.); n = 1, 2; X = -C(R10):; R10 = H, halo, cyano, etc.], salts or hydrates thereof were prepared For example, BOP mediated acylation of 3-[4-(4-amino-2-fluorophenoxy)pyridin-2-yl]-1-methyl-1-(1-methylpiperidin-4-yl)urea, e.g., prepared from 2-amino-4-chloropyridine in 3 steps, with 1-(4-fluorophenylcarbonyl)cyclopropanecarboxylic acid afforded compound II. In hepatocyte growth factor receptor (HGFR) tyrosine kinase inhibition assays, compound II exhibited the IC50 value of 0.066 μ M. Compds. I are claimed useful as antitumor agents, angiogenesis inhibitors, etc.

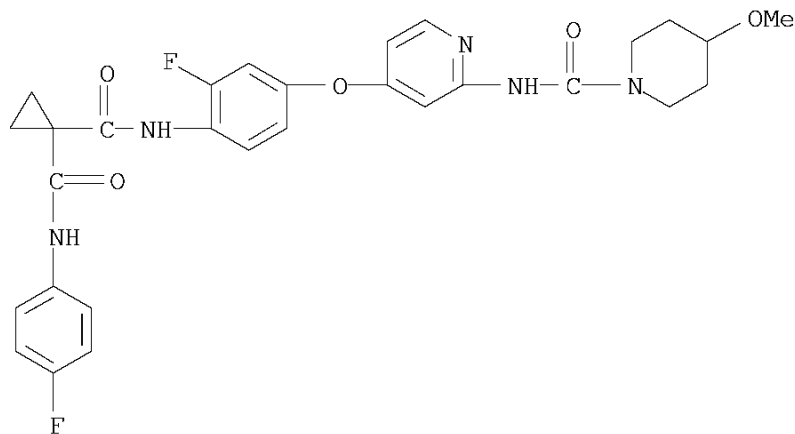
IT 1094061-71-8

RL: PRPH (Prophetic)

(Preparation of pyridines and pyrimidines having cyclopropane-1,1-dicarboxamide moiety as HGFR inhibitors)

RN 1094061-71-8 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2-fluoro-4-[[2-[[[4-methoxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-(CA INDEX NAME)



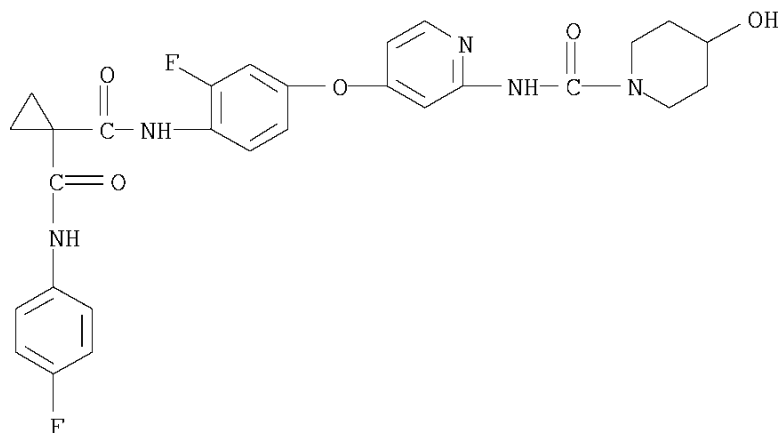
IT 928037-79-0P 928037-81-4P 928038-02-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridines and pyrimidines having
cyclopropane-1,1-dicarboxamide moiety as HGFR inhibitors)

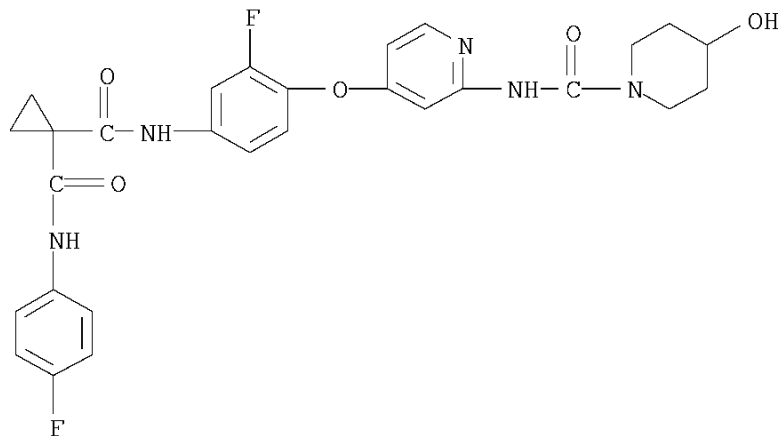
RN 928037-79-0 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2-fluoro-4-[[2-[[(4-hydroxy-1-piperidinyl) carbonyl] amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-
(CA INDEX NAME)



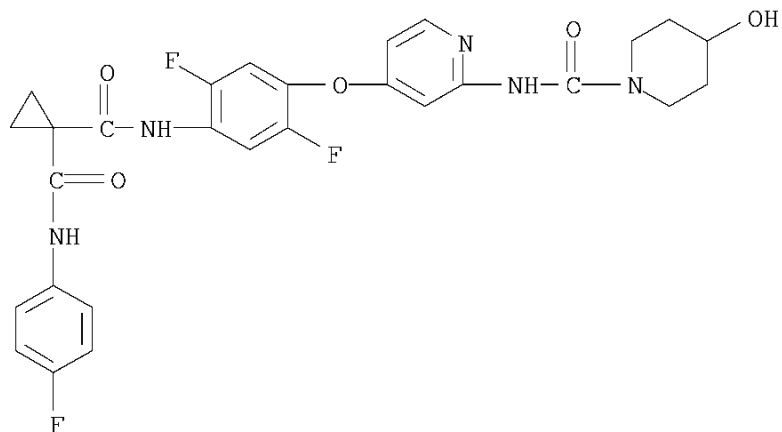
RN 928037-81-4 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[3-fluoro-4-[[2-[[(4-hydroxy-1-piperidinyl) carbonyl] amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-
(CA INDEX NAME)



RN 928038-02-2 CAPLUS

CN 1,1-Cyclopropanedicarboxamide, N-[2,5-difluoro-4-[[2-[[(4-hydroxy-1-piperidinyl) carbonyl] amino]-4-pyridinyl]oxy]phenyl]-N'-(4-fluorophenyl)-
(CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(6 CITINGS)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 65 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:150229 CAPLUS
DOCUMENT NUMBER: 146:221063
TITLE: Method for assaying anti-tumor effect of angiogenesis
inhibitor
INVENTOR(S): Uenaka, Toshimitsu; Yamamoto, Yuji; Matsui, Junji
PATENT ASSIGNEE(S): Eisai R & D Management Co., Ltd., Japan
SOURCE: PCT Int. Appl., 147pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007015578	A1	20070208	WO 2006-JP315698	20060802
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1925676	A1	20080528	EP 2006-768437	20060802
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
PRIORITY APPLN. INFO.:			JP 2005-224173	A 20050802
			JP 2006-164700	A 20060614
			WO 2006-JP315698	W 20060802

OTHER SOURCE(S): MARPAT 146:221063

AB Disclosed is a method for predicting the anti-tumor effect of an angiogenesis inhibitor. The method comprises evaluating the

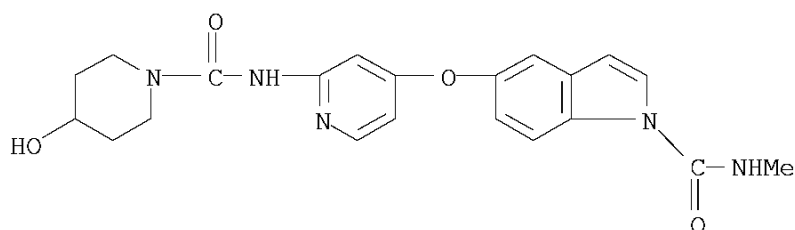
EGF-dependence property of an angiogenesis inhibitor with respect to proliferation and/or survival of tumor cells, and using the evaluated EGF-dependence property as a measure. The anti-tumor effect of an angiogenesis inhibitor correlates with the EGF-dependency property of the inhibitor with respect to proliferation and/or survival of tumor cells. Therefore, an angiogenesis inhibitor is capable of exerting an excellent anti-tumor effect by using it in combination with a substance having an EGF inhibitory effect.

IT 670250-58-5 670250-60-9

RL: ANT (Analyte); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(method for assaying anti-tumor effect of angiogenesis inhibitor by evaluating EGF-dependency)

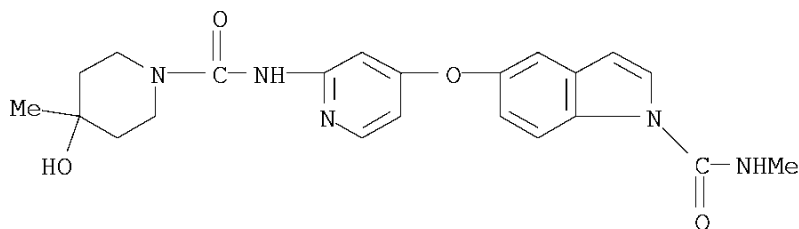
RN 670250-58-5 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[[2-[[[4-hydroxy-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]-N-methyl- (CA INDEX NAME)



RN 670250-60-9 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[[2-[[[4-hydroxy-4-methyl-1-piperidinyl)carbonyl]amino]-4-pyridinyl]oxy]-N-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 66 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:144036 CAPLUS

DOCUMENT NUMBER: 146:221062

TITLE: Method for predicting antitumor efficacy of angiogenesis inhibitor

INVENTOR(S): Matsui, Junji; Semba, Taro

PATENT ASSIGNEE(S): Eisai R & D Management Co., Ltd., Japan

SOURCE: PCT Int. Appl., 104pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007015569	A1	20070208	WO 2006-JP315563	20060801
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM EP 1925941 A1 20080528 EP 2006-782407 20060801 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS PRIORITY APPLN. INFO.: JP 2005-223440 A 20050801 WO 2006-JP315563 W 20060801				

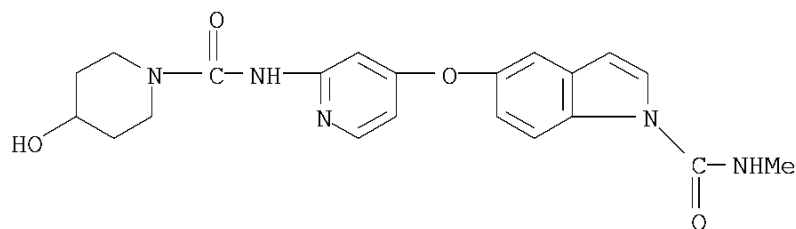
OTHER SOURCE(S): MARPAT 146:221062

AB A method for predicting the antitumor efficacy of an angiogenesis inhibitor is provided, which comprises measuring the number of blood vessels surrounded by pericytes in tumor, and using the measurement value as a measure for the anti-tumor effect.

IT 670250-58-5 670250-60-9
 RL: ANT (Analyte); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
 (method for predicting antitumor efficacy of angiogenesis inhibitor)

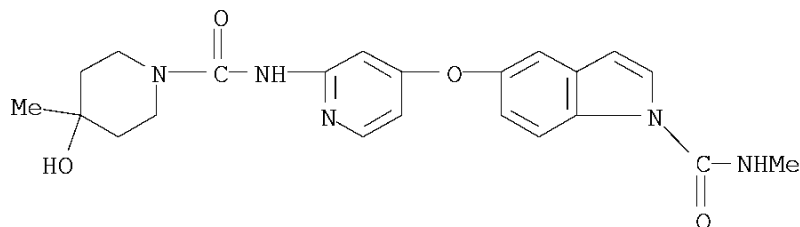
RN 670250-58-5 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[[2-[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]-N-methyl- (CA INDEX NAME)



RN 670250-60-9 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[[2-[[4-hydroxy-4-methyl-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]-N-methyl- (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

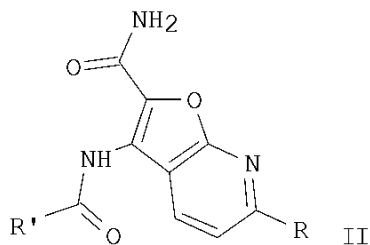
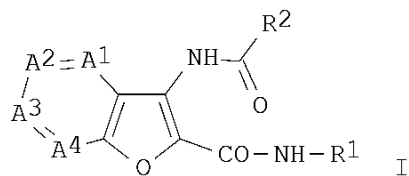
L4 ANSWER 67 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2006:1354337 CAPLUS
 DOCUMENT NUMBER: 146:81849
 TITLE: Preparation of furopyridine derivatives as adenosine
 A2A receptor antagonists
 INVENTOR(S): Shiohara, Hiroaki; Nakamura, Tetsuya; Mukaiyama,
 Harunobu; Kobayashi, Satoko; Jo, Kazumichi
 PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 174pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006137350	A1	20061228	WO 2006-JP312214	20060619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: JP 2005-182347 A 20050622

OTHER SOURCE(S): MARPAT 146:81849

GI



AB Title compds. I [R₁ = H, alkyl; R₂ = -NR₁₀R₁₁, -OR₁₂; R₁₀, R₁₁ = H, alkyl, haloalkyl, etc.; R₁₂ = alkyl, haloalkyl, cycloalkyl, etc.; -A₁:A₂-A₃:A₄- = -C(R₃₀):C(R₃₁)-C(R₃₂):N-, -C(R₃₀):C(R₃₁)-N:C(R₃₃)-,

-C(R30):N-C(R32):C(R33)-, etc.; R30-R33 = H, halo, alkyl, etc.], prodrugs or pharmacol. acceptable salts thereof were prepared For example, reaction of 3-phenoxy-carbonylaminofuro[2,3-b]pyridine-2-carboxamide, e.g., prepared from 3-aminofuro[2,3-b]pyridine-2-carboxylic acid Et ester in 2 steps, with 4-(2-aminoethyl)benzene-1,2-diol hydrochloride afforded compound II [R = H; R' = 2-(3,4-dihydroxyphenyl)ethylamino]. In human adenosine A2A receptor antagonistic assays, the Ki value of compound II [R = CH3; R' = pyrrolidin-1-yl] was 2 nM. Comps. I are claimed useful for the treatment of Parkinson's disease, depression, etc.

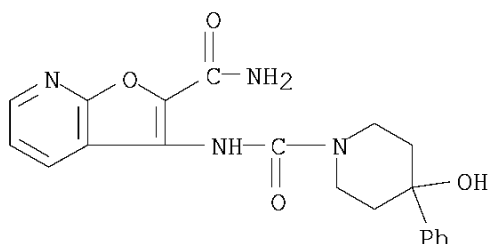
IT 917502-47-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of furopyridine derivs. as adenosine A2A receptor antagonists)

RN 917502-47-7 CAPLUS

CN Furo[2,3-b]pyridine-2-carboxamide,
3-[[4-(4-hydroxy-4-phenyl-1-piperidinyl)carbonyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 68 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1225975 CAPLUS

DOCUMENT NUMBER: 145:505455

TITLE: Preparation of 1,2,4-triazoles as vasopressin V1a antagonists.

INVENTOR(S): Bryans, Justin Stephen; Johnson, Patrick Stephen; Roberts, Lee Richard; Ryckmans, Thomas

PATENT ASSIGNEE(S): Pfizer Limited, UK

SOURCE: PCT Int. Appl., 64pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

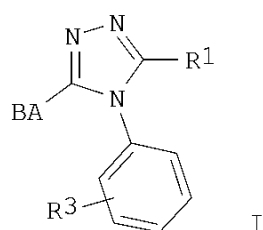
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

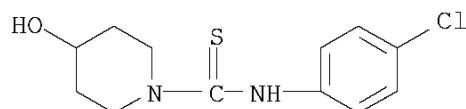
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006123242	A1	20061123	WO 2006-IB1442	20060508
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,			

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 CA 2608718 A1 20061123 CA 2006-2608718 20060508
 EP 1885713 A1 20080213 EP 2006-744808 20060508
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 JP 2008540633 T 20081120 JP 2008-511818 20060508
 US 20080234252 A1 20080925 US 2007-914688 20071220
 PRIORITY APPLN. INFO.: US 2005-682753P P 20050518
 WO 2006-IB1442 W 20060508
 OTHER SOURCE(S): CASREACT 145:505455; MARPAT 145:505455
 GI



AB Title compds. [I; R1 = (CH₂)_nR₂; R₂ = H, alkoxy, Het; n = 0-6; Het = unsatd. heterocycle of 5-6 atoms containing ≥1 O, N, S; R₃ = halo; A = 4-7 membered, saturated, partially saturated, or unsatd. heterocycle containing ≥1 O, N, S; B = saturated, partially saturated, or unsatd. heterocycle of 3-8 atoms containing ≥1 O, N, S, or B = saturated or unsatd. carbocyclic ring of 3-8 atoms; B is optionally fused to an aryl ring and is optionally substituted with ≥1 R₄; A and B share ≥1 atom; R₄ = O, (CH₂)_mR₅, CHR₆R₇; R₅ = H, OH, alkoxy, CO₂H, CONR₈R₉; m = 0, 1; R₆-R₉ = H, alkyl], were prepared for treating a disorder for which a V1a antagonist is indicated, in particular, dysmenorrhea. Thus, 1,2,3-triazol-2-ylacetic acid hydrazide (preparation given) and Me N-(4-chlorophenyl)-5-(hydroxymethyl)-1,3-dihydro-2H-isoindole-2-carboimidothioate (preparation given) were refluxed with CF₃CO₂H in THF for 18 h to give 34% [2-[4-(4-chlorophenyl)-5-(2H-1,2,3-triazol-2-ylmethyl)-4H-1,2,4-triazol-3-yl]-2,3-dihydro-1H-isoindol-5-yl]methanol. The latter showed V1a antagonism with K_i = 0.47 nM.

IT 710318-13-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of triazoles as vasopressin V1a antagonists)
 RN 710318-13-1 CAPLUS
 CN 1-Piperidinecarbothioamide, N-(4-chlorophenyl)-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 69 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1155411 CAPLUS
DOCUMENT NUMBER: 145:471540
TITLE: Preparation of piperidine derivatives as tachykinin
receptor antagonists
INVENTOR(S): Nagaoka, Naomi; Marunaka, Shigeyuki; Fukuta, Makoto
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 323pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006115285	A1	20061102	WO 2006-JP308919	20060421
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: JP 2005-124335 A 20050421

OTHER SOURCE(S): MARPAT 145:471540

AB The title compds. (no biol. data) are prepared This document discloses a pharmaceutical composition comprising N-(2-[(3R,4S)-4-((2-methoxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl)amino)-3-phenylpiperidin-1-yl]-2-oxoethyl)acetamide (I), a salt or a prodrug thereof, a sugar and a hydrophilic water-insol. substance. Thus, N-(2-[(3R,4S)-4-((2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzyl)amino)-3-phenylpiperidin-1-yl]-2-oxoethyl)acetamide was prepared in 3 steps from (3R,4S)-4-amino-3-phenylpiperidine-1-carboxylic acid tert-Bu ester and 2-hydroxy-5-[5-(trifluoromethyl)-1H-tetrazol-1-yl]benzaldehyde. Formulations containing I are given. Tablets containing I showed high elution stability.

IT 632344-35-5P 632345-55-2P 632345-57-4P
632345-61-0P 632346-22-6P 632346-24-8P
632346-28-2P 632346-69-1P 632346-71-5P
632348-39-1P

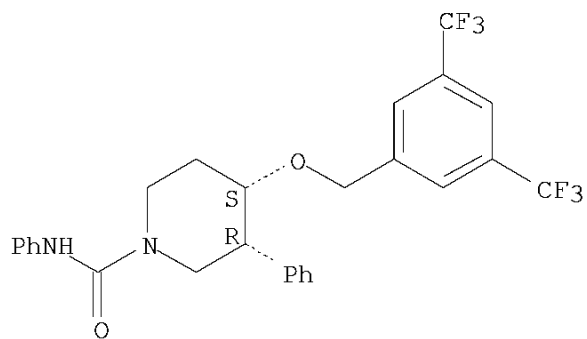
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine derivs. as tachykinin receptor antagonists)

RN 632344-35-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N,3-diphenyl-, (3R,4S)-rel- (CA INDEX NAME)

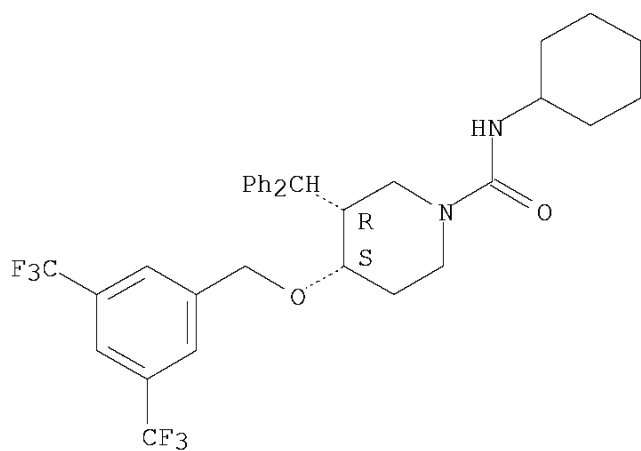
Relative stereochemistry.



RN 632345-55-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-cyclohexyl-3-(diphenylmethyl)-, (3R,4S)-rel- (CA INDEX NAME)

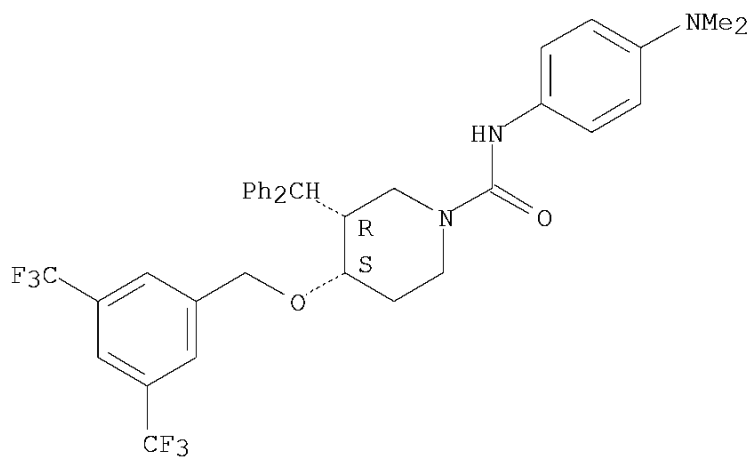
Relative stereochemistry.



RN 632345-57-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-[4-(dimethylamino)phenyl]-3-(diphenylmethyl)-, (3R,4S)-rel- (CA INDEX NAME)

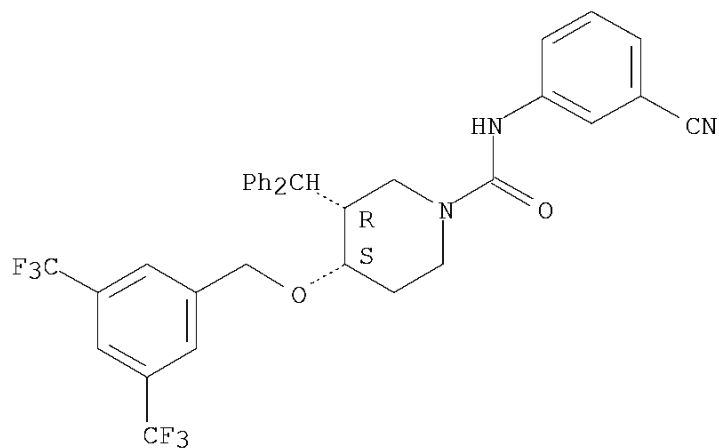
Relative stereochemistry.



RN 632345-61-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-(3-cyanophenyl)-3-(diphenylmethyl)-, (3R,4S)-rel- (CA INDEX NAME)

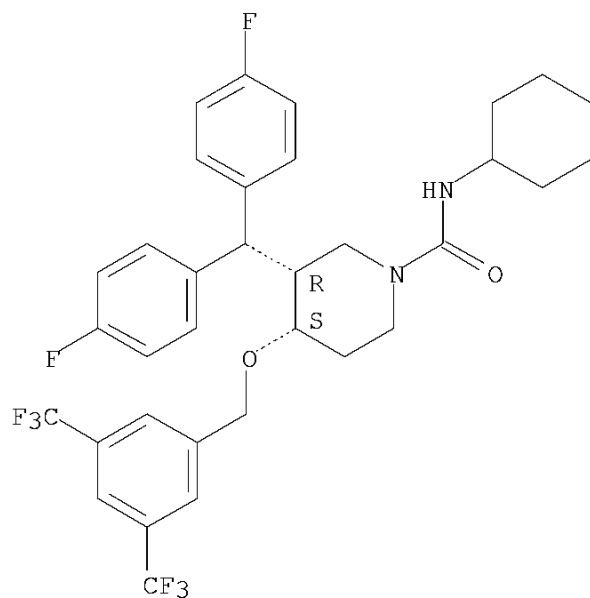
Relative stereochemistry.



RN 632346-22-6 CAPLUS

CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-cyclohexyl-, (3R,4S)-rel- (CA INDEX NAME)

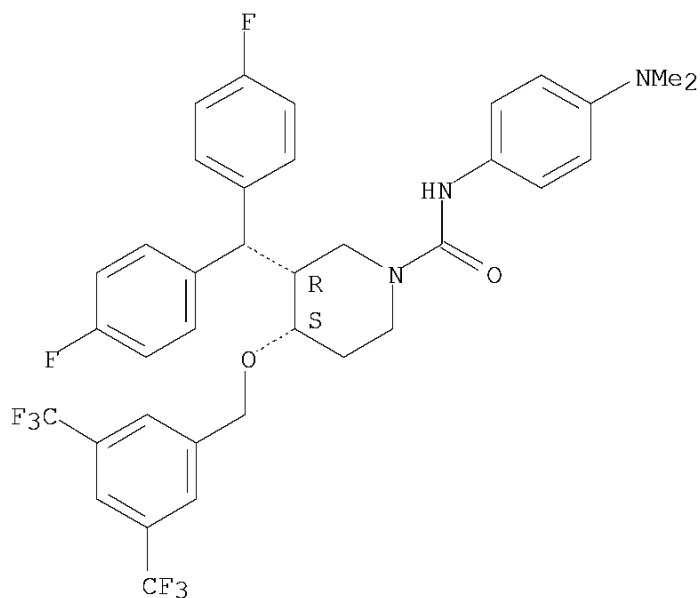
Relative stereochemistry.



RN 632346-24-8 CAPLUS

CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-[4-(dimethylamino)phenyl]-, (3R,4S)-rel- (CA INDEX NAME)

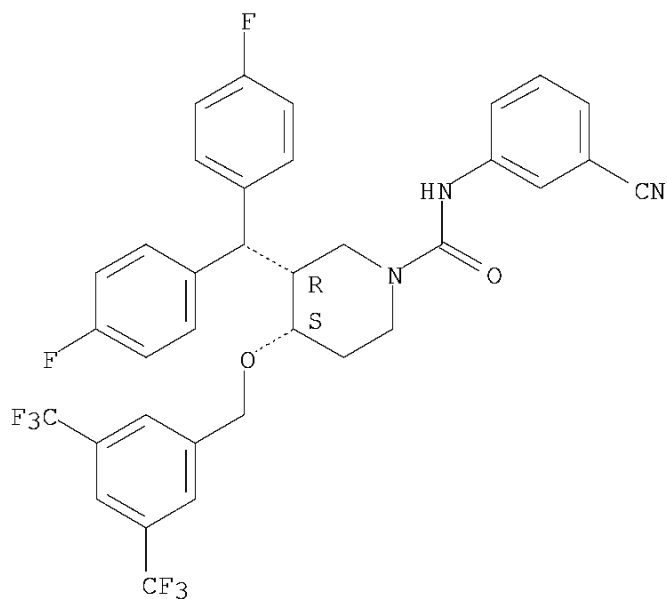
Relative stereochemistry.



RN 632346-28-2 CAPLUS

CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-(3-cyanophenyl)-, (3R,4S)-rel- (CA INDEX NAME)

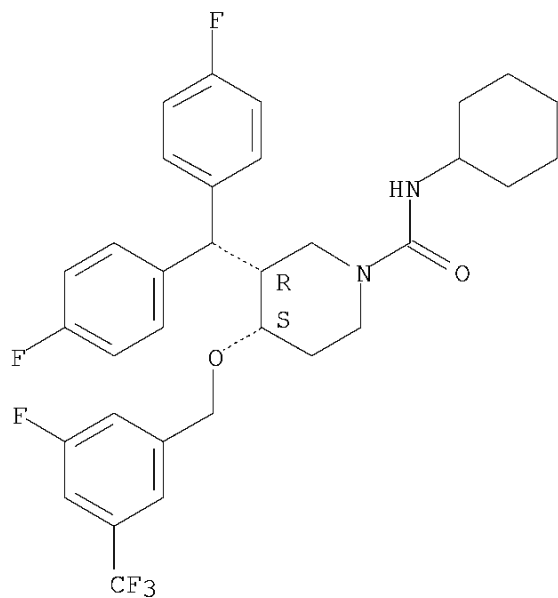
Relative stereochemistry.



RN 632346-69-1 CAPLUS

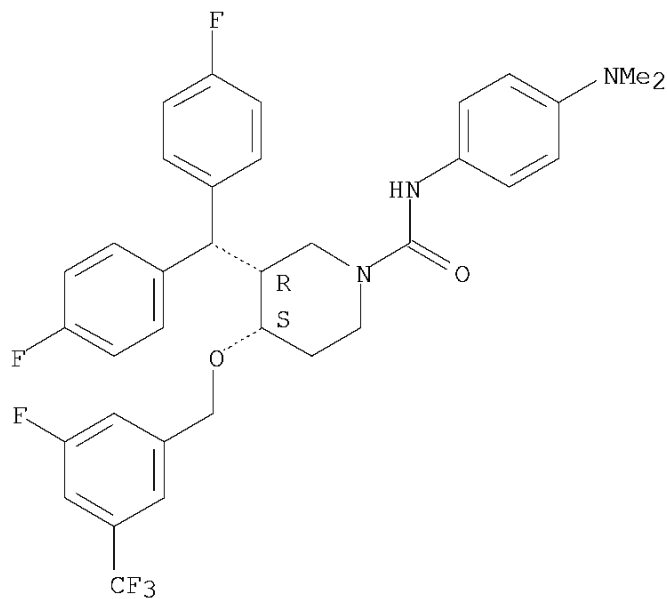
CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-N-cyclohexyl-4-[[3-fluoro-5-(trifluoromethyl)phenyl]methoxy]-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



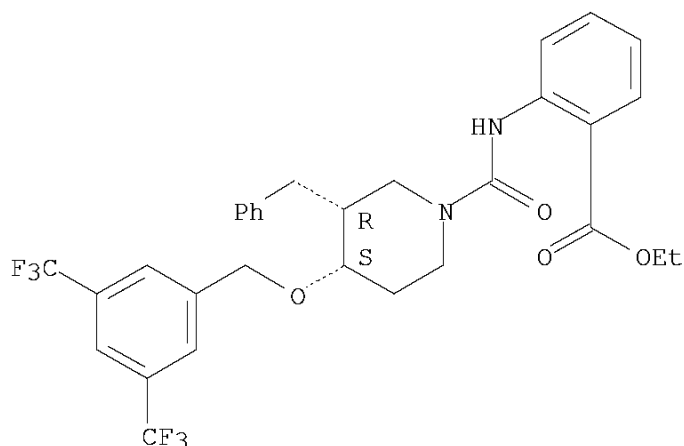
RN 632346-71-5 CAPLUS
 CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-N-[4-(dimethylamino)phenyl]-4-[[3-fluoro-5-(trifluoromethyl)phenyl]methoxy]-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 632348-39-1 CAPLUS
 CN Benzoic acid, 2-[[[(3R,4S)-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-3-(phenylmethyl)-1-piperidinyl]carbonyl]amino]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 70 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1120602 CAPLUS

DOCUMENT NUMBER: 145:454842

TITLE: Preparation of aryl alkyl acid derivatives for the treatment of obesity and related diseases

INVENTOR(S): Smith, Roger; Lowe, Derek; Coish, Philip; Campbell, Ann-Marie; Wang, Gan; Patel, Manoj; Bondar, Georgiy

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 315pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

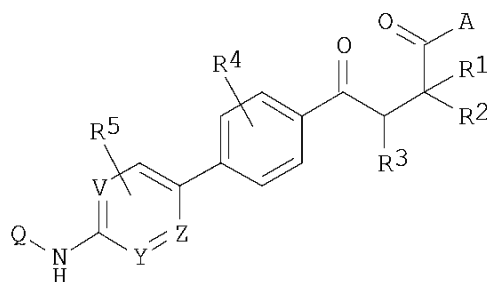
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006113919	A2	20061026	WO 2006-US15194	20060418
WO 2006113919	A3	20061130		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006236155	A1	20061026	AU 2006-236155	20060418
CA 2605300	A1	20061026	CA 2006-2605300	20060418
EP 1874317	A2	20080109	EP 2006-751046	20060418
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008536947	T	20080911	JP 2008-507946	20060418
BR 2006010850	A2	20081202	BR 2006-10850	20060418
IN 2007DN07966	A	20071109	IN 2007-DN7966	20071016
MX 2007013049	A	20080111	MX 2007-13049	20071019

ZA 2007009846	A	20090429	ZA 2007-9846	20071115
KR 2008000652	A	20080102	KR 2007-726676	20071116
CN 101198333	A	20080611	CN 2006-80021861	20071218
US 20090215780	A1	20090827	US 2008-918836	20081124
PRIORITY APPLN. INFO.:			US 2005-673149P	P 20050419
			WO 2006-US15194	W 20060418

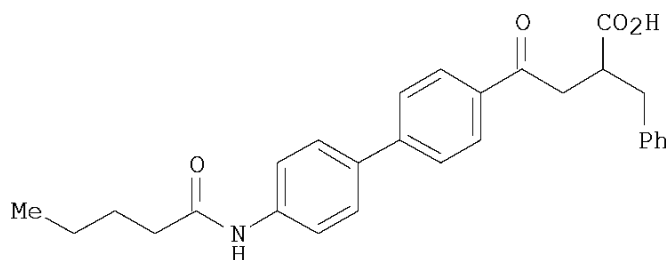
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 145:454842; MARPAT 145:454842

GI



I



II

AB The title compds. I [R2 and R3 are both H, and R1 = H, alkyl, alkoxyalkyl, etc.; or R3 = H, and R1 and R2 are identical and = alkyl; or R3 = H, and R1 and R2 together with the carbon atom to which they are attached, form a 3-5 membered carbocyclic ring or 6-membered (hetero)cyclic ring; or R1 = H, and R2 and R3 together with the two carbon atoms to which they are attached, form a 3-6 membered carbocyclic ring; R4, R5 = H, OH, halo, etc.; Q = C(O)R7 (R7 = (un)substituted alkyl, benzofuryl, indolyl, etc.); A = OH, NHSO2R19 (R19 = alkyl, CF3, CH2Ph, etc.); V, Y and Z = C, or V and Y = C and Z = N; or V and Z = C and Y = N; or Z = C and V and Y = N; with provisos], useful for treating or preventing obesity and related diseases (no specific data), were prepared E.g., a multi-step synthesis of II, starting from di-Et benzylmalonate and 2,4'-dibromoacetophenone, was given. Pharmaceutical compds. comprising the compound I alone or in combination with other therapeutic agents are disclosed.

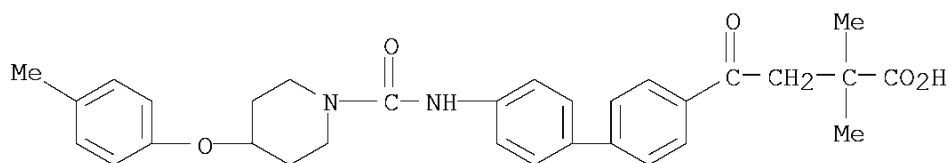
IT 913355-05-2P 913355-06-3P 913355-07-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

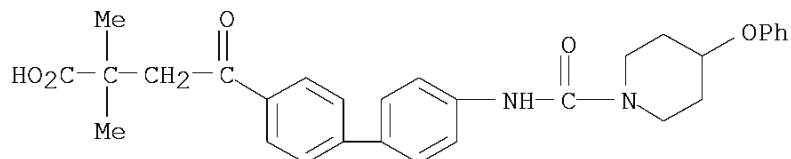
(preparation of arylalkylcarboxylic acid compds. useful in treatment and prevention of obesity and related diseases)

RN 913355-05-2 CAPLUS

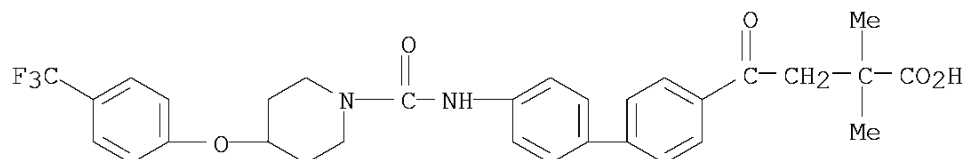
CN [1,1'-Biphenyl]-4-butanoic acid, α,α -dimethyl-4'-[[[4-(4-methylphenoxy)-1-piperidinyl]carbonyl]amino]- γ -oxo- (CA INDEX NAME)



RN 913355-06-3 CAPLUS
 CN [1,1'-Biphenyl]-4-butanoic acid, α,α -dimethyl- γ -oxo-4'-
 [[4-phenoxy-1-piperidiny]carbonyl]amino]- (CA INDEX NAME)



RN 913355-07-4 CAPLUS
 CN [1,1'-Biphenyl]-4-butanoic acid, α,α -dimethyl- γ -oxo-4'-
 [[[4-[4-(trifluoromethyl)phenoxy]-1-piperidiny]carbonyl]amino]- (CA
 INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 71 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1099672 CAPLUS

DOCUMENT NUMBER: 145:419149

TITLE: Preparation of
 3-(imidazo[4,5-f]indol-2-yl)pyrazol-4-amines and
 related aminopyrazoles as inhibitors of Aurora A
 kinase and use as antitumor agents

INVENTOR(S): Georges, Guy; Goller, Bernhard; Kuenkele, Klaus-Peter;
 Lemarchand, Aude; Limberg, Anja; Reiff, Ulrike;
 Rueger, Petra; Rueth, Matthias

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 124pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

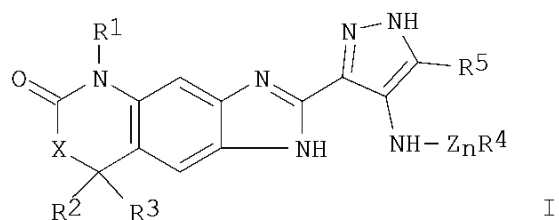
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006108489	A1	20061019	WO 2006-EP2478	20060317
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
 KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 AU 2006233537 A1 20061019 AU 2006-233537 20060317
 CA 2603204 A1 20061019 CA 2006-2603204 20060317
 US 20060235065 A1 20061019 US 2006-384052 20060317
 US 7462639 B2 20081209
 EP 1879894 A1 20080123 EP 2006-707596 20060317
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 JP 2008535876 T 20080904 JP 2008-505757 20060317
 BR 2006008178 A2 20091117 BR 2006-8178 20060317
 MX 2007012477 A 20071108 MX 2007-12477 20071008
 KR 2007113286 A 20071128 KR 2007-723399 20071012
 IN 2007CN04546 A 20080125 IN 2007-CN4546 20071012
 CN 101160313 A 20080409 CN 2006-80012430 20071015
 PRIORITY APPLN. INFO.: EP 2005-8111 A 20050414
 EP 2005-8224 A 20050414
 WO 2006-EP2478 W 20060317
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 145:419149; MARPAT 145:419149
 GI



AB Objects of the present invention are
 3-(imidazo[4,5-f]indol-2-yl)pyrazol-4-amines and related aminopyrazoles
 (shown as I; variables defined below; e.g.
 N-[3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydroimidazo[4,5-f]indol-2-yl)-1H-pyrazol-4-yl]acetamide (1)), their pharmaceutically acceptable
 salts, enantiomeric forms, diastereoisomers and racemates, the preparation of
 the above-mentioned compds., medicaments containing them and their
 manufacture, as
 well as the use of the above-mentioned compds. in the control or
 prevention of illnesses such as cancer. IC50 values for inhibition of
 Aurora A kinase and HCT 116 cell viability are tabulated for many examples
 of I. Methods of preparation are claimed and preps. and/or characterization
 data for many examples of I are included. For example, 1 was prepared from
 acetic anhydride and 2-(4-amino-1H-pyrazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-
 dihydro-1H-imidazo[4,5-f]indol-6-one, which was prepared in a 2-step
 sequence involving cyclization of 5,6-diamino-1-ethyl-3,3-dimethyl-1,3-
 dihydroindol-2-one (preparation given) with 4-nitropyrazole-3-carboxylic acid
 (32 %) followed by reduction of the nitro group (94 %). For I: R1 is H,
 alkyl, alkenyl, alkynyl, wherein said alkyl, alkenyl or alkynyl is

(un)substituted one or several times by nitro, cyano or -Y-R6; Y is a single bond, -C(O)NH-, -C(O)N(alkyl)-, -N(alkyl)C(O)-, -NHC(O)-, -NHC(O)NH-, -NHC(O)N(alkyl)-, -NHS(O)2-, -S(O)2NH-, -S(O)2N(alkyl)-, -S(O)2-, -S(O)-, -C(O)O-, -OC(O)-, -C(O)-, -P(O)(alkyl)-, -NH-, -N(alkyl)-, -O- or -S-. R6 is (un)substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl, cycloalkyl or heterocyclyl; R2 is H or alkyl; R3 is H or alkyl; or alternatively R2 and R3 form together with the C atom to which they are attached a (C5-C6)cycloalkyl ring; Z is -C(O)-, -C(O)NR7-, -C(O)O-, -S(O)2- or -S(O)2NR7-; n = 0-1; R7 is H or alkyl; R4 is H, (un)substituted alkyl, (un)substituted aryl-V-, (un)substituted heteroaryl-V-, cycloalkyl-V- or heterocyclyl-V-; with the proviso that R4 is not H, if n is 1 and Z is -C(O)O-; V is a single bond, alkylene, -O-alkylene, cycloalkylene or alkenylene; R5 is H, alkyl, F or Cl; X is a single bond, -CH2- or -C(alkyl)2-; addnl. details are given in the claims.

IT 912571-95-0P, 4-Methoxypiperidine-1-carboxylic acid

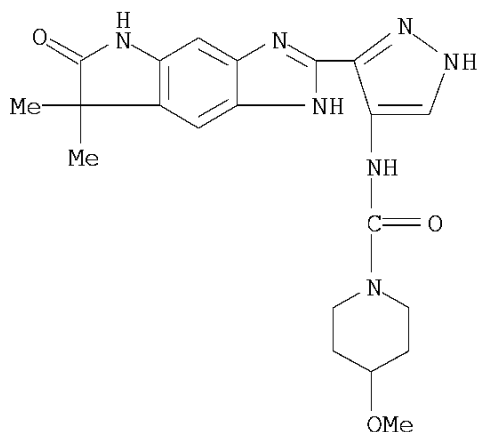
N-[3-(7,7-dimethyl-6-oxo-1,5,6,7-tetrahydroimidazo[4,5-f]indol-2-yl)-1H-pyrazol-4-yl]amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 3-(imidazo[4,5-f]indol-2-yl)pyrazol-4-amines and related aminopyrazoles as inhibitors of Aurora A kinase and use as antitumor agents)

RN 912571-95-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-methoxy-N-[3-(3,5,6,7-tetrahydro-7,7-dimethyl-6-oxopyrrolo[2,3-f]benzimidazol-2-yl)-1H-pyrazol-4-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 72 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1097510 CAPLUS

DOCUMENT NUMBER: 145:438420

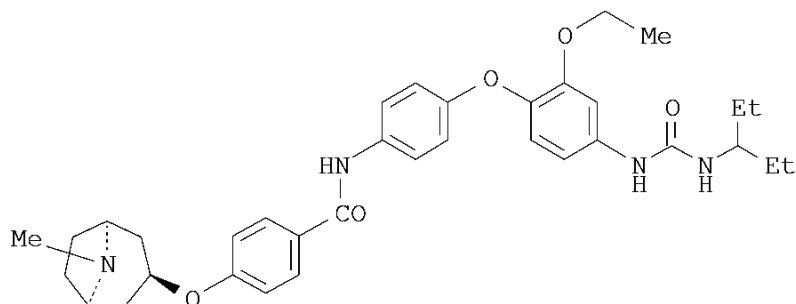
TITLE: Preparation of N-[[ureido]phenoxy]hetero/aryl]benzamides and related derivatives as NPY antagonists and their use for treating obesity, and abnormal food behavior and for controlling food intake

INVENTOR(S): Botez, Iuliana; David-Basei, Christelle; Gourlaoueen, Nelly; Nicolaie, Eric; Balavoine, Fabrice; Valette, Gerard; Serradeil-Le Gal, Claudine

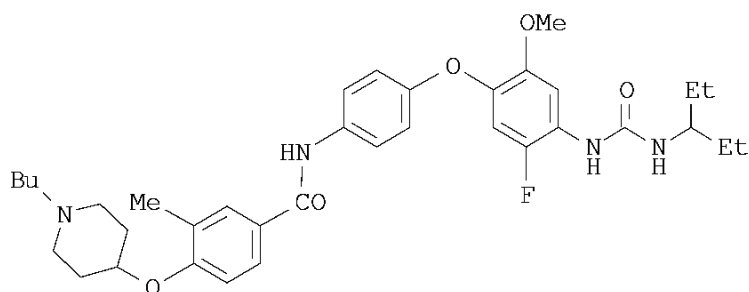
PATENT ASSIGNEE(S): Cerep, Fr.

SOURCE: PCT Int. Appl., 430pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006108965	A2	20061019	WO 2006-FR829	20060414
WO 2006108965	A3	20070329		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
FR 2884516	A1	20061020	FR 2005-3795	20050415
FR 2884516	B1	20070622		
AU 2006234413	A1	20061019	AU 2006-234413	20060414
CA 2604773	A1	20061019	CA 2006-2604773	20060414
EP 1879887	A2	20080123	EP 2006-743700	20060414
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JP 2008538749	T	20081106	JP 2008-505929	20060414
MX 2007012847	A	20080325	MX 2007-12847	20071012
NO 2007005322	A	20080111	NO 2007-5322	20071017
IN 2007DN08214	A	20080704	IN 2007-DN8214	20071024
KR 2008009112	A	20080124	KR 2007-726216	20071112
CN 101198604	A	20080611	CN 2006-80021275	20071214
US 20090233910	A1	20090917	US 2009-918470	20090227
PRIORITY APPLN. INFO.:			FR 2005-3795	A 20050415
			WO 2006-FR829	W 20060414
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):			MARPAT 145:438420	
GI				



II



III

- AB Title compds. R8R9N-L3-A-Ar3(R5R6)-L2-Ar2(R3R4)-L1-Ar1(R1R2)-Z-C(:Y)-X [I; X = di/alkylamino, hydrazino; Z = O, NH; Ar1 = Ph; Y = O, S; or Y = N, in which case Y, Z, and the Ph to which Z is attached form a benzimidazole or benzoxazole ring; R1, R2 = independently H, halo, OH, etc.; L1 = O, S, alkylene; Ar2 = hetero/aryl, heterocyclyl; R3 = independently H, halo, OH, CF3, OCF3, etc.; R1R2Ar1L1Ar2 = tricycle in which R1R3 = alkylene, L1 = O, S, and Ar2 = Ph; L2 = CONH and derivs., CH2O, OCH2, a bond with provisos; Ar3 = hetero/aryl, heterocyclyl; when L2 = a bond, Ar3 and Ar2 cannot be simultaneously heteroaryl or heterocyclyl; R5, R6 = independently H, halo, OH, alkyl, etc.; A = a bond, O, alkyl(id)ene, CONH, etc. L3 = (un)substituted cyclo/alkylene, bicyclo or polycycloalkyl(id)ene, etc. with proviso; or L3AAr3 = O heterocycle; R8, R9 = independently H, NH2, alkoxy/cyclo/alkyl, heterocyclyl, etc.; or NR8R9 = mono or polycyclic N heterocycle; including quaternary ammonium compds. containing N+R8R9R10; R10 = alkyl; with provisos; and their pharmaceutically acceptable salts, solvates and hydrates, optical and geometrical isomers and their mixts.] were prepared as neuropeptide Y (NPY) antagonists, particularly selective NPY Y1 subtype antagonists, and their use in therapeutic or prophylactic treatment all NPY involving disorders. Pharmaceutical compns. comprising I and treating methods using them are also disclosed. Thus, II, isolated as HCl salt, was prepared by reacting tropine with 4-fluorobenzonitrile, followed by nitrile hydrolysis, activation of the acid in the presence of TBTU/HOBT in DMF, and reaction with 1-[4-(4-aminophenoxy)-3-ethoxyphenyl]-3-(1-ethylpropyl)urea. III bound specifically to NPY Y1 receptor (IC50 for neuropeptide Y1, Y2, Y4, and Y5 receptors = 1.80 nM, > 10,000 nM, 2620 nM, and > 10,000 nM, resp.). In a test measuring the effects of III on arterial hypertension induced by [Leu31,Pro34]NPY in anesthetized rats, 3 mg/kg III administered orally reduced the blood pressure by .apprx.10 mm Hg after 1.5 h. I are useful for treating diseases characterized by elevated neuropeptide Y activity such as obesity, and abnormal food behavior, and for controlling food intake.
- IT 912946-59-9P, 4-[(1-Butylpiperidin-4-yl)oxy]piperidine-1-carboxylic acid N-[4-[2-ethoxy-4-[3-(1-ethylpropyl)ureido]phenoxy]phenyl]amide

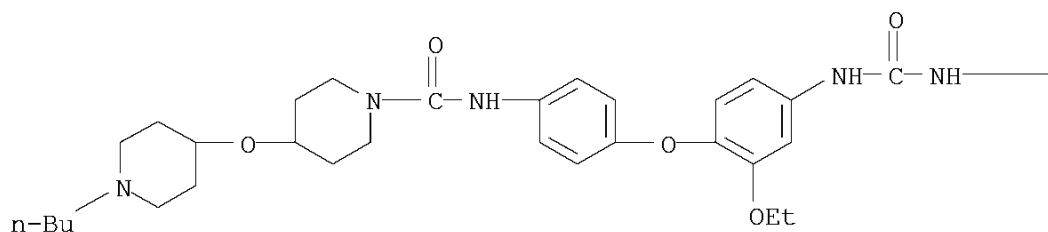
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of NPY antagonists and their use for treating
obesity, and abnormal food behavior and for controlling food intake)

RN 912946-59-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(1-butyl-4-piperidinyl)oxy]-N-[4-[2-ethoxy-4-
[[[(1-ethylpropyl)amino]carbonyl]amino]phenoxy]phenyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

—CH₂Et₂

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 73 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1067579 CAPLUS

DOCUMENT NUMBER: 145:419180

TITLE: Preparation of
dichlorophenylpiperazinylethylcyclohexylureas and
related compounds as dopamine D3/D2 receptor
antagonists.

INVENTOR(S): Csongor, Eva Againe; Galambos, Janos; Nogradi,
Katalin; Vago, Istvan; Gyertyan, Istvan; Kiss, Bela;
Laszlovsky, Istvan; Laszy, Judit; Saghy, Katalin

PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar Rt., Hung.

SOURCE: U.S. Pat. Appl. Publ., 16pp., Cont.-in-part of Appl.
No. PCT/HU04/000056.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060229297	A1	20061012	US 2006-337275	20060120
HU 2003002451	A2	20050530	HU 2003-2451	20030804
WO 2005012266	A1	20050210	WO 2004-HU56	20040521

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

PRIORITY APPLN. INFO.:

HU 2003-2451

A 20030804

WO 2004-HU56

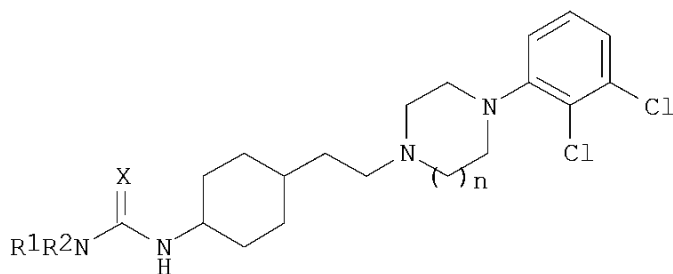
A2 20040521

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S):

CASREACT 145:419180; MARPAT 145:419180

GI



AB Title compds. (I; R1, R2 = H, alkyl, aryl, cycloalkyl, aroyl; R1R2N = heterocyclyl; X X = O, S; n = 1, 2), were prepared Thus, trans-4-[2-[4-(2,3-dichlorophenyl)piperazin-1-yl]ethyl]cyclohexylamine trihydrochloride, Et3N, and dimethylcarbamoyl chloride were stirred together for 48 h in CH2Cl2 to give 65% trans-1-[4-[2-[4-(2,3-dichlorophenyl)piperazin-1-yl]ethyl]cyclohexyl]-3,3-dimethylurea. I showed IC50 values of <1 nM to 10 nM as ligands at D2 receptors.

IT 912277-58-8P

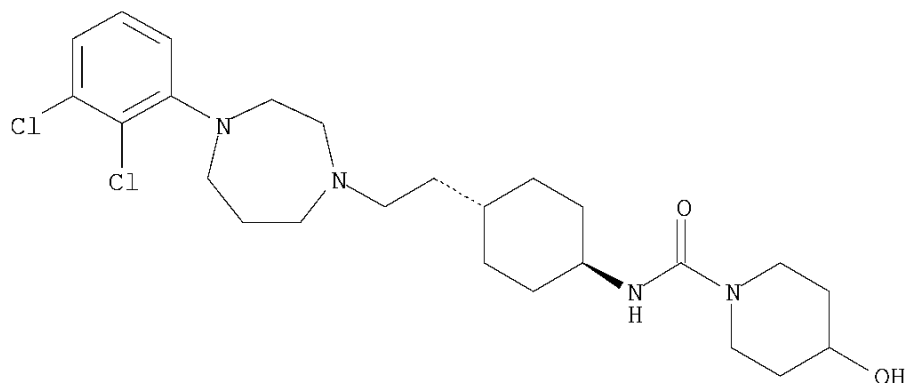
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of dichlorophenylpiperazinylethylcyclohexylureas and related compds. as dopamine D3/D2 receptor antagonists)

RN 912277-58-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[trans-4-[2-[4-(2,3-dichlorophenyl)hexahydro-1H-1,4-diazepin-1-yl]ethyl]cyclohexyl]-4-hydroxy- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L4 ANSWER 74 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1066837 CAPLUS

DOCUMENT NUMBER: 145:419133

TITLE: Preparation of 1-substituted pyrazolo[3,4-c]pyridines, 6,7,8,9-tetrahydro/pyrazolo[3,4-c]quinolines, and pyrazolo[3,4-c]naphthyridines as modulators of cytokine biosynthesis for treatment of viral and neoplastic diseases

INVENTOR(S): Hays, David S.; Prince, Ryan B.; Haraldson, Chad A.; Bonk, Jason D.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA

SOURCE: PCT Int. Appl., 152pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

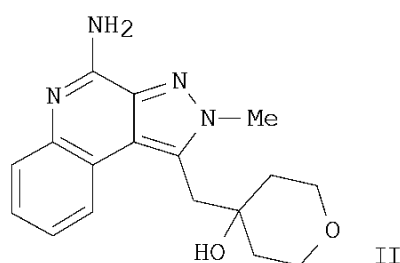
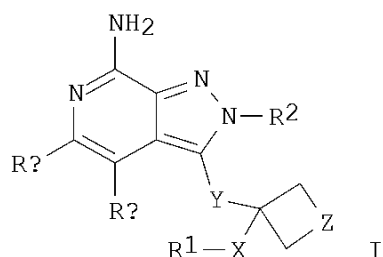
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PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006107851	A1	20061012	WO 2006-US12263	20060331
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006232375	A1	20061012	AU 2006-232375	20060331
CA 2602590	A1	20061012	CA 2006-2602590	20060331
EP 1863814	A1	20071212	EP 2006-749140	20060331
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
JP 2008538550	T	20081030	JP 2008-504494	20060331
US 20090163533	A1	20090625	US 2008-887492	20081114
PRIORITY APPLN. INFO.:			US 2005-667869P	P 20050401

OTHER SOURCE(S) :
GI

CASREACT 145:419133; MARPAT 145:419133



AB Title compds. [I; Z = a bond, alkylene, (CH₂)₀₋₂-O-(CH₂)₀₋₂; o-phenylene, etc.; X = a bond, alkylene, -O-alkylene-; R₁ = H, OH and derivs., F, NH₂ and derivs., etc.; Y = (CH₂)_m; m = 1-5; RA, RB = independently H, halo, alk(en)yl, alkoxy, alkylthio, NH₂ and derivs.; or RACCRB = fused hetero/aryl, or fused 5-7 membered saturated ring; R₂ = H, alkyl, alkoxyalkenyl, haloalkenyl, etc.; and their pharmaceutically acceptable salts; with provisos] were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, bromination of 5-[(4-hydroxytetrahydro-2H-pyran-4-yl)methyl]-1-methyl-1H-pyrazole-3-carbonitrile (preparation given), coupling with 2-aminophenylboronic acid•HCl and cyclization gave pyrazoloquinoline II (no data for the coupling intermediate). Certain I modulated cytokine biosynthesis by inducing the production of interferon α and/or tumor necrosis factor α when tested in human cells (no data).

IT 1045471-46-2 1045471-47-3 1045472-09-0
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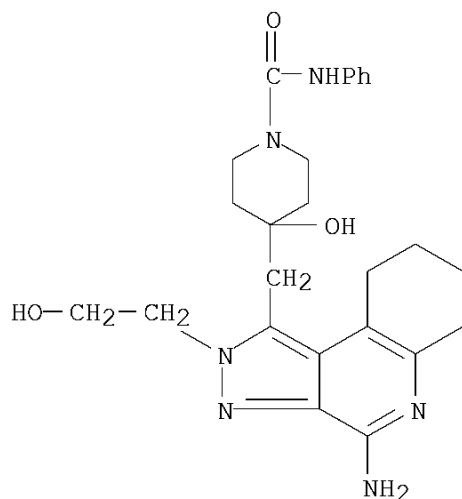
RL: PRPH (Prophetic)

(Preparation of 1-substituted pyrazolo[3,4-c]pyridines,

6,7,8,9-tetrahydro/pyrazolo[3,4-c]quinolines, and
pyrazolo[3,4-c]naphthyridines as modulators of cytokine biosynthesis
for treatment of viral and neoplastic diseases)

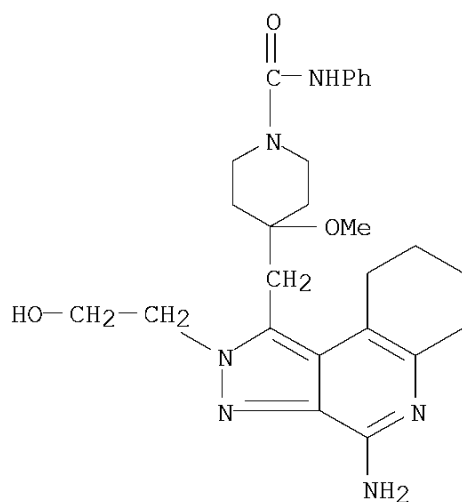
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NAME)



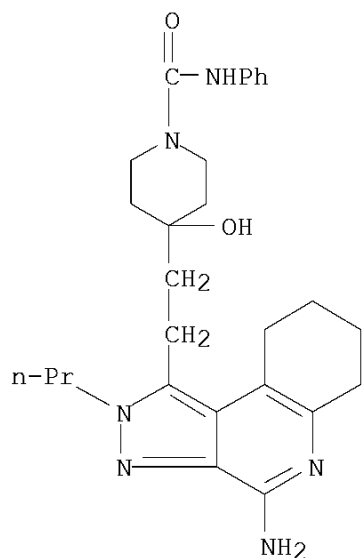
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NAME)



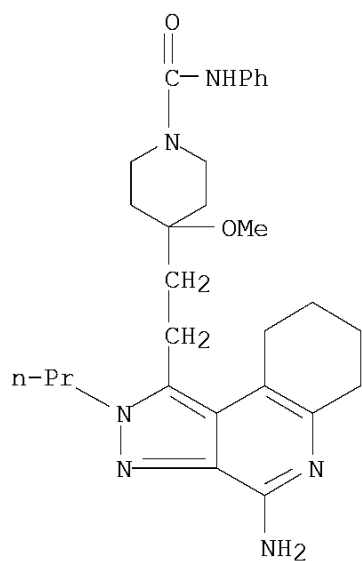
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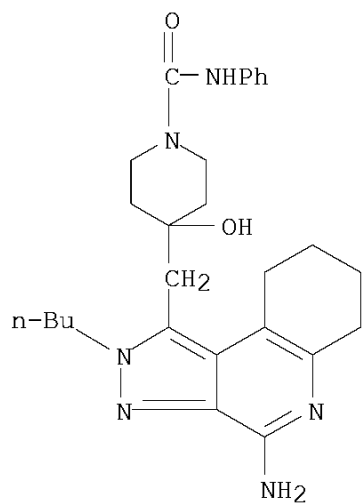
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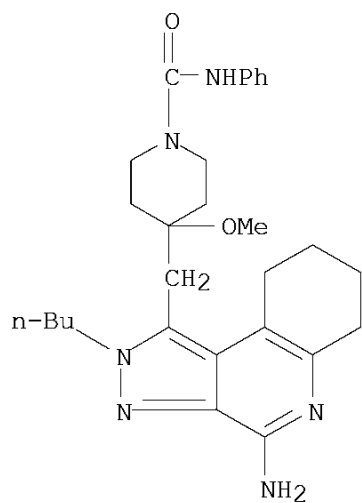


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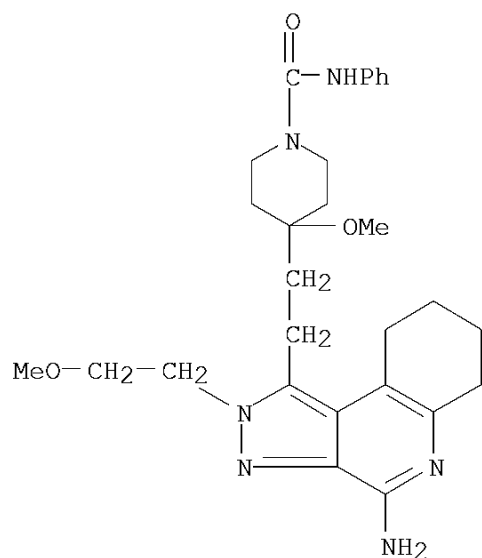
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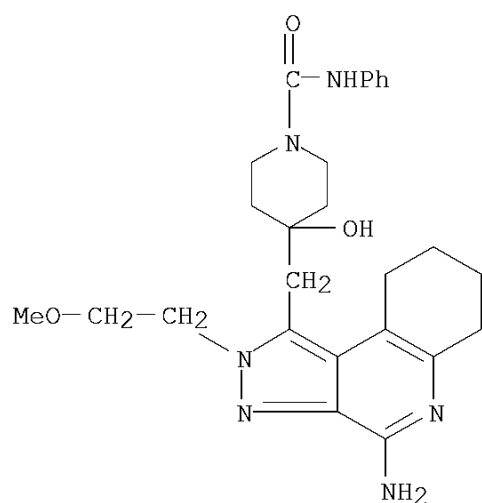
RN 1045472-42-1 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4-amino-2-butyl-6,7,8,9-tetrahydro-2H-pyrazolo[3,4-c]quinolin-1-yl)methyl]-4-methoxy-N-phenyl- (CA INDEX NAME)



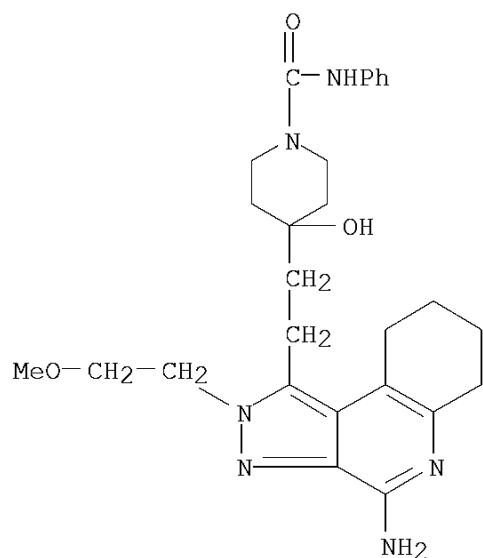
RN 1045472-62-5 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[2-[4-amino-6,7,8,9-tetrahydro-2-(2-methoxyethyl)-2H-pyrazolo[3,4-c]quinolin-1-yl]ethyl]-4-methoxy-N-phenyl- (CA INDEX NAME)



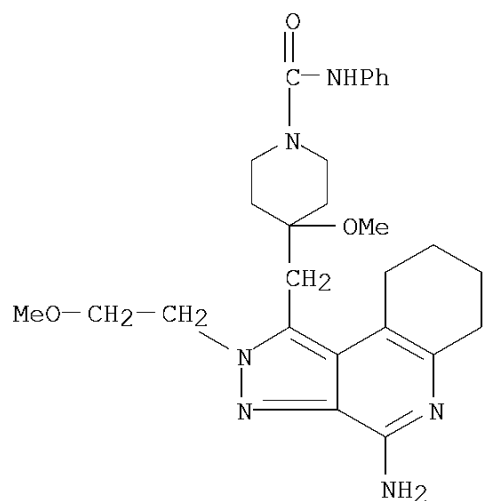
RN 1045473-16-2 CAPLUS
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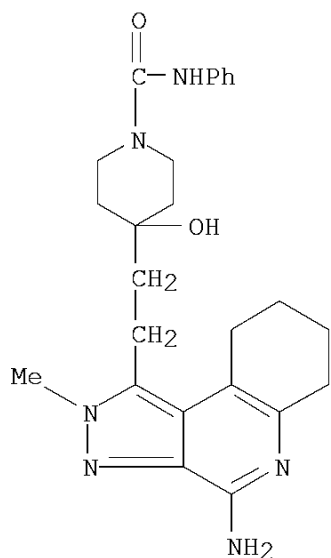
RN 1045473-17-3 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[2-[4-amino-6,7,8,9-tetrahydro-2-(2-methoxyethyl)-2H-pyrazolo[3,4-c]quinolin-1-yl]ethyl]-4-hydroxy-N-phenyl- (CA INDEX NAME)



RN 1045473-18-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[4-amino-6,7,8,9-tetrahydro-2-(2-methoxyethyl)-2H-pyrazolo[3,4-c]quinolin-1-yl]methyl]-4-methoxy-N-phenyl- (CA INDEX NAME)

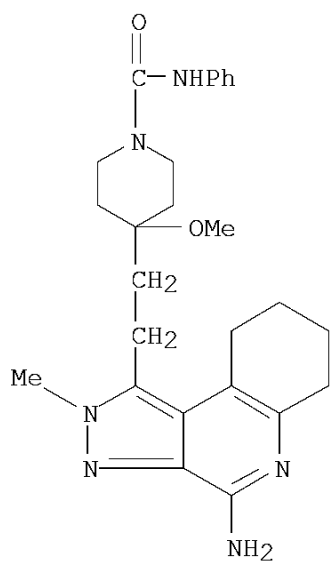


RN 1045473-26-4 CAPLUS
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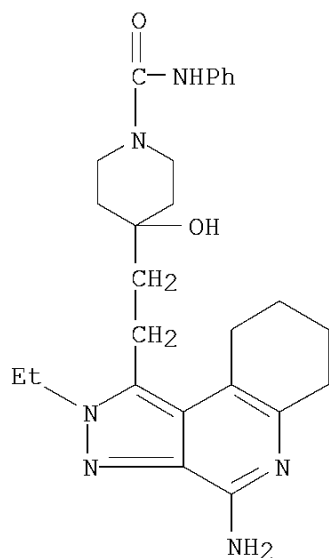
RN 1045473-27-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-(4-amino-6,7,8,9-tetrahydro-2-methyl-2H-pyrazolo[3,4-c]quinolin-1-yl)ethyl]-4-methoxy-N-phenyl- (CA INDEX NAME)



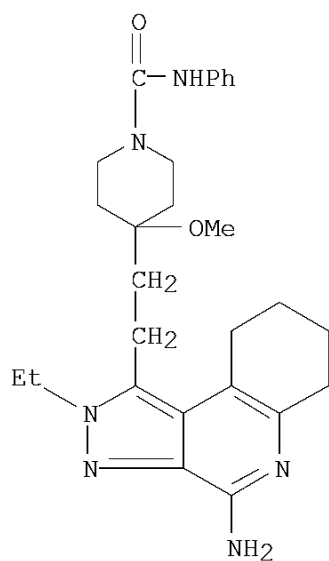
RN 1045473-58-2 CAPLUS

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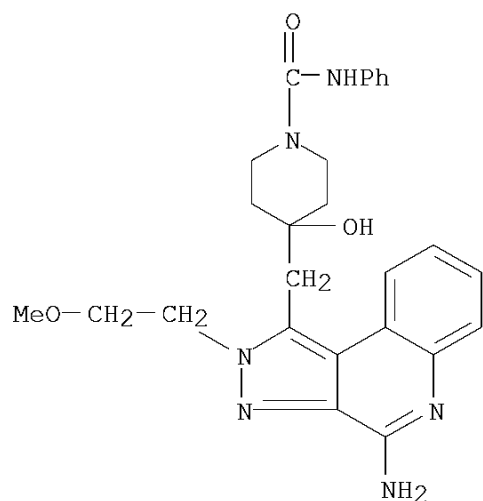
RN 1045473-59-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-(4-amino-2-ethyl-6,7,8,9-tetrahydro-2H-pyrazolo[3,4-c]quinolin-1-yl)ethyl]-4-methoxy-N-phenyl- (CA INDEX NAME)

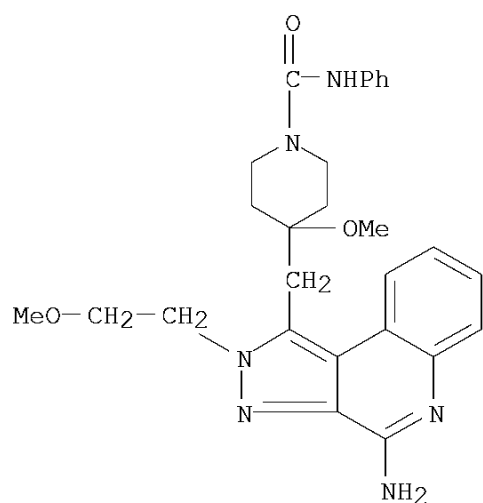


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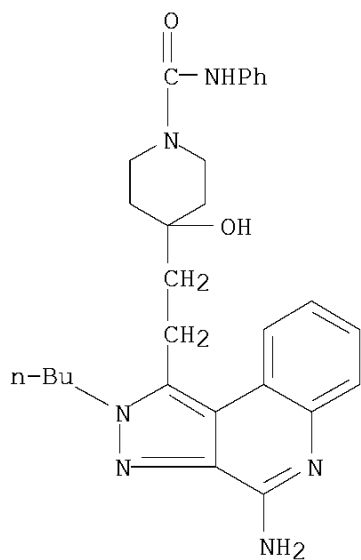
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RN 1045473-83-3 CAPLUS
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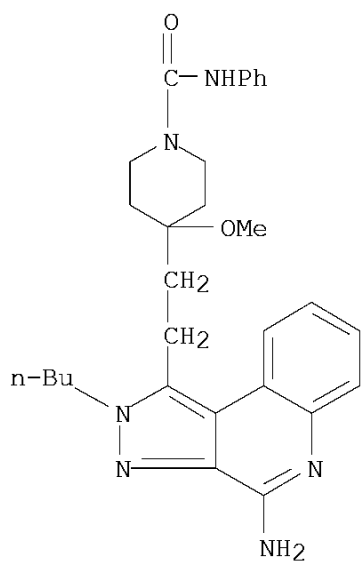


RN 1045474-20-1 CAPLUS
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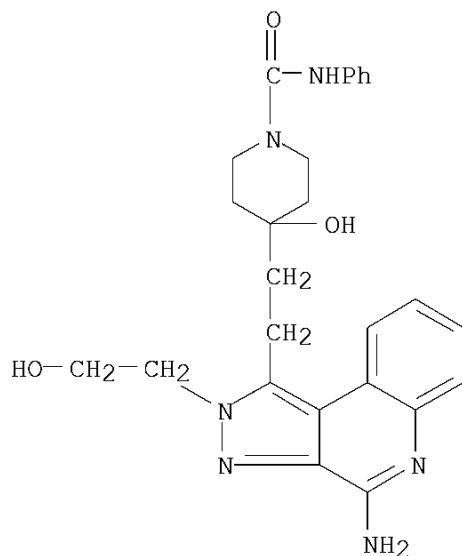
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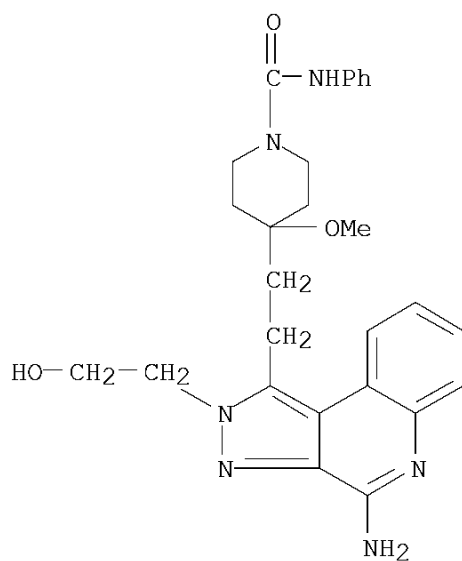
RN 1045474-52-9 CAPLUS

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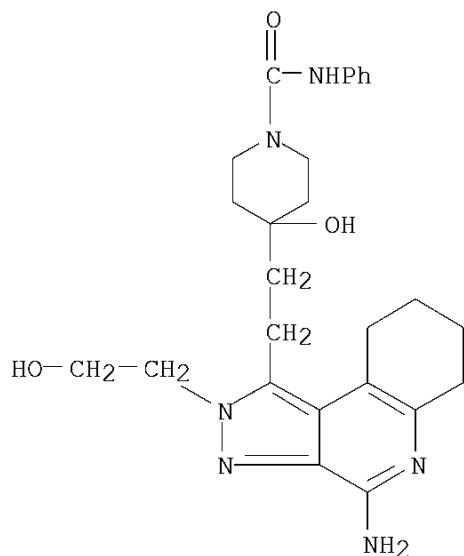
RN 1045474-53-0 CAPLUS

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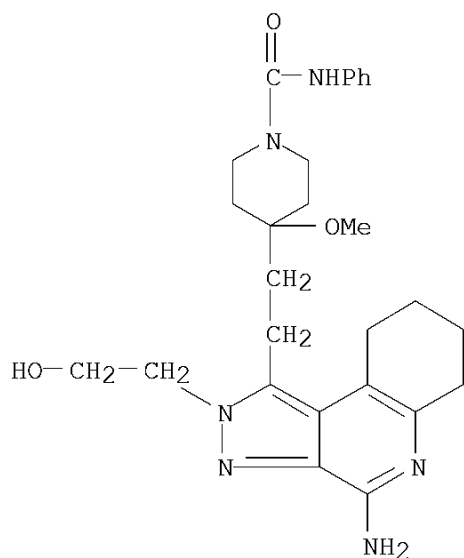


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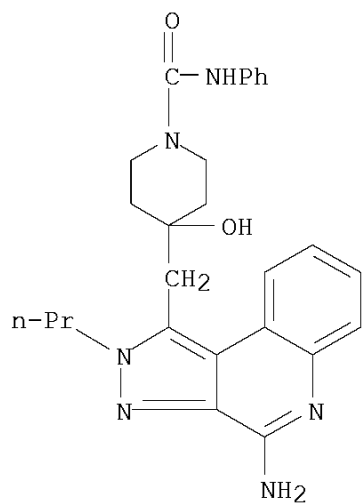
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RN 1045475-03-3 CAPLUS
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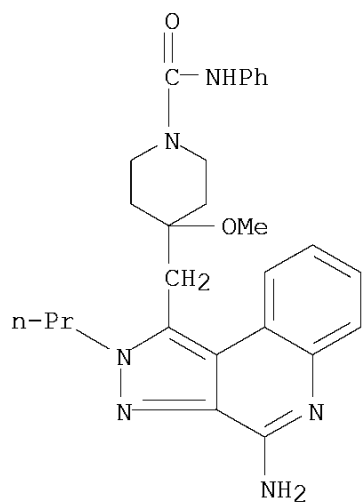


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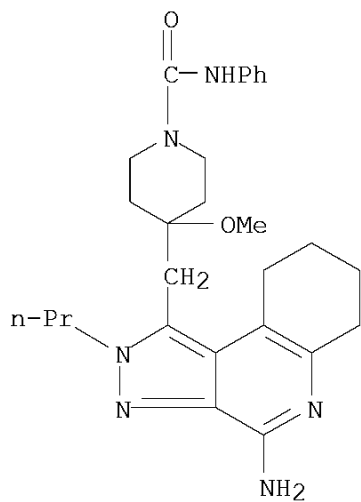
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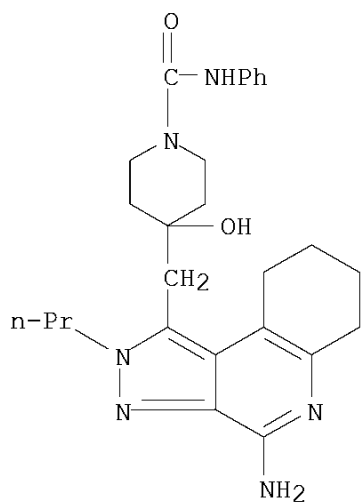


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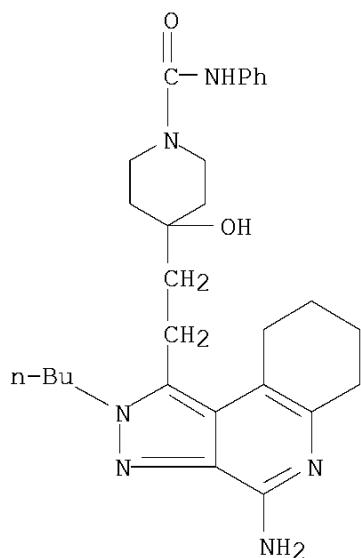
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RN 1045475-66-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4-amino-6,7,8,9-tetrahydro-2-propyl-2H-pyrazolo[3,4-c]quinolin-1-yl)methyl]-4-hydroxy-N-phenyl- (CA INDEX NAME)

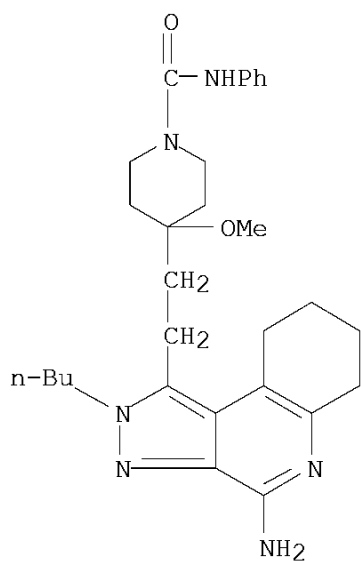


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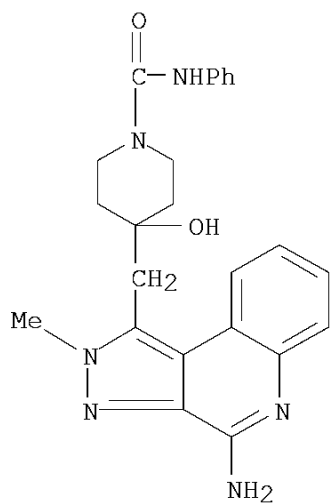
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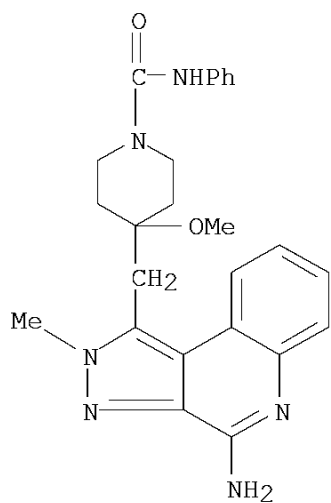
RN 1045476-21-8 CAPLUS

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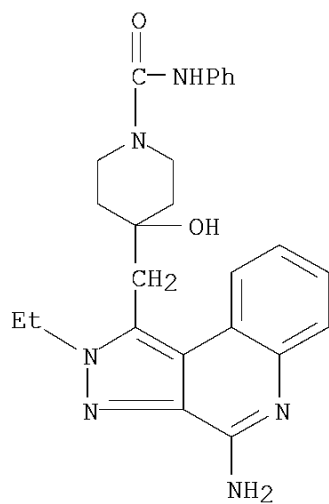
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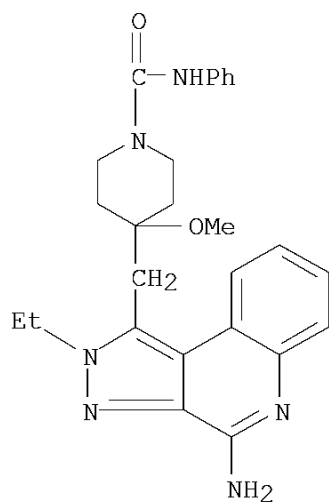
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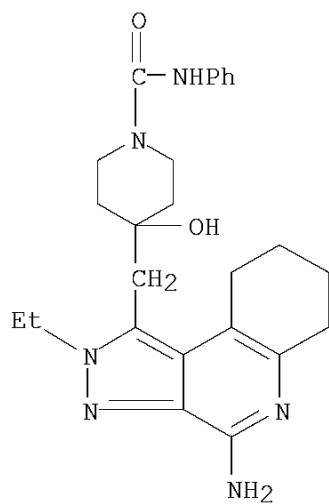
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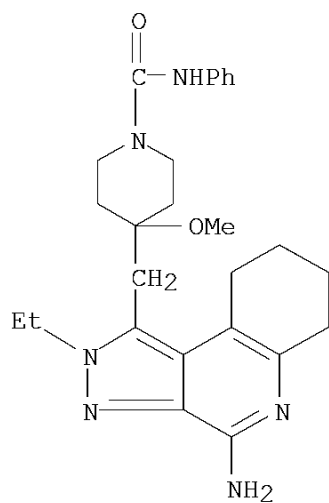


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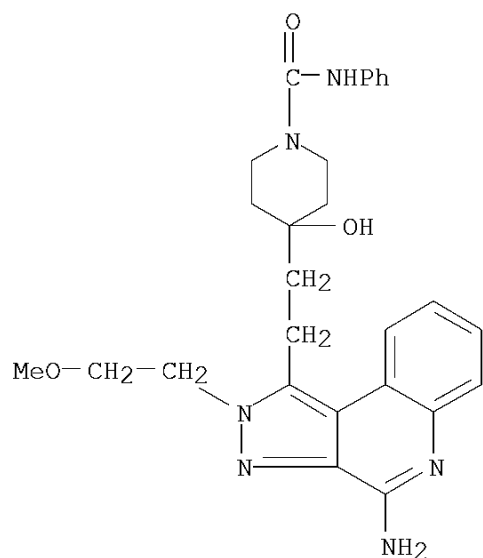
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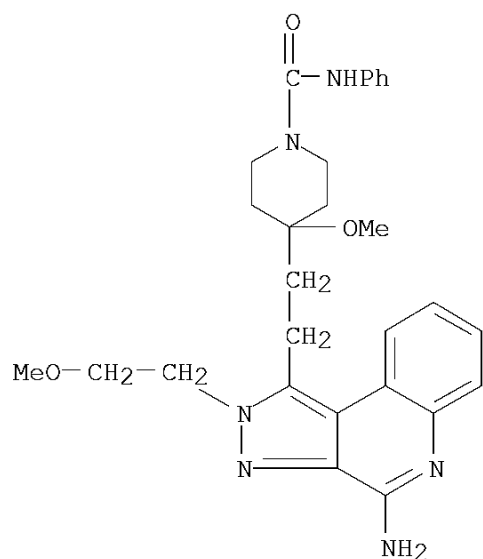


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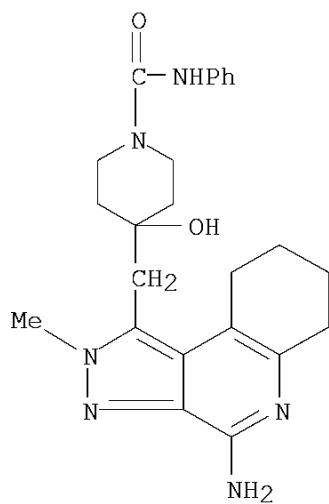
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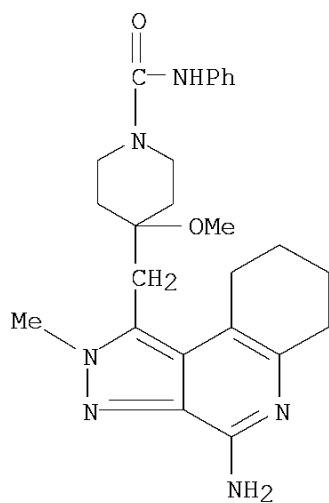


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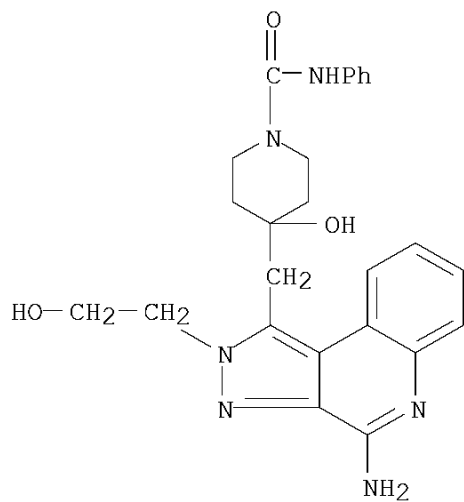
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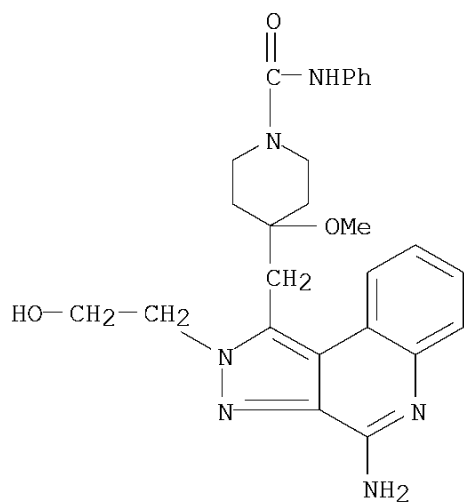
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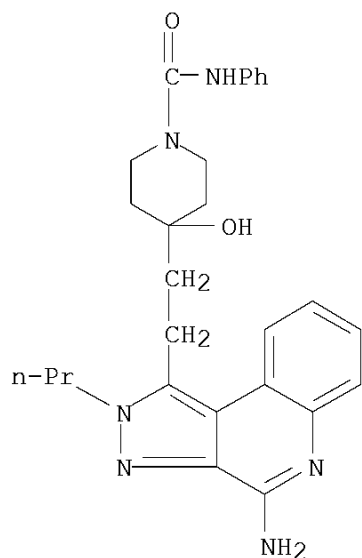
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RN 1045479-49-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[4-amino-2-(2-hydroxyethyl)-2H-pyrazolo[3,4-c]quinolin-1-yl]methyl]-4-methoxy-N-phenyl- (CA INDEX NAME)

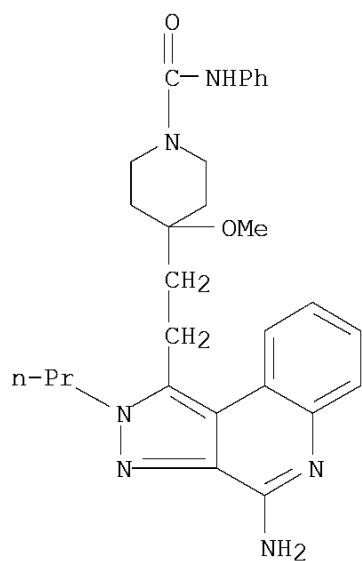


RN 1045480-12-3 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[2-(4-amino-2-propyl-2H-pyrazolo[3,4-c]quinolin-1-yl)ethyl]-4-hydroxy-N-phenyl- (CA INDEX NAME)



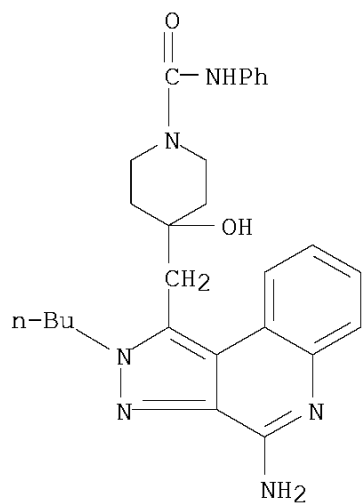
RN 1045480-13-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-(4-amino-2-propyl-2H-pyrazolo[3,4-c]quinolin-1-yl)ethyl]-4-methoxy-N-phenyl- (CA INDEX NAME)



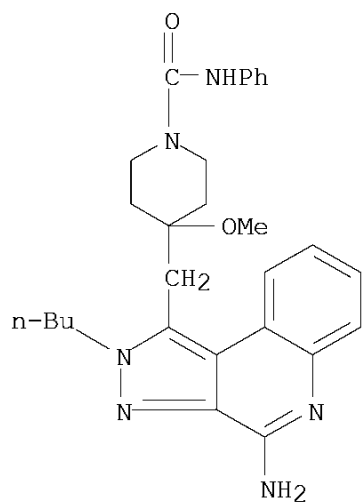
RN 1045480-44-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(4-amino-2-butyl-2H-pyrazolo[3,4-c]quinolin-1-yl)methyl]-4-hydroxy-N-phenyl- (CA INDEX NAME)



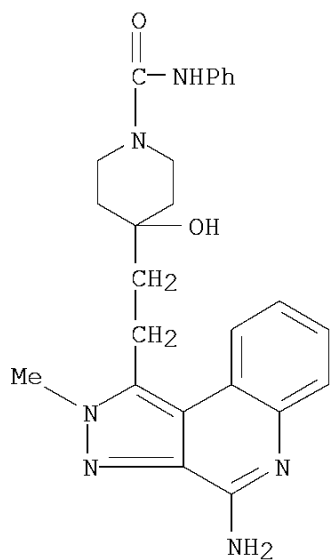
RN 1045480-45-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(4-amino-2-butyl-2H-pyrazolo[3,4-c]quinolin-1-yl)methyl]-4-methoxy-N-phenyl- (CA INDEX NAME)



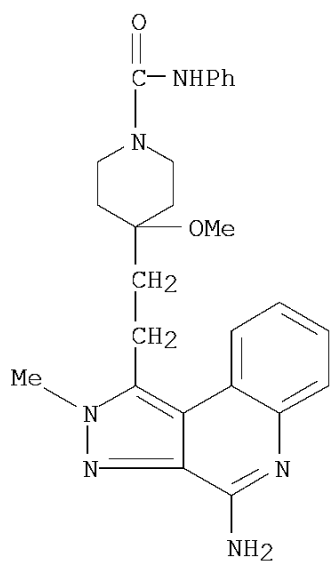
RN 1045480-76-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-(4-amino-2-methyl-2H-pyrazolo[3,4-c]quinolin-1-yl)ethyl]-4-hydroxy-N-phenyl- (CA INDEX NAME)



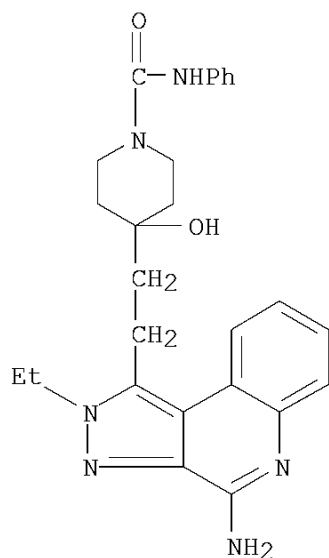
RN 1045480-77-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-(4-amino-2-methyl-2H-pyrazolo[3,4-c]quinolin-1-yl)ethyl]-4-methoxy-N-phenyl- (CA INDEX NAME)



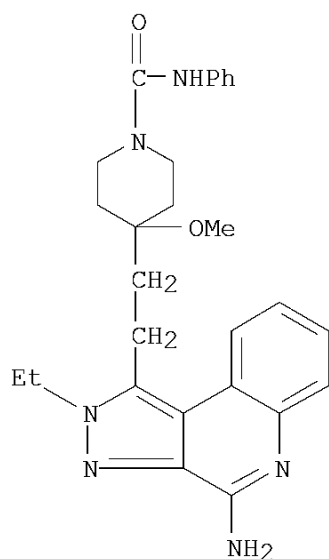
RN 1045481-08-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-(4-amino-2-ethyl-2H-pyrazolo[3,4-c]quinolin-1-yl)ethyl]-4-hydroxy-N-phenyl- (CA INDEX NAME)



RN 1045481-09-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-(4-amino-2-ethyl-2H-pyrazolo[3,4-c]quinolin-1-yl)ethyl]-4-methoxy-N-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 75 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:904096 CAPLUS

DOCUMENT NUMBER: 145:471787

TITLE: A new and facile synthesis of carbamate- and urea-linked glycoconjugate using modified Curtius rearrangement

AUTHOR(S): Sawada, Daisuke; Sasayama, Shinya; Takahashi, Hideyo;

CORPORATE SOURCE: Ikegami, Shiro
School of Pharmaceutical Sciences, Teikyo University,
Sagamiko Kanagawa, 199-0195, Japan
SOURCE: Tetrahedron Letters (2006), 47(40), 7219-7223
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:471787

AB We describe a facile synthetic method of carbamate- and urea-linked glycoconjugates using sugar carboxylic acids by the modified Curtius rearrangement. This reaction is a simple one-pot procedure, and various nucleophiles including tertiary alcs. can be utilized to afford desired compds. in moderate to high yields. And the stereospecific synthesis of the anomeric isomers is achieved using the corresponding two stereoisomers of glycosyl carboxylic acid.

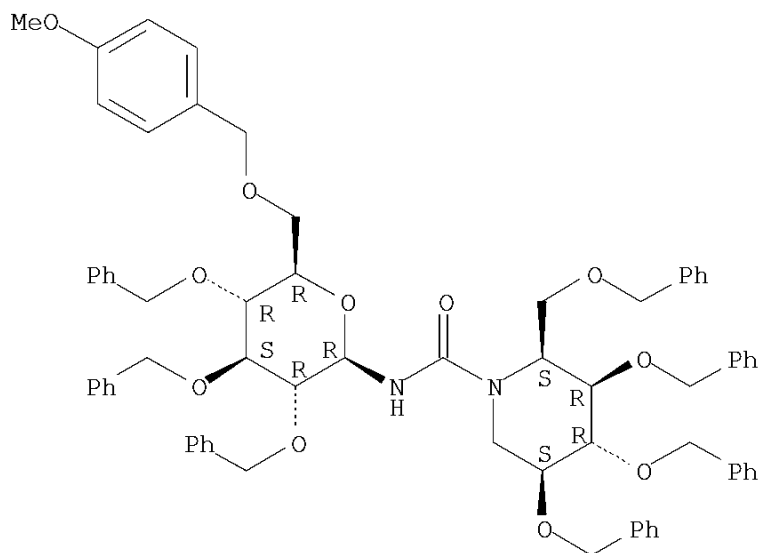
IT 913726-08-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(one-pot synthesis of carbamate- and urea-linked glycoconjugates via Curtius rearrangement of glycosyl carboxylic acids)

RN 913726-08-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[6-O-[(4-methoxyphenyl)methyl]-2,3,4-tris-O-(phenylmethyl)- β -D-glucopyranosyl]-3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-, (2S,3R,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 76 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:817360 CAPLUS

DOCUMENT NUMBER: 145:249196

TITLE: Preparation of alkoxy-substituted thiazoloquinolines and thiazolonaphthyridines as cytokine biosynthesis inducers.

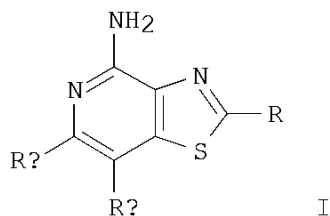
INVENTOR(S): Prince, Ryan B.; Merrill, Bryon A.; Heppner, Philip D.; Kshirsagar, Tushar A.; Wurst, Joshua R.; Manske, Karl J.; Rice, Michael J.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
 SOURCE: PCT Int. Appl., 194pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006086449	A2	20060817	WO 2006-US4391	20060208
WO 2006086449	A3	20070705		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006212765	A1	20060817	AU 2006-212765	20060208
CA 2597324	A1	20060817	CA 2006-2597324	20060208
EP 1846419	A2	20071024	EP 2006-734560	20060208
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008530099	T	20080807	JP 2007-555183	20060208
US 20080318998	A1	20081225	US 2008-884052	20080813
PRIORITY APPLN. INFO.:				
			US 2005-651585P	P 20050209
			US 2005-733036P	P 20051103
			WO 2006-US4391	W 20060208

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 145:249196; MARPAT 145:249196
 GI



AB Title compds. [I; RaRb = atoms to form fused benzene or pyridine ring substituted by 1 OR3 or 1 OR3 and 1 R group; R3 = ZYR4, ZYXYR4, ZYXYXR4, ZR5, etc.; R = alkyl, alkoxy, OH, halo, CF3; R = H, noninterfering substituent; Z = alkylene, alkenylene, alkynylene optionally interrupted by O; Y = S, SO, SO2, CR6, CR6O, OCO2, etc.; X = alkylene, alkenylene, alkynylene, arylene, heteroarylene, heterocyclylene optionally interrupted or terminated with arylene, heteroarylene, heterocyclylene, and optionally interrupted by ≥1 O; R4 = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, etc.; R5 = specified ring system; R6 = O,

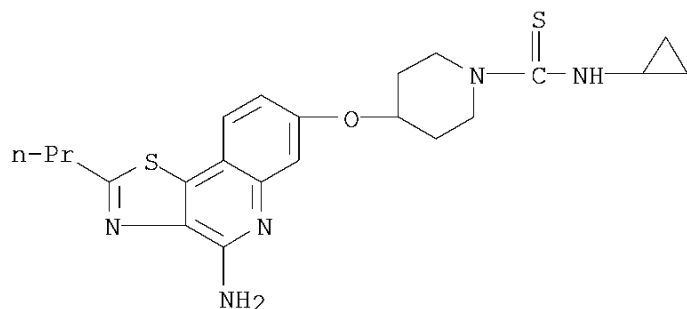
S], were prepared e.g. for treatment of cancer and viral infection (no data). Thus, 7-(2-morpholin-4-ylethoxy)-2-propylthiazolo[4,5-c]quinolin-4-amine was prepared in many steps from tri-Et orthoformate, Meldrum's acid, 3-benzyloxyaniline, butyryl chloride, trichloroacetyl isocyanate, and 4-(2-chloroethyl)morpholine hydrochloride.

IT 905924-64-3P 905924-66-5P 905924-68-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of alkoxy-substituted thiazoloquinolines and thiazolonaphthyridines as cytokine biosynthesis inducers)
 RN 905924-64-3 CAPLUS
 CN 1-Piperidinecarbothioamide, 4-[(4-amino-2-propylthiazolo[4,5-c]quinolin-7-yl)oxy]-N-cyclopropyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 905924-63-2

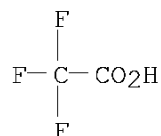
CMF C22 H27 N5 O S2



CM 2

CRN 76-05-1

CMF C2 H F3 O2

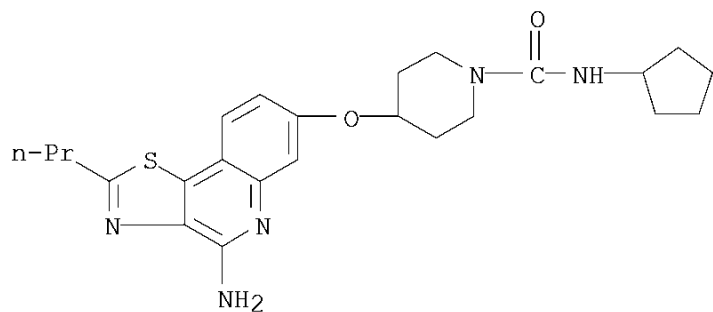


RN 905924-66-5 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[(4-amino-2-propylthiazolo[4,5-c]quinolin-7-yl)oxy]-N-cyclopentyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 905924-65-4

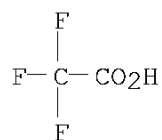
CMF C24 H31 N5 O2 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



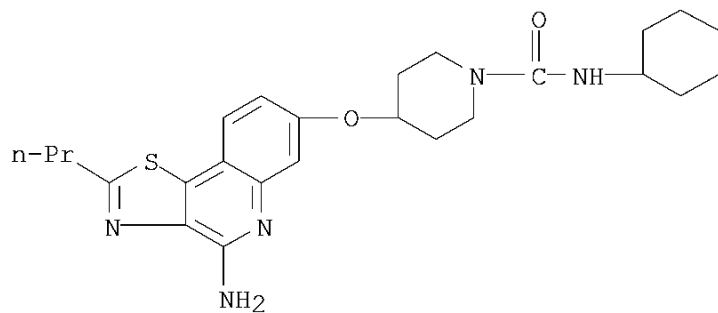
RN 905924-68-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(4-amino-2-propylthiazolo[4,5-c]quinolin-7-yl)oxy]-N-cyclohexyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 905924-67-6

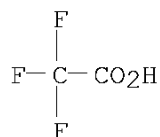
CMF C25 H33 N5 O2 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L4 ANSWER 77 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:708467 CAPLUS

DOCUMENT NUMBER: 145:167260

TITLE: Preparation of substituted triazoles as oxytocin antagonists

INVENTOR(S): Brown, Alan Daniel; Calabrese, Andrew Antony; Ellis, David

PATENT ASSIGNEE(S): Pfizer Inc, UK

SOURCE: U.S. Pat. Appl. Publ., 79 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

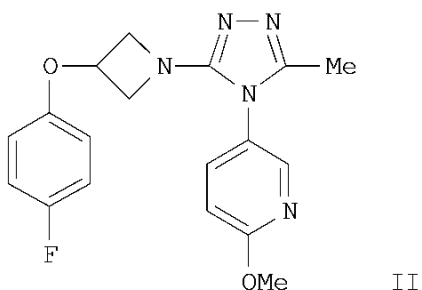
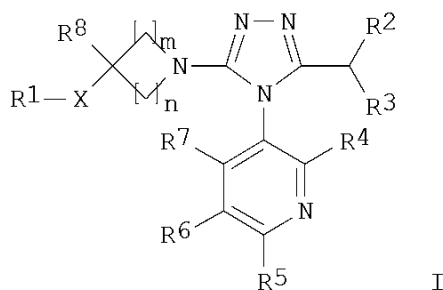
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060160786	A1	20060720	US 2006-335940	20060120
US 7557131	B2	20090707		
AU 2006207300	A1	20060727	AU 2006-207300	20060111
CA 2595569	A1	20060727	CA 2006-2595569	20060111
WO 2006077496	A1	20060727	WO 2006-IB118	20060111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1841758	A1	20071010	EP 2006-710261	20060111
EP 1841758	B1	20091118		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101107243	A	20080116	CN 2006-80002861	20060111
JP 4124805	B1	20080723	JP 2007-551766	20060111
JP 2008528476	T	20080731		
BR 2006006463	A2	20090630	BR 2006-6463	20060111
AT 449091	T	20091215	AT 2006-710261	20060111
NL 1030961	A1	20060721	NL 2006-1030961	20060119
NL 1030961	C2	20070112		
IN 2007DN05209	A	20070817	IN 2007-DN5209	20070706
ZA 2007005718	A	20080827	ZA 2007-5718	20070711
KR 2007091023	A	20070906	KR 2007-716553	20070719
KR 936854	B1	20100114		
MX 2007008757	A	20080310	MX 2007-8757	20070719
NO 2007004177	A	20071016	NO 2007-4177	20070814
US 20090253674	A1	20091008	US 2009-466785	20090515

PRIORITY APPLN. INFO.:

GB 2005-1190 A 20050120
 US 2005-649892P P 20050202
 WO 2006-IB118 W 20060111
 US 2006-335940 A3 20060120

OTHER SOURCE(S): CASREACT 145:167260; MARPAT 145:167260
 GI



AB The title compds. I [$m = 1-4$; $n = 1-2$ (provided that $m+n = 2-5$); $X = O, NH, N(alkyl), etc.$; $R1 = Ph, naphthyl, 5-6$ membered aromatic heterocyclyl containing 1-3 heteroatoms, etc.; $R2 = H, OH, alkyl, alkoxy, etc.$; $R3 = H, alkyl, alkoxyalkyl$; $R4-R7 = H, halo, OH, etc.$; $R8 = H, alkyl, alkoxyalkyl, etc.$], useful in a variety of therapeutic areas including sexual dysfunction, were prepared E.g., a multi-step synthesis of II, starting from 1-(diphenylmethyl)azetidin-3-yl methanesulfonate, was given. Compds. I all exhibit oxytocin antagonist activity, expressed as a K_i value, of less than $1 \mu M$ (specific K_i values were give for representative compds. I). Pharmaceutical composition comprising the compound I is disclosed.

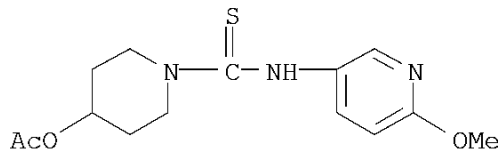
IT 900511-83-3P 900511-84-4P 900511-89-9P
 900511-97-9P 900511-98-0P 900511-99-1P
 900512-00-7P 900512-01-8P 900512-10-9P
 900512-11-0P 900512-20-1P 900512-69-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted triazoles as oxytocin antagonists useful as therapeutics for variety of diseases including sexual dysfunction)

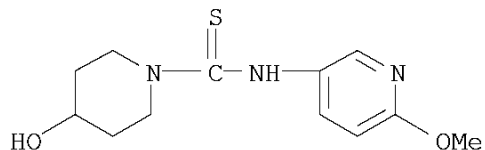
RN 900511-83-3 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(acetyloxy)-N-(6-methoxy-3-pyridinyl)- (CA INDEX NAME)



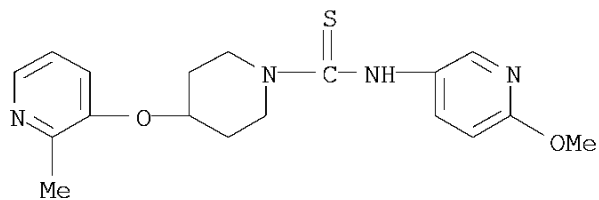
RN 900511-84-4 CAPLUS

CN 1-Piperidinecarbothioamide, 4-hydroxy-N-(6-methoxy-3-pyridinyl)- (CA INDEX NAME)



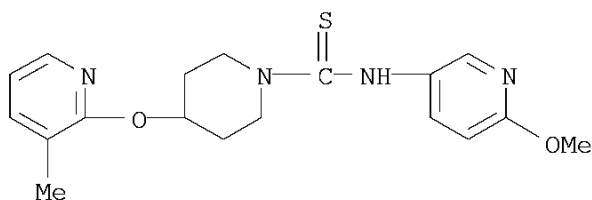
RN 900511-89-9 CAPLUS

CN 1-Piperidinecarbothioamide, N-(6-methoxy-3-pyridinyl)-4-[(2-methyl-3-pyridinyl)oxy]- (CA INDEX NAME)



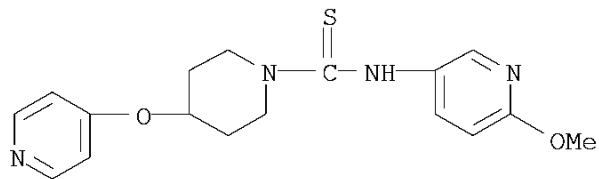
RN 900511-97-9 CAPLUS

CN 1-Piperidinecarbothioamide, N-(6-methoxy-3-pyridinyl)-4-[(3-methyl-2-pyridinyl)oxy]- (CA INDEX NAME)



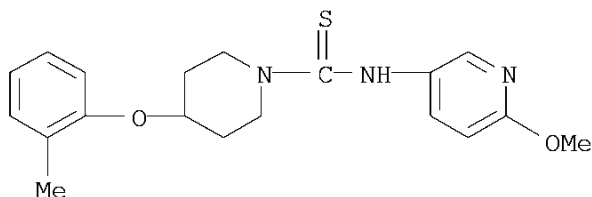
RN 900511-98-0 CAPLUS

CN 1-Piperidinecarbothioamide, N-(6-methoxy-3-pyridinyl)-4-(4-pyridinyloxy)- (CA INDEX NAME)



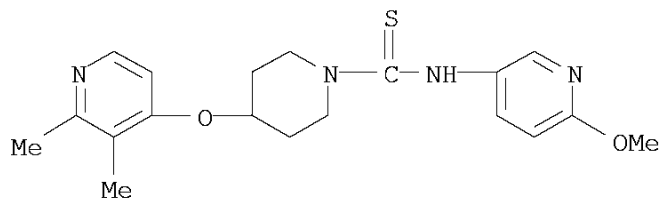
RN 900511-99-1 CAPLUS

CN 1-Piperidinecarbothioamide, N-(6-methoxy-3-pyridinyl)-4-(2-methylphenoxy)- (CA INDEX NAME)



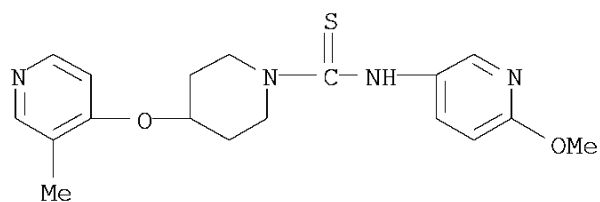
RN 900512-00-7 CAPLUS

CN 1-Piperidinecarbothioamide, 4-[(2,3-dimethyl-4-pyridinyl)oxy]-N-(6-methoxy-3-pyridinyl)- (CA INDEX NAME)



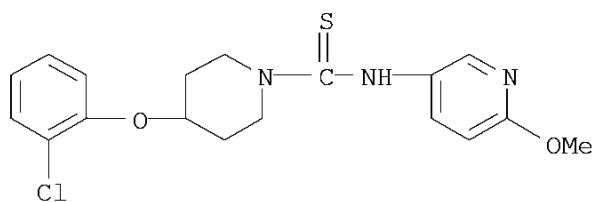
RN 900512-01-8 CAPLUS

CN 1-Piperidinecarbothioamide, N-(6-methoxy-3-pyridinyl)-4-[(3-methyl-4-pyridinyl)oxy]- (CA INDEX NAME)



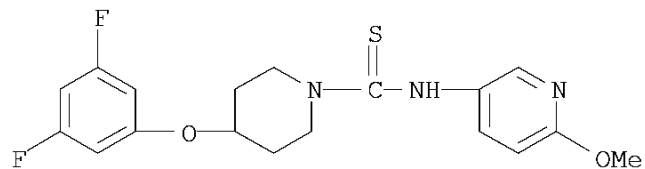
RN 900512-10-9 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(2-chlorophenoxy)-N-(6-methoxy-3-pyridinyl)- (CA INDEX NAME)



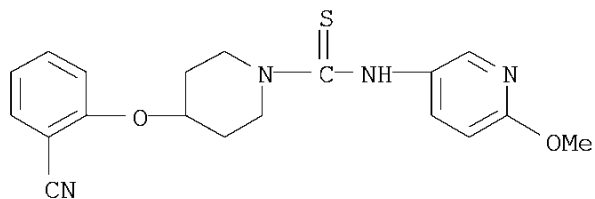
RN 900512-11-0 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(3,5-difluorophenoxy)-N-(6-methoxy-3-pyridinyl)- (CA INDEX NAME)

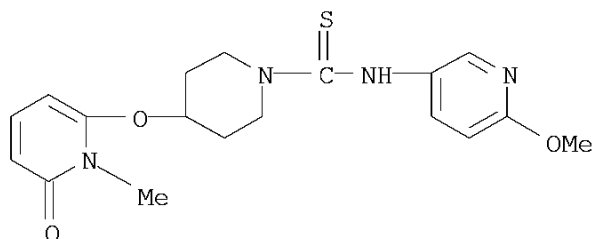


RN 900512-20-1 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(2-cyanophenoxy)-N-(6-methoxy-3-pyridinyl)- (CA INDEX NAME)



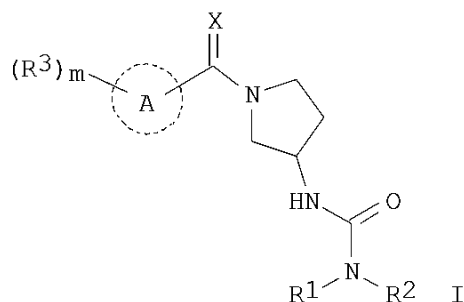
RN 900512-69-8 CAPLUS
 CN 1-Piperidinecarbothioamide, 4-[(1,6-dihydro-1-methyl-6-oxo-2-pyridinyl)oxy]-N-(6-methoxy-3-pyridinyl)- (CA INDEX NAME)



L4 ANSWER 78 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2006:708222 CAPLUS
 DOCUMENT NUMBER: 145:145752
 TITLE: Preparation of
 N-(N-heterocyclylcarbonylpyrrolidin-3-yl)urea urea
 derivatives having antiangiogenic activity
 INVENTOR(S): Haviv, Fortuna; Bradley, Michael F.; Sauer, Daryl R.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: U.S. Pat. Appl. Publ., 28 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

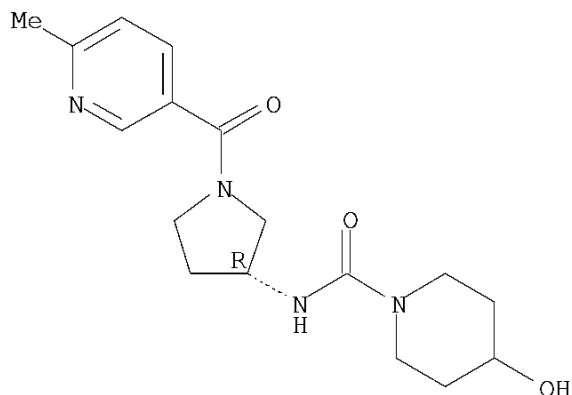
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060160806	A1	20060720	US 2004-961362	20041008
US 7592466	B2	20090922		

PRIORITY APPLN. INFO.: US 2003-509949P P 20031009
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 145:145752; MARPAT 145:145752
 GI



- AB Compds. having the formula (I) or therapeutically acceptable salts thereof [A = pyridazinyl, pyridinyl, pyridine N-oxide, pyrimidinyl, indol-3-yl, pyrazol-4-yl, pyrazinyl, isoxazol-4-yl triazinyl; R1, R2 = H, alkenyl, alkoxy, alkoxyalkyl, alkyl, alkynyl, aryl, arylalkyl, cyanoalkyl, cycloalkyl, (cycloalkyl)alkyl, haloalkyl, heterocyclyl, heterocyclalkyl, hydroxyalkyl, (NRARB)alkyl, (NRARB)carbonyl; or NR1R2 together forms an (un)substituted five- to seven-membered ring containing zero or one addnl. heteroatom selected; R3 = alkenyl, alkoxy, alkoxyalkyl, alkoxy carbonyl, alkyl, alkylcarbonyl, alkylsulfanyl, aryl, arylalkyl, aryloxy, cyano, cyanoalkyl, cycloalkyl, (cycloalkyl)alkyl, halo, haloalkyl, heterocycle, hydroxy, hydroxyalkyl, nitro; X = O, S; m = 0-4; RA, RB = H, alkenyl, alkoxyalkyl, alkyl, alkynyl, alkylcarbonyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, heterocyclyl, heterocyclalkyl, and hydroxyalkyl] are prepared These compds. are angiogenesis inhibitors and useful for treating conditions which arise from or are exacerbated by angiogenesis, e.g. cancer. Thus, a mixture of (3R)-1-[(6-methylpyridin-3-yl)carbonyl]pyrrolidin-3-amine bis-trifluoroacetate (0.433 g, 1.0 mmol) and Et3N (0.418 mL, 3.0 mmol) in methylene chloride (5 mL) was treated carbonyldiimidazole > (0.178 g, 1.1 mmol) and stirred for 5 h at room temperature, followed by adding pyrrolidine (3.0 mmol). The reaction mixture was stirred for addnl. 4 h to give, N-[(3R)-1-[(6-methyl-3-pyridinyl)carbonyl]-3-pyrrolidinyl]-1-pyrrolidinecarboxamide hydrochloride (II). II at 0.1 nM inhibited 98% human microvascular endothelial cell (HMVEC) migration.
- IT 850213-03-5P, 4-Hydroxy-N-[(3R)-1-[(6-methylpyridin-3-yl)carbonyl]pyrrolidin-3-yl]piperidine-1-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of (pyrrolidin-3-yl)urea ureas derivs. as angiogenesis inhibitors)
- RN 850213-03-5 CAPLUS
- CN 1-Piperidinecarboxamide, 4-hydroxy-N-[(3R)-1-[(6-methyl-3-pyridinyl)carbonyl]-3-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

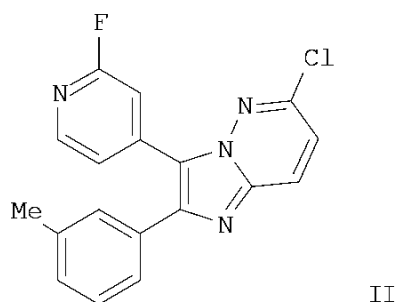
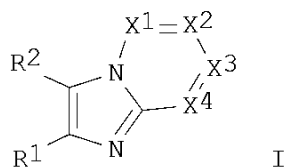
L4 ANSWER 79 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2006:655569 CAPLUS
 DOCUMENT NUMBER: 145:124579
 TITLE: Preparation of condensed imidazole compounds as p38
 MAP kinase inhibitors
 INVENTOR(S): Uchikawa, Osamu; Miwatashi, Seiji
 PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
 SOURCE: PCT Int. Appl., 308 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006070943	A1	20060706	WO 2005-JP24279	20051228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2594325	A1	20060706	CA 2005-2594325	20051228
EP 1832588	A1	20070912	EP 2005-824476	20051228
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20080167314	A1	20080710	US 2007-794300	20070627
PRIORITY APPLN. INFO.:			JP 2004-381947	A 20041228
			WO 2005-JP24279	W 20051228

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 145:124579

GI

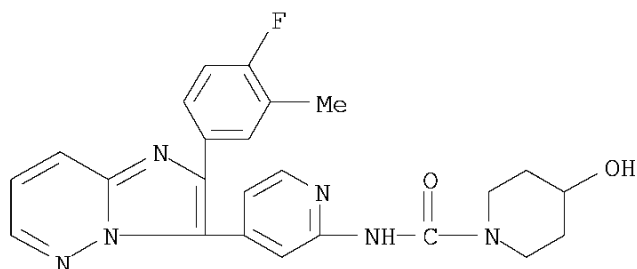


AB Title compds. I [X1-X3 = (un)substituted CH or nitrogen atom with the proviso that any one thereof is a nitrogen atom; X4 = (un)substituted CH; R1 = (un)substituted Ph, (un)substituted heterocycle; R2 = (un)substituted pyridin-4-yl, (un)substituted N-oxidopyridin-4-yl, (un)substituted pyrimidin-4-yl] and salts thereof were prepared For example, bromination of 2-(2-fluoropyridin-4-yl)-1-(3-methylphenyl)ethanone followed by reaction with 3-amino-6-chloropyridazine afforded compound II. In p38 MAP kinase inhibition assays, the IC₅₀ value of compound II was 0.11 μ M. Compds. I are claimed useful for the treatment of inflammation, autoimmune diseases, etc.

IT 896739-82-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of condensed imidazole compds. as p38 MAP kinase inhibitors for treatment of inflammation and autoimmune diseases)

RN 896739-82-5 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[2-(4-fluoro-3-methylphenyl)imidazo[1,2-b]pyridazin-3-yl]-2-pyridinyl]-4-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 80 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:631310 CAPLUS

DOCUMENT NUMBER: 145:103720

TITLE: Preparation of piperazinecarboxamides as CCR2b
antagonists

INVENTOR(S): Bower, Justin Fairfield; Poyser, Jeffrey Philip;
Turner, Paul; Waterson, David; Winter, Jon

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 299 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

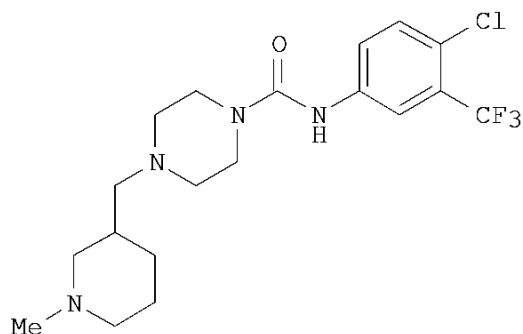
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006067401	A1	20060629	WO 2005-GB4895	20051219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005317928	A1	20060629	AU 2005-317928	20051219
CA 2589748	A1	20060629	CA 2005-2589748	20051219
EP 1831164	A1	20070912	EP 2005-820651	20051219
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008525397	T	20080717	JP 2007-547621	20051219
BR 2005019288	A2	20090106	BR 2005-19288	20051219
MX 2007007428	A	20070716	MX 2007-7428	20070619
US 20090099156	A1	20090416	US 2007-793606	20070620
ZA 2007005159	A	20080625	ZA 2007-5159	20070622
IN 2007DN05516	A	20070817	IN 2007-DN5516	20070717
NO 2007003729	A	20070808	NO 2007-3729	20070718
KR 2007091677	A	20070911	KR 2007-716875	20070723
CN 101128427	A	20080220	CN 2005-80048668	20070824
PRIORITY APPLN. INFO.:			GB 2004-28327	A 20041224
			GB 2005-20325	A 20051006
			WO 2005-GB4895	W 20051219

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 145:103720; MARPAT 145:103720

GI



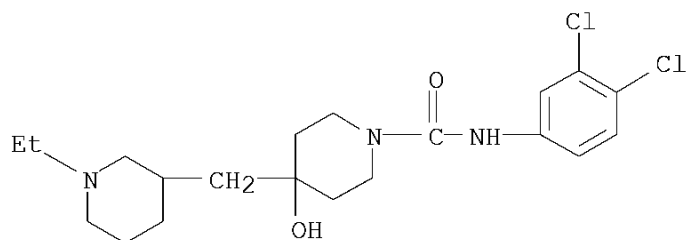
I

AB The title compds. QLWC(:X)ZP [I; Q = NR1R2 (wherein R1, R2 = H, alkyl, cycloalkyl, etc.; or NR1R2 = (un)substituted 4-7 membered saturated ring comprising an optional further heteroatom); L = alkyl or heterocyclyl-alkyl linker; W = 6-7 membered aliphatic ring comprising ring atoms Y1 and Y2 which are linked to groups L and C(:X) resp. and Y1 and Y2 are independently selected from N and C; X = O, N, N(CN) or S; Z = NR3 (R3 = H, alkyl), O; P = (un)substituted monocyclic or bicyclic aryl or heteroaryl group; with provisos], useful in the treatment of C-C chemokine mediated conditions, were prepared and formulated. Thus, reacting 1-[(1-methylpiperidin-3-yl)methyl]piperazine with 4-chloro-3-trifluoromethylphenyl isocyanate afforded II. Each exemplified compound I was tested in assays for hMCP-1 antagonists and shown to have an IC50 value of better than 20 μ M. Pharmaceutical compns. comprising the compound I alone or in combination with other therapeutic agent are disclosed.

IT 894798-69-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of piperazinecarboxamides as CCR2b antagonists)

RN 894798-69-7 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-4-[(1-ethyl-3-piperidinyl)methyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 81 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:513602 CAPLUS

DOCUMENT NUMBER: 145:46271

TITLE: Preparation of glycopeptide antibiotic monomer derivatives having antibacterial activity against

INVENTOR(S) : vancomycin-resistant bacteria
Arimoto, Hirokazu; Lu, Jun; Yamano, Yoshinori;
Yasukata, Tatsuro; Yoshida, Osamu; Iwaki, Tsutomu;
Yoshida, Yutaka; Kato, Issei; Morimoto, Kenji;
Yasoshima, Kayo
PATENT ASSIGNEE(S) : National University Corporation Nagoya University,
Japan; Shionogi & Co., Ltd.
SOURCE: PCT Int. Appl., 244 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006057303	A1	20060601	WO 2005-JP21587	20051124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005308160	A1	20060601	AU 2005-308160	20051124
CA 2588285	A1	20060601	CA 2005-2588285	20051124
EP 1818340	A1	20070815	EP 2005-809139	20051124
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
BR 2005016657	A	20080916	BR 2005-16657	20051124
JP 4330169	B2	20090916	JP 2006-547828	20051124
US 20080097078	A1	20080424	US 2007-791446	20070524
MX 2007006319	A	20070725	MX 2007-6319	20070528
IN 2007CN02297	A	20070907	IN 2007-CN2297	20070529
KR 2007092719	A	20070913	KR 2007-714842	20070628
CN 101111513	A	20080123	CN 2005-80047421	20070730
JP 2009079067	A	20090416	JP 2008-298383	20081121
JP 4377953	B2	20091202		
PRIORITY APPLN. INFO.:			JP 2004-344231	A 20041129
			JP 2005-212471	A 20050722
			JP 2006-547828	A3 20051124
			WO 2005-JP21587	W 20051124
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): MARPAT 145:46271				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. A-(Sac-NH)-RA [A = a part formed by removing the sugar part from a known glycopeptide antibiotic derivative; (Sac-NH) = an amino sugar part or a sugar chain part containing an amino sugar; RA = -X1-Ar1-X2-Y-X3-Ar2; X1, X2, X3 = single bond, -O-, -S-, etc.; Y = -NR2CO-, -CONR2-, Q1, etc.; R2 = H, alkyl; Ar1, Ar2 = (un)substituted, (un)saturated carbocycle or heterocycle] and their pharmaceutically acceptable

salts were prepared For example, reductive amination of 3-benzyloxy-N-(4-formylphenyl)-4-methyl-2-nitrobenzamide, e.g., prepared from 3-hydroxy-4-methyl-2-nitrobenzoic acid in 4 steps, with vancomycin hydrochloride afforded compound I in 62% yield. In antibacterial test against *E. faecalis* SR7914 (VRE: VanA), MIC values of compound I and vancomycin were 4 and >64 µg/mL (sic), resp.

IT 889685-57-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

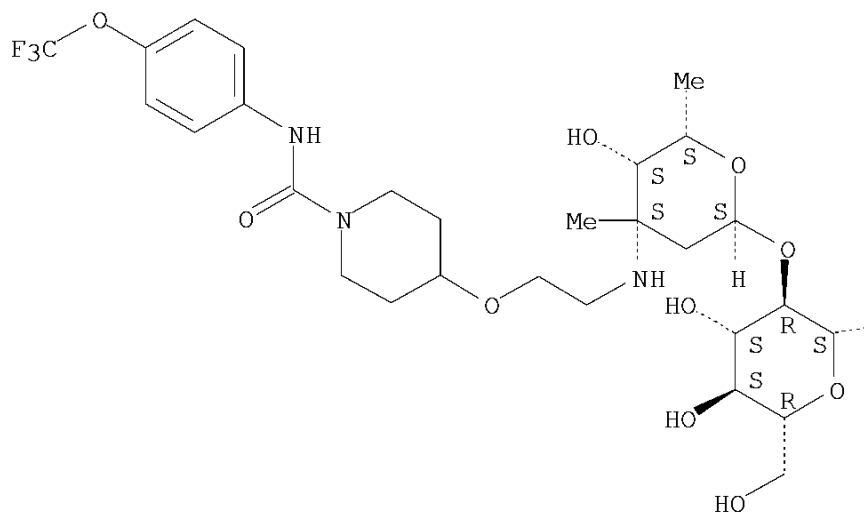
(preparation of glycopeptide antibiotic monomer derivs. having antibacterial activity against vancomycin-resistant bacteria)

RN 889685-57-8 CAPLUS

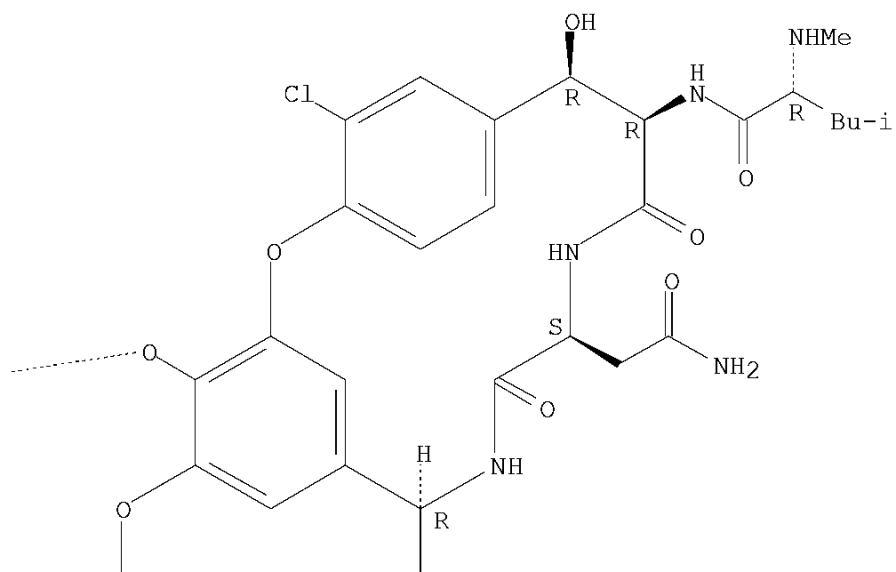
CN Vancomycin, N3'''-[2-[[1-[[[4-(trifluoromethoxy)phenyl]amino]carbonyl]-4-piperidinyl]oxy]ethyl]-, hydrochloride (10:19) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



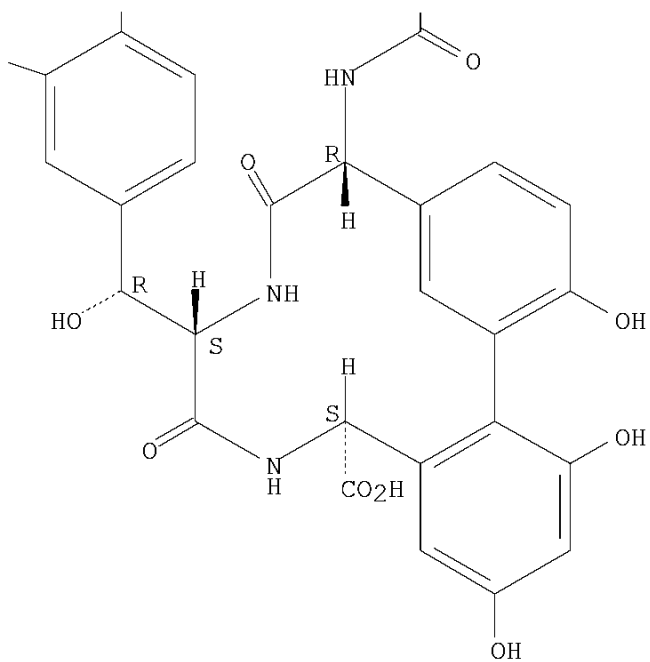
PAGE 1-B



PAGE 2-A

Cl

PAGE 2-B



●19/10 HCl

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 82 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:510635 CAPLUS
DOCUMENT NUMBER: 145:27863
TITLE: Preparation of bisbenzoylaminopyridines and -benzenes
as antithrombotics
INVENTOR(S): Franciskovich, Jeffery Bernard; Herron, David Kent;
Klimkowski, Valentine Joseph; Marquart, Angela Lynn;
Masters, John Joseph; Mendel, David; Ratz, Andrew
Michael; Smith, Gerald Floyd; Wiley, Michael Robert;
Yee, Ying Kwong
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

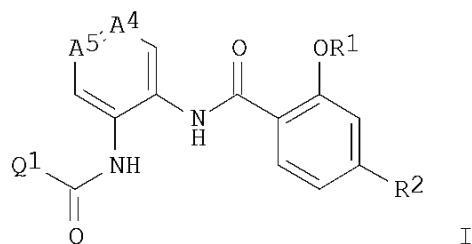
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006057868	A1	20060601	WO 2005-US41432	20051115
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1819693	A1	20070822	EP 2005-851697	20051115
EP 1819693	B1	20090826		
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
AT 440833	T	20090915	AT 2005-851697	20051115
ES 2330461	T3	20091210	ES 2005-851697	20051115
US 20090062271	A1	20090305	US 2007-719972	20070523
US 7666866	B2	20100223		

PRIORITY APPLN. INFO.: US 2004-631479P P 20041129
WO 2005-US41432 W 20051115

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 145:27863; MARPAT 145:27863

GI



AB Title compds. [I; A4, A5 = CH, or 1 of A4, A5 = CH, the other = CCN, or 1 of A4, A5 = CH, the other = N; Q1 = (substituted) Ph, 5-6 membered heteroaryl; R1 = (CH2)iQ(CH2)jNRRa; Q = bond and i+j = 2-4, or Q = CMe2, i, j = 1, Q = CHRb, i = 0, j = 2, RaRb = CH2CH2, etc.; R = H, alkyl, phenethyl, acyl, etc.; Ra = H; R2 = alkyl, ORq; Rq = alkyl, pyridylmethyl, etc.], were prepared Thus, 2-(N-Boc-piperidin-4-yloxy)-4-(tert-butyl)benzoic acid (preparation given) was converted to the acid chloride and used to acylate N3-(4-methoxybenzoyl)-3,4-pyridinediamine to give 40% coupling product, which was deprotected with CF3CO2H to give 104% N4-[4-tert-butyl-2-(piperidin-4-yloxy)benzoyl]-N3-(4-methoxybenzoyl)-3,4-pyridinediamine. Most I showed an apparent association constant Kass of 0.3-100 + 106 L/mol or greater for thrombin.

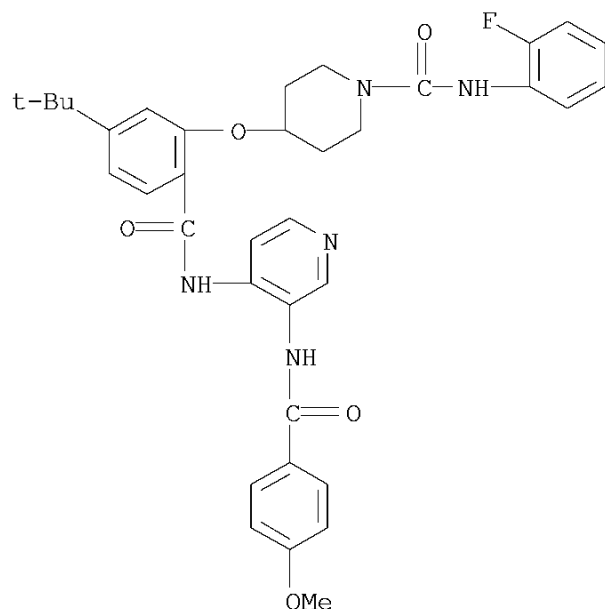
IT 889104-01-2P 889105-73-1P 889105-77-5P
889105-89-9P 889106-11-0P 889106-95-0P
889107-11-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bisbenzoylaminopyridines and -benzenes as antithrombotics)

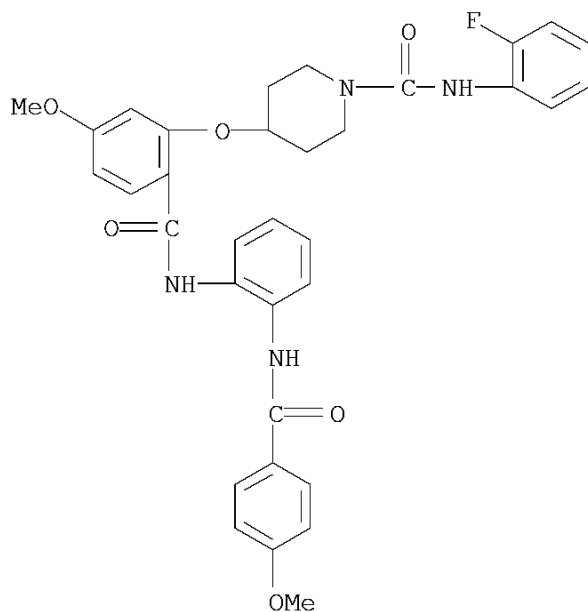
RN 889104-01-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[5-(1,1-dimethylethyl)-2-[[[3-[(4-methoxybenzoyl)amino]-4-pyridinyl]amino]carbonyl]phenoxy]-N-(2-fluorophenyl)- (CA INDEX NAME)



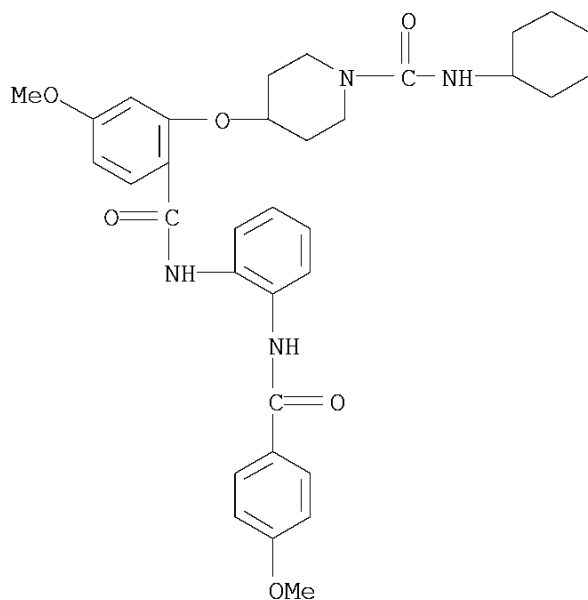
RN 889105-73-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(2-fluorophenyl)-4-[5-methoxy-2-[[[2-[(4-methoxybenzoyl)amino]phenyl]amino]carbonyl]phenoxy]- (CA INDEX NAME)



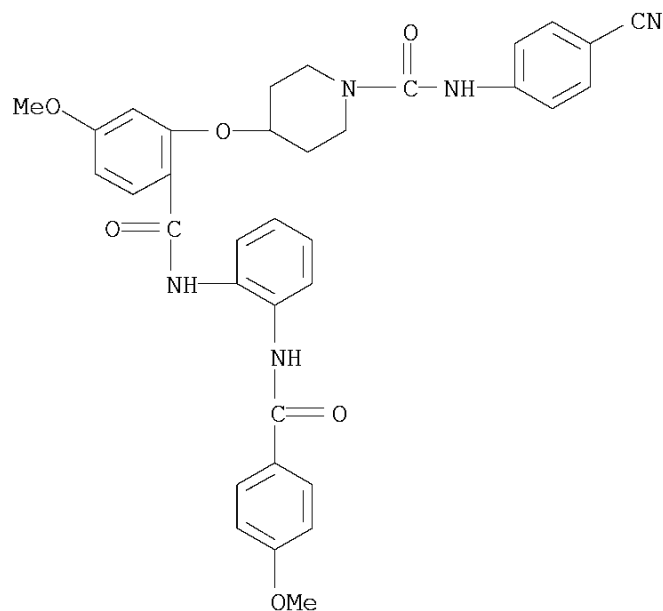
RN 889105-77-5 CAPLUS

CN 1-Piperidinecarboxamide, N-cyclohexyl-4-[5-methoxy-2-[[[2-[(4-methoxybenzoyl)amino]phenyl]amino]carbonyl]phenoxy]- (CA INDEX NAME)



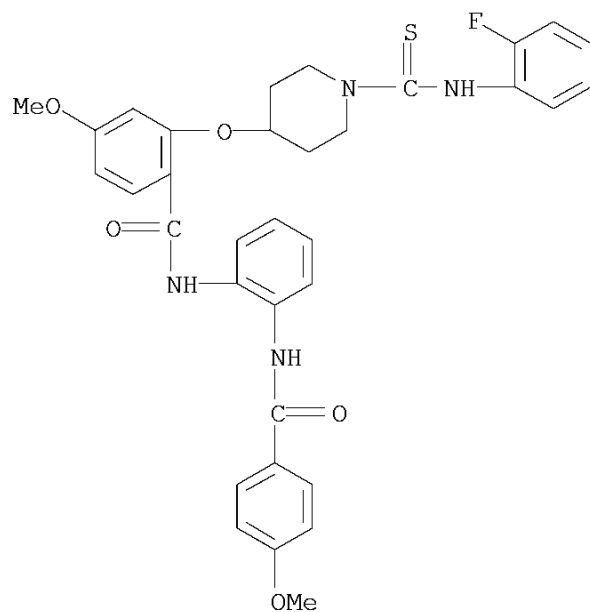
RN 889105-89-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-cyanophenyl)-4-[5-methoxy-2-[[[2-[(4-methoxybenzoyl)amino]phenyl]amino]carbonyl]phenoxy]- (CA INDEX NAME)



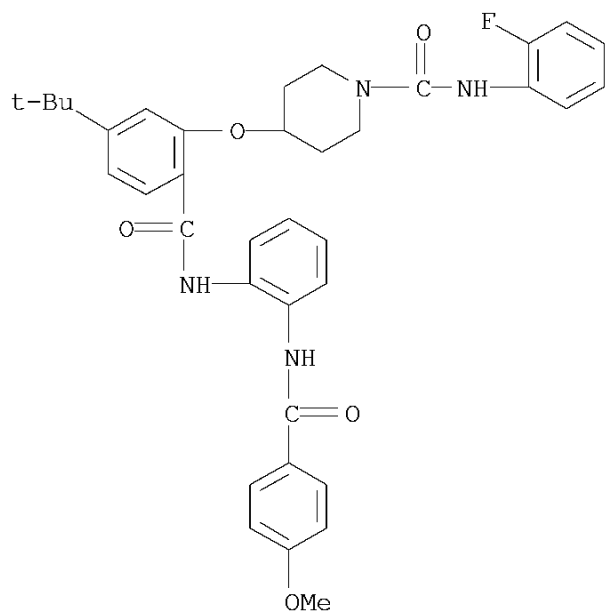
RN 889106-11-0 CAPLUS

CN Benzamide, 2-[[1-[[2-(4-methoxybenzoyl)amino]phenyl]thioxomethyl]-4-piperidinyl]oxy]-4-methoxy-N-(2-fluorophenyl)- (CA INDEX NAME)

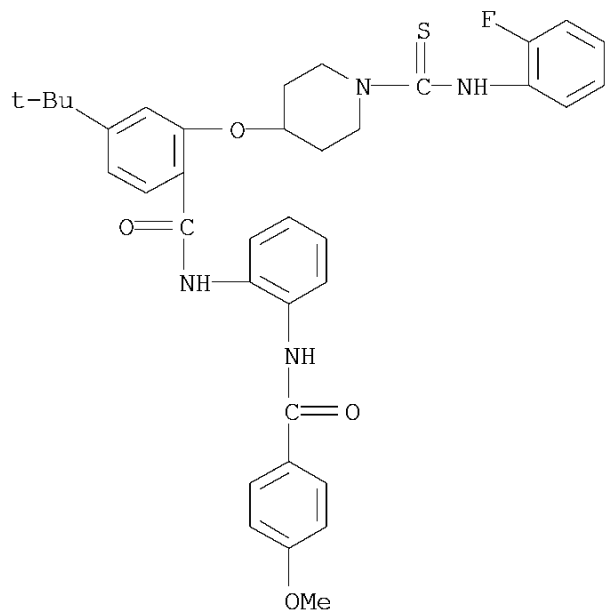


RN 889106-95-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[5-(1,1-dimethylethyl)-2-[[[2-[(4-methoxybenzoyl)amino]phenyl]amino]carbonyl]phenoxy]-N-(2-fluorophenyl)- (CA INDEX NAME)



RN 889107-11-3 CAPLUS
 CN Benzamide, 4-(1,1-dimethylethyl)-2-[[1-[[2-(4-methoxybenzoyl)amino]phenyl]thioxomethyl]-4-piperidinyl]oxy]-N-(2-fluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 83 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2006:510615 CAPLUS
 DOCUMENT NUMBER: 145:27861
 TITLE: Preparation of (hetero)aromatic ether amides as

inhibitors of Factor Xa and/or thrombin.

INVENTOR(S) : Argade, Ankush Baburao; Goodson, Theodore, Jr.; Herron, David Kent; Joseph, Sajan; Lepore, Salvatore Donato; Marquart, Angela Lynn; Masters, John Joseph; Mendel, David; Merritt, Leander; Ratz, Andrew Michael; Smith, Gerald Floyd; Tebbe, Anne Louise; Wiley, Michael Robert; Yee, Ying Kwong

PATENT ASSIGNEE(S) : Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 348 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

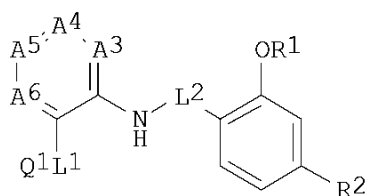
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006057845	A1	20060601	WO 2005-US41161	20051110
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1817287	A1	20070815	EP 2005-851607	20051110
EP 1817287	B1	20100210		
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
AT 457302	T	20100215	AT 2005-851607	20051110
US 20090227566	A1	20090910	US 2007-719415	20070516
PRIORITY APPLN. INFO.:			US 2004-630984P	P 20041124
			WO 2005-US41161	W 20051110

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S) : CASREACT 145:27861; MARPAT 145:27861

GI



I

AB Title compds. [I; A3 = CR3; A4 = CR4; A5 = CR5; A6 = CR6; R3 = H, Me, F, Cl, CO2H; 1 of R4, R5 = H, alkyl, halo, cyano, CF3, OCF3, NO2, hydroxyalkoxy, etc., the other of R4, R5 = H; R6 = H, Me, F, Cl, MeO; L1 = CONH, SO2NH; Q1 = (substituted) Ph, 5-6 membered heteroaryl; L1Q1 = (4-methyl-substituted) piperazinocarbonyl; L12 = CO, CH2; R1 =

(CH₂)_iQ(CH₂)_jNRaRb; Q = bond, i+j = 2-4, or Q = O, i, j = 2; or Q = CHMe, CMe₂, CH(OH), i, j = 1; etc.; Ra = H, Rd; Rb = H, alkyl; NRaRb = azetidin-1-yl, pyrrolidin-1-yl, thiazolidin-3-yl, piperidin-1-yl, morpholin-4-yl, hexahydroazepin-1-yl, etc.; Rd = (substituted) alkyl; R2 = F, Cl, H₂NCH₂, 1-aminoethyl, 1-amino-1-methylethyl, etc.], were prepared Thus, N-(4-chlorophenyl)-2-[4-(dimethylamino)-2-(piperidin-4-yloxy)benzoylamino]benzamide was prepared from 2-hydroxy-4-dimethylaminobenzoic acid, 4-hydroxypiperidine, isatoic anhydride, and 4-chloroaniline. In general, I exhibit an association constant Kass for Factor Xa of 0.1-1000 + 106 L/mol or greater.

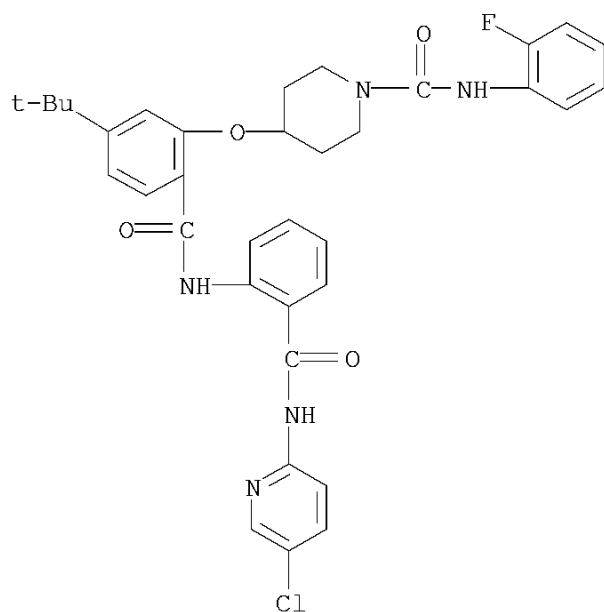
IT 889120-10-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (hetero)aromatic ether amides as inhibitors of Factor Xa and/or thrombin)

RN 889120-10-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-[[[2-[[[5-chloro-2-pyridinyl]amino]carbonyl]phenyl]amino]carbonyl]-5-(1,1-dimethylethyl)phenoxy]-N-(2-fluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 84 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:440137 CAPLUS

DOCUMENT NUMBER: 144:468029

TITLE: Preparation of novel anthranilamide pyridinureas as vascular endothelial growth factor (VEGF) receptor kinase inhibitors

INVENTOR(S): Bohlmann, Rolf; Haberey, Martin; Hess-Stumpp, Holger; Huth, Andreas; Ince, Stuart; Krueger, Martin; Thierauch, Karl-Heinz

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 78 pp.

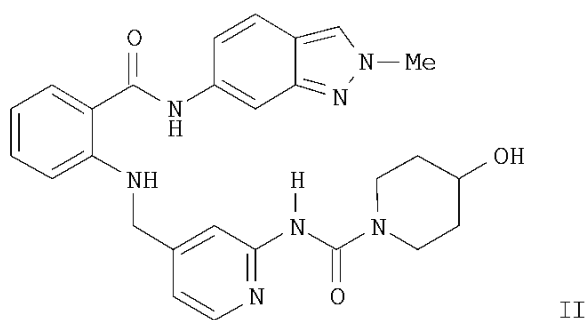
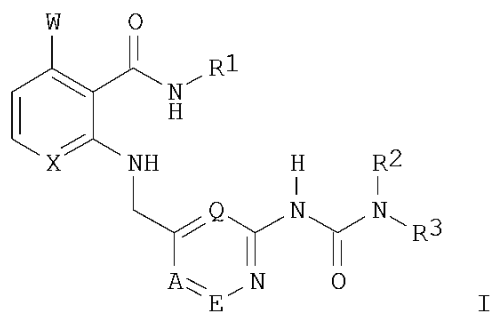
CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006048248	A2	20060511	WO 2005-EP11708	20051028
WO 2006048248	A3	20061005		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1657241	A1	20060517	EP 2004-90418	20041103
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			
AU 2005300733	A1	20060511	AU 2005-300733	20051028
CA 2588278	A1	20060511	CA 2005-2588278	20051028
EP 1807415	A2	20070718	EP 2005-805396	20051028
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
CN 101056871	A	20071017	CN 2005-80038187	20051028
JP 2008518892	T	20080605	JP 2007-538357	20051028
BR 2005017961	A	20081028	BR 2005-17961	20051028
US 20060264425	A1	20061123	US 2005-265516	20051103
US 7572794	B2	20090811		
IN 2007DN02729	A	20070803	IN 2007-DN2729	20070412
KR 2007083906	A	20070824	KR 2007-709991	20070502
MX 2007005338	A	20080829	MX 2007-5338	20070503
NO 2007002802	A	20070803	NO 2007-2802	20070601
ZA 2007005006	A	20090826	ZA 2007-5006	20070601
PRIORITY APPLN. INFO.:			EP 2004-90418	A 20041103
			US 2004-626919P	P 20041112
			WO 2005-EP11708	W 20051028

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:468029; MARPAT 144:468029

GI



AB The title compds. I [X = CH or N; W = H, F; A, E and Q = CH or N (only maximum of 2 N atoms are contained in the ring); R1 = (un)substituted (hetero)aryl; NR2R3 = (un)substituted 3-8 membered heterocycloalkyl, preferably 4-7 membered heterocycloalkyl, more preferably 5-6 membered heterocycloalkyl] which are VEGF receptor kinase inhibitors useful as pharmaceutical agents for preventing or treating diseases that are triggered by persistent angiogenesis, were prepared E.g., a multi-step synthesis of II, starting from 1,4-dioxo-8-azaspiro[4,5]decane, was given. II showed IC50 of 20 nM against KDR kinase. Pharmaceutical composition comprising the compound I is disclosed.

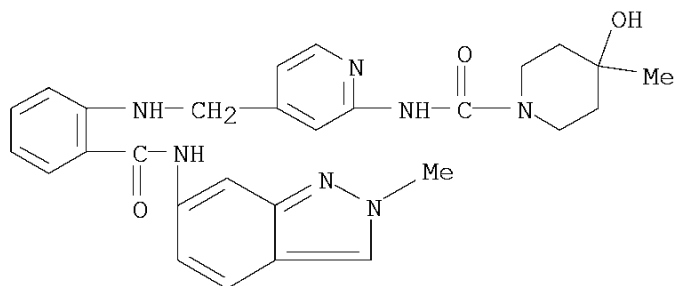
IT 886227-46-9P 886227-47-0P 886227-48-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel anthranilamide pyridinureas as VEGF receptor kinase inhibitors for treating and preventing diseases that are triggered by persistent angiogenesis)

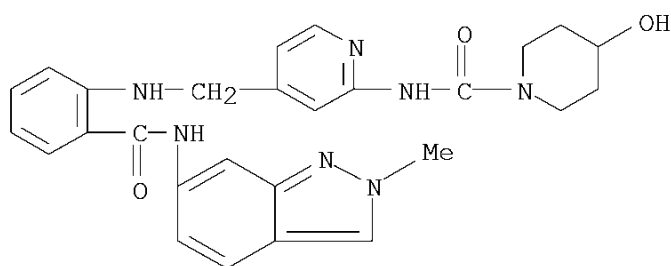
RN 886227-46-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-methyl-N-[4-[[[2-[(2-methyl-2H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]-2-pyridinyl]- (CA INDEX NAME)



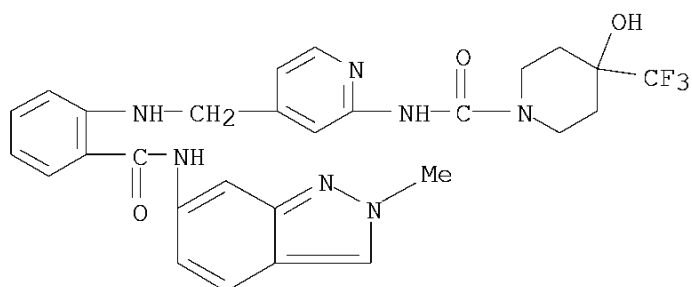
RN 886227-47-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-[[[2-[[(2-methyl-2H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]-2-pyridinyl]- (CA INDEX NAME)



RN 886227-48-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-[[[2-[[(2-methyl-2H-indazol-6-yl)amino]carbonyl]phenyl]amino]methyl]-2-pyridinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 85 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:322067 CAPLUS

DOCUMENT NUMBER: 144:350559

TITLE: Preparation of (arylcarbonylaminoaryl)cyanoguanidines and imidocarbamates as c-Kit tyrosine kinase inhibitors for the treatment of hyperproliferative disorders

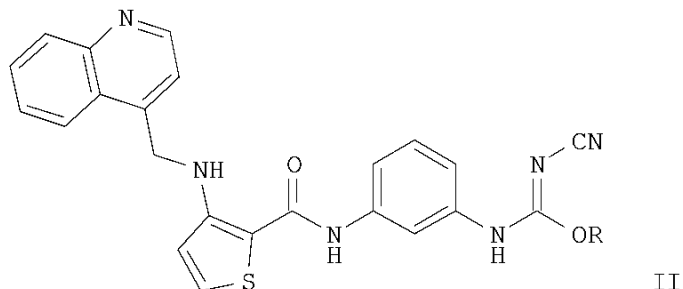
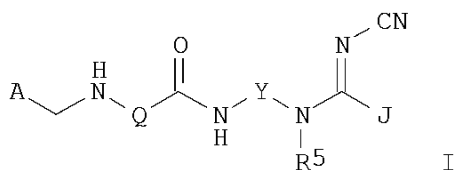
INVENTOR(S): Castelhana, Arlindo; Crew, Andrew; Dong, Hanqing; Li, An-Hu; Qiu, Li; Smith, Alun

PATENT ASSIGNEE(S): OSI Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 31 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060074082	A1	20060406	US 2005-227346	20050915
US 7439256	B2	20081021		

PRIORITY APPLN. INFO.: US 2004-610744P P 20040917
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 144:350559
 GI



AB Title compds. I [wherein Q = (un)substituted heteroaryl; Y = (un)substituted (hetero)aryl; J = phenoxy, alkoxy, N-heterocyclyl, etc.; A = aryl, heteroaryl, alkyl, etc.; R5 = (un)substituted alkyl, etc., with exclusions] and pharmaceutically acceptable salts or N-oxides thereof were prepared as c-Kit tyrosine kinase inhibitors. For instance, reductive amination of 4-quinolinecarboxaldehyde with 3-aminothiophene-2-carboxylic acid Me ester followed by AlMe3-mediated condensation with 1,3-phenylenediamine gave an aniline, which underwent condensation with di-Ph cyanocarbonimide in 2,2,2-trifluoroethanol gave two imidocarbamates II (R = Ph or CF3CH2). I showed inhibition against c-Kit receptor tyrosine kinase with IC50 values of 10 nM - 2.5 μM, stronger than three reference compds. Therefore, I and pharmaceutical compns. are useful for the treatment of hyperproliferative disorders, such as cancer.

IT 881842-67-7P

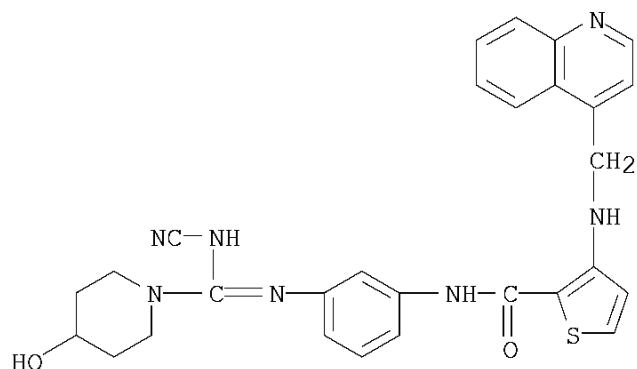
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (arylcarbonylaminoaryl)cyanoguanidine and imidocarbamates as c-Kit tyrosine kinase inhibitors for treatment of hyperproliferative disorders)

RN 881842-67-7 CAPLUS

CN 2-Thiophenecarboxamide, N-[3-[[[(cyanoamino) (4-hydroxy-1-piperidinyl)methylene]amino]phenyl]-3-[(4-quinolinylmethyl)amino]- (CA

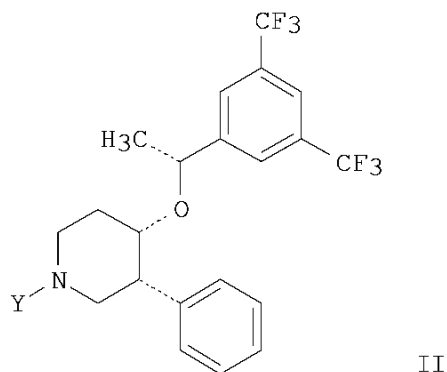
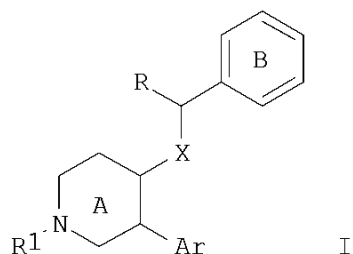
INDEX NAME)



L4 ANSWER 86 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:272922 CAPLUS
DOCUMENT NUMBER: 144:331270
TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists
INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshii; Nishida, Haruyuki; Shirai, Junya; Sakauchi, Nobuki
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006030975	A1	20060323	WO 2005-JP17538	20050916
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1790636	A1	20070530	EP 2005-785870	20050916
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
US 20060142337	A1	20060629	US 2006-358070	20060222
PRIORITY APPLN. INFO.:			JP 2004-272639	A 20040917
			WO 2005-JP17538	W 20050916

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 144:331270
GI



AB Title compds. I [Ar = (un)substituted aryl; R = alkyl; R1 = H, (un)substituted hydrocarbon, acyl, etc.; X = O, (un)substituted imino; ring A = piperidine ring which may have an addnl. substituent; ring B = substituted benzene] were prepared For example, compound II [Y = H]·HCl was prepared from (3R,4S)-4-hydroxy-3-phenylpiperidine-1-carboxylic acid tert-Bu ester in a multistep process. In radioligand receptor binding inhibition assays, compound II [Y = (1-acetylpiperidin-4-yl)carbonyl] exhibited the IC50 value of 0.026 nM. Compds. I are claimed useful for the treatment of irritable bowel disease, depression, etc.

IT 1198843-40-1 1198843-47-8

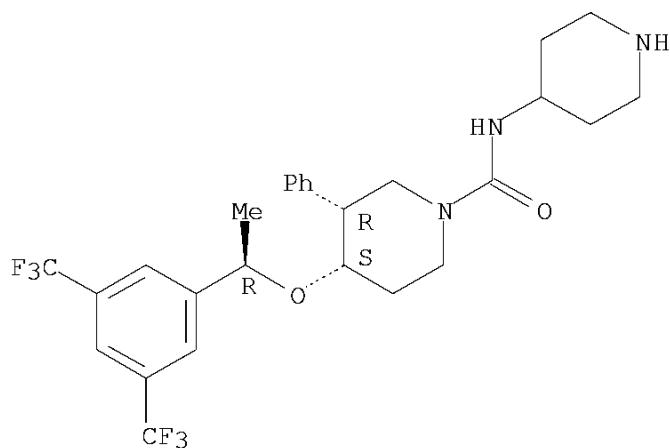
RL: PRPH (Prophetic)

(Preparation of piperidine derivatives as tachykinin receptor antagonists)

RN 1198843-40-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-3-phenyl-N-4-piperidinyl-, hydrochloride (1:1), (3R,4S)- (CA INDEX NAME)

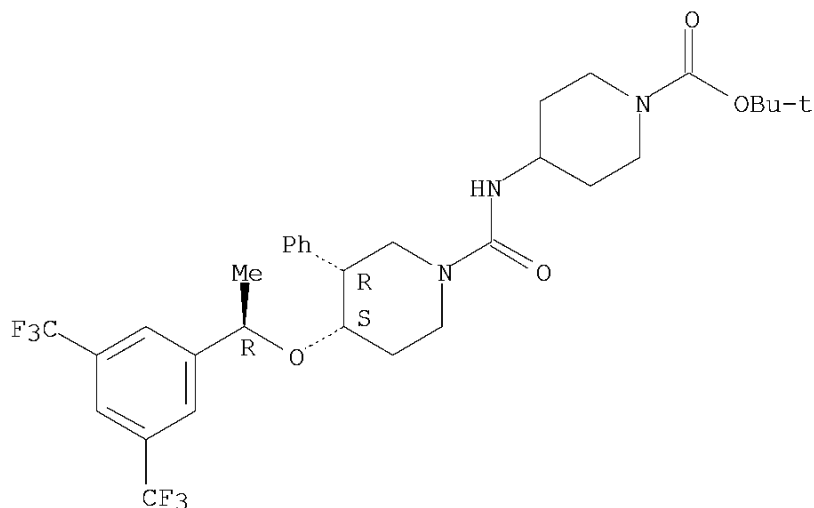
Absolute stereochemistry.



● HCl

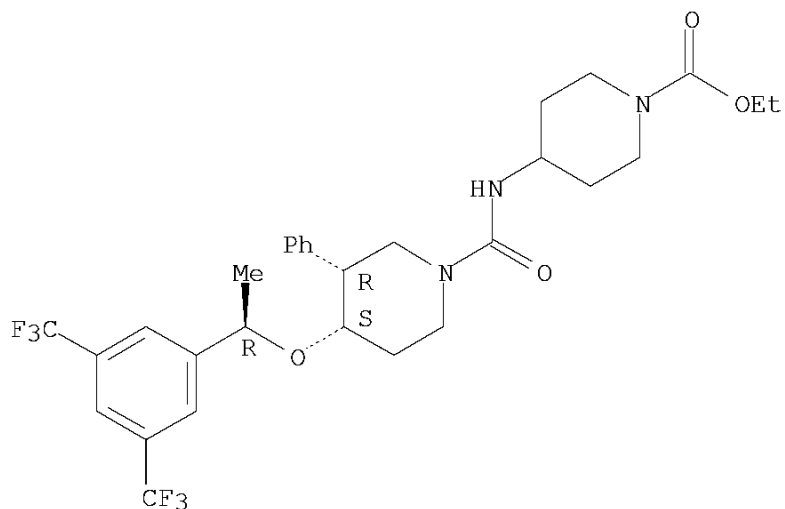
RN 1198843-47-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT 880092-38-6P 880092-39-7P 880092-40-0P
880092-69-3P 880092-70-6P 880092-71-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of piperidine derivs. as tachykinin receptor antagonists for
treatment of irritable bowel disease, depression, etc.)
RN 880092-38-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(3R,4S)-4-[(1R)-1-[3,5-
bis(trifluoromethyl)phenyl]ethoxy]-3-phenyl-1-piperidinyl]carbonyl]amino]-
, ethyl ester (CA INDEX NAME)

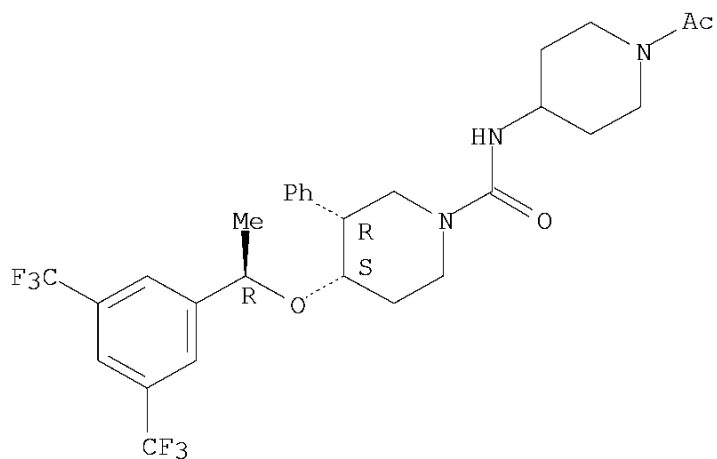
Absolute stereochemistry. Rotation (+).



RN 880092-39-7 CAPLUS

CN 1-Piperidinecarboxamide, N-(1-acetyl-4-piperidiny)-4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-3-phenyl-, (3R,4S)- (CA INDEX NAME)

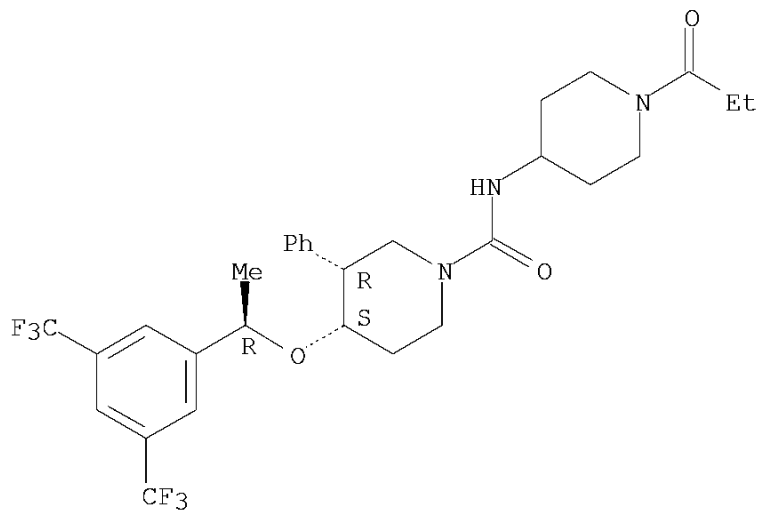
Absolute stereochemistry.



RN 880092-40-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-N-[1-(1-oxopropyl)-4-piperidiny]-3-phenyl-, (3R,4S)- (CA INDEX NAME)

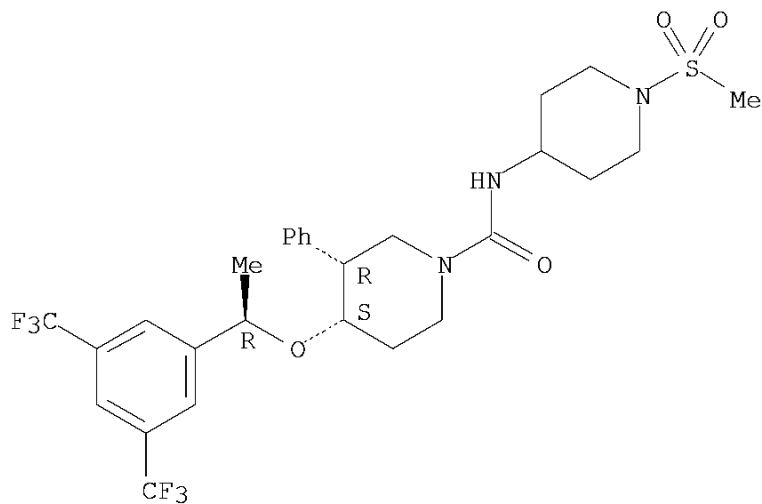
Absolute stereochemistry.



RN 880092-69-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-N-[1-(methylsulfonyl)-4-piperidinyl]-3-phenyl-, (3R,4S)- (CA INDEX NAME)

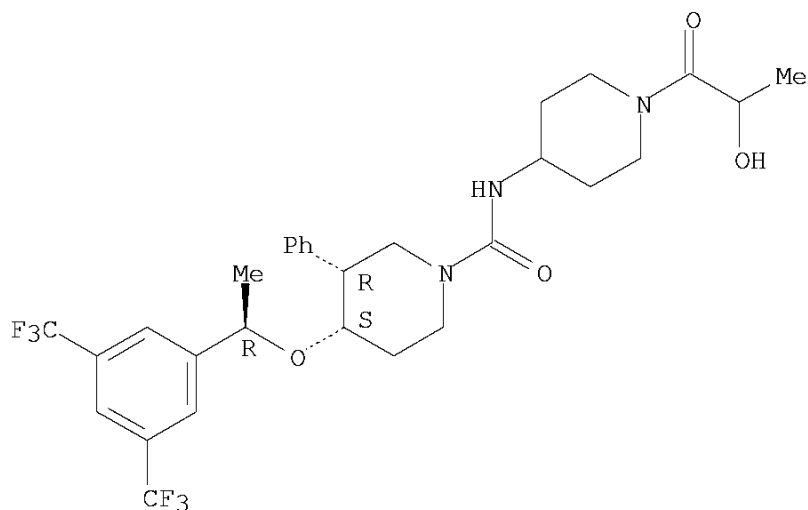
Absolute stereochemistry.



RN 880092-70-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-N-[1-(2-hydroxy-1-oxopropyl)-4-piperidinyl]-3-phenyl-, (3R,4S)- (CA INDEX NAME)

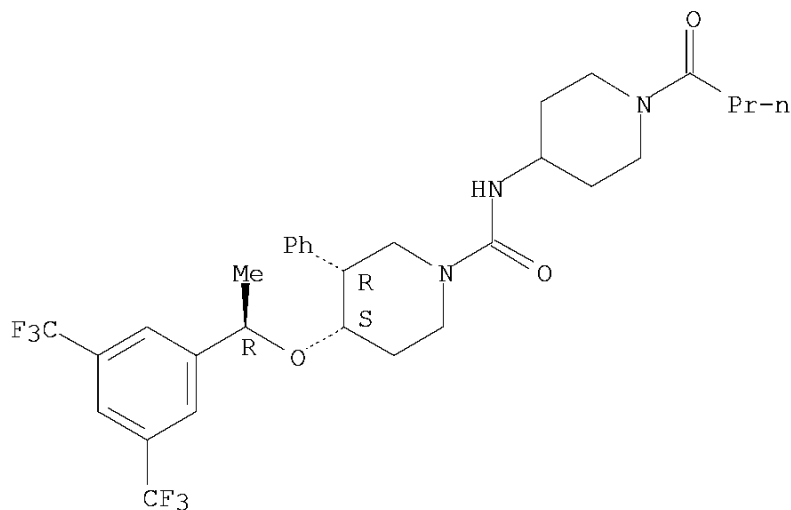
Absolute stereochemistry.



RN 880092-71-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethoxy]-N-[1-(1-oxobutyl)-4-piperidinyl]-3-phenyl-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 87 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:268466 CAPLUS

DOCUMENT NUMBER: 144:324798

TITLE: Simultaneous use of sulfonamide-containing compound and angiogenesis inhibitor

INVENTOR(S): Owa, Takashi; Ozawa, Yoichi; Semba, Taro

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 270 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006030941	A1	20060323	WO 2005-JP17228	20050913
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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WO 2006030947	A1	20060323	WO 2005-JP17238	20050913
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US 20060135486	A1	20060622	US 2005-226655	20050913
EP 1797877	A1	20070620	EP 2005-785820	20050913
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US 20080286282	A1	20081120	US 2007-886214	20070827
PRIORITY APPLN. INFO.:			US 2004-609452P	P 20040913
			JP 2005-54150	A 20050228
			JP 2005-54475	A 20050228
			WO 2005-JP17238	W 20050913
			WO 2006-JP4208	W 20060228

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:324798

AB A pharmaceutical composition comprising a sulfonamide-containing compound combined

with an angiogenesis inhibitor.

IT 670250-58-5 670250-60-9,
 5-(2-(((4-Hydroxy-4-methylpiperidin-1-yl)carbonyl)amino)pyridin-4-yloxy)-
 1H-indole-1-carboxylic acid methyl amide

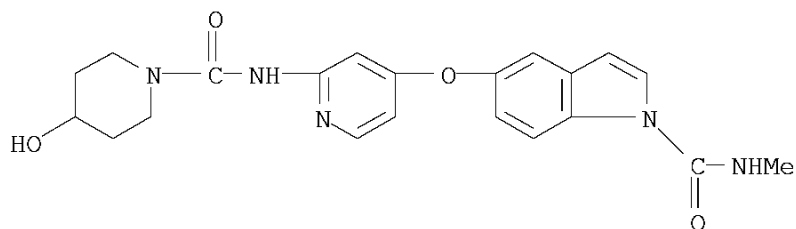
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

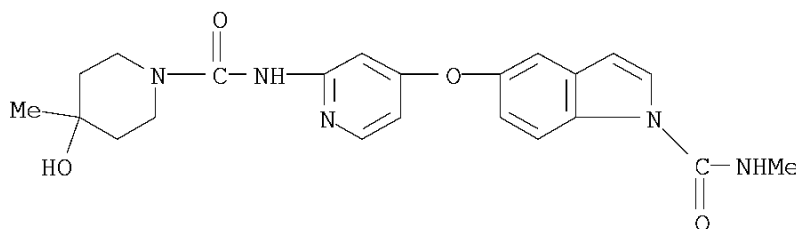
(sulfonamide-containing compds. and angiogenesis inhibitors for combination
 chemotherapy of cancer)

RN 670250-58-5 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[[2-[[[4-hydroxy-1-piperidinyl)carbonyl]amino]-
 4-pyridinyl]oxy]-N-methyl- (CA INDEX NAME)

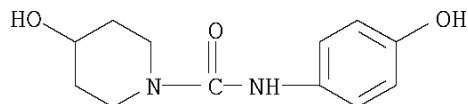


RN 670250-60-9 CAPLUS
 CN 1H-Indole-1-carboxamide, 5-[[2-[[[4-hydroxy-4-methyl-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]-N-methyl- (CA INDEX NAME)

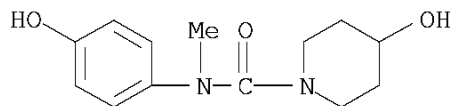


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
 REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 88 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2006:242303 CAPLUS
 DOCUMENT NUMBER: 144:432289
 TITLE: A simple method for the preparation of di-, tri-, and tetrasubstituted non-symmetrical ureas
 AUTHOR(S): Bridgeman, Eve; Tomkinson, Nicholas C. O.
 CORPORATE SOURCE: School of Chemistry, Cardiff University, Cardiff, CF10 3AT, UK
 SOURCE: Synlett (2006), (2), 243-246
 CODEN: SYNLES; ISSN: 0936-5214
 PUBLISHER: Georg Thieme Verlag
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 144:432289
 AB The synthesis of a series of di-, tri-, and tetrasubstituted non-sym. ureas is described. Di- and trisubstituted ureas are prepared in excellent yield by treatment of a Ph carbamate in a self-tunable single-mode microwave synthesizer with a primary or secondary amine. The synthetically more challenging tetrasubstituted urea can be prepared using the 4-nitrophenyl carbamate and a secondary amine.
 IT 885133-40-4P 885133-49-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of non-sym. ureas from carbamate and amines using microwave irradiation)
 RN 885133-40-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-hydroxy-N-(4-hydroxyphenyl)- (CA INDEX NAME)



RN 885133-49-3 CAPLUS
 CN 1-Piperidinecarboxamide, 4-hydroxy-N-(4-hydroxyphenyl)-N-methyl- (CA
 INDEX NAME)

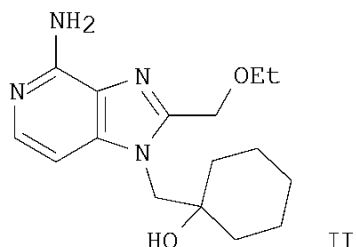
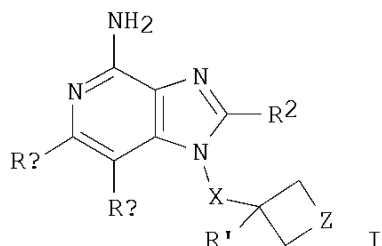


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
 (4 CITINGS)
 REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
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L4 ANSWER 89 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2006:235098 CAPLUS
 DOCUMENT NUMBER: 144:312086
 TITLE: Preparation of imidazoquinolines, imidazopyridines,
 and imidazonaphthyridines as inducers of cytokine
 biosynthesis for treatment of viral and neoplastic
 diseases
 INVENTOR(S): Stoermer, Doris; Dellaria, Joseph F., Jr.; Amos,
 David, T.; Zimmermann, Bernhard M.; Dressel, Luke T.;
 Bonk, Jason D.; Radmer, Matthew R.
 PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
 SOURCE: PCT Int. Appl., 357 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006028545	A2	20060316	WO 2005-US21445	20050617
WO 2006028545	A3	20070823		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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AU 2005283085	A1	20060316	AU 2005-283085	20050617
CA 2571360	A1	20060316	CA 2005-2571360	20050617
EP 1765348	A2	20070328	EP 2005-814989	20050617
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,			

HR, LV, MK, YU
 JP 2008503484 T 20080207 JP 2007-516773 20050617
 US 20070287724 A1 20071213 US 2006-570707 20061215
 PRIORITY APPLN. INFO.: US 2004-581274P P 20040618
 WO 2005-US21445 W 20050617
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 144:312086
 GI



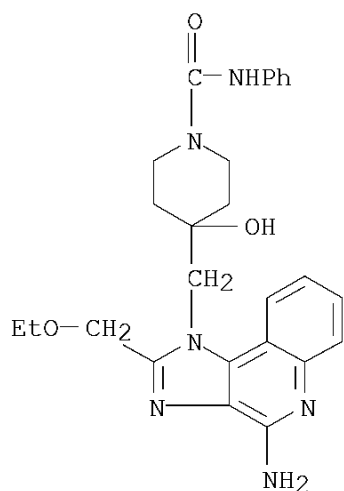
AB Title compds. I [X = (CH₂)_m; m = 1-5; R' = OH, SH, alkoxy, NH₂, etc.; Z = a bond, alkylene, o-phenylene, etc.; R₂ = H, (un)substituted hetero/aryl, alk(en/yn)yl, alkylarylenyl, etc.; RA, RB = independently H, halo, alk(en)yl, alkoxy, etc.; and their pharmaceutically acceptable salts], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, II (m.p. = 186-188°) was prepared, in 5 steps, by amination of 4-chloro-3-nitroquinoline with 1-aminomethyl-1-cyclohexanol•HCl, hydrogenation, cyclization of the 1,2-diamine (not isolated) with ethoxyacetyl chloride, oxidation, and reaction of the N-oxide (not isolated) with NH₄OH. Certain I may modulate cytokine biosynthesis by inhibiting production of interferon α and/or tumor necrosis factor TNF-α when tested in an in vitro blood cell system (no data).

IT 879508-69-7P, 4-[[4-Amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]methyl]-4-hydroxy-N-phenylpiperidine-1-carboxamide
 879508-70-0P 879508-72-2P 879508-76-6P
 879508-78-8P 879508-80-2P 879508-82-4P
 879508-88-0P 879511-34-9P 879511-36-1P
 879511-38-3P 879511-40-7P 879511-42-9P
 879511-44-1P 879511-46-3P 879511-48-5P
 879511-50-9P 879511-52-1P 879512-14-8P
 879512-16-0P 879512-18-2P 879512-20-6P
 879512-22-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of imidazoquinolines, imidazopyridines, and imidazonaphthyridines as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases)

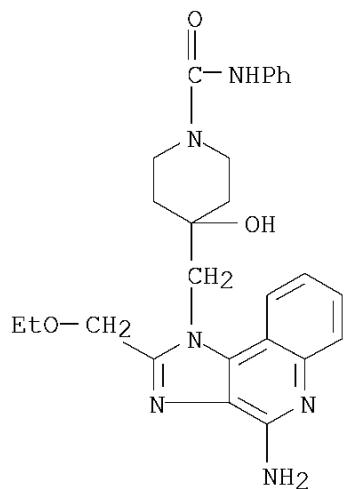
RN 879508-69-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]methyl]-4-hydroxy-N-phenyl- (CA INDEX NAME)



RN 879508-70-0 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]methyl]-4-hydroxy-N-phenyl-, 2,2,2-trifluoroacetate (1:?)
 (CA INDEX NAME)

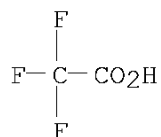
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CRN 879508-69-7
 CMF C26 H30 N6 O3



CM 2

CRN 76-05-1
 CMF C2 H F3 O2



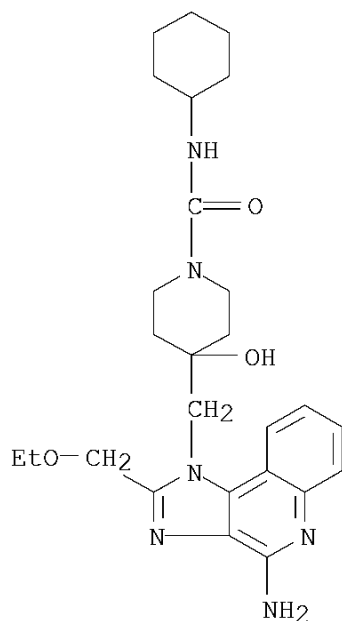
RN 879508-72-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]methyl]-N-cyclohexyl-4-hydroxy-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

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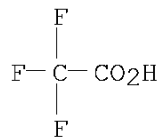
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CM 2

CRN 76-05-1

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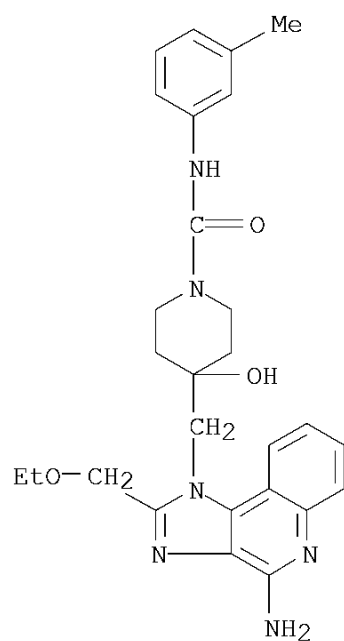
RN 879508-76-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]methyl]-4-hydroxy-N-(3-methylphenyl)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

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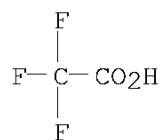
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



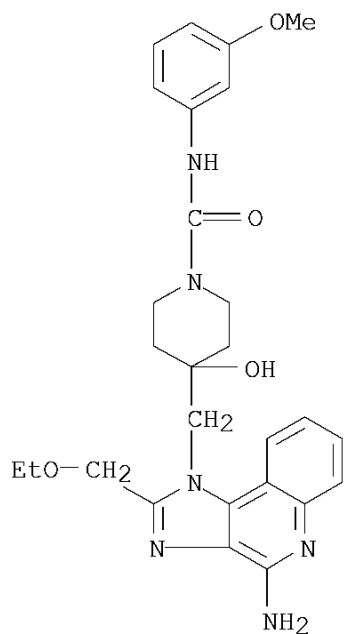
RN 879508-78-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]methyl]-4-hydroxy-N-(3-methoxyphenyl)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

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CRN 879508-77-7

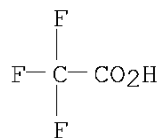
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CRN 76-05-1

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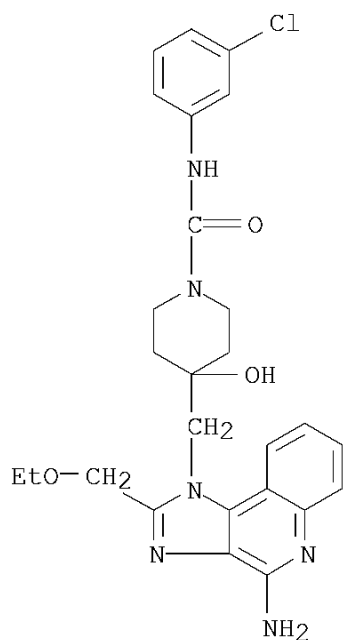
RN 879508-80-2 CAPLUS

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CRN 879508-79-9

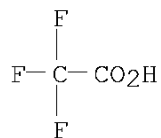
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 879508-82-4 CAPLUS

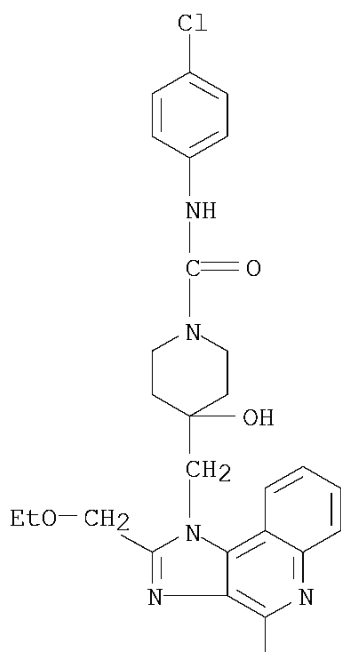
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CRN 879508-81-3

CMF C26 H29 Cl N6 O3

PAGE 1-A

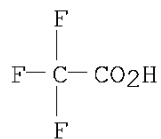


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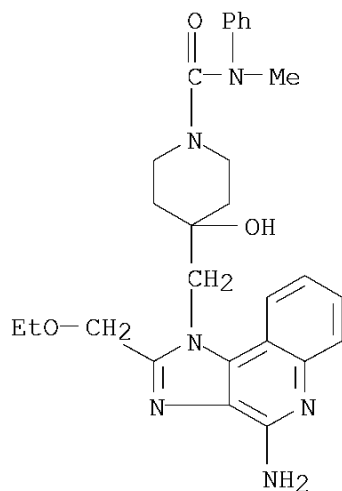
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RN 879508-88-0 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]methyl]-4-hydroxy-N-methyl-N-phenyl-,
2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

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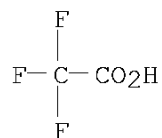
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CRN 76-05-1

CMF C2 H F3 O2



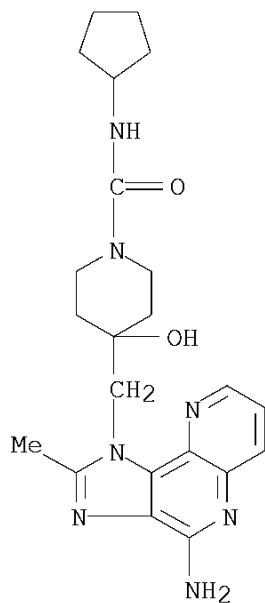
RN 879511-34-9 CAPLUS

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CRN 879511-33-8

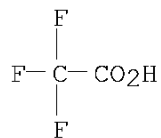
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



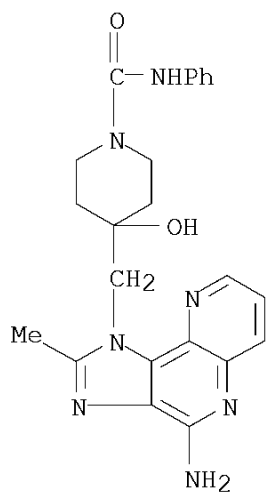
RN 879511-36-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(4-amino-2-methyl-1H-imidazo[4,5-c][1,5]naphthyridin-1-yl)methyl]-4-hydroxy-N-phenyl-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

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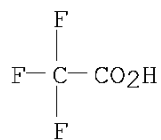
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CMF C23 H25 N7 O2



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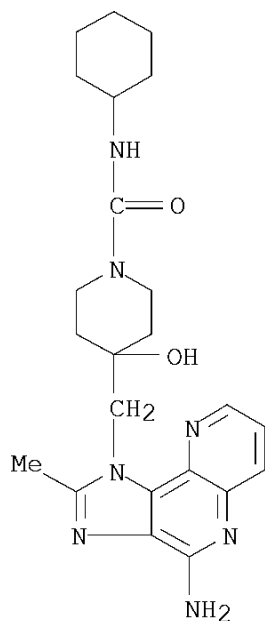
CRN 76-05-1
CMF C2 H F3 O2



RN 879511-38-3 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4-amino-2-methyl-1H-imidazo[4,5-c][1,5]naphthyridin-1-yl)methyl]-N-cyclohexyl-4-hydroxy-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

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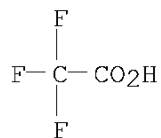
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CRN 76-05-1

CMF C2 H F3 O2



RN 879511-40-7 CAPLUS

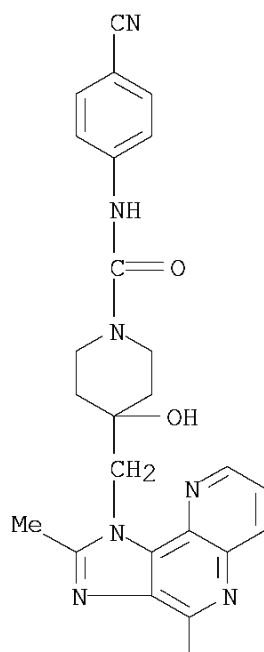
CN 1-Piperidinecarboxamide, 4-[(4-amino-2-methyl-1H-imidazo[4,5-c][1,5]naphthyridin-1-yl)methyl]-N-(4-cyanophenyl)-4-hydroxy-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

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CRN 879511-39-4

CMF C24 H24 N8 O2

PAGE 1-A

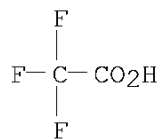


PAGE 2-A



CM 2

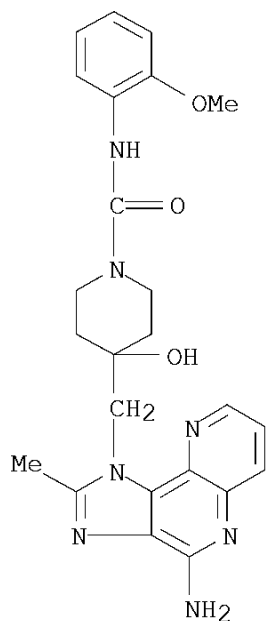
CRN 76-05-1
CMF C2 H F3 O2



RN 879511-42-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4-amino-2-methyl-1H-imidazo[4,5-c][1,5]naphthyridin-1-yl)methyl]-4-hydroxy-N-(2-methoxyphenyl)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

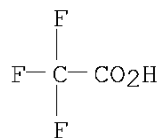
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CRN 76-05-1

CMF C2 H F3 O2



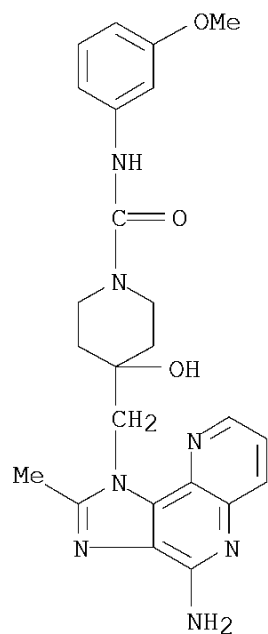
RN 879511-44-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(4-amino-2-methyl-1H-imidazo[4,5-c][1,5]naphthyridin-1-yl)methyl]-4-hydroxy-N-(3-methoxyphenyl)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

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CRN 879511-43-0

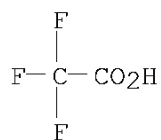
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 879511-46-3 CAPLUS

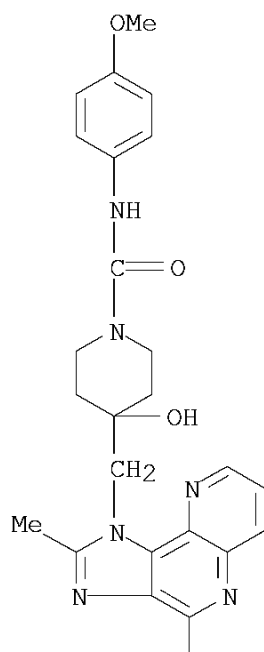
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CM 1

CRN 879511-45-2

CMF C24 H27 N7 O3

PAGE 1-A

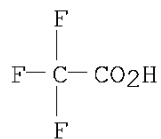


PAGE 2-A



CM 2

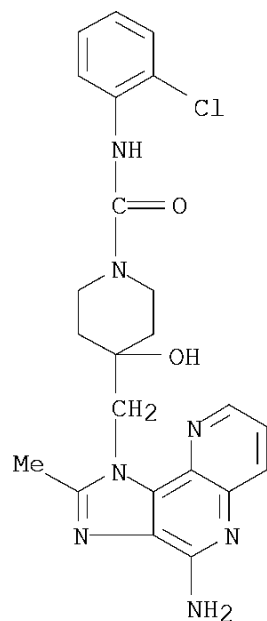
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CM 1

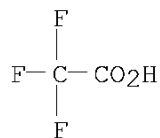
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



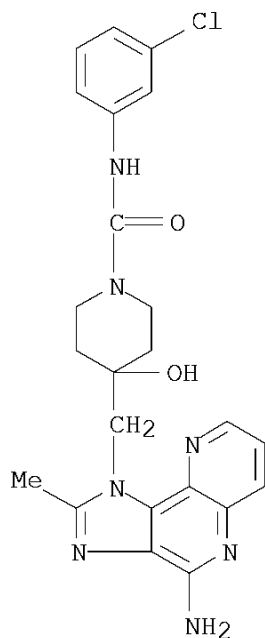
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CM 1

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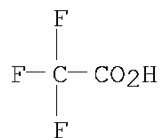
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 879511-52-1 CAPLUS

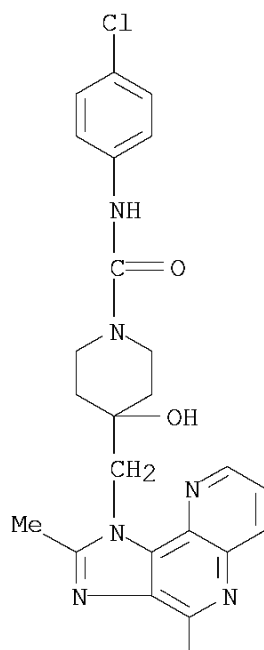
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CM 1

CRN 879511-51-0

CMF C23 H24 Cl N7 O2

PAGE 1-A

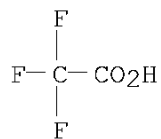


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CM 2

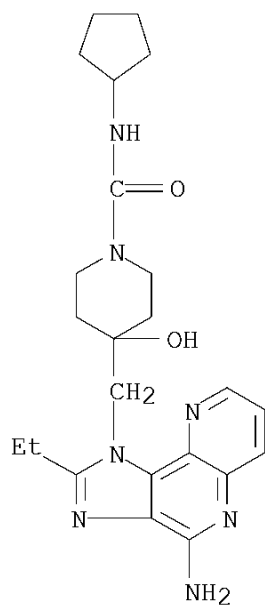
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CM 1

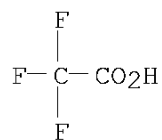
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CM 2

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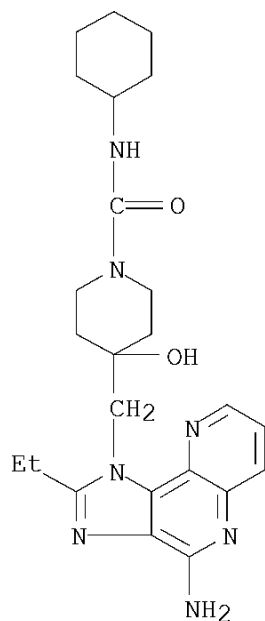
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CM 1

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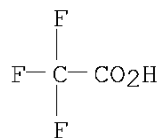
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



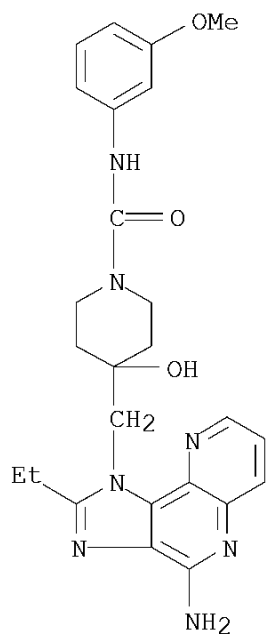
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CM 1

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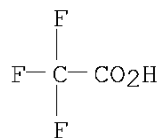
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



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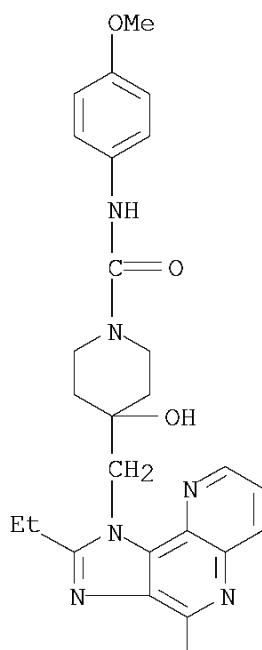
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CM 1

CRN 879512-19-3

CMF C25 H29 N7 O3

PAGE 1-A

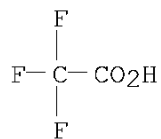


PAGE 2-A



CM 2

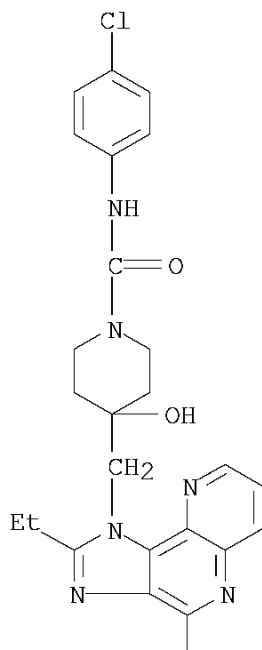
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CM 1

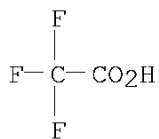
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CM 2

CRN 76-05-1

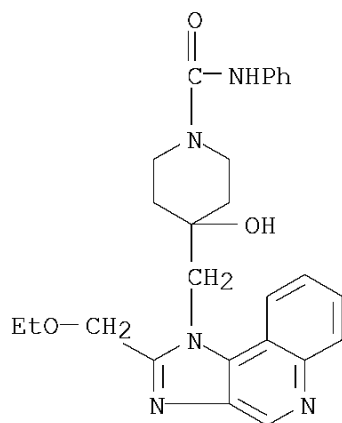
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IT 879515-02-3P, 4-[(2-Ethoxymethyl-1H-imidazo[4,5-c]quinolin-1-yl)methyl]-4-hydroxy-N-phenylpiperidine-1-carboxamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of imidazoquinolines, imidazopyridines, and imidazonaphthyridines as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases)

RN 879515-02-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl)methyl]-4-hydroxy-N-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)

L4 ANSWER 90 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:164628 CAPLUS

DOCUMENT NUMBER: 144:253998

TITLE: Preparation of 2,7-diazabicyclo[3.3.0]octanes and related compounds as antiobesity agents

INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Hessler, Gerhard; Lennig, Petra

PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland G.m.b.H., Germany

SOURCE: PCT Int. Appl., 132 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

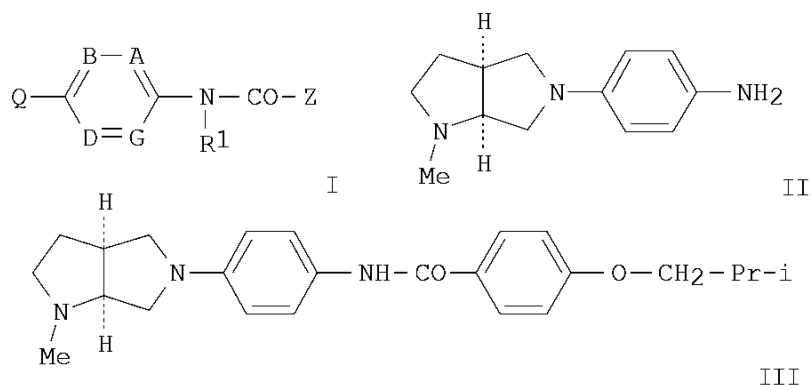
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CA 2577255	A1	20060223	CA 2005-2577255	20050816
CA 2577261	A1	20060223	CA 2005-2577261	20050816
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CN 101006089	A	20070725	CN 2005-80027915 20050816
CN 101006091	A	20070725	CN 2005-80027948 20050816
AT 381564	T	20080115	AT 2005-792575 20050816
PT 1781656	E	20080201	PT 2005-792575 20050816
JP 2008509957	T	20080403	JP 2007-526380 20050816
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BR 2005014404	A	20080610	BR 2005-14404 20050816
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IN 2007CN00667	A	20070824	IN 2007-CN667 20070215
KR 2007046868	A	20070503	KR 2007-703882 20070216
KR 2007053225	A	20070523	KR 2007-703920 20070216
US 20070197584	A1	20070823	US 2007-675646 20070216
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US 20090258872	A1	20091015	US 2009-489648 20090623
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			US 2007-675646 A3 20070216

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:253998

GI



AB Title compds. I [Z = X-E-K-R₂; A, B, D, G = N, CR₃ with provisos; R₁ = H, alkyl, alkenyl, etc.; R₃ = H, halo, OH, etc.; X = O, bond, ethynyl, etc.; E = 3-14 membered heterocyclic ring with provisos; K = bond, ethynyl, etc.; R₂ = H, alkyl, alkenyl, etc.; Q = bi- tri- or spirocyclic alkane with provisos] and their pharmaceutically acceptable salts were prepared For example, N-acylation of aniline II with 4-isobutoxybenzoic acid afforded diazabicyclo[3.3.0]octane III. In a milk consumption assay, one example of compound I exhibited 82% reduction verses the control.

IT 877211-19-3P

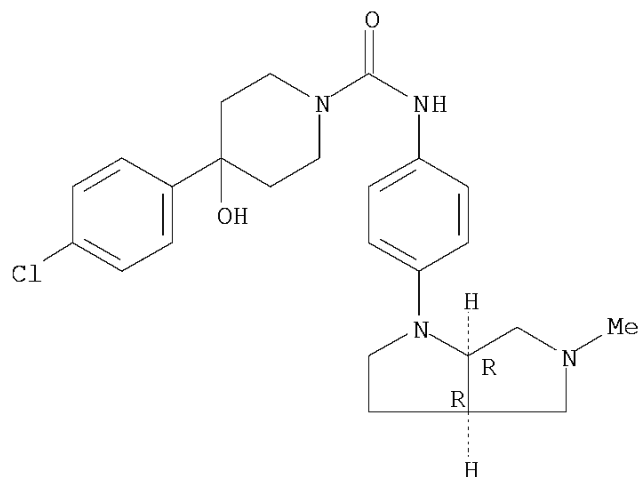
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,7-diazabicyclo[3.3.0]octanes and related compds. as antiobesity agents)

RN 877211-19-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-N-[4-[(3aR,6aR)-hexahydro-5-methylpyrrolo[3,4-b]pyrrol-1(2H)-yl]phenyl]-4-hydroxy-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 91 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:164335 CAPLUS

DOCUMENT NUMBER: 144:232923

TITLE: Preparation of N-piperidin-3-yl and related carboxamides as inhibitors of 11- β hydroxy steroid dehydrogenase type 1 and antagonists of the mineralocorticoid receptor and their therapeutic uses

INVENTOR(S): Yao, Wenqing; Zhuo, Jincong; Metcalf, Brian W.; Qian, Ding-Quan; Li, Yanlong

PATENT ASSIGNEE(S): Incyte Corporation, USA

SOURCE: PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

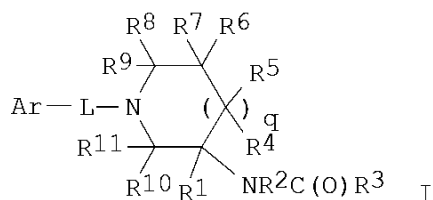
PATENT INFORMATION:

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WO 2006020598	A3	20070104		
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US 20060122197	A1	20060608	US 2005-199763	20050809
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JP 2008509910	T	20080403	JP 2007-525719	20050809
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PRIORITY APPLN. INFO.:			US 2004-600445P	P 20040810
			WO 2005-US28201	W 20050809

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:232923

GI



AB The present invention relates to N-piperidin-3-yl and related carboxamides (shown as I; variables defined below; e.g. N-[(3R)-1-[(3-chloro-2-methylphenyl)sulfonyl]piperidin-3-yl]cyclohexanecarboxamide (II)) as inhibitors of 11- β hydroxy steroid dehydrogenase type 1 (no data) and antagonists of the mineralocorticoid receptor (MR) (no data), and pharmaceutical compns. thereof. The compds. of the invention can be useful in the treatment of various diseases associated with expression or activity of 11- β hydroxy steroid dehydrogenase type 1 and/or diseases associated with aldosterone excess. Although the methods of preparation are not claimed, preps. and/or characterization data for .apprx.250 examples of I are included. For example, II was prepared in 2 steps by initial amide formation between cyclohexanecarbonyl chloride and tert-Bu (3R)-3-aminopiperidine-1-carboxylate to give N-((3R)-piperidin-3-yl)cyclohexanecarboxamide hydrochloride, which was then N-sulfonylated by 3-chloro-2-methylbenzenesulfonyl chloride. For I: L is absent, S(O)2, S(O), S, C(O), C(O)O, C(O)O-(C1-3 alkylene), or C(O)NRL; Ar is (un)substituted aryl or heteroaryl; RL is H or C1-6 alkyl; R1 is H, C(O)ORb', S(O)Ra', S(O)NRc'Rd', S(O)2Ra', S(O)2N'RcRd', et al.; R2 is H or (un)substituted C1-6 alkyl, arylalkyl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl. R3 is H or (un)substituted C1-6 alkyl, aryl, cycloalkyl, heteroaryl, heterocycloalkyl; or R3 is NR3aR3b; R3a and R3b = H, or (un)substituted C1-6 alkyl, aryl, cycloalkyl, heteroaryl, heterocycloalkyl; or R3a and R3b together with the N atom to which they are attached form a 4-14 membered (un)substituted heterocycloalkyl; R4, R5, R6, R7, R8, R9, R10 and R11 = H, OC(O)Ra', OC(O)ORb', C(O)ORb', OC(O)NRc'Rd', NRc'Rd', NRc'C(O)Ra', NRc'C(O)ORb', et al.; or R1 and R2 together with the C and N atoms to which they are attached form a 3-14 membered (un)substituted heterocycloalkyl; or R1 and R3 together with the C atoms to which they are attached and the intervening -NR2CO- moiety form a 4-14 membered (un)substituted heterocycloalkyl; et al.; and q = 1-2; addnl. details including provisos are given in the claims.

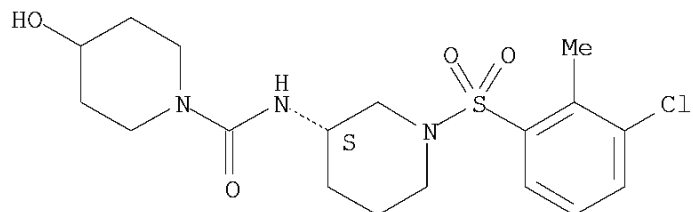
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 876377-93-4P, N-[(3S)-1-[(2,6-Dichlorophenyl)sulfonyl]piperidin-3-yl]-4-hydroxypiperidine-1-carboxamide 876378-26-6P,
 4-Hydroxy-N-[(3S)-1-[(1-naphthyl)sulfonyl]piperidin-3-yl]piperidine-1-carboxamide 876378-59-5P,
 4-Hydroxy-N-[(3S)-1-phenylpiperidin-3-yl]piperidine-1-carboxamide
 876378-77-7P, 4-Hydroxy-N-[(3S)-1-[(quinolin-8-yl)sulfonyl]piperidin-3-yl]piperidine-1-carboxamide 876378-79-9P
 , N-[(3S)-1-[[5-(Dimethylamino)-1-naphthyl]sulfonyl]piperidin-3-yl]-4-hydroxypiperidine-1-carboxamide 876378-96-0P,
 N-[(3S)-1-[(4-Chloro-1-naphthyl)sulfonyl]piperidin-3-yl]-4-hydroxypiperidine-1-carboxamide 876379-03-2P,
 4-Hydroxy-N-[(3S)-1-[(isoquinolin-5-yl)sulfonyl]piperidin-3-yl]piperidine-1-carboxamide 876379-13-4P,
 4-Hydroxy-N-[(3S)-1-[(2-naphthyl)sulfonyl]piperidin-3-yl]piperidine-1-carboxamide 876379-15-6P,
 N-[(3S)-1-[(2,1,3-Benzoxadiazol-4-yl)sulfonyl]piperidin-3-yl]-4-hydroxypiperidine-1-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-piperidin-3-yl and related carboxamides as inhibitors of 11- β hydroxy steroid dehydrogenase type 1 and antagonists of mineralocorticoid receptor and therapeutic uses)

RN 876377-85-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[(3S)-1-[(3-chloro-2-methylphenyl)sulfonyl]-3-piperidinyl]-4-hydroxy- (CA INDEX NAME)

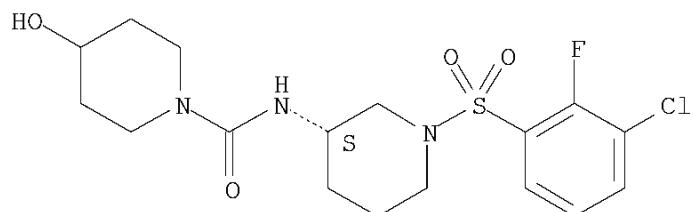
Absolute stereochemistry.



RN 876377-89-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[(3S)-1-[(3-chloro-2-fluorophenyl)sulfonyl]-3-piperidinyl]-4-hydroxy- (CA INDEX NAME)

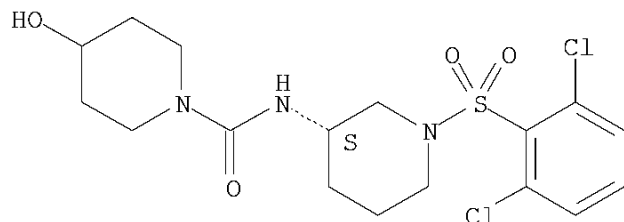
Absolute stereochemistry.



RN 876377-93-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[(3S)-1-[(2,6-dichlorophenyl)sulfonyl]-3-piperidinyl]-4-hydroxy- (CA INDEX NAME)

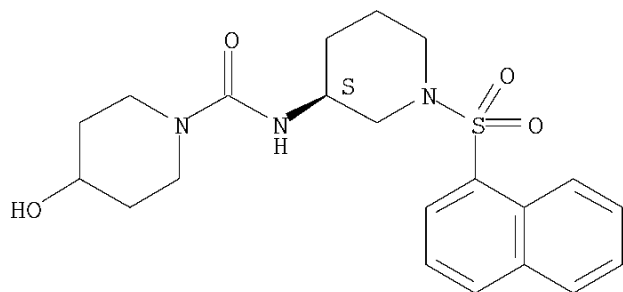
Absolute stereochemistry.



RN 876378-26-6 CAPLUS

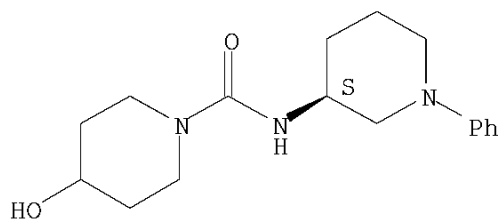
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[(3S)-1-(1-naphthalenylsulfonyl)-3-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



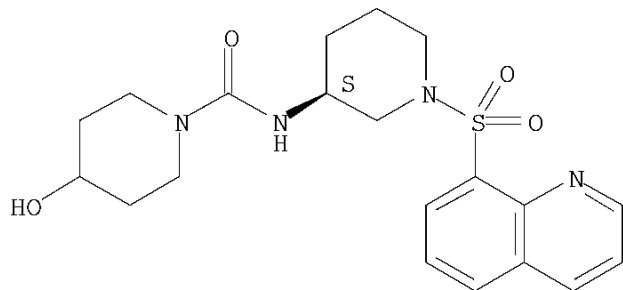
RN 876378-59-5 CAPLUS
 CN 1-Piperidinecarboxamide, 4-hydroxy-N-[(3S)-1-phenyl-3-piperidinyl]- (8-quinolinesulfonyl)-3-piperidinyl-4-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



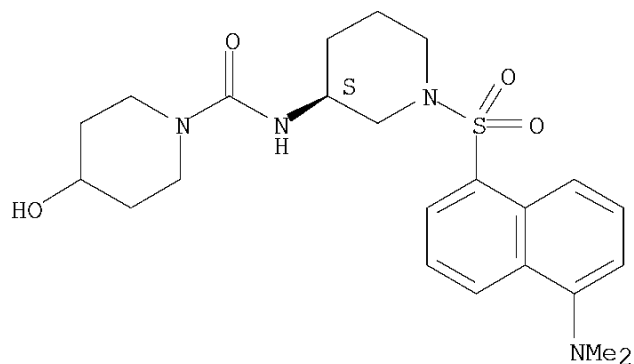
RN 876378-77-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-hydroxy-N-[(3S)-1-(8-quinolinylsulfonyl)-3-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



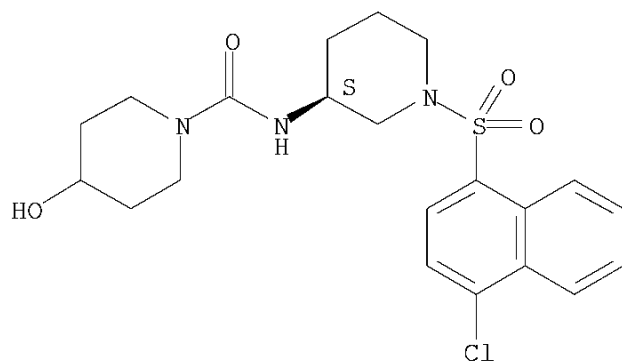
RN 876378-79-9 CAPLUS
 CN 1-Piperidinecarboxamide, N-[(3S)-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-3-piperidinyl]-4-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



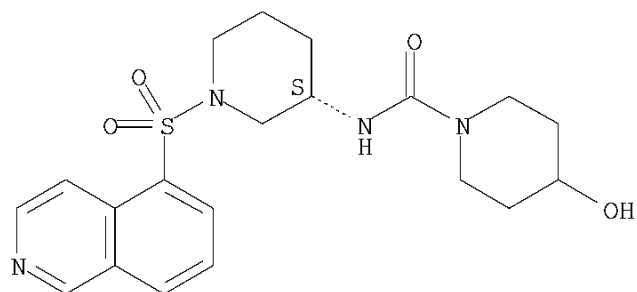
RN 876378-96-0 CAPLUS
 CN 1-Piperidinecarboxamide, N-[(3S)-1-[(4-chloro-1-naphthalenyl)sulfonyl]-3-piperidinyl]-4-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



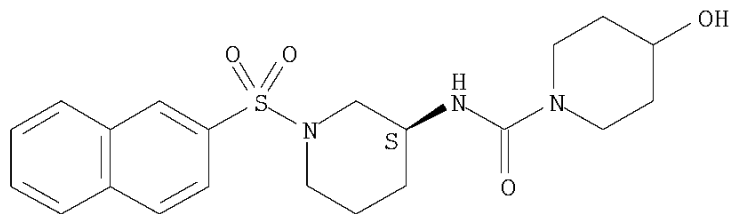
RN 876379-03-2 CAPLUS
 CN 1-Piperidinecarboxamide, 4-hydroxy-N-[(3S)-1-(5-isoquinolinylsulfonyl)-3-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 876379-13-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-hydroxy-N-[(3S)-1-(2-naphthalenylsulfonyl)-3-piperidinyl]- (CA INDEX NAME)

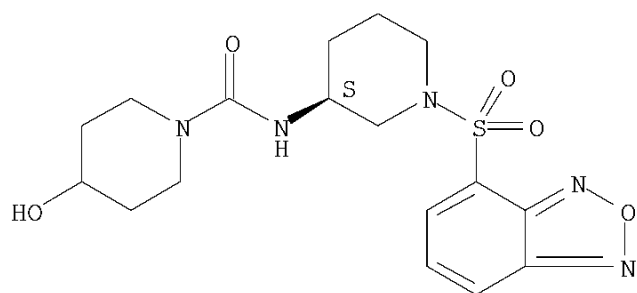
Absolute stereochemistry.



RN 876379-15-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[(3S)-1-(2,1,3-benzoxadiazol-4-ylsulfonyl)-3-piperidinyl]-4-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



IT 876378-28-8P, tert-Butyl

(3S)-3-[[(4-hydroxypiperidin-1-yl)carbonyl]amino]piperidine-1-carboxylate

876378-61-9P, 4-Hydroxy-N-((3S)-piperidin-3-yl)piperidine-1-carboxamide hydrochloride

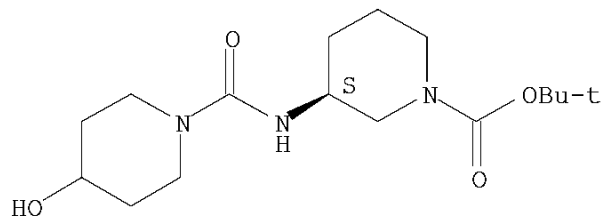
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-piperidin-3-yl and related carboxamides as inhibitors of 11- β hydroxy steroid dehydrogenase type 1 and antagonists of mineralocorticoid receptor and therapeutic uses)

RN 876378-28-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

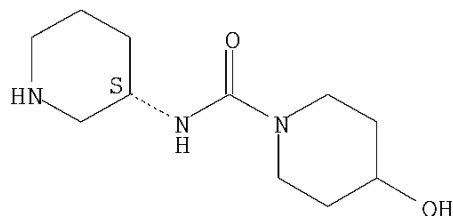
Absolute stereochemistry.



RN 876378-61-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-(3S)-3-piperidinyl-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
(14 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 92 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:147675 CAPLUS
DOCUMENT NUMBER: 144:205743
TITLE: Organic compounds inhibiting ubiquitin conjugating
enzyme for treatment of tumor and other diseases
INVENTOR(S): Banerjee, Amit
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 28 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060035890	A1	20060216	US 2004-914848	20040810
WO 2006020681	A2	20060223	WO 2005-US28358	20050810
WO 2006020681	A3	20061221		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1778011	A2	20070502	EP 2005-802674	20050810
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
US 20070191488	A1	20070816	US 2006-647788	20061228
PRIORITY APPLN. INFO.: US 2004-914848 A 20040810				
WO 2005-US28358 W 20050810				

OTHER SOURCE(S): MARPAT 144:205743

AB The present invention provides methods for identifying compds. that selectively bind one or more active sites within an ubiquitin conjugating enzyme such as Ubc1, Ubc2, Ubc3, Ubc4, Ubc5, Ubc6, Ubc7, Ubc8, Ubc10, and

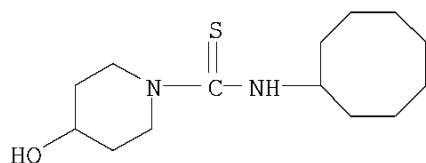
Ubc13. The active site comprises the amino acid residues corresponding to Lys64, Pro66, Lys67, Ile68, Asn84, Ile85, Leu90, Lys91 and Leu120 of ubiquitin conjugating enzyme from *Saccharomyces cerevisiae*. The active site comprises the amino acid residues corresponding to Lys66, Ile67, Ala68, Ser83, Cys85, Leu86, Leu89 and Arg90 of ubiquitin conjugating enzyme from human. The compds. identified by the methods are useful in the treatment of disorders attributed to dysregulated ubiquitin conjugating enzyme function, specifically in hyperproliferative disorders. The organic compound has formula (I): Ar-B-NR₁R₂ wherein Ar is a five or six membered unsubstituted or substituted aromatic ring that is optionally fused to an aromatic or heteroarom. ring; B is a bond, CO, SO₂ or (CH₂)_n wherein n=1-5; and R₁ and R₂ are each independently H, alkyl or aryl groups that are optionally substituted. The organic compound has formula (II): A-(B-NR₁R₂)_n wherein A is a 3-6 membered substituted or unsubstituted cycloaliph. or a heterocycloaliph. ring, each of which is optionally fused to an aromatic ring; B is a bond, CO, SO₂ or (CH₂)_n wherein n=1-3; and R₁ and R₂ are each independently H, alkyl or aryl groups that are optionally substituted,.

IT 402479-62-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(organic compds. inhibiting ubiquitin conjugating enzyme for treatment of tumor and other diseases)

RN 402479-62-3 CAPLUS

CN 1-Piperidinecarbothioamide, N-cyclooctyl-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L4 ANSWER 93 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:117875 CAPLUS

DOCUMENT NUMBER: 144:212661

TITLE: Preparation of piperidine derivatives as histamine H₃ receptor ligands for treatment of depression

INVENTOR(S): Folmer, James; Hunt, Simon Fraser; Hamley, Peter; Wesolowski, Steven

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006014136	A1	20060209	WO 2005-SE1189	20050727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2005267932	A1	20060209	AU 2005-267932	20050727
CA 2576112	A1	20060209	CA 2005-2576112	20050727
EP 1781613	A1	20070509	EP 2005-761797	20050727

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

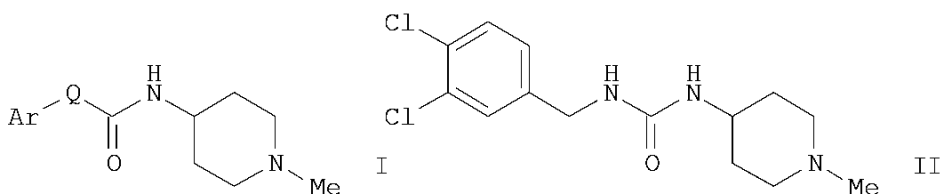
CN 1993325	A	20070704	CN 2005-80026273	20050727
JP 2008508353	T	20080321	JP 2007-524768	20050727
BR 2005014035	A	20080527	BR 2005-14035	20050727
IN 2007DN00225	A	20070803	IN 2007-DN225	20070109
ZA 2007000683	A	20080827	ZA 2007-683	20070124
MX 2007001226	A	20070323	MX 2007-1226	20070130
US 20080064706	A1	20080313	US 2007-572967	20070130
KR 2007043998	A	20070426	KR 2007-702643	20070201

PRIORITY APPLN. INFO.: SE 2004-1971 A 20040802
WO 2005-SE1189 W 20050727

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:212661; MARPAT 144:212661

GI

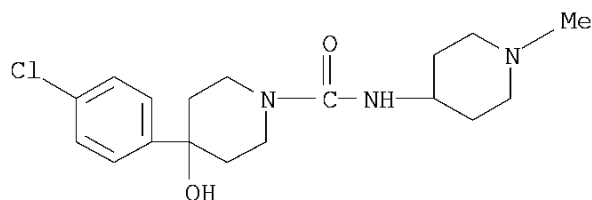


AB The title piperidine derivs. I [wherein Q = -N(CH₂CH₂)₂N-, -N(CH₂CH₂)₂CH-O-, -N(CH₂CH₂)₂CH-NH-CO-, etc.; Ar = (un)substituted (hetero)aryl], or pharmaceutically acceptable salts, diastereomers, enantiomers, or mixts. thereof were prepared as histamine H₃ receptor ligands for treatment of depression. For example, 3,4-dichlorobenzylamine was reacted with 4-nitrophenyl chloroformate in THF in the presence of diisopropylethylamine, followed by the addition of 4-amino-1-methylpiperidine to give II (22%). The biol. activity of the title compds. as histamine H₃ receptor ligands binding towards human recombinant H₄ receptor was tested (no data). The compds. are useful in therapy, in particular in the treatment of depression (no data).

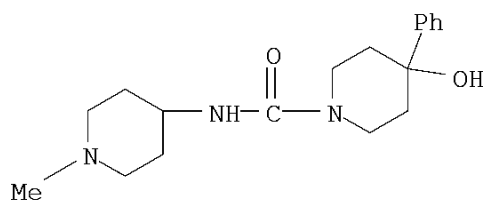
IT 875586-75-7P 875586-76-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidine and piperazine derivs. as histamine H₃ receptor ligands for treatment of depression)

RN 875586-75-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-(1-methyl-4-piperidinyl)- (CA INDEX NAME)



RN 875586-76-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-hydroxy-N-(1-methyl-4-piperidinyl)-4-phenyl-
 (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 94 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:77234 CAPLUS

DOCUMENT NUMBER: 144:170889

TITLE: Preparation of dimeric piperidine derivatives for
 treatment of neurodegenerative disorders

INVENTOR(S): Cik, Miroslav; Diels, Gaston Stanislas Marcella; Van
 Lommen, Guy Rosalia Eugeen

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006008260	A1	20060126	WO 2005-EP53351	20050713
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005263719	A1	20060126	AU 2005-263719	20050713
CA 2572822	A1	20060126	CA 2005-2572822	20050713
EP 1786775	A1	20070523	EP 2005-761102	20050713

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
BA, HR, MK, YU

CN 101018769	A	20070815	CN 2005-80023992	20050713
JP 2008506670	T	20080306	JP 2007-520837	20050713
IN 2007DN00373	A	20070803	IN 2007-DN373	20070115
MX 2007000616	A	20070307	MX 2007-616	20070116
US 20080015225	A1	20080117	US 2007-632479	20070116
KR 2007036149	A	20070402	KR 2007-701952	20070126
NO 2007000878	A	20070216	NO 2007-878	20070216
PRIORITY APPLN. INFO.:			EP 2004-103412	A 20040716
			US 2004-588711P	P 20040716
			WO 2005-EP53351	W 20050713

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 144:170889; MARPAT 144:170889
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [n = 0-2; X = alkynyl, alkenyl, (un)substituted alkyl, etc.; R1 = (un)substituted Ph, alkyl, arylcarbonyl, etc.; R2 = OH, benzyl or alkoxy], the N-oxide forms and the pharmaceutically acceptable addition salts, are prepared and disclosed as useful in the treatment of neurodegenerative mediated disorders. Thus, e.g., II was prepared by reaction of (4-fluorophenyl)-4-piperidinylmethanone with 1,4-dichloro-2-butyne. In neuronal viability assays using calcein-AM, II demonstrated a pIC50 value of > 8. Pharmaceutical compns. are claimed.

IT 874484-76-1P 874484-77-2P 874484-78-3P
874484-79-4P

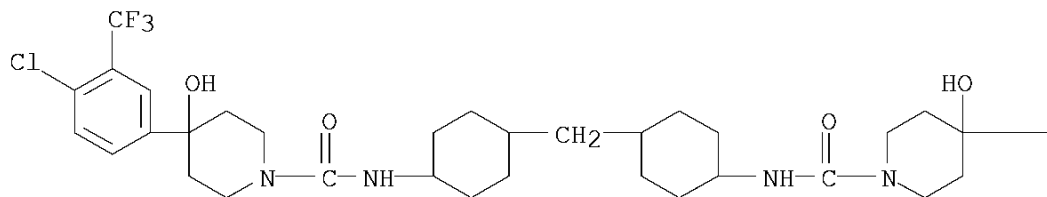
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dimeric piperidine derivs. useful in treatment of neurodegenerative mediated disorders)

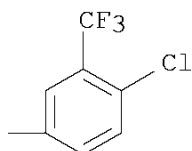
RN 874484-76-1 CAPLUS

CN 1-Piperidinecarboxamide, N,N'-(methylenedi-4,1-cyclohexanediyl)bis[4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A

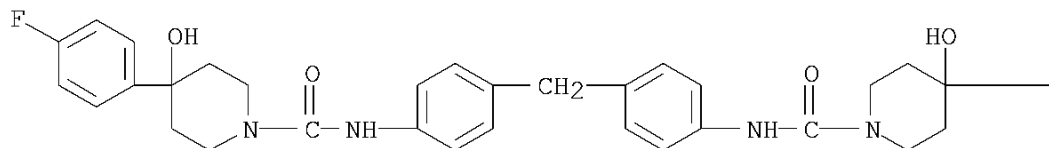


PAGE 1-B

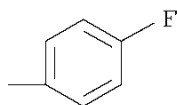


RN 874484-77-2 CAPLUS
 CN 1-Piperidinecarboxamide, N,N'-(methylenedi-4,1-phenylene)bis[4-(4-fluorophenyl)-4-hydroxy- (9CI) (CA INDEX NAME)

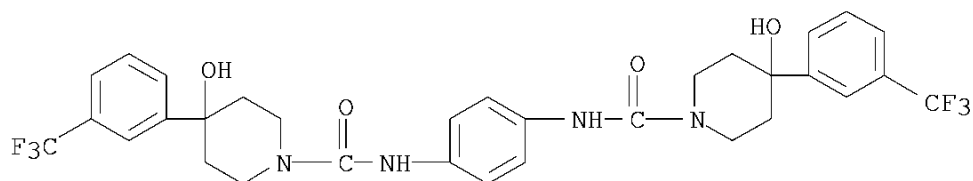
PAGE 1-A



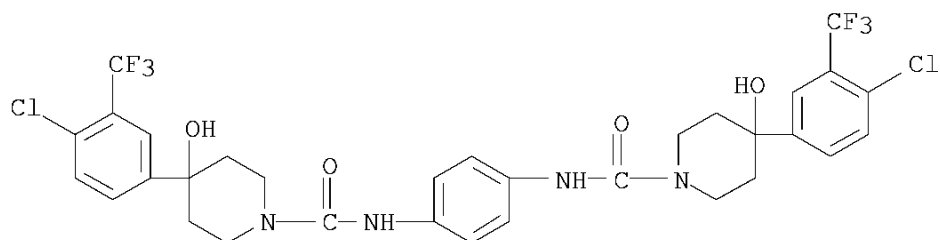
PAGE 1-B



RN 874484-78-3 CAPLUS
 CN 1-Piperidinecarboxamide, N,N'-1,4-phenylenebis[4-hydroxy-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 874484-79-4 CAPLUS
 CN 1-Piperidinecarboxamide, N,N'-1,4-phenylenebis[4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 95 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1314844 CAPLUS

DOCUMENT NUMBER: 144:36371

TITLE: Preparation of fused heterocyclic compounds as tyrosine kinase inhibitors

INVENTOR(S): Ishikawa, Tomoyasu; Taniguchi, Takahiko; Banno, Hiroshi; Seto, Masaki

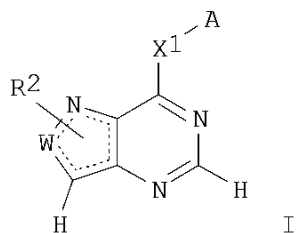
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
 SOURCE: PCT Int. Appl., 555 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005118588	A1	20051215	WO 2005-JP10451	20050601
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005250285	A1	20051215	AU 2005-250285	20050601
CA 2569016	A1	20051215	CA 2005-2569016	20050601
EP 1752457	A1	20070214	EP 2005-748463	20050601
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1993362	A	20070704	CN 2005-80026187	20050601
BR 2005011768	A	20080108	BR 2005-11768	20050601
ZA 2006010669	A	20080625	ZA 2006-10669	20050601
JP 4134227	B2	20080820	JP 2006-514152	20050601
US 20070244132	A1	20071018	US 2006-592812	20060914
US 7507740	B2	20090324		
MX 2006013996	A	20070208	MX 2006-13996	20061130
IN 2006KN03798	A	20070615	IN 2006-KN3798	20061218
NO 2006006015	A	20070213	NO 2006-6015	20061227
JP 2008247907	A	20081016	JP 2008-80528	20080326
US 20090018335	A1	20090115	US 2008-172684	20080714
US 20090029973	A1	20090129	US 2008-172631	20080714
US 20090203717	A1	20090813	US 2008-172775	20080714
PRIORITY APPLN. INFO.:			JP 2004-165050	A 20040602
			JP 2005-58231	A 20050302
			JP 2006-514152	A3 20050601
			WO 2005-JP10451	W 20050601
			US 2006-592812	A3 20060914

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:36371

GI



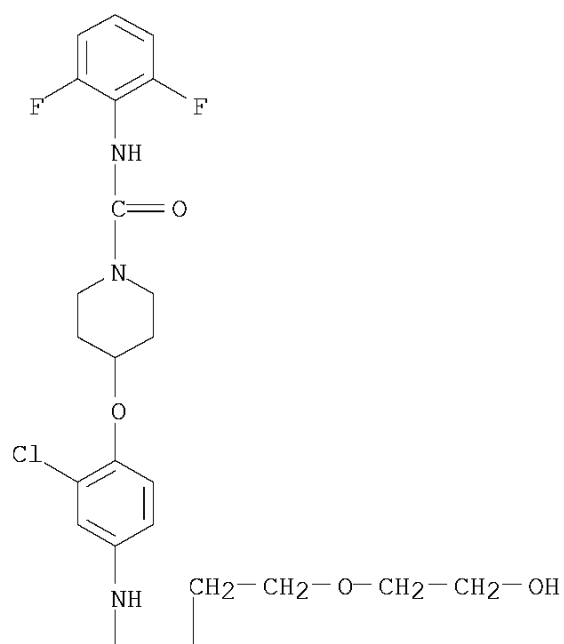
AB Fused heterocyclic compds. such as 1H-pyrazolo[4,3-d]pyrimidine and 5H-pyrrolo[3,2-d]pyrimidine represented by the formula (I) [wherein W = C(R1) or N; A = each optionally substituted aryl or heteroaryl; X1 = NR3-Y1, O, S, SO, SO2, CHR3 (wherein R3 = H or optionally substituted aliphatic hydrocarbon group, provided that R3 may be bonded to A to form an optionally substituted ring structure); R1 = H or optionally substituted group bonded through a carbon, nitrogen, or oxygen atom; R2 = H or optionally substituted group bonded through a carbon or sulfur atom, provided that R2 may be bonded to R1 or R3 to form an optionally substituted ring structure] or salts thereof are prepared A tyrosine kinase inhibitor or a preventive/therapeutic agent for cancers which each contains the compound I or a prodrug thereof is provided. Thus, a solution of 100 mg 4-chloro-5-methyl-5H-pyrrolo[3,2-d]pyrimidine in 1.0 mL 1-methyl-2-pyrrolidone was treated with 225 mg 3-chloro-4-[(3-fluorobenzyl)oxy]aniline and heated at 140° with stirring for 1.5 h to give, after workup and silica gel chromatog., 121 mg N-[3-chloro-4-[(3-fluorobenzyl)oxy]phenyl]-5-methyl-5H-pyrrolo[3,2-d]pyrimidin-4-amine (II). II at 1.0 μ M in vitro inhibited 96.1% HER 2 kinase. Pharmaceutical tablet formulations containing II were prepared

IT 871028-50-1P, 4-[2-Chloro-4-((5-[2-(2-hydroxyethoxy)ethyl]-5H-pyrrolo[3,2-d]pyrimidin-4-yl)amino)phenoxy]-N-(2,6-difluorophenyl)piperidine-1-carboxamide hydrochloride
871028-53-4P, 4-[2-Chloro-4-((5-[2-(2-hydroxyethoxy)ethyl]-5H-pyrrolo[3,2-d]pyrimidin-4-yl)amino)phenoxy]-N-cyclopentylpiperidine-1-carboxamide hydrochloride 871028-54-5P,
4-[2-Chloro-4-((5-[2-(2-hydroxyethoxy)ethyl]-5H-pyrrolo[3,2-d]pyrimidin-4-yl)amino)phenoxy]-N-(4-methoxyphenyl)piperidine-1-carboxamide hydrochloride 871028-55-6P,
4-[2-Chloro-4-((5-[2-(2-hydroxyethoxy)ethyl]-5H-pyrrolo[3,2-d]pyrimidin-4-yl)amino)phenoxy]-N-(4-methylphenyl)piperidine-1-carboxamide hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused heterocyclic compds. as tyrosine kinase inhibitors and preventive/therapeutic agent for cancers)

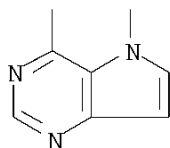
RN 871028-50-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[5-[2-(2-hydroxyethoxy)ethyl]-5H-pyrrolo[3,2-d]pyrimidin-4-yl]amino]phenoxy]-N-(2,6-difluorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A



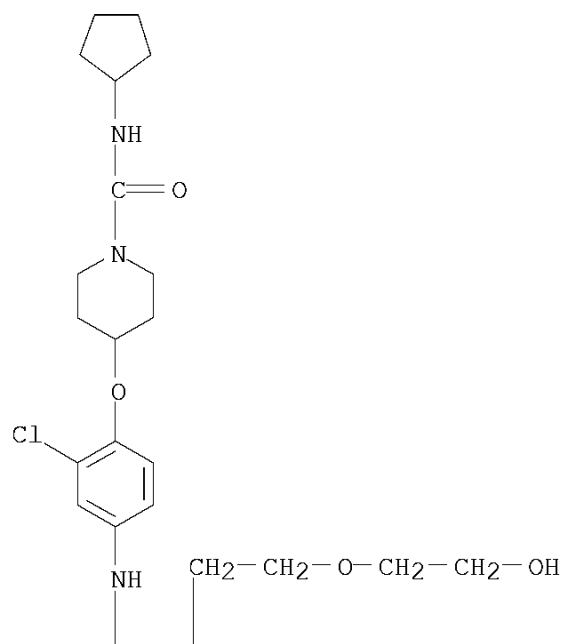
PAGE 2-A



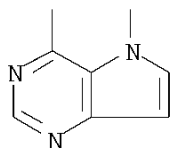
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RN 871028-53-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[5-[2-(2-hydroxyethoxy)ethyl]-5H-pyrrolo[3,2-d]pyrimidin-4-yl]amino]phenoxy]-N-cyclopentyl-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A



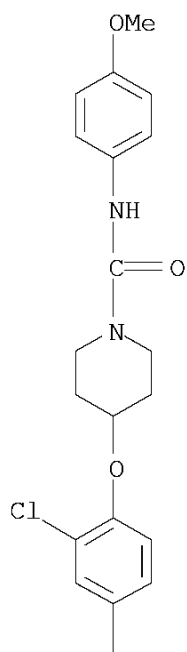
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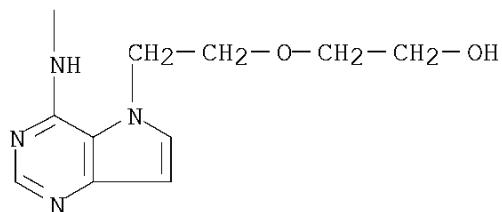
● HCl

RN 871028-54-5 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[5-[2-(2-hydroxyethoxy)ethyl]-5H-pyrrolo[3,2-d]pyrimidin-4-yl]amino]phenoxy]-N-(4-methoxyphenyl)-, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A

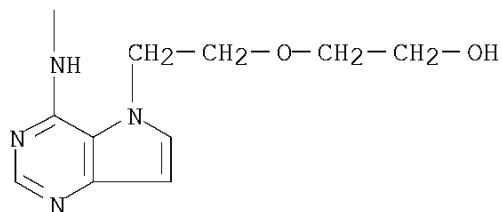
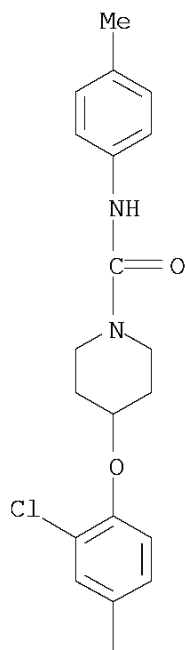


PAGE 2-A



● HCl

RN 871028-55-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[5-[2-(2-hydroxyethoxy)ethyl]-5H-pyrrolo[3,2-d]pyrimidin-4-yl]amino]phenoxy]-N-(4-methylphenyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)
 REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 96 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1311368 CAPLUS

DOCUMENT NUMBER: 144:36261

TITLE: Preparation of aroyl-O-piperidine derivatives as microsomal triglyceride transfer protein (MTP) and/or apoprotein B (ApoB) inhibitors useful in the treatment of dyslipidemia and related diseases

INVENTOR(S): Guedat, Philippe; Collonges, Francois; Chevreuil, Olivier; Dumas, Herve; Denuault, Marie Noelle; Yvon, Stephane; Kane, Peter; Laiton, Julia; Robertson, Avril; Wendt, Bernd

PATENT ASSIGNEE(S): Merck Sante, Fr.

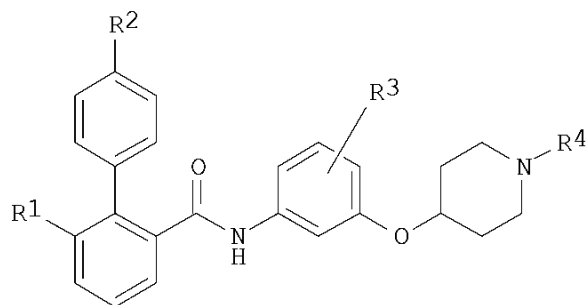
SOURCE: Fr. Demande, 122 pp.

DOCUMENT TYPE: CODEN: FRXXBL
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: French
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 PATENT INFORMATION:

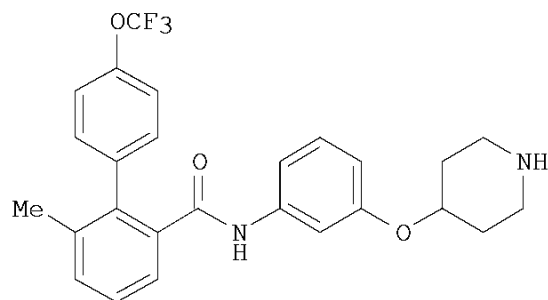
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2871463	A1	20051216	FR 2004-6345	20040611
FR 2871463	B1	20060922		
AU 2005251876	A1	20051222	AU 2005-251876	20050519
CA 2569883	A1	20051222	CA 2005-2569883	20050519
WO 2005121091	A1	20051222	WO 2005-EP5440	20050519
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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EP 1753721	A1	20070221	EP 2005-742232	20050519
EP 1753721	B1	20090805		
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JP 2008501739	T	20080124	JP 2007-526232	20050519
AT 438623	T	20090815	AT 2005-742232	20050519
ES 2330023	T3	20091203	ES 2005-742232	20050519
US 20070254919	A1	20071101	US 2006-629176	20061211
PRIORITY APPLN. INFO.:			FR 2004-6345	A 20040611
			WO 2005-EP5440	W 20050519

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:36261
 GI



I



II

AB Title compds. I [wherein R1 = H, alkyl, alkoxy; R2 = alkyl, alkoxy, CF3, OCF3; R3 = H, alkyl; R4 = H, alk(en/yn)yl, heterocyclyl, etc.; their geometrical and/or optical isomers, epimers, tautomers, oxides, especially amine

oxides, solvates, and hydrates; their pharmaceutically acceptable salts with an acid or base, and their prodrugs] were prepared as microsomal triglyceride transfer protein (MTP) and/or apoprotein B (ApoB) inhibitors. For example, II was prepared in 3 steps from 6-methyl-4'-trifluoromethoxybiphenyl-2-carboxylic acid and tert-Bu 4-(3-aminophenoxy)piperidine-1-carboxylate via amidation and deprotection (no data for the acid chloride intermediate). Selected I inhibited MTP with IC50 values in the range of 33.3-660.8 nM. Selected I inhibited ApoB secretion from HepG2 cells with IC50 values in the range of 0.8-92.6 nM. Thus, I are useful for treating dyslipidemia, hypercholesterolemia, hypertriglyceridemia, and obesity.

IT 871032-63-2P 871032-75-6P 871032-76-7P
871032-77-8P 871032-78-9P 871032-79-0P
871032-81-4P 871032-86-9P 871032-88-1P
871032-89-2P 871032-90-5P 871032-91-6P
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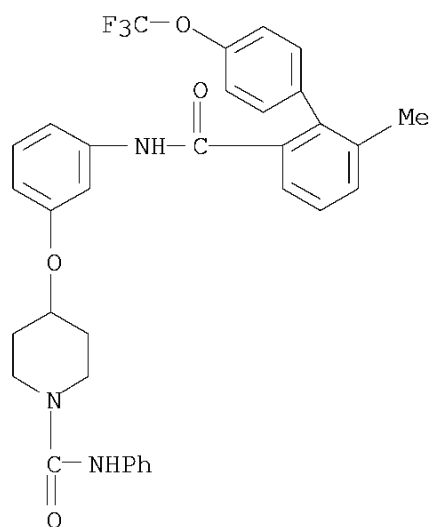
Methyl 4-[[[4-[3-[[[6-methyl-4'-(trifluoromethoxy)-1,1'-biphenyl-2-yl]carbonyl]amino]phenoxy]-1-piperidiny]carbonyl]amino]benzoate 871033-08-8P, N-(1,3-Benzodioxol-5-yl)-4-[3-[[[6-methyl-4'-(trifluoromethoxy)-1,1'-biphenyl-2-yl]carbonyl]amino]phenoxy]-1-piperidinecarboxamide 871033-09-9P 871033-14-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Apo B secretion/MTP inhibitor; preparation of aroyl-O-piperidines as MTP and/or ApoB secretion inhibitors for treating dyslipidemia and related disorders)

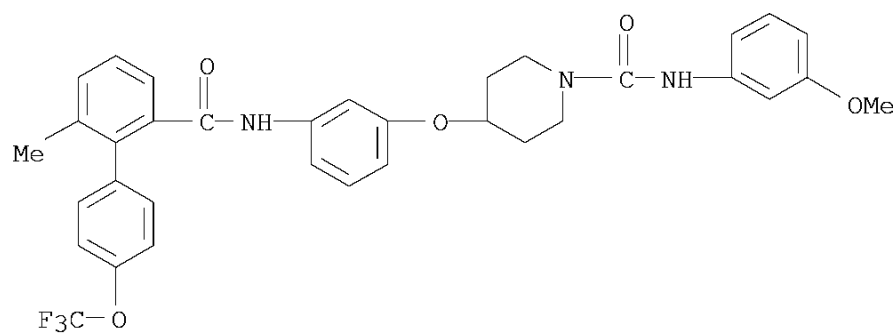
RN 871032-63-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[3-[[[6-methyl-4'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]-N-phenyl- (CA INDEX NAME)



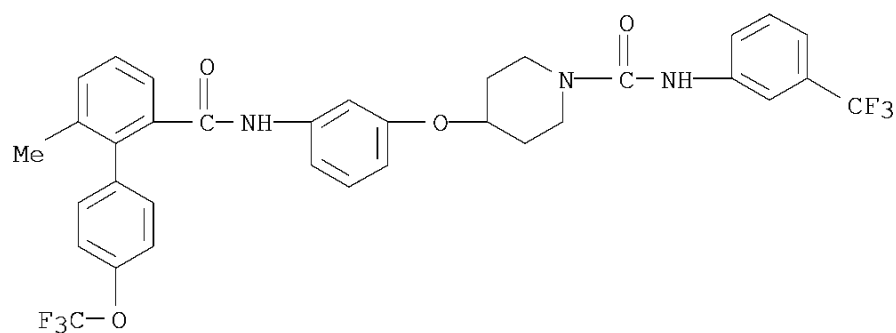
RN 871032-75-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-methoxyphenyl)-4-[3-[[[6-methyl-4'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]- (CA INDEX NAME)



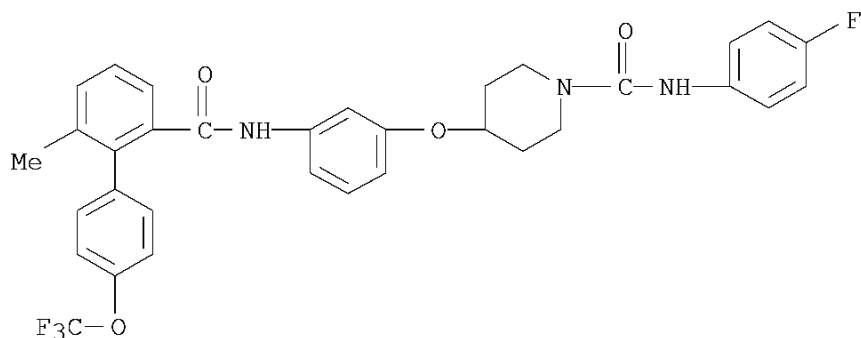
RN 871032-76-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[3-[[[6-methyl-4'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



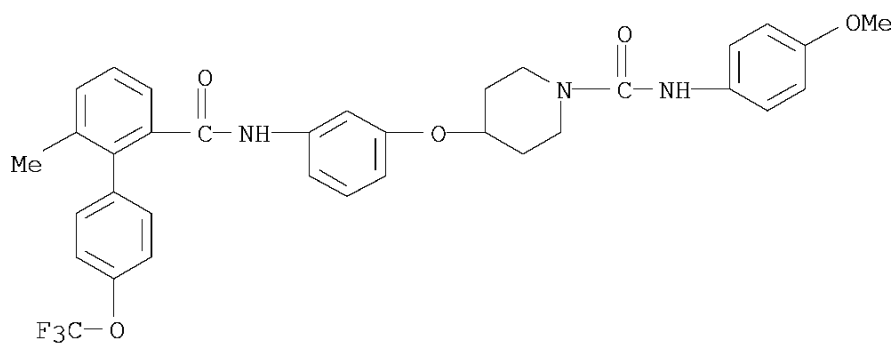
RN 871032-77-8 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-fluorophenyl)-4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]- (CA INDEX NAME)



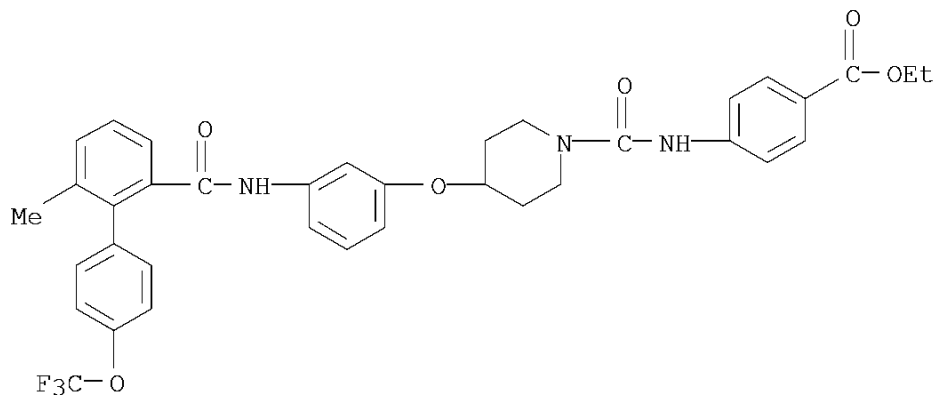
RN 871032-78-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-methoxyphenyl)-4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]- (CA INDEX NAME)



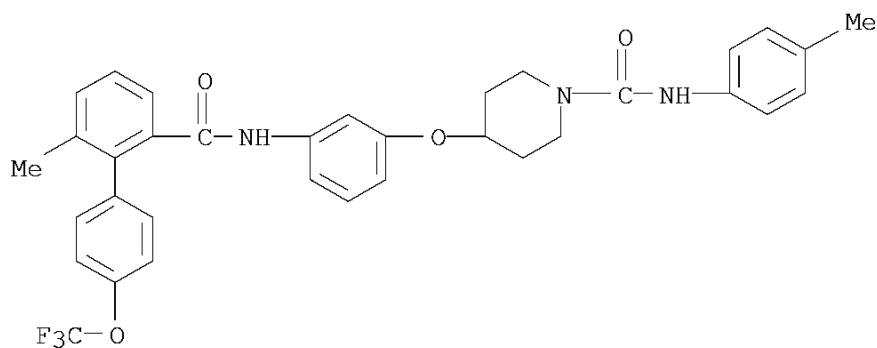
RN 871032-79-0 CAPLUS

CN Benzoic acid, 4-[[[4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]-1-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



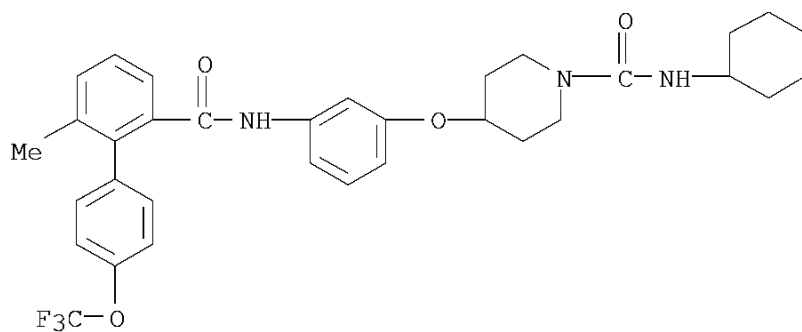
RN 871032-81-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-methylphenyl)-4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]- (CA INDEX NAME)



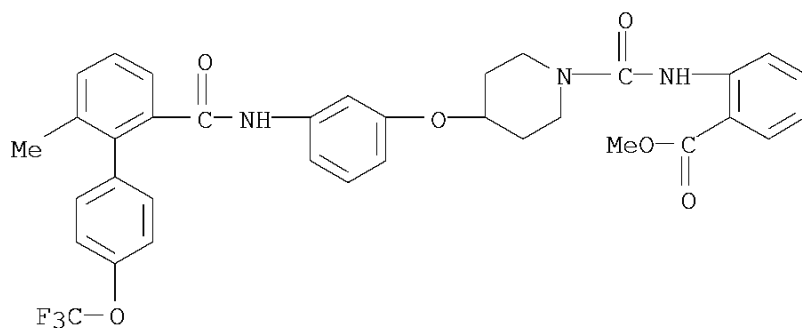
RN 871032-86-9 CAPLUS

CN 1-Piperidinecarboxamide, N-cyclohexyl-4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]- (CA INDEX NAME)



RN 871032-88-1 CAPLUS

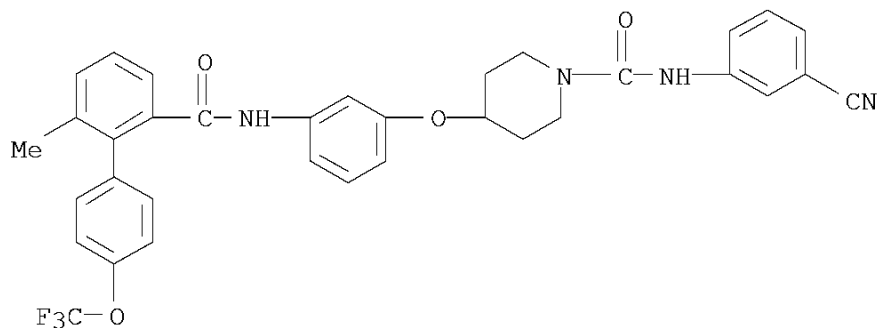
CN Benzoic acid, 2-[[[4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]-1-piperidinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)



RN 871032-89-2 CAPLUS

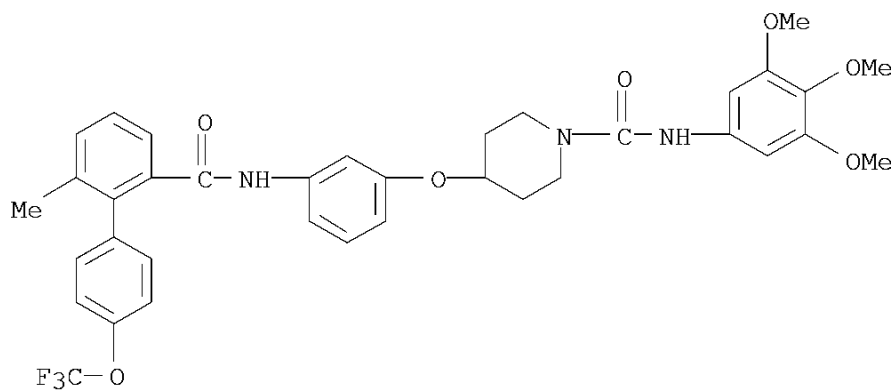
CN 1-Piperidinecarboxamide, N-(3-cyanophenyl)-4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]- (CA INDEX NAME)

(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]- (CA INDEX NAME)



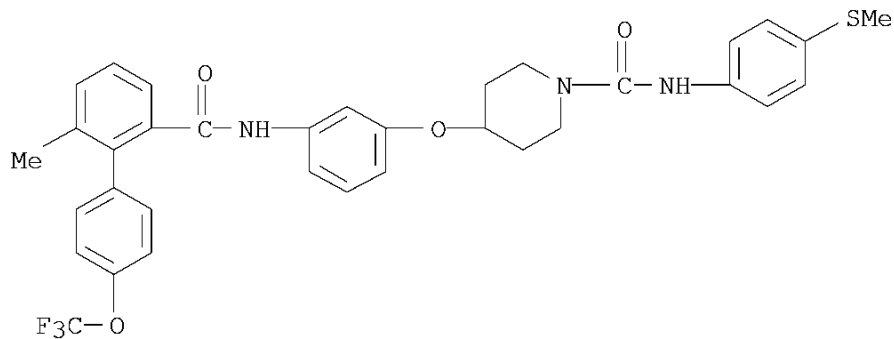
RN 871032-90-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]-N-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



RN 871032-91-6 CAPLUS

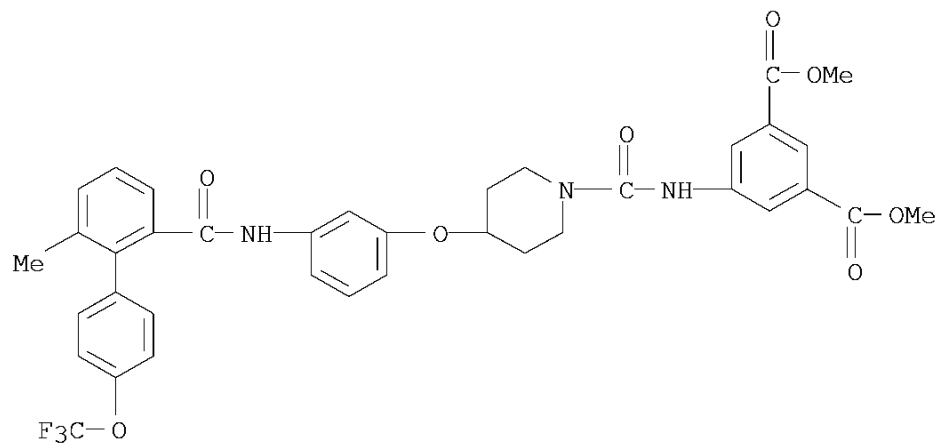
CN 1-Piperidinecarboxamide, N-[4-(methylthio)phenyl]-4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]- (CA INDEX NAME)



RN 871032-94-9 CAPLUS

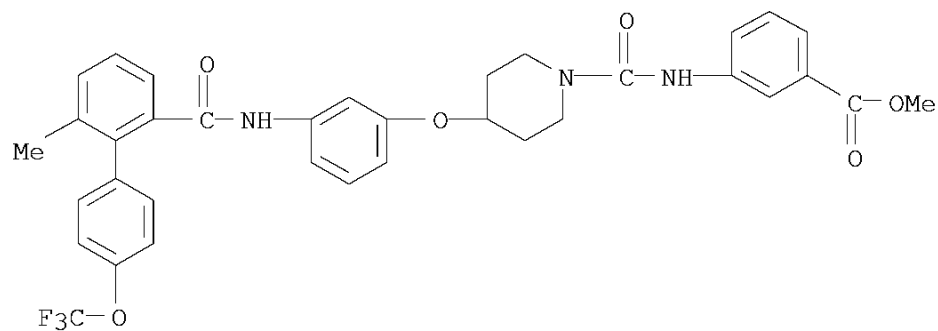
CN 1,3-Benzenedicarboxylic acid, 5-[[[4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]-N-(3,4,5-trimethoxyphenyl)-

(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]-1-piperidinyl]carbonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)



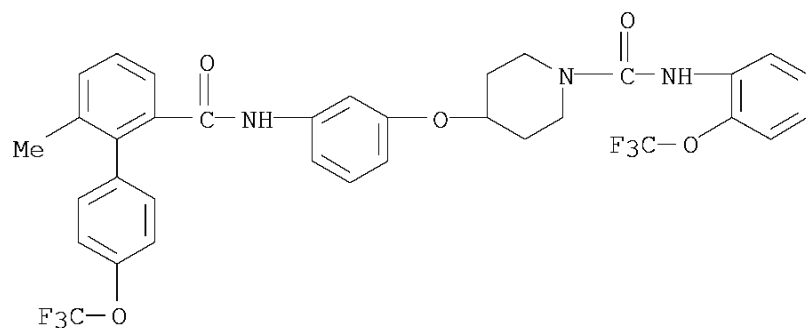
RN 871032-95-0 CAPLUS

CN Benzoic acid, 3-[[[4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]-1-piperidinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)



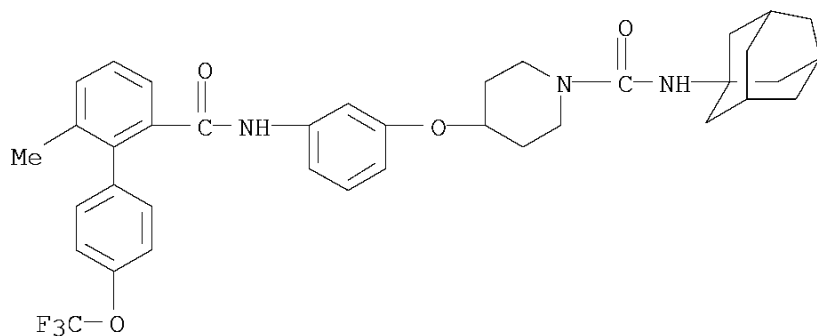
RN 871032-99-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]-N-[2-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



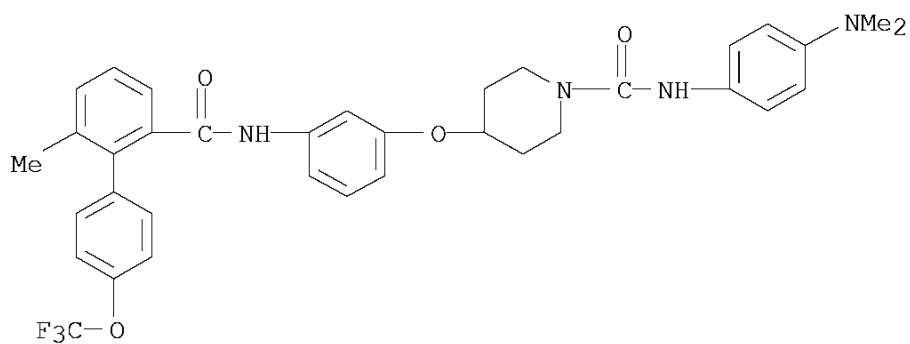
RN 871033-00-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl-
(CA INDEX NAME)



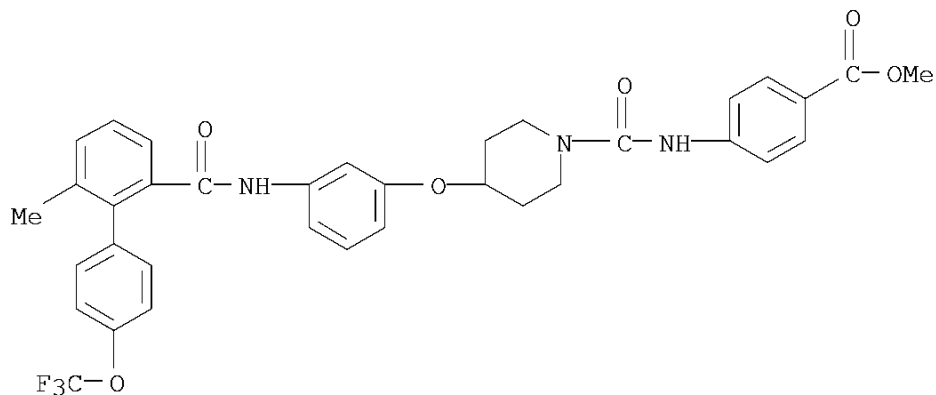
RN 871033-03-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-(dimethylamino)phenyl]-4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]- (CA INDEX NAME)



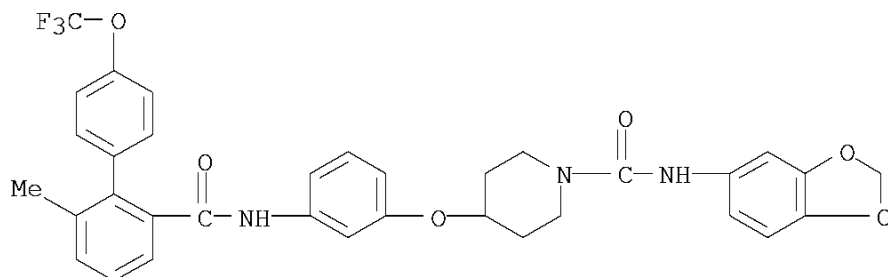
RN 871033-07-7 CAPLUS

CN Benzoic acid, 4-[[[4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]-1-piperidiny]carbonyl]amino]-, methyl ester
(CA INDEX NAME)



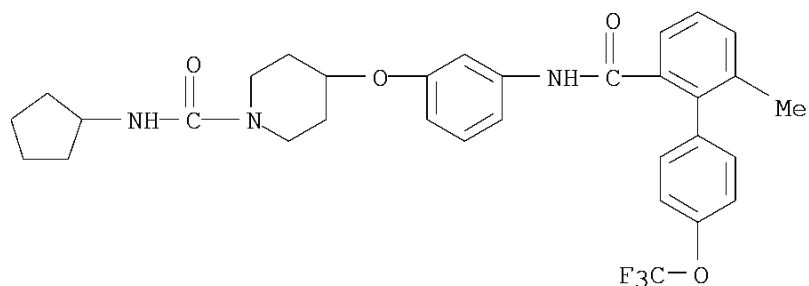
RN 871033-08-8 CAPLUS

CN 1-Piperidinecarboxamide, N-1,3-benzodioxol-5-yl-4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]- (CA INDEX NAME)



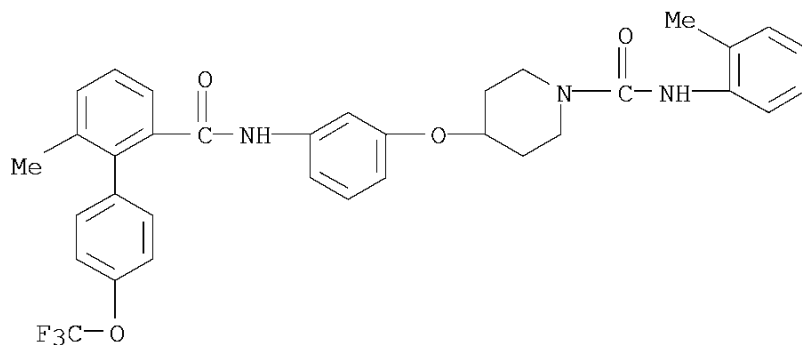
RN 871033-09-9 CAPLUS

CN 1-Piperidinecarboxamide, N-cyclopentyl-4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]- (CA INDEX NAME)



RN 871033-14-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(2-methylphenyl)-4-[3-[[[6-methyl-4'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]carbonyl]amino]phenoxy]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 97 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:1242688 CAPLUS
DOCUMENT NUMBER: 144:6794

TITLE: Preparation of
N-(4-methoxy-7-morpholin-4-yl-benzothiazol-2-yl)
4-hydroxy-4-methyl-piperidine-1-carboxamide as a
selective adenosine A2a receptor antagonist

INVENTOR(S): Flohr, Alexander; Moreau, Jean-Luc; Poli, Sonia Maria;
Riemer, Claus; Steward, Lucinda

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.; Hoffmann-La Roche
Inc.

SOURCE: U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

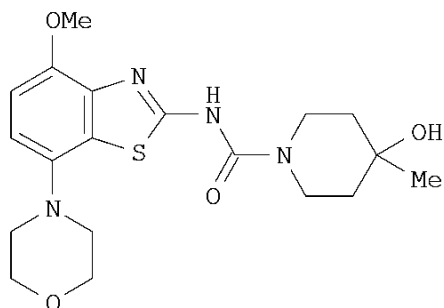
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050261289	A1	20051124	US 2005-132019	20050518
US 7368446	B2	20080506		
AU 2005247567	A1	20051208	AU 2005-247567	20050517
CA 2567703	A1	20051208	CA 2005-2567703	20050517
WO 2005116026	A1	20051208	WO 2005-EP5329	20050517
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1753760	A1	20070221	EP 2005-741185	20050517
EP 1753760	B1	20080102		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV, YU				
CN 1956983	A	20070502	CN 2005-80016875	20050517
BR 2005011543	A	20080102	BR 2005-11543	20050517
JP 2008500295	T	20080110	JP 2007-513750	20050517
AT 382619	T	20080115	AT 2005-741185	20050517
PT 1753760	E	20080212	PT 2005-741185	20050517
ES 2297710	T3	20080501	ES 2005-741185	20050517
ZA 2006009136	A	20080730	ZA 2006-9136	20061102
MX 2006013417	A	20070123	MX 2006-13417	20061117
IN 2006CN04312	A	20070615	IN 2006-CN4312	20061123
KR 834179	B1	20080530	KR 2006-724558	20061123
NO 2006005732	A	20061222	NO 2006-5732	20061212
PRIORITY APPLN. INFO.:			EP 2004-102262	A 20040524
			WO 2005-EP5329	W 20050517

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:6794

GI



I

AB The present invention relates to the compound I which is 4-hydroxy-4-methyl-piperidine-1-carboxylic acid (4-methoxy-7-morpholin-4-yl-benzothiazol-2-yl)-amide, and to pharmaceutically acceptable acid addition salts thereof. A multi-step synthesis of I, starting from 4-bromo-2-nitroanisole and morpholine, was given. I was found to be a high affinity, potent and selective antagonist at recombinant human adenosine A2a receptors. It has an affinity (pKi) of 8.3 for the human A2a receptor with over 2 orders of magnitude of selectivity for the A2a receptor compared to A1, A2b and A3. It has been found that the compound I is useful for the treatment or prevention of Alzheimer's disease, Parkinson's disease, Huntington's disease, neuroprotection, schizophrenia, anxiety, pain, respiration deficits, depression, ADHD (attention deficit hyper-activity disorder), drug addiction to amphetamines, cocaine, opioids, ethanol, nicotine, or cannabinoids, or for the treatment of asthma, allergic responses, hypoxia, ischemia, seizure, substance abuse, or for use as muscle relaxants, antipsychotics, antiepileptics, anticonvulsants and cardioprotective agents.

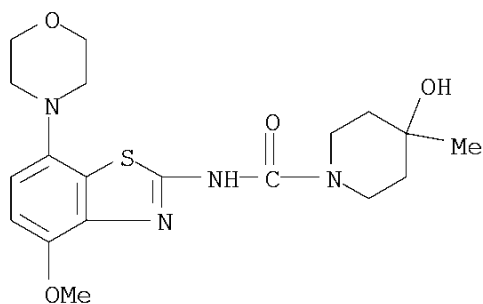
IT 870070-55-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(4-methoxy-7-morpholin-4-yl-benzothiazol-2-yl) 4-hydroxy-4-methyl-piperidine-1-carboxamide as a selective adenosine A2a receptor antagonist)

RN 870070-55-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-methyl- (CA INDEX NAME)



OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT:	37	THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 98 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1193391 CAPLUS

DOCUMENT NUMBER: 143:440416

TITLE: 3-Heterocyclyl-4-phenyl-triazole derivatives as inhibitors of the vasopressin V1a receptor, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Bryans, Justin Stephen; Johnson, Patrick Stephen; Ryckmans, Thomas; Stobie, Alan

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005105779	A1	20051110	WO 2005-IB1062	20050418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2563963	A1	20051110	CA 2005-2563963	20050418
EP 1742932	A1	20070117	EP 2005-718500	20050418
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
BR 2005010340	A	20071030	BR 2005-10340	20050418
JP 2007534740	T	20071129	JP 2007-510142	20050418
MX 2006012510	A	20061215	MX 2006-12510	20061027
US 20070225333	A1	20070927	US 2007-598840	20070518
PRIORITY APPLN. INFO.:			GB 2004-9502	A 20040428
			US 2004-576252P	P 20040602
			GB 2004-15888	A 20040715
			US 2004-601082P	P 20040812
			WO 2005-IB1062	W 20050418

OTHER SOURCE(S): CASREACT 143:440416; MARPAT 143:440416

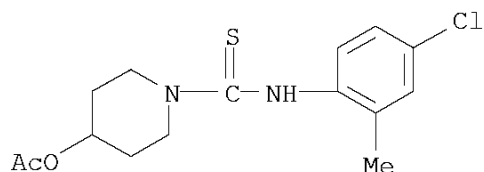
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

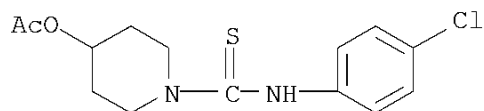
AB The invention relates to phenyltriazole derivs. of formula I, which are inhibitors of the vasopressin V1a receptor. In compds. I, R is selected from (un)substituted C1-6 alkyl and C1-6 alkoxy; R1 and R2 are independently selected from H, halo, and C1-6 alkyl; X is O, NH, or C1-6 alkylamino; A is selected from a 5- or 6-membered heterocycle comprising either (a) 1-4 nitrogen atoms, (b) 1 oxygen or 1 sulfur atom, or (c) 1 oxygen atom or 1 sulfur atom and 1 or 2 nitrogen atoms; and B is selected from (un)substituted Ph or (un)substituted 5- or 6-membered aromatic heterocycle comprising either (a) 1-4 nitrogen atoms, (b) 1 oxygen or 1

sulfur atom, or (c) 1 oxygen atom or 1 sulfur atom and 1 or 2 nitrogen atoms. The invention also relates to the preparation of I, pharmaceutical compns. comprising I together with a pharmaceutically acceptable excipient, diluent, or carrier, as well as to the use of the compns. to inhibit the vasopressin V1a receptor. 4-(Methylamino)-1-benzylpiperidine was coupled with 2-bromopyridine to give the corresponding tertiary amine, which underwent debenzylation and addition to 4-chlorophenyl isothiocyanate to give carbothioamide II. S-Methylation of II and heterocyclocondensation with acetylhydrazide resulted in the formation of phenyltriazole III. The compds. of the invention express Ki values of less than 500 nM towards the vasopressin V1a receptor, with compound III expressing a Ki value of 0.50 nM.

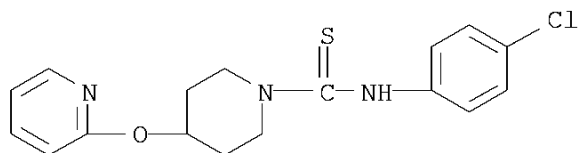
- IT 868833-72-1P, 1-[[[4-Chloro-2-methylphenyl]amino]thiocarbonyl]piperidin-4-yl acetate
 868833-73-2P, 1-[[[4-Chlorophenyl]amino]thiocarbonyl]piperidin-4-yl acetate 868833-80-1P, 2-[1-[[[4-Chlorophenyl]amino]thiocarbonyl]piperidin-4-yloxy]pyridine
 868833-91-4P, N-(4-Chlorophenyl)-4-((pyrimidin-2-yl)oxy)piperidine-1-carbothioamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of heterocyclyl(phenyl)triazole derivs. as inhibitors of vasopressin V1a receptor)
 RN 868833-72-1 CAPLUS
 CN 1-Piperidinecarbothioamide, 4-(acetyloxy)-N-(4-chloro-2-methylphenyl)- (CA INDEX NAME)



- RN 868833-73-2 CAPLUS
 CN 1-Piperidinecarbothioamide, 4-(acetyloxy)-N-(4-chlorophenyl)- (CA INDEX NAME)

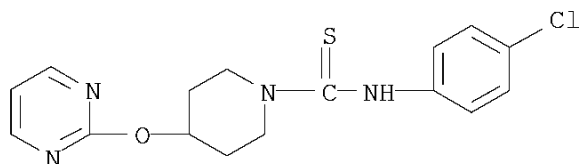


- RN 868833-80-1 CAPLUS
 CN 1-Piperidinecarbothioamide, N-(4-chlorophenyl)-4-(2-pyridinyloxy)- (CA INDEX NAME)



- RN 868833-91-4 CAPLUS
 CN 1-Piperidinecarbothioamide, N-(4-chlorophenyl)-4-(2-pyrimidinyloxy)- (CA INDEX NAME)

INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 99 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1037098 CAPLUS

DOCUMENT NUMBER: 143:347150

TITLE: Preparation of pyrrolo[2,3-b]pyridine derivatives as
kinase inhibitors

INVENTOR(S): Salom, Barbara; D'Anello, Matteo; Brasca, Maria
Gabriella; Giordano, Patrizia; Martina, Katia;
Angelucci, Francesco; Brookfield, Frederick Arthur;
Trigg, William John; Boyd, Edward Andrew; Larard,
Jonathan Anthony

PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

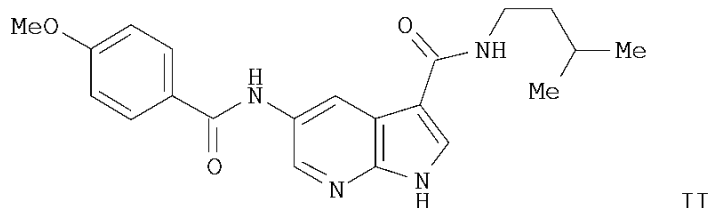
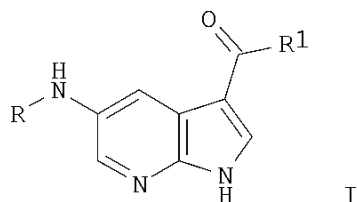
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005063746	A1	20050714	WO 2004-XC14674	20041223
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2005063746	A1	20050714	WO 2004-EP14674	20041223
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

GB 2003-30043 A 20031224

WO 2004-EP14674 20041223

GI

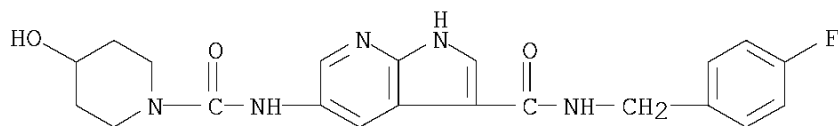


AB The title compds. [I; R = Ra, CORa, CONRaRb, SO2Ra, CO2Ra; R1 = NRcRd, ORc; Ra, Rb, Rc and Rd = H, alkyl, cycloalkyl, etc.] and pharmaceutically acceptable salts thereof together with pharmaceutical compns. comprising them, as well as combinatorial libraries of compds. I, are disclosed. Preparation of compds. I is described in eleven synthetic examples. E.g., a multi-step synthesis of II, starting from 5-nitro-1H-pyrrolo[2,3-b]pyridine-3-carboxylic acid and isoamylamine-bearing resin, was given. The compds. I or compns. comprising them may be useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity (no biol. data given) such as cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases and neurodegenerative disorders. Also disclosed is a process under SPS conditions for preparing the compds. I and chemical libraries comprising a plurality of them. [This abstract record is one of 4 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 865847-73-0P 865847-95-6P 865848-03-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrrolo[2,3-b]pyridine derivs. as kinase inhibitors)

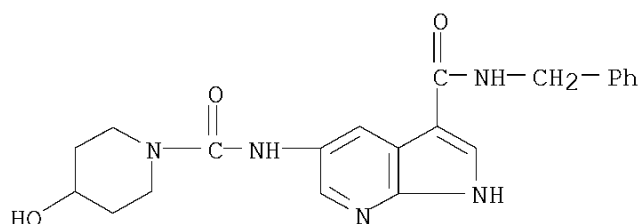
RN 865847-73-0 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide,
 N-[(4-fluorophenyl)methyl]-5-[[(4-hydroxy-1-piperidiny)l)carbonyl]amino]-
 (CA INDEX NAME)

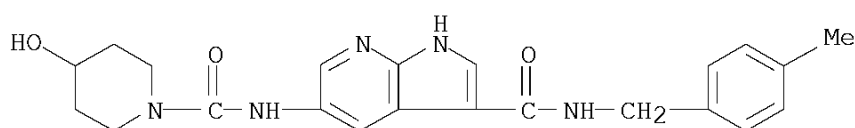


RN 865847-95-6 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide,
 5-[[(4-hydroxy-1-piperidiny)l)carbonyl]amino]-N-(phenylmethyl)- (CA INDEX NAME)



RN 865848-03-9 CAPLUS
 CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide,
 5-[[(4-hydroxy-1-piperidiny) carbonyl] amino]-N-[(4-methylphenyl)methyl]-
 (CA INDEX NAME)



L4 ANSWER 100 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:983962 CAPLUS

DOCUMENT NUMBER: 143:286274

TITLE: Preparation of substituted thiophene inhibitors of protein tyrosine phosphatase 1B for treating diabetes and related diseases

INVENTOR(S): Lee, Jinbo; Wan, Zhao-Kui; Wilson, Douglas P.; Follows, Bruce C.; Kirincich, Steve J.; Smith, Michael J.; Wu, Jun-Jun; Foreman, Kenneth W.; Erbe, David V.; Zhang, Yan-Ling; Xu, Weixin; Tam, Steve Y.

PATENT ASSIGNEE(S): Wyeth, USA

SOURCE: PCT Int. Appl., 510 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

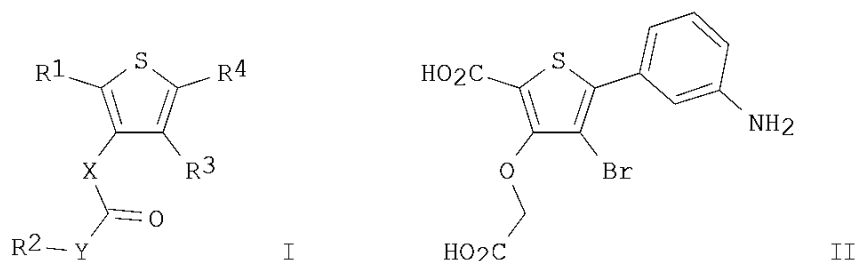
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

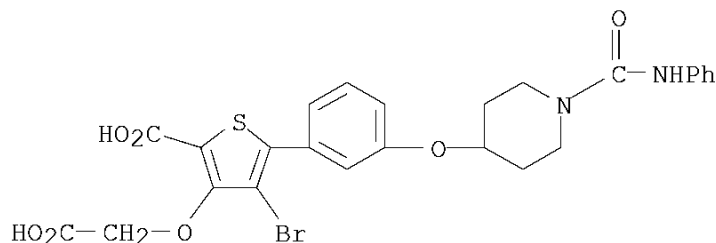
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005081954	A2	20050909	WO 2005-US5704	20050223
WO 2005081954	A3	20060921		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20050203087	A1	20050915	US 2005-63475	20050223
US 7521473	B2	20090421		

PRIORITY APPLN. INFO.: US 2004-547049P P 20040225

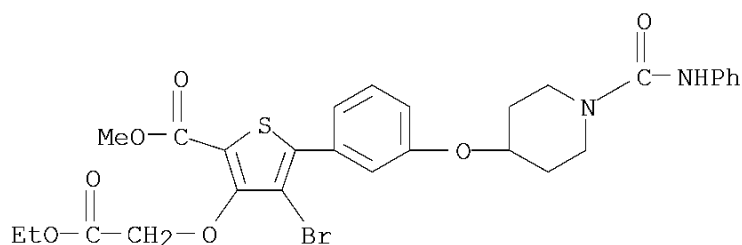


- AB The invention provides a method for using a protein tyrosine phosphatase (PTPase) inhibitor (especially an inhibitor of PTPase 1B) described by the formula (I) [R1 = R5, OR5, CO2R5, etc.; R2 = R5; X = (un)substituted O-alkylene, alk(en/yn)ylene, SO-alkylene, etc.; Y = absent, O, NH and derivs.; R3 = H, halo, CN, CF3, etc.; R4 = A-B-E-D; A = absent, (un)substituted hetero/arylene, alkylene, etc.; B = absent, NH and derivs., NHCO and derivs., etc.; E = absent, (un)substituted cycloalkylene, arylene, alkylene, etc.; D = one or more H, halo, OH, NH2, NO2; with proviso; R5 = H, (un)substituted alk(en/yn)yl, cycloalkyl, etc.] or a pharmaceutically acceptable salt or prodrug thereof for the treatment of diabetes, obesity, autoimmune diseases, etc. (no data). The invention also provides the preparation of substituted thiophenes I. For example, II was prepared from 4,5-dibromo-3-[(tert-butoxycarbonyl)methoxy]thiophene-2-carboxylic acid Me ester (preparation given) and 3-aminophenylboronic acid.
- IT 864136-43-6P, 4-Bromo-3-(carboxymethoxy)-5-[3-[[1-(phenylcarbamoyl)piperidin-4-yl]oxy]phenyl]thiophene-2-carboxylic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of substituted thiophene inhibitors of protein tyrosine phosphatase 1B for treating diabetes and related diseases)
- RN 864136-43-6 CAPLUS
- CN 2-Thiophenecarboxylic acid, 4-bromo-3-(carboxymethoxy)-5-[3-[[1-[(phenylamino)carbonyl]-4-piperidinyl]oxy]phenyl]- (CA INDEX NAME)



- IT 864136-46-9P, 4-Bromo-3-[(ethoxycarbonyl)methoxy]-5-[3-[[1-(phenylcarbamoyl)piperidin-4-yl]oxy]phenyl]thiophene-2-carboxylic acid methyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of substituted thiophene inhibitors of protein tyrosine phosphatase 1B for treating diabetes and related diseases)
- RN 864136-46-9 CAPLUS

CN 2-Thiophenecarboxylic acid, 4-bromo-3-(2-ethoxy-2-oxoethoxy)-5-[3-[[1-
[(phenylamino)carbonyl]-4-piperidinyl]oxy]phenyl]-, methyl ester (CA
INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L4 ANSWER 101 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:977021 CAPLUS

DOCUMENT NUMBER: 143:286439

TITLE: Preparation of pyridine and pyrimidine derivatives as
inhibitors of hepatocyte growth factor receptor (HGFR)

INVENTOR(S): Matsushima, Tomohiro; Takahashi, Keiko; Funasaka,
Setsuo; Obaishi, Hiroshi

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 537 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

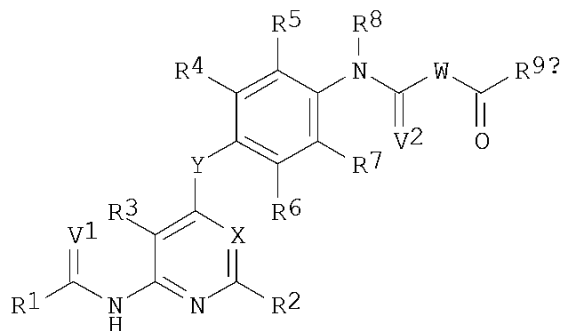
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

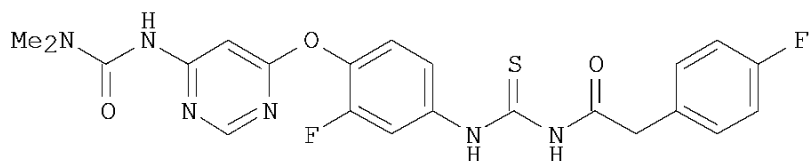
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082855	A1	20050909	WO 2005-JP3704	20050225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005217328	A1	20050909	AU 2005-217328	20050225
AU 2005217328	B2	20071004		
CA 2543861	A1	20050909	CA 2005-2543861	20050225
US 20050277652	A1	20051215	US 2005-65631	20050225
US 7531532	B2	20090512		
EP 1719763	A1	20061108	EP 2005-719976	20050225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1906167	A	20070131	CN 2005-80001923	20050225
KR 2006113991	A	20061103	KR 2006-713907	20060711
KR 799535	B1	20080131		
IN 2006CN03532	A	20070615	IN 2006-CN3532	20060926
PRIORITY APPLN. INFO.:			JP 2004-54451	A 20040227

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 143:286439
 GI



I



II

AB The title compds. (I) [R1 = each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-10 cycloalkyl, C8-10 aryl, C1-6 alkoxy, 5 - to 10-membered heteroaryl, 3- to 10-membered nonarom. heterocyclyl, or NH2; R2 = R3 = H; R4-R7 = H, halo, HO, cyano, CF3, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, NH2, mono- or di(C1-6)alkylamino, COR12 (wherein R12 = H, HO, C1-6 alkyl, C1-6 alkoxy, NH2, mono- or di(C1-6 alkyl)amino); R8 = H, C1-6 alkyl; R9b = (un)substituted 3- to 10-membered nonarom, heterocyclyl containing N atom having a connecting bond, or NH2; V1, V2 = O, S; W = a single bond, C(Rw1)(Rw2) (wherein W1, W2 = H, halo, C1-6 alkyl, C1-6 alkoxy); X = C(R10) (wherein R10 = H, halo, cyano, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, COR12 (R1 = same as above)); Y = O, S, S(O), S(O)2, alkyl-(un)substituted NH] or their salts or hydrates thereof are prepared These compds. exhibit excellent hepatocyte growth factor receptor (HGFR) inhibiting activity, antitumor activity, vascularization inhibiting activity, or cancer metastasis inhibiting activity and are useful as angiogenesis inhibitors, antitumor agents, or cancer metastasis inhibitors for treating pancreatic cancer, stomach cancer, colorectal cancer, breast cancer, prostate cancer, lung cancer, kidney cancer, brain tumor, or ovarian cancer. Thus, 148 mg 2-(4-fluorophenyl)acetyl chloride was dissolved in 5 mL MeCN, treated with 167 mg potassium thiocyanate at 60°, stirred at the same temperature for 5 h, cooled to room temperature, treated with a solution of 100 mg N-[6-(4-amino-2-fluorophenoxy)pyrimidin-4-yl]-N',N'-dimethylurea in 3 mL MeCN, and stirred for 40 min to give, after workup and silica gel chromatog., N'-[6-[2-fluoro-4-[N'-[2-(4-fluorophenyl)acetyl]thioureido]phenoxy]pyrimidin-4-yl]-N,N-dimethylurea (II). II showed IC50 of 0.018 μ M against of hepatocyte growth factor receptor (HGFR) tyrosine kinase.

IT 864241-39-4P

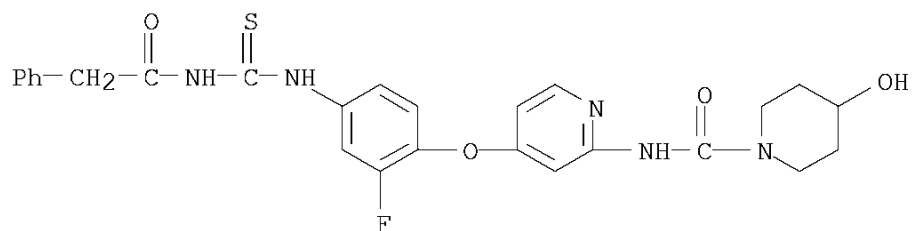
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridine and pyrimidine derivs. as inhibitors of hepatocyte

growth factor receptor (HGFR), angiogenesis inhibitors, cancer metastasis inhibitors, and antitumor agents)

RN 864241-39-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[2-fluoro-4-[[[(2-phenylacetyl)amino]thioxomethyl]amino]phenoxy]-2-pyridinyl]-4-hydroxy- (CA INDEX NAME)



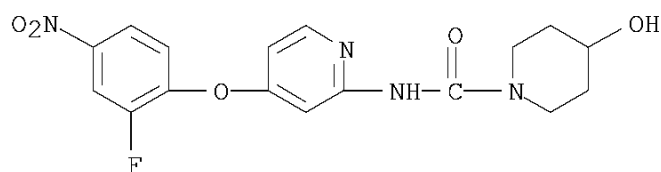
IT 864244-76-8P 864245-85-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridine and pyrimidine derivs. as inhibitors of hepatocyte growth factor receptor (HGFR), angiogenesis inhibitors, cancer metastasis inhibitors, and antitumor agents)

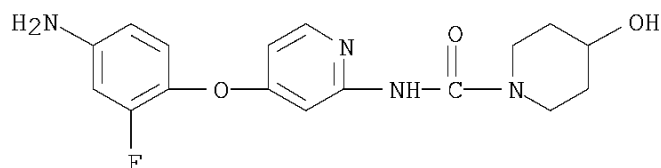
RN 864244-76-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-(2-fluoro-4-nitrophenoxy)-2-pyridinyl]-4-hydroxy- (CA INDEX NAME)



RN 864245-85-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-(4-amino-2-fluorophenoxy)-2-pyridinyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 102 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:977020 CAPLUS

DOCUMENT NUMBER: 143:286438

TITLE: Preparation of pyridine and pyrimidine derivatives as hepatocyte growth factor receptor inhibitors, angiogenesis inhibitors, and tumor inhibitors

INVENTOR(S): Matsushima, Tomohiro; Takahashi, Keiko; Funasaka,

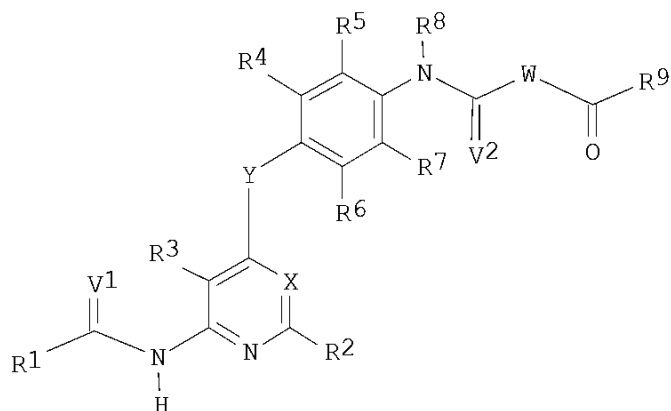
PATENT ASSIGNEE(S): Setsuo; Obaishi, Hiroshi
 SOURCE: Eisai Co., Ltd., Japan
 PCT Int. Appl., 601 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082854	A1	20050909	WO 2005-JP3701	20050225
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005217325	A1	20050909	AU 2005-217325	20050225
AU 2005217325	B2	20071129		
CA 2543859	A1	20050909	CA 2005-2543859	20050225
US 20050277652	A1	20051215	US 2005-65631	20050225
US 7531532	B2	20090512		
EP 1719762	A1	20061108	EP 2005-719973	20050225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1906166	A	20070131	CN 2005-80001760	20050225
BR 2005007201	A	20080610	BR 2005-7201	20050225
RU 2330021	C2	20080727	RU 2006-134254	20050225
NZ 547517	A	20090430	NZ 2005-547517	20050225
US 20070270421	A1	20071122	US 2006-577065	20060424
KR 2006113992	A	20061103	KR 2006-713940	20060711
KR 799534	B1	20080131		
MX 2006009655	A	20061030	MX 2006-9655	20060824
NO 2006004335	A	20061127	NO 2006-4335	20060925
IN 2006CN03530	A	20070615	IN 2006-CN3530	20060926
PRIORITY APPLN. INFO.:			JP 2004-54451	A 20040227
			JP 2004-370801	A 20041222
			WO 2005-JP3701	W 20050225

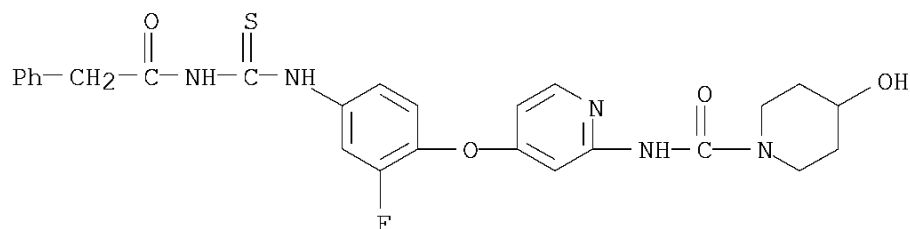
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 143:286438

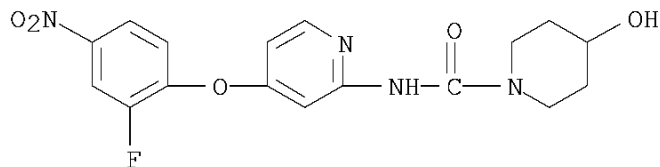
GI



- AB The title compds. I [R1 = alkyl, alkenyl, alkynyl, etc.; R2, R3 = H; R4 - R7 = H, halo, cyano, alkyl, etc.; R8 = H, alkyl; R9 = alkyl, alkenyl, alkynyl, etc.; V1, V2 = O, S; W = NR; R = H, alkyl; X = CR10, N; R10 = H, halo, cyano, etc.; Y = O, S, sulfinyl, etc.] are prepared Thus, a solution of phenylacetylthiocyanate in toluene was added to a mixture of 3-[4-(4-aminophenoxy)pyridin-2-yl]-1-methyl-1-(1-methylpiperidin-4-yl)urea and D-10-camphorsulfonic acid in ethanol; the resulting mixture was stirred for 1.5 h to give, after workup and purification, 1-methyl-1-(1-methylpiperidin-4-yl)-3-[4-[4-(3-phenylacetylthioureido)phenoxy]pyridin-2-yl]urea. In a test for the inhibition of hepatocyte growth factor receptor (HGFR) tyrosine kinase, compds. of this invention in vitro showed IC50 values of 0.016 μ M to 0.1 μ M.
- IT 864241-39-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyridine and pyrimidine derivs. as hepatocyte growth factor receptor inhibitors, angiogenesis inhibitors, and tumor inhibitors)
- RN 864241-39-4 CAPLUS
- CN 1-Piperidinecarboxamide, N-[4-[2-fluoro-4-[[[(2-phenylacetyl)amino]thioxomethyl]amino]phenoxy]-2-pyridinyl]-4-hydroxy- (CA INDEX NAME)

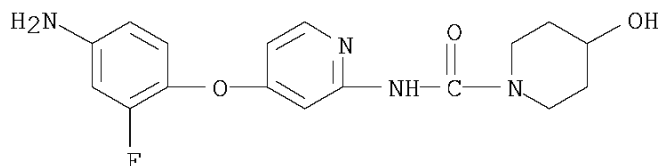


- IT 864244-76-8P 864245-85-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of pyridine and pyrimidine derivs. as hepatocyte growth factor receptor inhibitors, angiogenesis inhibitors, and tumor inhibitors)
- RN 864244-76-8 CAPLUS
- CN 1-Piperidinecarboxamide, N-[4-(2-fluoro-4-nitrophenoxy)-2-pyridinyl]-4-hydroxy- (CA INDEX NAME)



RN 864245-85-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-(4-amino-2-fluorophenoxy)-2-pyridinyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 103 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:902862 CAPLUS

DOCUMENT NUMBER: 143:248381

TITLE: Preparation of indazole compounds as MMP-9 inhibitors

INVENTOR(S): Takemiya, Akihiro; Nakajo, Masahiro; Oshima, Hisae; Yanagi, Tomotaka; Mochizuki, Mami; Nakamura, Hideo

PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan

SOURCE: PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005077912	A1	20050825	WO 2005-JP1996	20050210
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1714961	A1	20061025	EP 2005-710048	20050210
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
US 20070173537	A1	20070726	US 2007-589130	20070116
PRIORITY APPLN. INFO.:			JP 2004-35565	A 20040212
			WO 2005-JP1996	W 20050210

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 143:248381
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

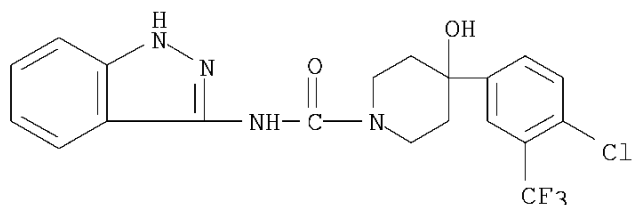
AB Title compds. I [R1 = H, (un)substituted alkyl, etc.; R2 = II, etc.; R6, R61 = H, halo, etc.; Ar = Ph, etc.] were prepared For example, reaction of 1H-indazol-3-ylcarbamic acid Et ester with 4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxypiperidine in the presence of KF-alumina followed by treatment with HCl afforded compound III in 66% yield. In MMP-9 (matrix metalloproteinase-9) production inhibition assays, the IC50 value of compound III was 0.79 μ M. Compds. I are claimed useful for the treatment of cancer.

IT 863109-28-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indazole compds. as MMP-9 inhibitors for treatment of cancer)

RN 863109-28-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



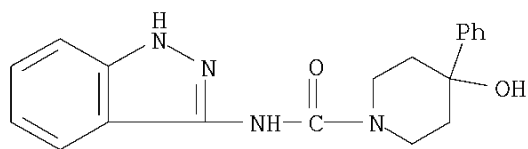
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	863109-38-0P	863109-39-1P	863109-40-4P
	863109-41-5P	863109-42-6P	863109-43-7P
	863109-44-8P	863109-45-9P	863109-46-0P
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	863109-59-5P	863109-60-8P	863109-61-9P
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	863110-63-8P	863110-64-9P	863110-65-0P
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	863110-69-4P	863110-70-7P	863110-71-8P
	863110-73-0P	863110-74-1P	863110-75-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indazole compds. as MMP-9 inhibitors for treatment of cancer)

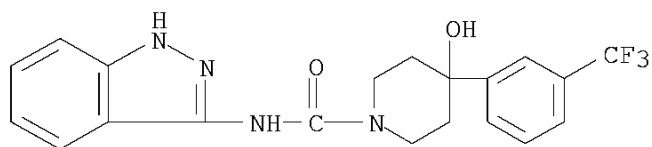
RN 863109-29-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-1H-indazol-3-yl-4-phenyl- (CA INDEX NAME)



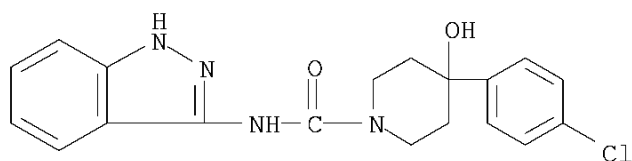
RN 863109-30-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-1H-indazol-3-yl-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



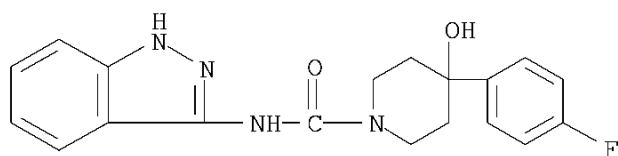
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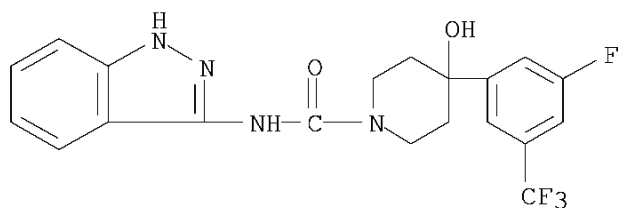
RN 863109-32-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-fluorophenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



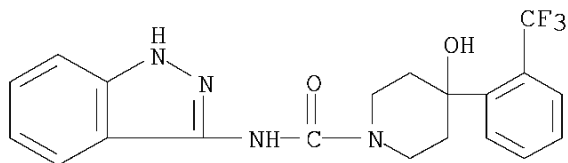
RN 863109-33-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



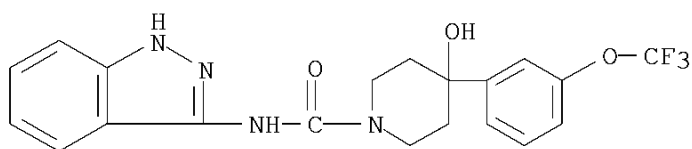
RN 863109-34-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-1H-indazol-3-yl-4-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



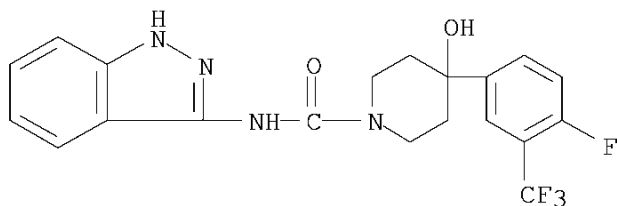
RN 863109-35-7 CAPLUS

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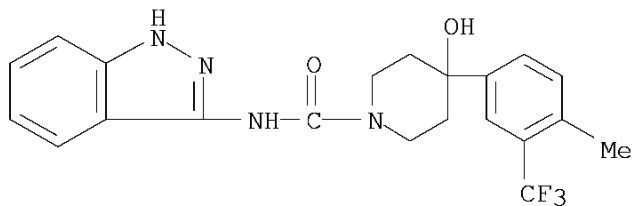
RN 863109-36-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-fluoro-3-(trifluoromethyl)phenyl]-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



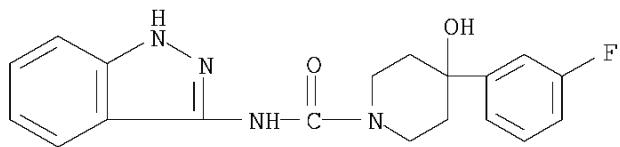
RN 863109-37-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-1H-indazol-3-yl-4-[4-methyl-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



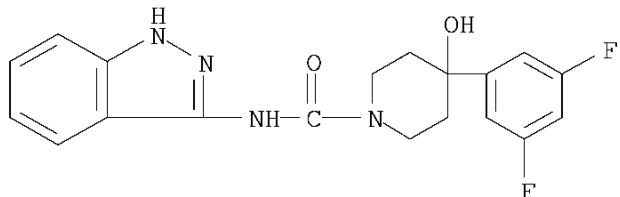
RN 863109-38-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-fluorophenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



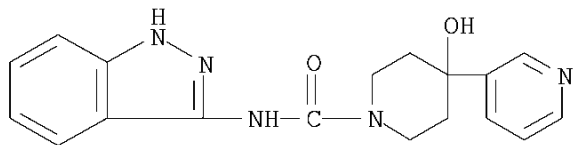
RN 863109-39-1 CAPLUS

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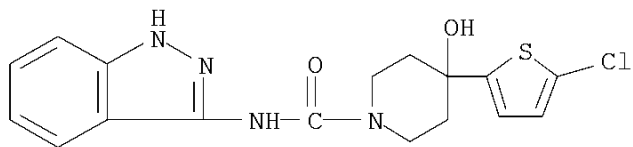
RN 863109-40-4 CAPLUS

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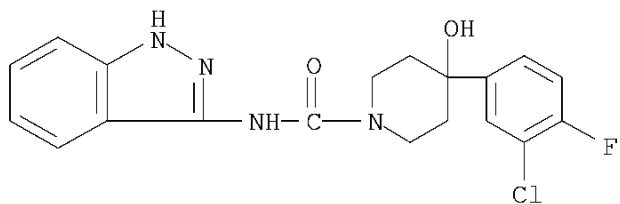
RN 863109-41-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(5-chloro-2-thienyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



RN 863109-42-6 CAPLUS

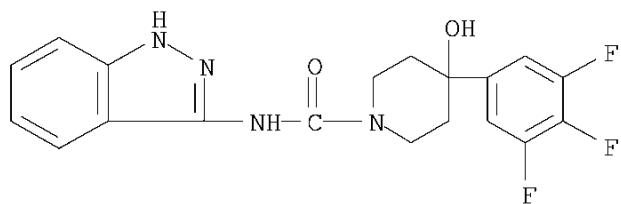
CN 1-Piperidinecarboxamide, 4-(3-chloro-4-fluorophenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



RN 863109-43-7 CAPLUS

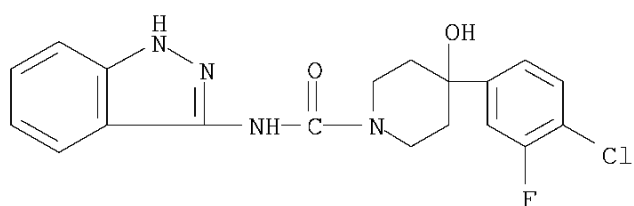
CN 1-Piperidinecarboxamide, 4-hydroxy-N-1H-indazol-3-yl-4-(3,4,5-

trifluorophenyl)- (CA INDEX NAME)



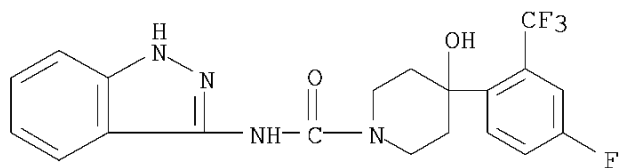
RN 863109-44-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chloro-3-fluorophenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



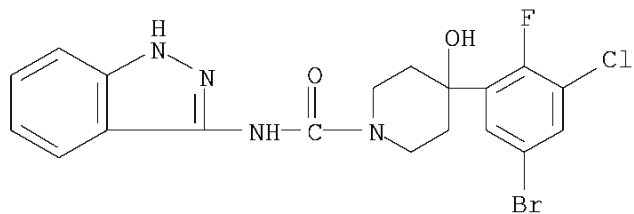
RN 863109-45-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-fluoro-2-(trifluoromethyl)phenyl]-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



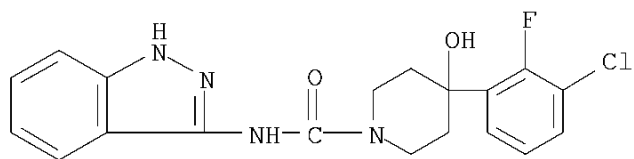
RN 863109-46-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(5-bromo-3-chloro-2-fluorophenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



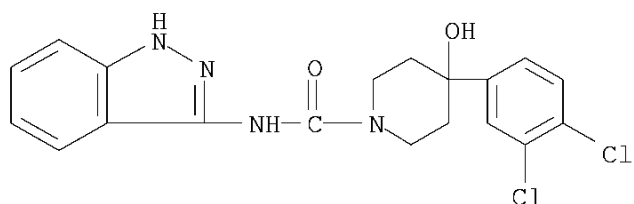
RN 863109-47-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-chloro-2-fluorophenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



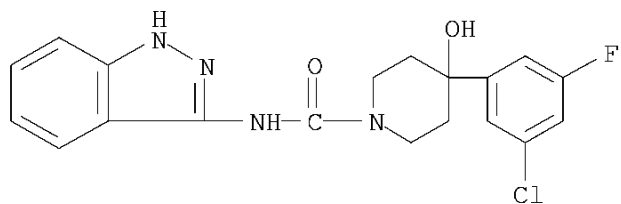
RN 863109-48-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3,4-dichlorophenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



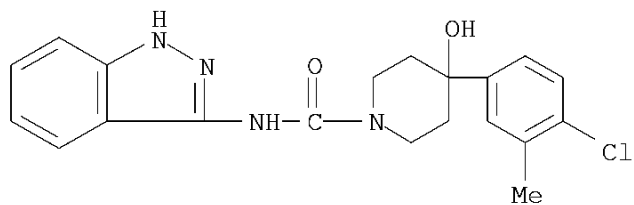
RN 863109-49-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-chloro-5-fluorophenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



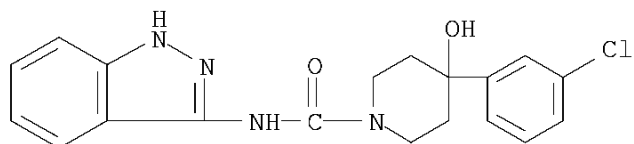
RN 863109-50-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chloro-3-methylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)

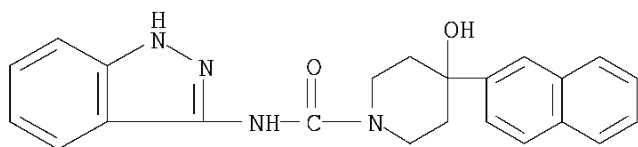


RN 863109-51-7 CAPLUS

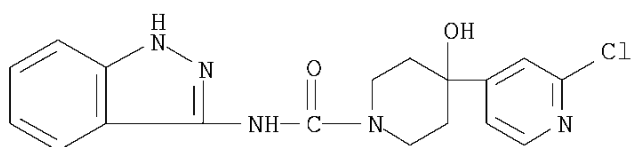
CN 1-Piperidinecarboxamide, 4-(3-chlorophenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



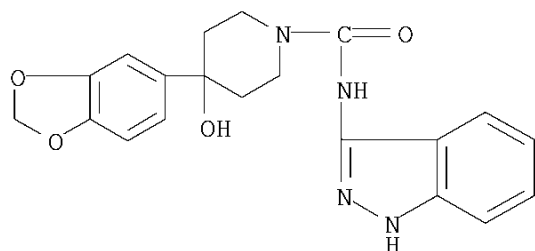
RN 863109-52-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-1H-indazol-3-yl-4-(2-naphthalenyl)-
(CA INDEX NAME)



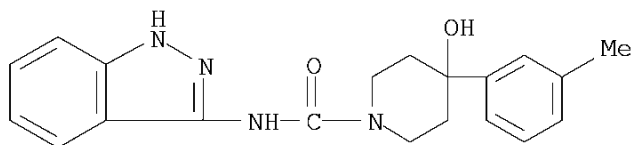
RN 863109-53-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-(2-chloro-4-pyridinyl)-4-hydroxy-N-1H-indazol-3-yl-
(CA INDEX NAME)



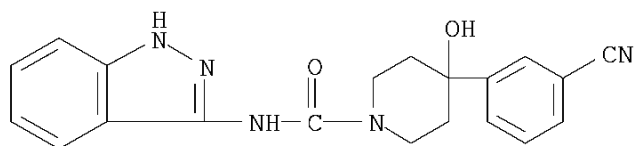
RN 863109-54-0 CAPLUS
CN 1-Piperidinecarboxamide, 4-(1,3-benzodioxol-5-yl)-4-hydroxy-N-1H-indazol-3-yl-
(CA INDEX NAME)



RN 863109-55-1 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-1H-indazol-3-yl-4-(3-methylphenyl)-
(CA INDEX NAME)

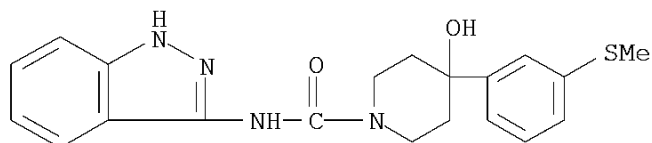


RN 863109-56-2 CAPLUS
CN 1-Piperidinecarboxamide, 4-(3-cyanophenyl)-4-hydroxy-N-1H-indazol-3-yl-
(CA INDEX NAME)



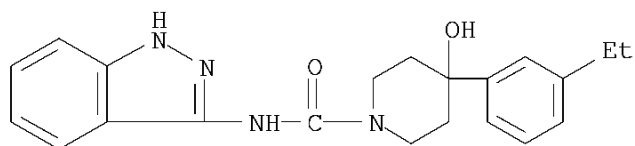
RN 863109-57-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-1H-indazol-3-yl-4-[3-(methylthio)phenyl]- (CA INDEX NAME)



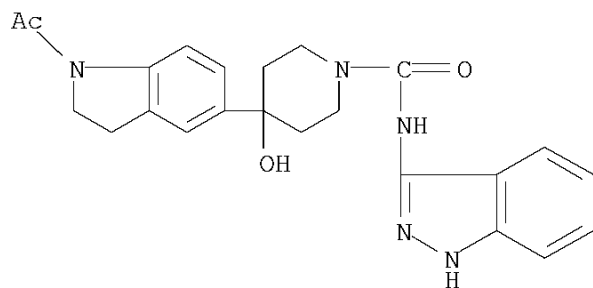
RN 863109-58-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-ethylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



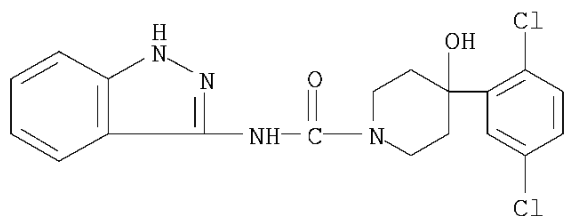
RN 863109-59-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



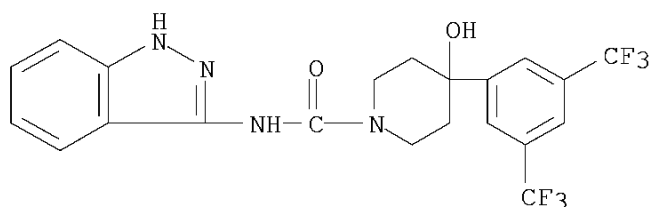
RN 863109-60-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2,5-dichlorophenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



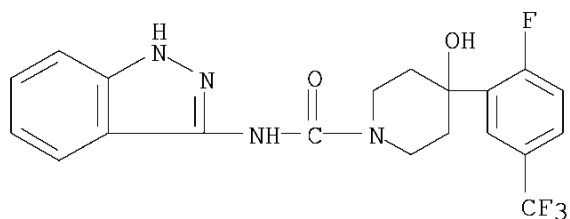
RN 863109-61-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



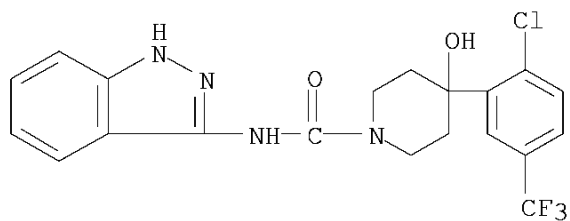
RN 863109-62-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



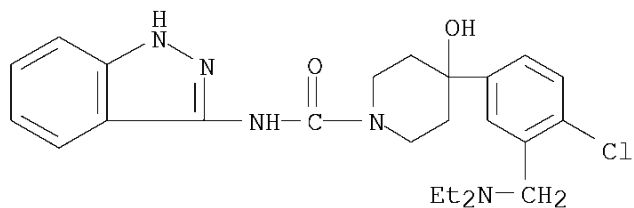
RN 863109-63-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[2-chloro-5-(trifluoromethyl)phenyl]-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



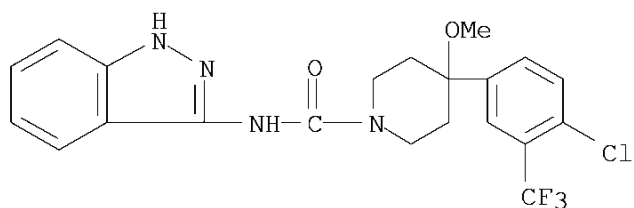
RN 863109-65-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-chloro-3-[(diethylamino)methyl]phenyl]-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



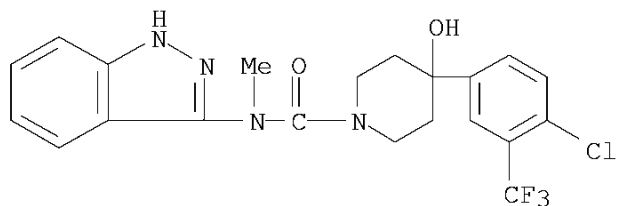
RN 863110-46-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-chloro-3-(trifluoromethyl)phenyl]-N-1H-indazol-3-yl-4-methoxy- (CA INDEX NAME)



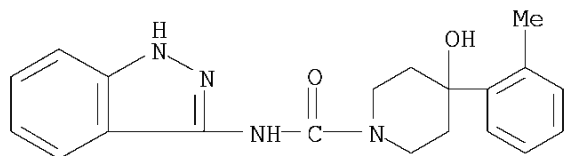
RN 863110-49-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy-N-1H-indazol-3-yl-N-methyl- (CA INDEX NAME)



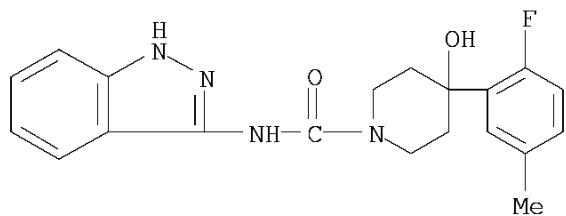
RN 863110-58-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-1H-indazol-3-yl-4-(2-methylphenyl)- (CA INDEX NAME)



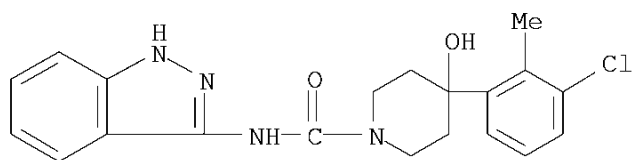
RN 863110-59-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-fluoro-5-methylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



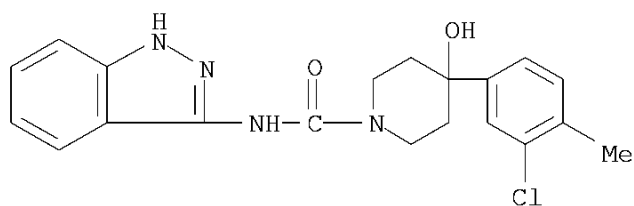
RN 863110-61-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-chloro-2-methylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



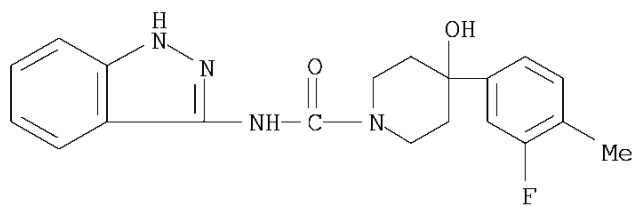
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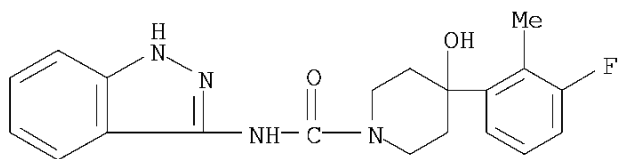
RN 863110-63-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-fluoro-4-methylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



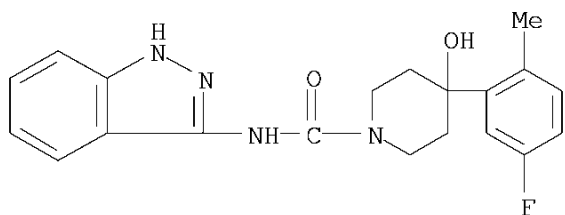
RN 863110-64-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3-fluoro-2-methylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



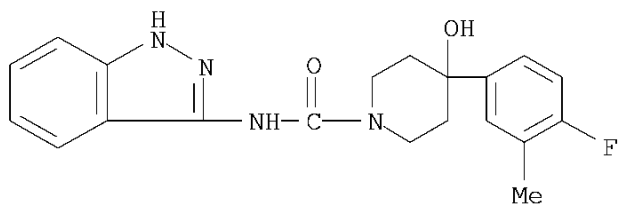
RN 863110-65-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(5-fluoro-2-methylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



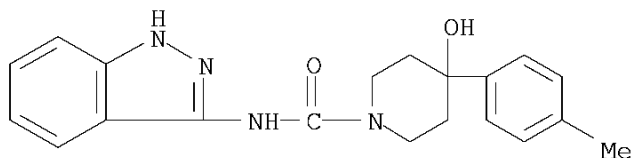
RN 863110-66-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-fluoro-3-methylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



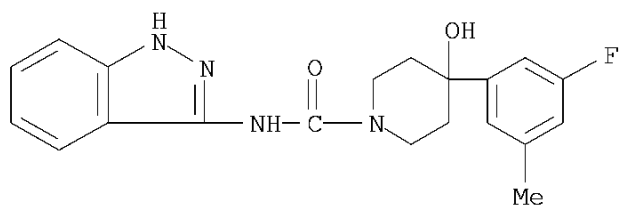
RN 863110-67-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-1H-indazol-3-yl-4-(4-methylphenyl)- (CA INDEX NAME)

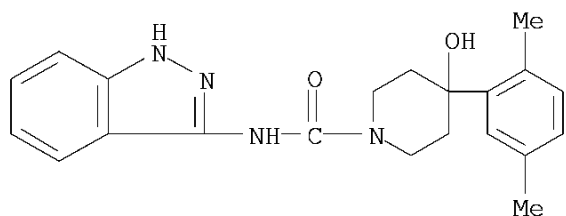


RN 863110-68-3 CAPLUS

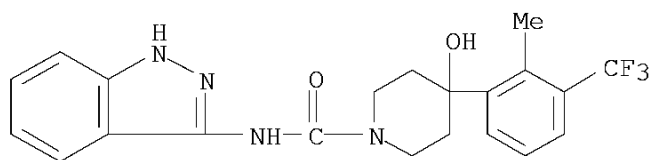
CN 1-Piperidinecarboxamide, 4-(3-fluoro-5-methylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



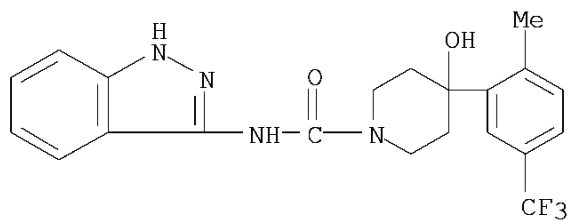
RN 863110-69-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(2,5-dimethylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



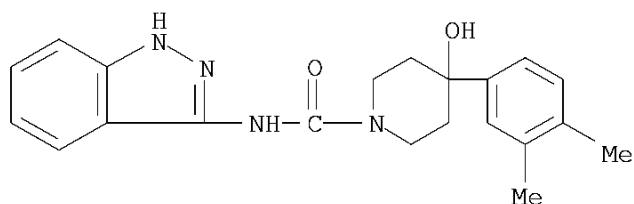
RN 863110-70-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-hydroxy-N-1H-indazol-3-yl-4-[2-methyl-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



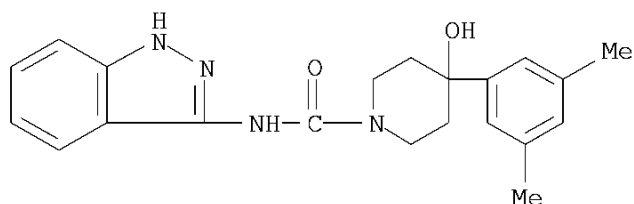
RN 863110-71-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-hydroxy-N-1H-indazol-3-yl-4-[2-methyl-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



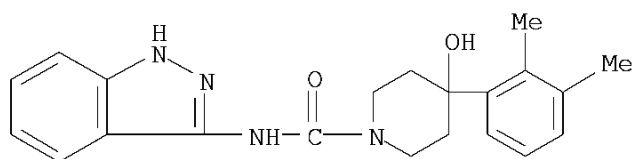
RN 863110-73-0 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3,4-dimethylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



RN 863110-74-1 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(3,5-dimethylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)

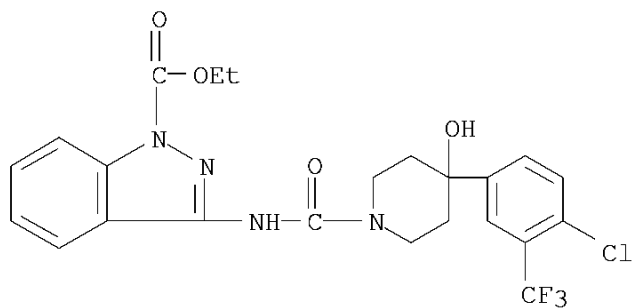


RN 863110-75-2 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(2,3-dimethylphenyl)-4-hydroxy-N-1H-indazol-3-yl- (CA INDEX NAME)



IT 863111-33-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of indazole compds. as MMP-9 inhibitors for treatment of cancer)

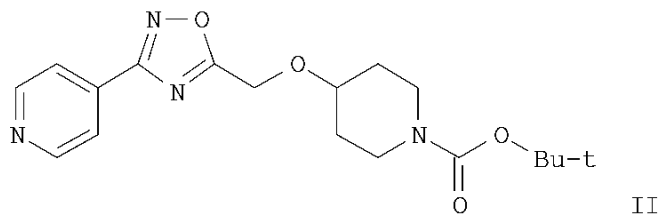
RN 863111-33-5 CAPLUS
 CN 1H-Indazole-1-carboxylic acid, 3-[[[4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy-1-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 104 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2005:588949 CAPLUS
 DOCUMENT NUMBER: 143:115543
 TITLE: Preparation of heterocyclic derivatives as GPCR
 receptor agonists
 INVENTOR(S): Fyfe, Matthew; Gardner, Lisa; King-Underwood, John;
 Procter, Martin; Rasamison, Chrystelle; Schofield,
 Karen; Thomas, Gerard Hugh
 PATENT ASSIGNEE(S): Prosidion Limited, UK
 SOURCE: PCT Int. Appl., 73 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061489	A1	20050707	WO 2004-GB50046	20041223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004303604	A1	20050707	AU 2004-303604	20041223
CA 2549955	A1	20050707	CA 2004-2549955	20041223
EP 1711491	A1	20061018	EP 2004-806264	20041223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1898235	A	20070117	CN 2004-80039018	20041223
BR 2004018149	A	20070417	BR 2004-18149	20041223
JP 2007517010	T	20070628	JP 2006-546340	20041223
NZ 547965	A	20091224	NZ 2004-547965	20041223
IN 2006MN00699	A	20070309	IN 2006-MN699	20060614
MX 2006007135	A	20060907	MX 2006-7135	20060621
ZA 2006005164	A	20071128	ZA 2006-5164	20060622
KR 2006127011	A	20061211	KR 2006-712739	20060623
IN 2008KN02387	A	20090123	IN 2008-KN2387	20080612
US 20090281060	A1	20091112	US 2008-584025	20080826
PRIORITY APPLN. INFO.:			US 2003-532370P	P 20031224
			WO 2004-GB50046	W 20041223
			IN 2006-MN699	A3 20060614
OTHER SOURCE(S):			CASREACT 143:115543; MARPAT 143:115543	
GI				



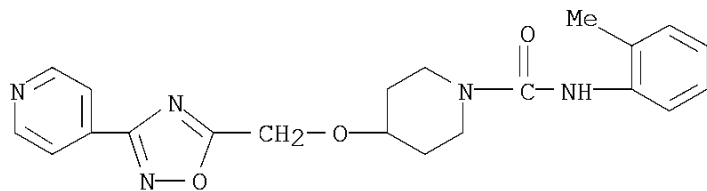
AB The title compds. R1-A-V-B-R2 [I; V = 5-membered heteroaryl containing up to four heteroatoms selected from O, N and S and optionally substituted by alkyl; A = CH:CH, (CH₂)_n; B = CH:CH, (CH₂)_n, where one of CH₂ groups may be replaced by O, NR₅, SO_m, CO, CONR₁₂; n = 1-3; m = 0-2; R₁ = (un)substituted 3- or 4-pyridyl, 4- or 5-pyrimidinyl or 2-pyrazinyl; R₂ = (un)substituted 4-7 membered cycloalkyl or heterocyclyl or 5-6 membered heteroaryl; R₅ = H, cycloalkyl, alkyl, etc.; R₁₂ = H, alkyl, cycloalkyl; with the provision] which are agonists of GPR116 and are useful as regulators of satiety, e.g. for the treatment of obesity, and for the treatment of diabetes, were prepared Thus, cyclization of tert-Bu 4-carboxymethoxypiperidine-1-carboxylate (preparation given) with N-hydroxyisonicotinamide afforded II. The compds. I showed a concentration-dependent increase in intracellular cAMP level and generally had

an EC₅₀ of <10 μM in cell line expressing recombinant human GPR116.

IT 857653-35-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of substituted oxadiazoles as GPCR receptor agonists)

RN 857653-35-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(2-methylphenyl)-4-[[3-(4-pyridinyl)-1,2,4-oxadiazol-5-yl]methoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 105 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:564644 CAPLUS

DOCUMENT NUMBER: 143:97280

TITLE: Preparation of benzazepine derivatives as histamine H₃ antagonists

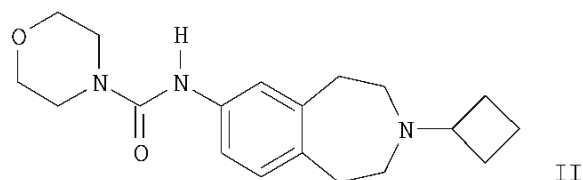
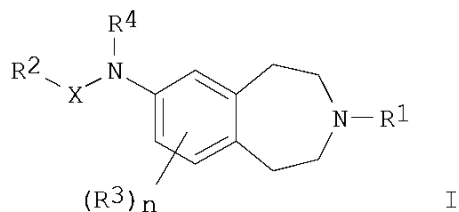
INVENTOR(S): Bailey, Nicholas; Bamford, Mark James; Dean, David Kenneth; Pickering, Paula Louise; Wilson, David Matthew; Witherington, Jason

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 68 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058837	A1	20050630	WO 2004-EP14380	20041215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1713778	A1	20061025	EP 2004-803989	20041215
EP 1713778	B1	20080116		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007514690	T	20070607	JP 2006-544347	20041215
AT 384050	T	20080215	AT 2004-803989	20041215
ES 2299896	T3	20080601	ES 2004-803989	20041215
PRIORITY APPLN. INFO.:			GB 2003-29214	A 20031217
			WO 2004-EP14380	W 20041215
OTHER SOURCE(S):			CASREACT 143:97280; MARPAT 143:97280	
GI				



AB Title compds. I [R1 = (un)substituted cycloalkyl; R2 = H, alkyl, cycloalkyl, etc.; X = a bond, CO, CO2, etc.; R3 = halo, alkoxy, CN, etc.; R4 = H, aryl, heteroaryl, etc.; n = 0-2] and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of histamine H3. Thus, e.g., II was prepared by reductive amination of N-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-4-morpholinecarboxamide (preparation given) with cyclobutanone. The activity of I was evaluated in the

histamine H3 functional antagonist assay and it was revealed that numerous compds. of the invention possessed antagonism > 6.5 pKb. I as histamine H3 antagonists should prove useful in the treatment of neurol. disorders. Pharmaceutical compns. comprising I are disclosed.

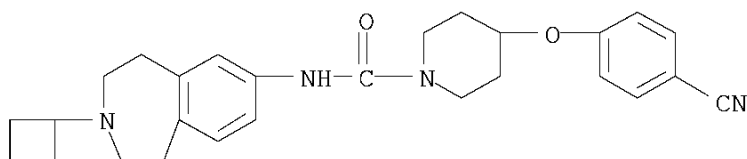
IT 856902-58-4P 856902-73-3P 856902-74-4P
856902-75-5P 856902-76-6P 856902-77-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzazepine derivs. as histamine H3 antagonists)

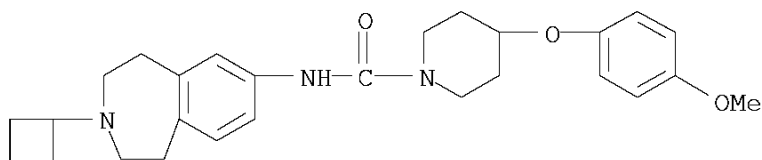
RN 856902-58-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-cyanophenoxy)-N-(3-cyclobutyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)- (CA INDEX NAME)



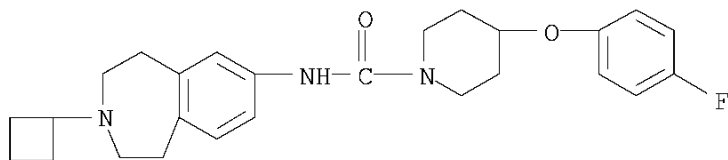
RN 856902-73-3 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-cyclobutyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-4-(4-methoxyphenoxy)- (CA INDEX NAME)



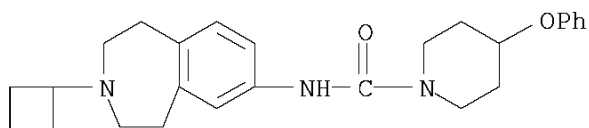
RN 856902-74-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-cyclobutyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-4-(4-fluorophenoxy)- (CA INDEX NAME)



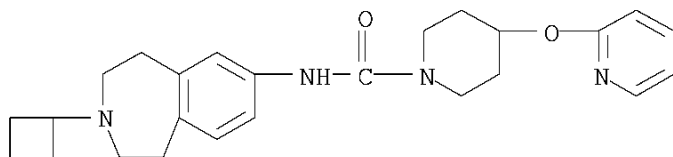
RN 856902-75-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-cyclobutyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-4-phenoxy- (CA INDEX NAME)



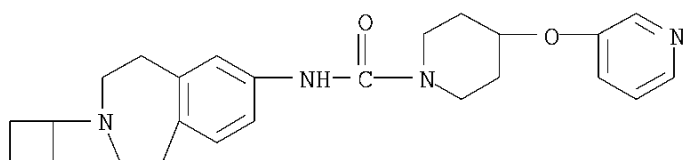
RN 856902-76-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-cyclobutyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-4-(2-pyridinyloxy)- (CA INDEX NAME)



RN 856902-77-7 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-cyclobutyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-4-(3-pyridinyloxy)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 106 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:493509 CAPLUS

DOCUMENT NUMBER: 143:43776

TITLE: Preparation of pyridinyl-piperidine-carboxamide derivatives as modulators of vanilloid-1 receptor (vr1)

INVENTOR(S): Bayliss, Tracy; Brown, Rebecca Elizabeth; Burkamp, Frank; Jones, A. Brian; Neduvelil, Joseph George

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

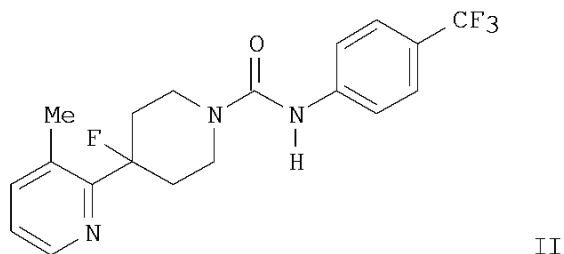
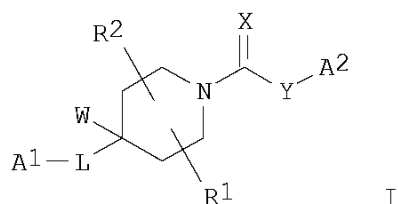
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051390	A1	20050609	WO 2004-GB4538	20041027
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004292382	A1	20050609	AU 2004-292382	20041027
EP 1682141	A1	20060726	EP 2004-769034	20041027

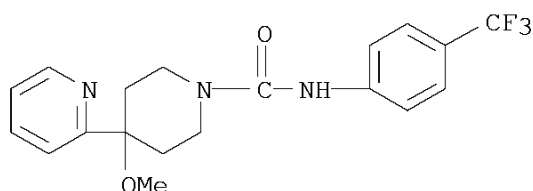
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
 CN 1874775 A 20061206 CN 2004-80032236 20041027
 JP 2007509915 T 20070419 JP 2006-537408 20041027
 US 20070135423 A1 20070614 US 2006-577585 20060427
 PRIORITY APPLN. INFO.: GB 2003-25287 A 20031029
 WO 2004-GB4538 W 20041027
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 143:43776; MARPAT 143:43776
 GI



AB Title compds. I [A1 = (un)substituted-Ph, -6-membered aromatic heterocycle containing 1-3 nitrogen atoms, -5-membered aromatic heterocycle containing up to 4 heteroatoms selected from O, N and S, at most one heteroatom being O or S; A2 = (un)substituted-Ph, -6-membered aromatic heterocycle containing 1-3 nitrogen atoms, -5-membered aromatic heterocycle containing up to 4 heteroatoms selected from O, N and S, at most one heteroatom being O or S; L = bond or alkylene; R1 and R2 independently = H, alkyl or R1 and R2 together may form methylene or ethylene bridge; W = halo, alkyl, haloalkyl, etc.; X = O, S, NR3; R3 = H, OH, cyano, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of vanilloid-1 receptor. Thus, e.g., II was prepared by deprotection of tert-Bu 4-fluoro-4-(3-methylpyridin-2-yl)piperidine-1-carboxylate (preparation given) followed by coupling with 4-trifluoromethylphenyl isocyanate. I should prove useful as modulators of vanilloid-1 receptor (no data given). I as modulator of vanilloid-1 receptor should prove useful in the treatment of pain and inflammation. Pharmaceutical compns. comprising I are disclosed.

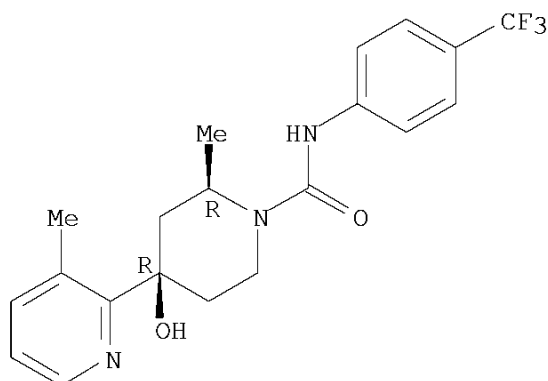
IT 853576-09-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyridinyl-piperidine-carboxamide derivs. as modulators of vanilloid-1 receptor (vr1))

RN 853576-09-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-methoxy-4-(2-pyridinyl)-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



IT 853576-46-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridinyl-piperidine-carboxamide derivs. as modulators of vanilloid-1 receptor (vr1))
RN 853576-46-2 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-2-methyl-4-(3-methyl-2-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-, (2R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 107 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:490293 CAPLUS
DOCUMENT NUMBER: 143:43903
TITLE: Preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation
INVENTOR(S): Boyce, Rustum S.; Speake, Jason D.; Phillips, James
PATENT ASSIGNEE(S): Chiron Corporation, USA; Glaxosmithkline
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

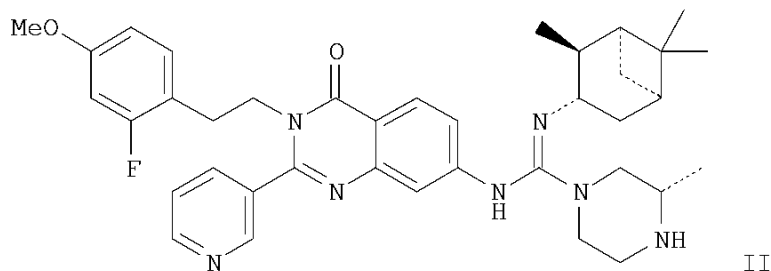
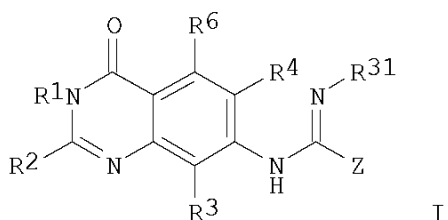
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005051391	A1	20050609	WO 2004-US39020	20041119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004293012	A1	20050609	AU 2004-293012	20041119
CA 2545601	A1	20050609	CA 2004-2545601	20041119
US 20050192297	A1	20050901	US 2004-993147	20041119
US 7368453	B2	20080506		
EP 1686996	A1	20060809	EP 2004-811698	20041119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1901916	A	20070124	CN 2004-80039762	20041119
JP 2007511612	T	20070510	JP 2006-541565	20041119
MX 2006005736	A	20061214	MX 2006-5736	20060519
IN 2006KN01610	A	20070511	IN 2006-KN1610	20060612
KR 2006105785	A	20061011	KR 2006-712089	20060619
PRIORITY APPLN. INFO.:			US 2003-523336P	P 20031119
			US 2003-524492P	P 20031124
			WO 2004-US39020	W 20041119

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 143:43903

GI



AB Title compds. [I; R1 = (substituted) aralkyl, heteroarylalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R2 = H, (substituted) aralkyl, heteroarylalkyl, alkoxy, alkylamino, dialkylamino, aryl, heteroaryl, heterocyclyl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R3, R4, R6 =

H, Cl, F, Br, iodo, OH, NH₂, cyano, NO₂, (substituted) alkoxy, alkyl; R₃₁ = H, (substituted) alkyl, aryl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, aralkyl, heteroarylalkyl, cycloalkylalkyl; Z = (substituted) 3-oxopiperazinyl; and tautomers], were prepared Thus, title compound (II) (preparation via coupling of 6-methylpiperazin-2-one with the corresponding quinazolinylthiourea derivative in the presence of polymer-supported carbodiimide) showed a plasma half life of 1.9 h in mice.

IT 817627-11-5P

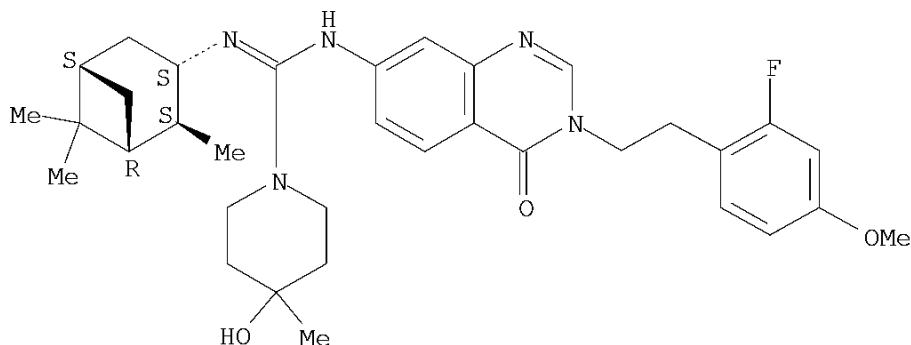
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation)

RN 817627-11-5 CAPLUS

CN 1-Piperidinecarboximidamide, N-[3-[2-(2-fluoro-4-methoxyphenyl)ethyl]-3,4-dihydro-4-oxo-7-quinazolinyl]-4-hydroxy-4-methyl-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 108 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:451355 CAPLUS

DOCUMENT NUMBER: 143:7980

TITLE: Preparation of amino acid aminoheterocyclyl amides as melanocortin receptor agonists

INVENTOR(S): Lee, Koo; Park, Heui-Sul; Ahn, In-Ae; Yoo, Hyun-Ju; Kim, Jong-Yup; Choi, Deog-Young; Yim, Hyeon-Joo; Chung, Kyung-Ha; Shim, Dong-Sup; Lee, Sang-Kyun; Kondoh, Yutaka; Hirabayashi, Ryoji; Honda, Shugo; Kaku, Hidetaka; Shishikura, Jun-ichi; Ito, Hiroyuki; Kurama, Takeshi

PATENT ASSIGNEE(S): Lg Life Sciences Ltd., S. Korea; Yamanouchi Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

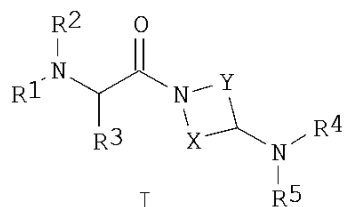
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005047251	A1	20050526	WO 2004-KR2929	20041112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
KR 2005045928	A	20050517	KR 2004-92249	20041112
CA 2545644	A1	20050526	CA 2004-2545644	20041112
EP 1685102	A1	20060802	EP 2004-800082	20041112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
JP 2007510717	T	20070426	JP 2006-539393	20041112
US 20070129346	A1	20070607	US 2006-579042	20060510
PRIORITY APPLN. INFO.:			KR 2003-79799	A 20031112
			KR 2004-65820	A 20040820
			WO 2004-KR2929	W 20041112

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 143:7980; MARPAT 143:7980
 GI



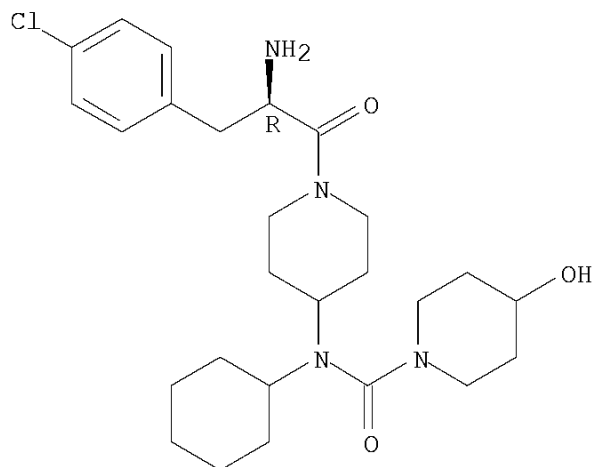
AB The invention relates to amino acid derivs. I [X, Y = CH₂ or CH₂CH₂; R₁ = H, (CH₂)₀₋₃-R₆, (CH₂)₀₋₃CO(CH₂)₀₋₃-R₆, (CH₂)₀₋₃SO₂(CH₂)₀₋₃-R₆, etc., where R₆ = (un)substituted alkyl, alkoxy, cycloalkyl, heterocyclyl, aryl, heteroaryl, amino or hydroxy; R₂ = H, (un)substituted alkyl, cycloalkyl or CO(CH₂)₀₋₃-R₆; R₃, R₄ = H, alkyl, (CH₂)₀₋₃-cycloalkyl, -aryl, -heteroaryl or -heterocyclyl in which the rings may be substituted; R₅ = H, alkyl, or (CH₂)₀₋₃ substituted by acyl, (thio)carbamoyl, sulfamoyl or sulfonyl groups; or R₁R₂N, R₄R₅N = heterocyclyl], including pharmaceutically-acceptable salts, hydrates, solvates and isomers, which are effective agonists of the melanocortin receptor (MCR). Thus, (2R)-2-amino-N-[(3S)-3-[cyclohexyl(isobutyryl)amino]pyrrolidine-1-yl]-3-(4-chlorophenyl)propionamide TFA salt was prepared via amidation reaction and showed EC₅₀ = 0.005-0.5 μM and IC₅₀ = 0.1-0.5 μM against MCR4.

IT 852482-62-3P 852482-73-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amino acid aminoheterocyclyl amides as melanocortin receptor agonists)

RN 852482-62-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-4-piperidiny]-N-cyclohexyl-4-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)

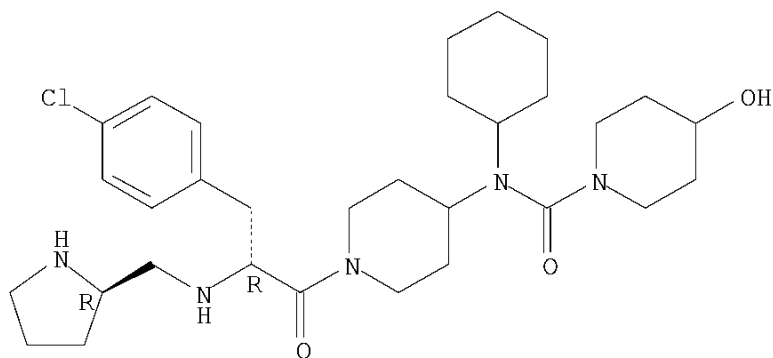
Absolute stereochemistry.



● HCl

RN 852482-73-6 CAPLUS
 CN 1-Piperidinecarboxamide, N-[1-[(2R)-3-(4-chlorophenyl)-1-oxo-2-[[(2R)-2-pyrrolidinylmethyl]amino]propyl]-4-piperidinyl]-N-cyclohexyl-4-hydroxy-, hydrochloride (1:2) (CA INDEX NAME)

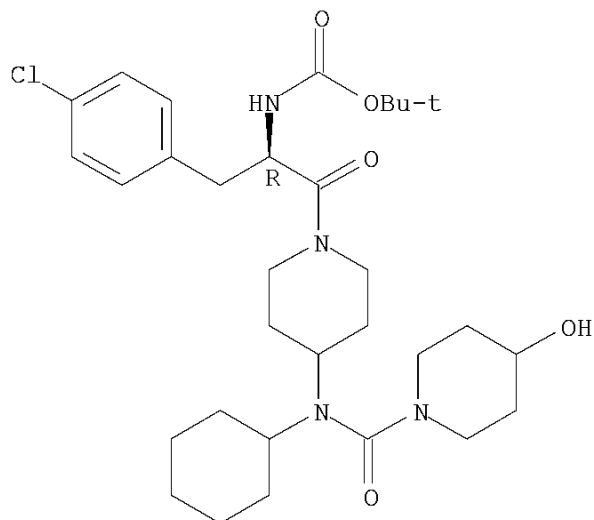
Absolute stereochemistry.



●2 HCl

IT 852485-92-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of amino acid aminoheterocyclyl amides as melanocortin receptor agonists)
 RN 852485-92-8 CAPLUS
 CN Carbamic acid, [(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[cyclohexyl[(4-hydroxy-1-piperidinyl)carbonyl]amino]-1-piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

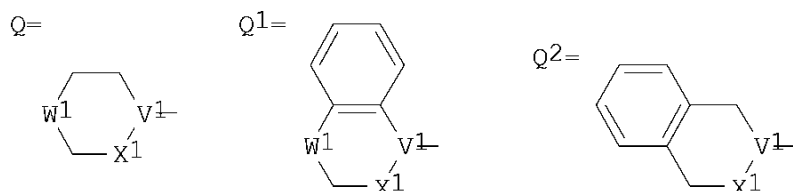
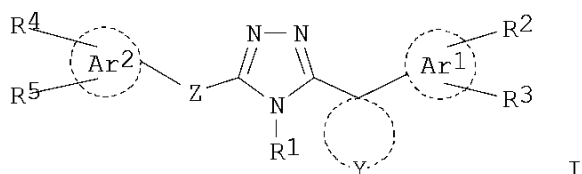


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(7 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 109 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:423718 CAPLUS
DOCUMENT NUMBER: 142:482046
TITLE: Preparation of triazole compounds as
11 β -hydroxysteroid dehydrogenase 1 inhibitors
INVENTOR(S): Cardozo, Mario G.; Powers, Jay P.; Goto, Hiroyuki;
Harada, Kazuhito; Imamura, Katsuaki; Kakutani, Makoto;
Matsuda, Isamu; Ohe, Yasuhiro; Yata, Shinji
PATENT ASSIGNEE(S): Amgen SF LLC, USA; Japan Tobacco, Inc.
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

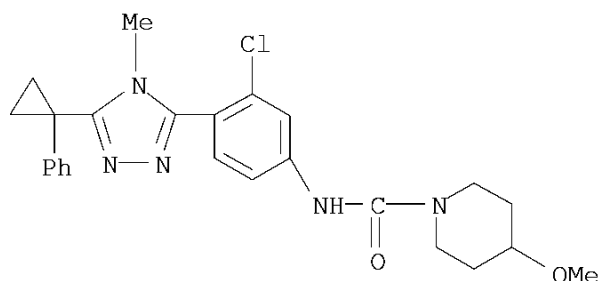
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044192	A2	20050519	WO 2004-US35805	20041027
WO 2005044192	A3	20050909		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004286836	A1	20050519	AU 2004-286836	20041027
CA 2543602	A1	20050519	CA 2004-2543602	20041027
EP 1680114	A2	20060719	EP 2004-796647	20041027
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
JP 2007509959	T	20070419	JP 2006-538245	20041027

MX 2006004674 A 20061120 MX 2006-4674 20060426
 US 20080249084 A1 20081009 US 2006-587846 20060905
 PRIORITY APPLN. INFO.: US 2003-515537P P 20031028
 WO 2004-US35805 W 20041027
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 142:482046; MARPAT 142:482046
 GI

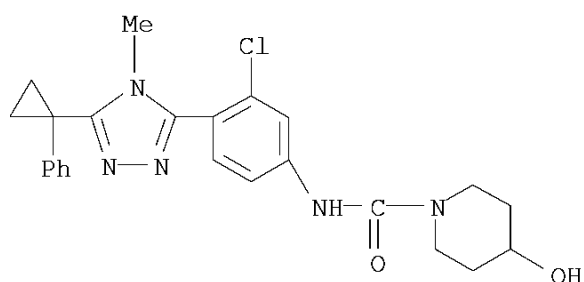


AB The present invention provides triazole compds. of the following formula (I) or prodrugs thereof or pharmaceutically acceptable salts thereof [R1 = (un)substituted alkyl or cycloalkyl; Y = each (un)substituted cycloalkyl or heterocycloalkyl; Ar1 = aryl, heteroaryl; R2, R3 = H, halo, haloalkyl, alkyl group, (CH₂)_nOH, -N(R₉)(R₁₀), cyano, NO₂, alkoxy, cycloalkyl, alkenyl, COR₁₁, each (un)substituted aryl or heteroaryl group [wherein R₉, R₁₀ = H, alkyl, alkylcarbonyl; R₁₁ = OH, alkoxy, alkyl, (un)substituted NH₂; n = 0-3]; Z = [CH(R₁₄)]_p, [CH(R₁₄)]_p-N(R₁₆)[CH(R₁₅)]_q, each (un)substituted cycloalkylidene or heterocycloalkylidene [wherein p, q = 0-3; R₁₄, R₁₅ = group listed in R₉ and R₁₀]; Ar2 = aryl, heteroaryl, Q, Q1, Q2 [wherein X1 = (CH₂)_t; t = 0-2; V1 = :CH, :N; W1 = (un)substituted CH₂, O, S, SO₂, SO, CO, (un)substituted NH]; R₄, R₅ = H, halo, OH, NO₂, cyano, alkyl, alkoxy, COR₂₇, COR₂₇, each (un)substituted CONH₂ or NH₂; R₂₇ = OH, alkoxy, alkyl, NH₂, alkylamino, dialkylamino]. These triazole compds. are 11 β -hydroxysteroid dehydrogenase 1-(11 β -HSD1 or HSD1) and useful as therapeutic drugs for the treatment of diabetes, obesity or metabolic syndrome. Thus, Me N-methyl-4-phenylpiperidine-1-imidethiocarboxylate hydroiodide (452 mg) and 1-phenylcyclopropane carbohydrazide (176 mg) were suspended in 1,4-dioxane (2 mL) and water (0.4 mL) and sodium acetate (98 mg) were added and the mixture was heated under reflux overnight to give, after workup and silica gel chromatog., 117 mg 1-[4-methyl-5-(1-phenylcyclopropyl)-4H-[1,2,4]triazol-3-yl]-4-phenylpiperidine hydrochloride (II). II showed IC₅₀ of <10 nM against human HSD1.

IT 851765-44-1P 851765-46-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of triazole compds. as 11 β -hydroxysteroid dehydrogenase 1 inhibitors for treatment of diabetes, obesity or metabolic syndrome)
 RN 851765-44-1 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-chloro-4-[4-methyl-5-(1-phenylcyclopropyl)-4H-1,2,4-triazol-3-yl]phenyl]-4-methoxy- (CA INDEX NAME)



RN 851765-46-3 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-chloro-4-[4-methyl-5-(1-phenylcyclopropyl)-4H-1,2,4-triazol-3-yl]phenyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 110 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2005:347021 CAPLUS
 DOCUMENT NUMBER: 142:373972
 TITLE: Silylated oxazolylethenyl-thiazolamine derivatives as potential cyclin-dependent kinase inhibitors for use in cancer and infection therapy
 INVENTOR(S): Showell, Graham Andrew; Ruprah, Parminder Kaur; Walsh, Louise Marie
 PATENT ASSIGNEE(S): Amedis Pharmaceuticals Ltd., UK
 SOURCE: PCT Int. Appl., 31 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035541	A1	20050421	WO 2004-GB4212	20041005
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,				

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

PRIORITY APPLN. INFO.:

GB 2003-23470

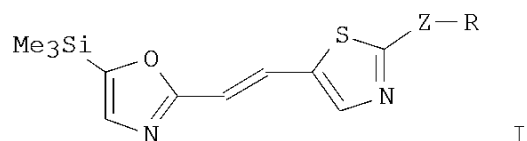
A 20031007

GB 2004-5304

A 20040309

OTHER SOURCE(S): MARPAT 142:373972

GI



AB Compds. I (Z = NHCONH, NH, NHCCH₂, NHC=O; R = (un)substituted piperidiny, pyrimidinyl, pyridinyl, pyrazinyl, piperazinyl, morpholinyl, 2,6-difluorophenyl, 2,6-dichlorophenyl, 2-hydroxycyclohexyl) useful as cyclin-dependent kinase inhibitors in therapy of cancer, alopecia, neurodegenerative disorders, viral and fungal infections (no data) were prepared by Wittig-Horner olefination of 2-amino-5-thiazolecarboxaldehyde by 5-silylated 2-diethoxyphosphinyloxazole, followed by optional acylation or carbamoylation of the thiazole-2-amine group. Saturated 1,2-ethanediyl analogs of I were also prepared by Pd/C hydrogenation of the 1,2-ethenediyl moiety.

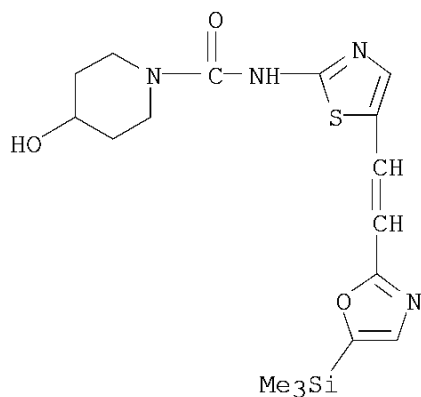
IT 849444-10-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of silylated oxazolyl-thiazolamine heterocyclic derivs. as possible cyclin-dependent kinase inhibitors in cancer and infection therapy)

RN 849444-10-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[5-[2-[5-(trimethylsilyl)-2-oxazolyl]ethenyl]-2-thiazolyl]- (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 111 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:347008 CAPLUS

DOCUMENT NUMBER: 142:411241

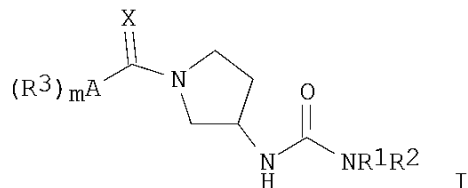
TITLE: Preparation of pyridinylcarbonylpyrrolidinylureas and related compounds as angiogenesis inhibitors.

INVENTOR(S): Haviv, Fortuna; Bradley, Michael F.; Sauer, Daryl R.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 74 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035524	A1	20050421	WO 2004-US33169	20041008
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2540868	A1	20050421	CA 2004-2540868	20041008
EP 1680415	A1	20060719	EP 2004-785388	20041008
EP 1680415	B1	20081231		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
AT 419242	T	20090115	AT 2004-785388	20041008
ES 2318343	T3	20090501	ES 2004-785388	20041008
PRIORITY APPLN. INFO.:			US 2003-682497	A 20031009
			WO 2004-US33169	W 20041008
OTHER SOURCE(S):			CASREACT 142:411241; MARPAT 142:411241	
GI				



AB Title compds. [I; A = pyridazinyl, pyridinyl, pyrimidinyl, indol-3-yl, pyrazol-4-yl, pyrazinyl, isoxazol-4-yl, triazinyl; R1, R2 = H, alkenyl, alkoxy, alkoxyalkyl, alkyl, alkynyl, aryl, aralkyl, cyanoalkyl, cycloalkyl, cycloalkylalkyl, haloalkyl, heterocyclyl, heterocyclylalkyl, hydroxyalkyl, aminoalkyl, aminocarbonyl; R1R2N = atoms to form a (substituted) 5-7 membered ring; R3 = alkenyl, alkoxy, alkoxyalkyl, alkyl, alkoxyalkyl, alkylcarbonyl, alkylsulfanyl, aryl, aralkyl, aryloxy, cyano, cyanoalkyl, cycloalkyl, heterocyclyl, OH, hydroxyalkyl, NO2, etc.; X = O, S; m = 0-4], were prepared Thus, (3R)-1-[(6-methylpyridin-3-yl)carbonyl]pyrrolidin-3-amine bistrifluoroacetate and Et3N in CH2Cl2 were treated with carbonyldiimidazole and after 5 h with benzylamine followed by stirring for an addnl. 4 h to give N-benzyl-N'-[(3R)-1-[(6-methylpyridin-3-yl)carbonyl]pyrrolidin-3-yl]urea. I inhibited human microvascular endothelial migration (HMVEC) by 48-99% at 0.1 nM.

IT 850213-03-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

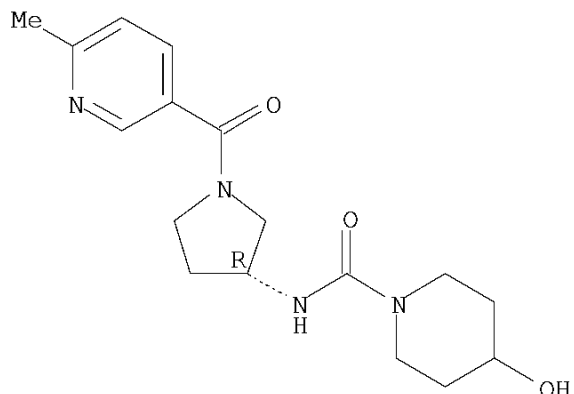
(Uses)

(claimed compound; preparation of pyridinylcarbonylpyrrolidinylureas and related compds. as angiogenesis inhibitors)

RN 850213-03-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[(3R)-1-[(6-methyl-3-pyridinyl)carbonyl]-3-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 112 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:316318 CAPLUS

DOCUMENT NUMBER: 142:392406

TITLE: Preparation of alkoxy substituted imidazoquinolines as immunomodulators

INVENTOR(S): Lindstrom, Kyle J.; Merrill, Bryon A.; Haraldson, Chad A.; Rice, Michael J.; Kshirsagar, Tushar A.; Heppner, Philip D.; Wurst, Joshua R.; Niwas, Shri; Johannessen, Sarah C.

PATENT ASSIGNEE(S): 3M Innovative Properties Co., USA

SOURCE: PCT Int. Appl., 386 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

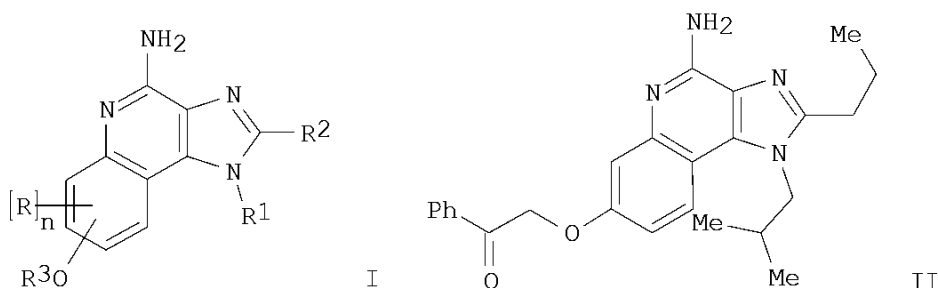
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005032484	A2	20050414	WO 2004-US32616	20041001
WO 2005032484	A3	20050630		
WO 2005032484	A9	20060518		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004278014	A1	20050414	AU 2004-278014	20041001

CA 2540541	A1	20050414	CA 2004-2540541	20041001
EP 1673087	A2	20060628	EP 2004-794092	20041001
R: AT, BE, CH, LI, CY, BG, CZ				
BR 2004014856	A	20061121	BR 2004-14856	20041001
CN 1897948	A	20070117	CN 2004-80036217	20041001
JP 2007507542	T	20070329	JP 2006-534221	20041001
SG 149828	A1	20090227	SG 2009-236	20041001
NZ 546273	A	20090531	NZ 2004-546273	20041001
US 20070060754	A1	20070315	US 2006-595230	20060328
MX 2006003705	A	20060620	MX 2006-3705	20060331
IN 2006CN01139	A	20070831	IN 2006-CN1139	20060403
KR 2006118453	A	20061123	KR 2006-708497	20060502
ZA 2006003474	A	20080528	ZA 2006-3474	20060502
PRIORITY APPLN. INFO.:			US 2003-508634P	P 20031003
			WO 2004-US32616	W 20041001

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 142:392406; MARPAT 142:392406
 GI

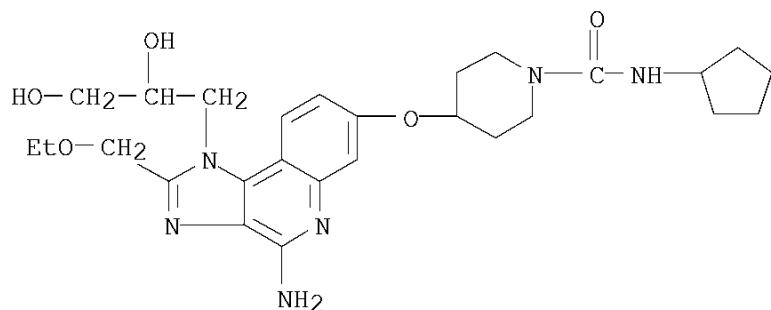


AB The title imidazoquinolines with an alkoxy substituent at the 6-, 7-, 8- or 9-position [I; R = alkyl, alkoxy, OH, etc.; n = 0-1; R₁, R₂ = H, non-interfering substituents; R₃ = ZYR₄, ZHet, etc. (Z = alkylene, alkenylene, and alkynylene optionally interrupted with one or more O groups; Y = S, SO, SO₂, (un)substituted SO₂NH, etc.; R₄ = H, alkyl, aryl, etc.; Het = (un)substituted heterocyclyl)], useful as immunomodulators, for inducing or inhibiting cytokine biosynthesis in animals and in the treatment of diseases including viral, and neoplastic (no specific biol. data given), were prepared E.g., a multi-step synthesis of II, was given. Pharmaceutical compns. containing the compds. I are disclosed.

IT 850065-03-1P 850065-05-3P 850065-17-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of alkoxy substituted imidazoquinolines as immunomodulators)
 RN 850065-03-1 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[4-amino-1-(2,3-dihydroxypropyl)-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-7-yl]oxy]-N-cyclopentyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

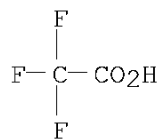
CRN 850065-02-0
 CMF C27 H38 N6 O5



CM 2

CRN 76-05-1

CMF C2 H F3 O2



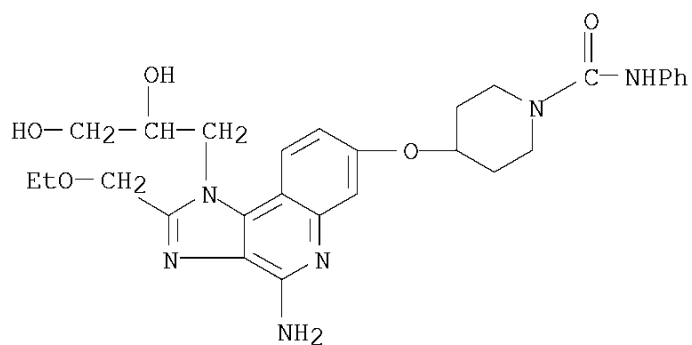
RN 850065-05-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-amino-1-(2,3-dihydroxypropyl)-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-7-yl]oxy]-N-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 850065-04-2

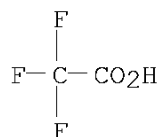
CMF C28 H34 N6 O5



CM 2

CRN 76-05-1

CMF C2 H F3 O2



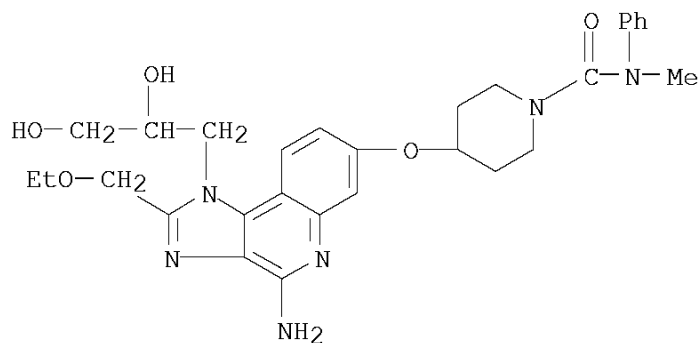
RN 850065-17-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-amino-1-(2,3-dihydroxypropyl)-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-7-yl]oxy]-N-methyl-N-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 850065-16-6

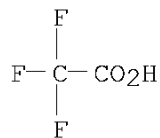
CMF C29 H36 N6 O5



CM 2

CRN 76-05-1

CMF C2 H F3 O2



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 113 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:300441 CAPLUS

DOCUMENT NUMBER: 142:355279

TITLE: A preparation of quinazoline derivatives, useful for prevention or treatment of tumors sensitive to inhibition of ErbB receptor tyrosine kinases

INVENTOR(S): Barlaam, Bernard Christophe; Halsall, Christopher Thomas; Hennequin, Laurent Francois Andre

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Ltd.

SOURCE: PCT Int. Appl., 139 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030765	A1	20050407	WO 2004-GB4137	20040922
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004276067	A1	20050407	AU 2004-276067	20040922
CA 2540019	A1	20050407	CA 2004-2540019	20040922
EP 1668006	A1	20060614	EP 2004-768680	20040922
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR			
BR 2004014772	A	20061121	BR 2004-14772	20040922
CN 1882580	A	20061220	CN 2004-80034531	20040922
JP 2007506725	T	20070322	JP 2006-527495	20040922
US 20060287295	A1	20061221	US 2006-572794	20060321
MX 2006003422	A	20060620	MX 2006-3422	20060324
ZA 2006002434	A	20070725	ZA 2006-2434	20060324
ZA 2006002444	A	20070926	ZA 2006-2444	20060324
NO 2006001746	A	20060420	NO 2006-1746	20060420
KR 2006095767	A	20060901	KR 2006-707934	20060424
PRIORITY APPLN. INFO.:			GB 2003-22409	A 20030925
			WO 2004-GB4137	W 20040922

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:355279; MARPAT 142:355279

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of quinazoline derivs. of formula I [wherein: one of R1 or R4 is (un)substituted (cyclo)alkoxy group; R2 is H or alkyl; R3 is Ph with 1 to 5 same or different substituents], useful for prevention or treatment of tumors sensitive to inhibition of ErbB receptor tyrosine kinases (antiproliferative agents). For instance, quinazoline derivative II (inhibition of tyrosine kinase protein phosphorylation: IC50 = 14 nM; EGFR driven KB cell proliferation: IC50 = 16 nM) was prepared via amidation of 2-pyridinecarboxylic acid by piperidine derivative III with a yield of 30%.

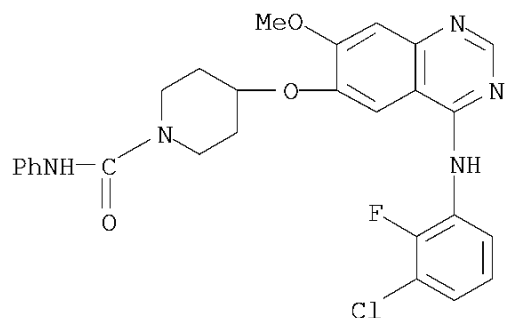
IT 849148-06-7P 849148-08-9P 849148-10-3P
849148-11-4P 849148-12-5P 849148-13-6P
849148-14-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline derivs. useful as antiproliferative agents)

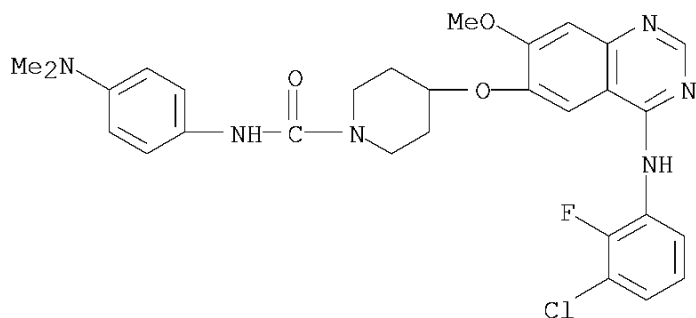
RN 849148-06-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-phenyl- (CA INDEX NAME)



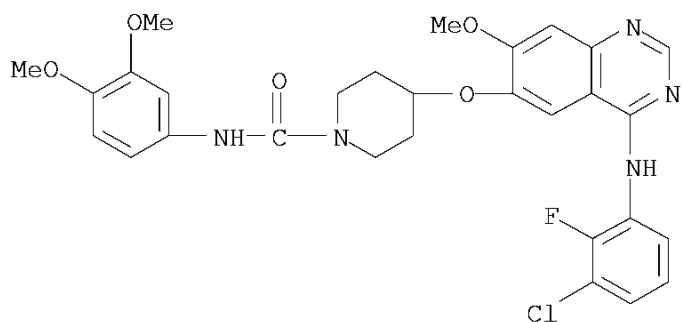
RN 849148-08-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-[4-(dimethylamino)phenyl]- (CA INDEX NAME)



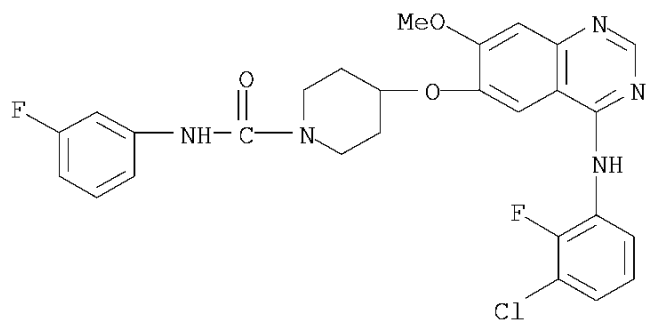
RN 849148-10-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



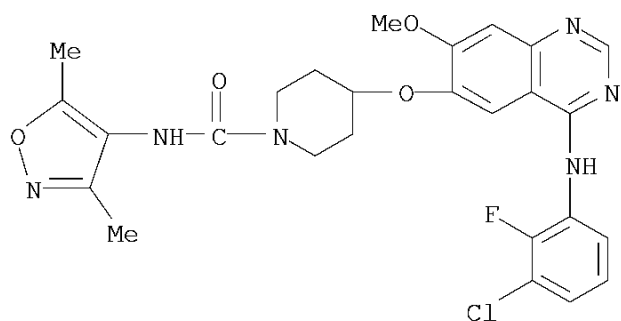
RN 849148-11-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-(3-fluorophenyl)- (CA INDEX NAME)



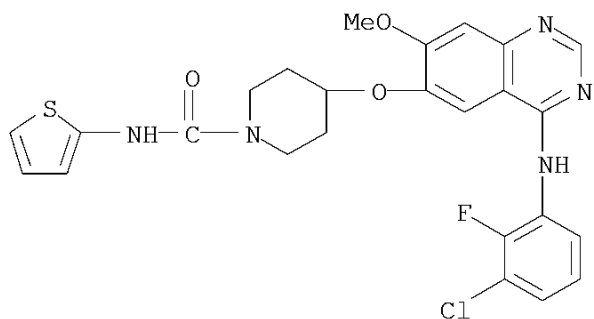
RN 849148-12-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-(3,5-dimethyl-4-isoxazolyl)- (CA INDEX NAME)



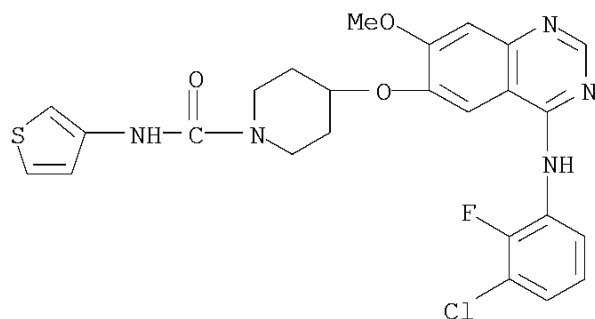
RN 849148-13-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-2-thienyl- (CA INDEX NAME)



RN 849148-14-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-3-thienyl- (CA INDEX NAME)



OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT:	5	THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 114 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:259673 CAPLUS

DOCUMENT NUMBER: 142:336349

TITLE: A preparation of thiazolopyridine derivatives with good affinity to A2A receptor and high selectivity toward A1 and A3 receptors

INVENTOR(S) : Norcross, Roger David

PATENT ASSIGNEE(S) : Hoffmann-La Roche Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050065151	A1	20050324	US 2004-941708	20040915
US 7273865	B2	20070925		
AU 2004274154	A1	20050331	AU 2004-274154	20040911
CA 2539314	A1	20050331	CA 2004-2539314	20040911
WO 2005028484	A1	20050331	WO 2004-EP10179	20040911
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1670805	A1	20060621	EP 2004-765102	20040911
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
BR 2004014266	A	20061107	BR 2004-14266	20040911
CN 1871244	A	20061129	CN 2004-80030959	20040911
JP 2007505851	T	20070315	JP 2006-526568	20040911
TW 297339	B	20080601	TW 2004-93128066	20040916
MX 2006002943	A	20060531	MX 2006-2943	20060315
KR 2006058132	A	20060529	KR 2006-705454	20060317
IN 2006CN00935	A	20070615	IN 2006-CN935	20060317

PRIORITY APPLN. INFO.:

EP 2003-21119

A 20030919

WO 2004-EP10179

W 20040911

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S):

CASREACT 142:336349; MARPAT 142:336349

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of thiazolopyridine derivs. of formula I [wherein: R1 is morpholin-4-yl, Ph, or tetrahydropyran-4-yl; R2 is (CH2)0-2-aryl, heteroaryl, (CH2)0-2-(cyclo)alkyl, or benzo[1,3]dioxole, etc.] with good affinity to A2A receptor and high selectivity toward A1 and A3 receptors. For instance, N-(thiazolopyridine)benzamide derivative II [pKi(hA1) = 5.75; pKi(hA2A) = 8.38; selectivity: 420] was prepared via intramol. heterocyclization of pyridinylthiourea derivative III and subsequent amidation of 4-fluorobenzoic acid by the obtained (thiazolopyridinyl)amine derivative IV (yields: heterocyclization - 64%, amidation - 56%). The invention compds. are useful in the treatment of Alzheimer's disease, depression, and Parkinson's disease, etc.

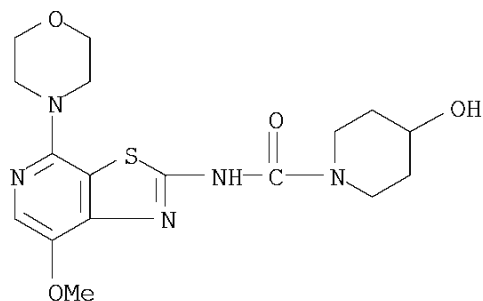
IT 848580-11-0P 848580-12-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolopyridine derivs. with good affinity to A2A receptor and high selectivity toward A1 and A3 receptors)

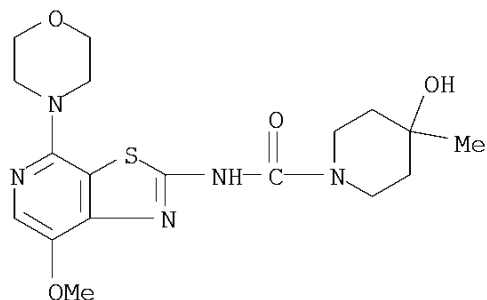
RN 848580-11-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[7-methoxy-4-(4-morpholinyl)thiazolo[5,4-c]pyridin-2-yl]- (CA INDEX NAME)



RN 848580-12-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[7-methoxy-4-(4-morpholinyl)thiazolo[5,4-c]pyridin-2-yl]-4-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 115 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:238994 CAPLUS

DOCUMENT NUMBER: 142:316820

TITLE: Preparation of hetero-bicyclic fused thieno-pyran
compounds as antibacterial, antiviral, antitumor, and
pharmaceutically active agents

INVENTOR(S): Koul, Anil; Klebl, Bert; Mueller, Gerhard; Missio,
Andrea; Schwab, Wilfried; Hafenbradl, Doris; Neumann,
Lars; Sommer, Marc-Nicola; Mueller, Stefan; Hoppe,
Edmund; Freisleben, Achim; Backes, Alexander; Hartung,
Christian; Felber, Beatrice; Zech, Birgit; Engkvist,
Ola; Keri, Gyoergy; Oerfi, Laszlo; Banhegyi, Peter;
Greff, Zoltan; Horvath, Zoltan; Varga, Zoltan; Marko,
Peter; Pato, Janos; Szabadkai, Istvan; Szekelyhidi,
Zsolt; Waczek, Frigyes

PATENT ASSIGNEE(S): Axxima Pharmaceuticals A.-G., Germany

SOURCE: PCT Int. Appl., 259 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

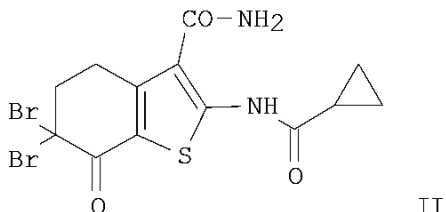
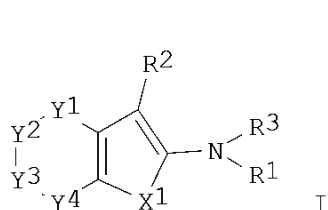
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023818	A2	20050317	WO 2004-EP10161	20040910
WO 2005023818	A3	20050825		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004270394	A1	20050317	AU 2004-270394	20040910
CA 2572750	A1	20050317	CA 2004-2572750	20040910
EP 1670804	A2	20060621	EP 2004-786934	20040910
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			

US 20070275962
PRIORITY APPLN. INFO.:

A1 20071129

US 2007-597120	20070306
EP 2003-20616	A 20030910
US 2003-502606P	P 20030915
EP 2004-4891	A 20040302
US 2004-551341P	P 20040310
EP 2004-12814	A 20040528
US 2004-577043P	P 20040607
WO 2004-EP10161	W 20040910

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:316820; MARPAT 142:316820
GI



AB Described are hetero-bicyclic compds. such as 4,5,6,7-tetrahydro-benzo[b]thiophene-3-carboxylic acid amides, 4,7-dihydro-5H-thieno[2,3-c]thiopyran-3-carboxylic acid amides, 4,7-dihydro-5H-thieno[2,3-c]pyran-3-carboxylic acid amides, or benzo[b]thiophene-3-carboxylic acid amides I, wherein X1 is S, O, NH, substituted nitrogen; Y1-Y4 form with the ring containing X1 a hetero-bicyclic ring system; R1 is H, alkyl, cycloalkyl, heterocycle, alkynyl, substituted Ph, acyl, benzyl; R2 is amide, thioamide, sulfonamide, ester, sulfonyl; R3 is H, acyl, thio-ketone, sulfonyl, amide, thio-amide, diketone-amide, ester, thio-ester; and pharmaceutically acceptable salts thereof, the use of these derivs. for the prophylaxis and/or treatment of various diseases such as infectious diseases, including mycobacteria-induced infections and opportunistic diseases, prion diseases, immunol. diseases, autoimmune diseases, bipolar and clin. disorders, cardiovascular diseases, cell proliferative diseases, diabetes, inflammation, transplant rejections, erectile dysfunction, neurodegenerative diseases and stroke, as well as compns. containing at least one hetero-bicyclic compound and/or pharmaceutically

acceptable salts thereof. Furthermore, reaction procedures for the synthesis of the hetero-bicyclic compound are disclosed. Thus, benzo[b]thiophen-carboxylic acid amide II was prepared and tested in vitro for its inhibitory effect on mycobacterial protein kinase G (IC₅₀ = 0.1-1.0 μ M).

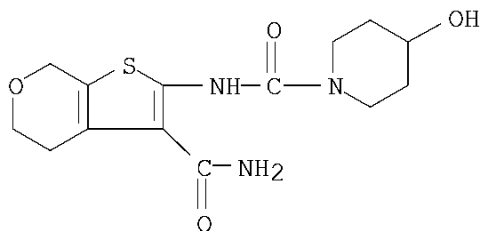
IT 848325-84-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterobicyclic fused thienopyran compds. as antibacterial antiviral antitumor and pharmaceutically active agents)

RN 848325-84-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(aminocarbonyl)-4,7-dihydro-5H-thieno[2,3-c]pyran-2-yl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L4 ANSWER 116 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:160840 CAPLUS

DOCUMENT NUMBER: 142:261527

TITLE: Preparation of thienopyridines and furopyridines as protein kinase inhibitors

INVENTOR(S): Betschmann, Patrick; Burchat, Andrew F.; Calderwood, David J.; Curtin, Michael L.; Davidsen, Steven K.; Davis, Heather M.; Frey, Robin R.; Heyman, Howard R.; Hirst, Gavin C.; Hrcniar, Peter; Michaelides, Michael R.; Muckey, Melanie A.; Rafferty, Paul; Wada, Carol K.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: U.S. Pat. Appl. Publ., 181 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

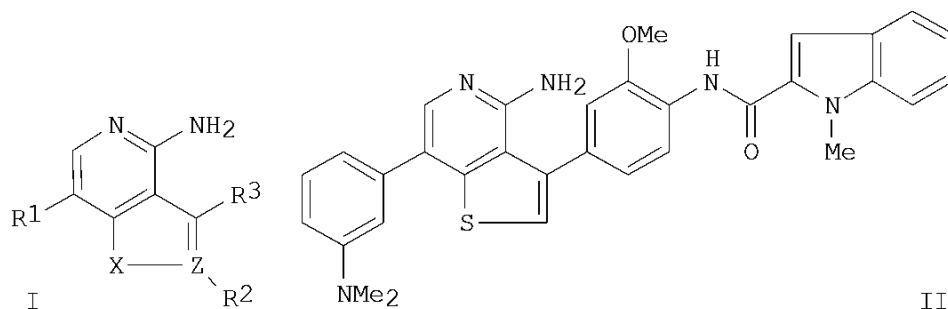
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050043347	A1	20050224	US 2004-899168	20040726
US 7202363	B2	20070410		
US 20070155776	A1	20070705	US 2007-675183	20070215
PRIORITY APPLN. INFO.:			US 2003-489734P	P 20030724
			US 2004-567703P	P 20040503
			US 2004-899168	A3 20040726

OTHER SOURCE(S): CASREACT 142:261527; MARPAT 142:261527

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AB Title compds. I [wherein X = O, S; Z = C or N; R1 = H, alkenyl, alkoxyalkynyl, aryl, etc.; R2 = absence, H or alkyl; R3 = halo, (un)substituted (hetero)aryl or heterocyclyl, and therapeutically acceptable salts thereof] were prepared as protein kinase inhibitors. For

example, urea II was synthesized via Pd-catalyzed coupling reaction of the corresponding 7-iodo-thienopyridine with [3-(dimethylamino)phenyl]boronic acid. Representative compds. I inhibited KDR and Lck at IC50 values of 0.002 μ M to 50 μ M and 0.03 μ M to 50 μ M, resp. Therefore, I and their pharmaceutical compns. are useful for the treatment of such as cancer, ocular and cardiovascular diseases.

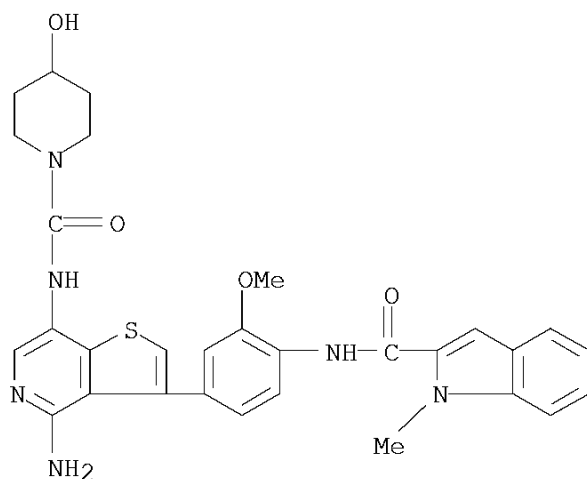
IT 845876-80-4P, N-[4-[4-Amino-7-[[4-hydroxypiperidin-1-yl)carbonyl]amino]thieno[3,2-c]pyridin-3-yl]-2-methoxyphenyl]-1-methyl-1H-indole-2-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitor; preparation of thienopyridines and furopyridines as protein kinase inhibitors)

RN 845876-80-4 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-7-[[4-hydroxy-1-piperidinyl)carbonyl]amino]thieno[3,2-c]pyridin-3-yl]-2-methoxyphenyl]-1-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 117 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:158669 CAPLUS

DOCUMENT NUMBER: 142:261536

TITLE: Preparation of imidazopyridine derivatives as melanin-concentrating hormone receptor antagonists

INVENTOR(S): Kishino, Hiroyuki; Moriya, Minoru; Sakamoto, Toshihiro; Takahashi, Hidekazu; Sakuraba, Shunji; Suzuki, Takao; Kanatani, Akio

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

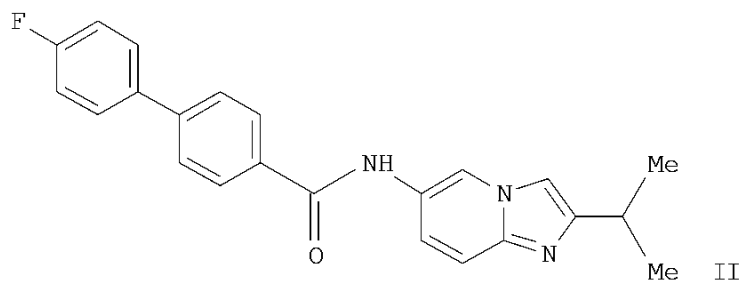
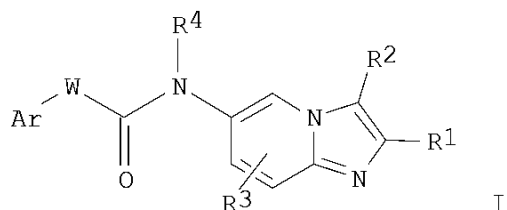
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005016928	A1	20050224	WO 2004-JP11945	20040813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2004265189	A1	20050224	AU 2004-265189	20040813
CA 2535416	A1	20050224	CA 2004-2535416	20040813
EP 1657242	A1	20060517	EP 2004-771906	20040813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1835950	A	20060920	CN 2004-80023400	20040813
CN 100418965	C	20080917		
US 20080200494	A1	20080821	US 2006-567269	20060206
US 7504412	B2	20090317		
IN 2006DN00648	A	20070831	IN 2006-DN648	20060207
PRIORITY APPLN. INFO.:			JP 2003-207632	A 20030815
			WO 2004-JP11945	W 20040813

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 142:261536
GI



AB Title compds. I [R1, R2 = H, halo, etc., further detail on R1, R2 is given; R3 = H, halo, etc.; R4 = H, alkyl; W = single bond, etc.; Ar = optionally substituted aromatic ring, etc. with R7; R7 = halo, etc.] were prepared For example, Pd-catalyzed hydrogenation of 2-isopropyl-6-nitroimidazo[1,2-a]pyridine hydrobromide followed by HATU-mediated acylation with 4'-fluoro-1,1'-biphenyl-4-carboxylic acid afforded compound II. In MCH (Melanin Concentrating Hormone) binding inhibition

assays, the IC50 value of compound II was 3.1 nM. Compds. I are claimed useful for the treatment of obesity, diabetes, etc.

IT 845826-47-3P

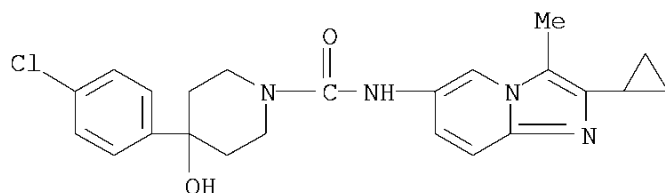
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyridine derivs. as melanin-concentrating hormone receptor

antagonists for treatment of obesity, diabetes, etc.)

RN 845826-47-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-N-(2-cyclopropyl-3-methylimidazo[1,2-a]pyridin-6-yl)-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 118 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:120901 CAPLUS

DOCUMENT NUMBER: 142:198107

TITLE: A preparation of (thio)urea derivatives, useful as D3/D2 receptor antagonists

INVENTOR(S): Againe Csongor, Eva; Galambos, Janos; Nogradi, Katalin; Vago, Istvan; Gyertyan, Istvan; Kiss, Bela; Laszlovszky, Istvan; Laszy, Judit; Saghy, Katalin

PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar Rt., Hung.

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012266	A1	20050210	WO 2004-HU56	20040521
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
HU 2003002451	A2	20050530	HU 2003-2451	20030804
AU 2004261490	A1	20050210	AU 2004-261490	20040521
AU 2004261490	B2	20080821		
CA 2532818	A1	20050210	CA 2004-2532818	20040521

CA 2532818	C	20090714		
EP 1663996	A1	20060607	EP 2004-734301	20040521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1829703	A	20060906	CN 2004-80021950	20040521
BR 2004013283	A	20061010	BR 2004-13283	20040521
JP 2007501215	T	20070125	JP 2006-522421	20040521
JP 3999806	B2	20071031		
NZ 544999	A	20090731	NZ 2004-544999	20040521
US 20060229297	A1	20061012	US 2006-337275	20060120
MX 2006001033	A	20060424	MX 2006-1033	20060126
KR 2006058096	A	20060529	KR 2006-702364	20060203
KR 870284	B1	20081125		
ZA 2006001026	A	20070530	ZA 2006-1026	20060203
IN 2006KN00424	A	20070622	IN 2006-KN424	20060224
NO 2006001076	A	20060306	NO 2006-1076	20060306
IN 2009KN00249	A	20090508	IN 2009-KN249	20090119
PRIORITY APPLN. INFO.:			HU 2003-2451	A 20030804
			WO 2004-HU56	W 20040521
			IN 2006-KN424	A3 20060224

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 142:198107; MARPAT 142:198107
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

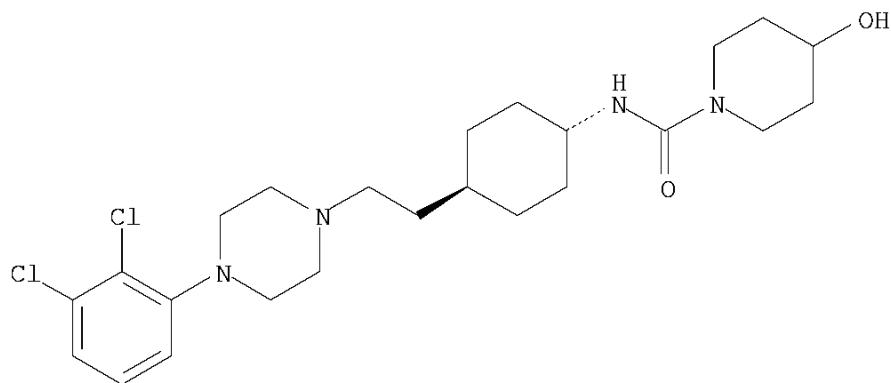
AB The invention relates to a preparation of (thio)urea derivs. of formula I [wherein: R1 and R2 are independently selected from H, alkyl, aryl, cycloalkyl, aroyl, or R1 and R2 may form a heterocyclic ring with the adjacent nitrogen atom; X is O or S; Y is (CH2)1-2], useful as D3/D2 receptor antagonists. The invention compds. are useful in therapy and/or prevention of conditions which require modulation of dopamine receptors. For instance, urea derivative II (D3: 1 nM < IC50 < 10 nM; D2: 10 nM < IC50 < 50 nM) was prepared via amidation of Me2NC(O)Cl by aminocyclohexane derivative III•3HCl with a yield of 65%.

IT 839712-30-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of (thio)urea derivs. useful as D3/D2 receptor antagonists)

RN 839712-30-0 CAPLUS

CN 1-Piperidinecarboxamide, N-[trans-4-[2-[4-(2,3-dichlorophenyl)-1-piperazinyl]ethyl]cyclohexyl]-4-hydroxy- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 119 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:99493 CAPLUS
DOCUMENT NUMBER: 142:176703
TITLE: 4,N-Di(hetero)arylpiperidine-1-carboxamide derivatives
with VR1 antagonist activity, their preparation, and
pharmaceutical compositions containing them
INVENTOR(S): Sun, Qun; Wen, Xin; Zhou, Xiaoming
PATENT ASSIGNEE(S): Euro-Celtique S. A., Luxembourg
SOURCE: PCT Int. Appl., 223 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009987	A1	20050203	WO 2004-US23912	20040723
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004259357	A1	20050203	AU 2004-259357	20040723
CA 2533509	A1	20050203	CA 2004-2533509	20040723
EP 1648878	A1	20060426	EP 2004-779120	20040723
EP 1648878	B1	20090506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1829708	A	20060906	CN 2004-80021415	20040723
BR 2004012808	A	20060926	BR 2004-12808	20040723
JP 2006528642	T	20061221	JP 2006-521294	20040723
AT 430741	T	20090515	AT 2004-779120	20040723
EP 2067776	A1	20090610	EP 2009-156594	20040723

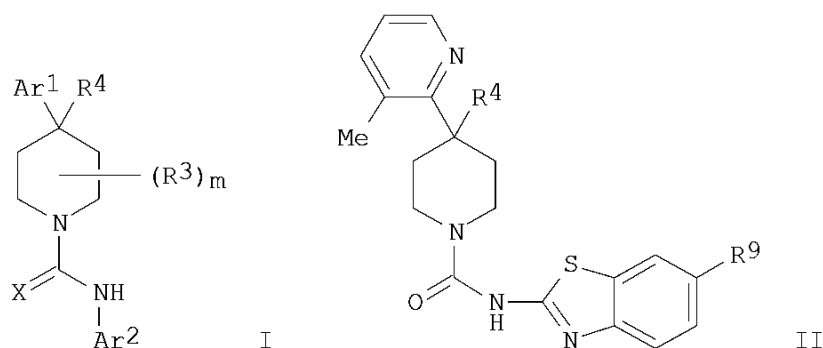
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK

ES 2326979	T3	20091022	ES 2004-779120	20040723
NZ 545506	A	20091127	NZ 2004-545506	20040723
ZA 2005009562	A	20060830	ZA 2005-9562	20051128
US 20060199824	A1	20060907	US 2006-337271	20060120
US 7572812	B2	20090811		
MX 2006000941	A	20060330	MX 2006-941	20060124
KR 2006037399	A	20060503	KR 2006-701686	20060124
NO 2006000910	A	20060404	NO 2006-910	20060224
HK 1089755	A1	20091002	HK 2006-110036	20060908
KR 2007107189	A	20071106	KR 2007-724527	20071024
PRIORITY APPLN. INFO.:			US 2003-489515P	P 20030724
			EP 2004-779120	A3 20040723
			WO 2004-US23912	W 20040723
			KR 2006-701686	A3 20060124

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:176703; MARPAT 142:176703

GI



AB 4,N-Di(hetero)aryl-substituted piperidine carboxamide compds. I are disclosed [wherein: Ar¹ = certain (un)substituted pyridin-2-yl, pyrazin-2-yl, pyrimidin-4-yl, pyridazin-3-yl, or 1,2,5-thiadiazol-3-yl; Ar² = certain (un)substituted benzimidazol-2-yl, benzothiazol-2-yl, benzoxazol-2-yl, pyridin-2-yl, or pyridin-3-yl; X = O, S, N(CN), N(OH), N(O-alkyl); R³ = halo, cyano, OH, NO₂, NH₂, (un)substituted alk(en/yn)yl, cycloalkyl, Ph, naphthyl, (hetero)aryl, etc.; R⁴ = OH, OCF₃, halo, alkyl, CH₂OH, CH₂Cl, CH₂Br, CH₂I, alkoxy, alkylthio, CO₂H or derivs., etc.; m = 0 or 1; and pharmaceutically acceptable salts]. Compds. I are believed to be antagonists of VR₁, mGluR₅, and mGluR₁ (no data). Also disclosed are compns. comprising I, as well as methods for treating or preventing various disorders by administering to an animal in need thereof an effective amount of a compound I. The treatable disorders include pain, urinary incontinence (UI), ulcers, inflammatory bowel disease (IBD), irritable bowel syndrome (IBS), addictive disorders, Parkinson's disease, parkinsonism, anxiety, epilepsy, stroke, seizure, pruritic conditions, psychosis, cognitive disorders, memory deficit, restricted brain function, Huntington's chorea, amyotrophic lateral sclerosis, dementia, retinopathy, muscle spasm, migraine, vomiting, dyskinesia, and depression. Several large tables of possible individual compds. are given, and preps. of four specific compds. are described in detail. For instance, 2-amino-6-fluorobenzothiazole and 1,4-dioxo-8-azaspiro[4,5]decane were sequentially coupled with 1,1'-carbonyldiimidazole, followed by acidic deketalization of the spiroketal, and reaction of the unmasked carbonyl

with lithiated 2-bromo-3-methylpyridine, to give invention compound II [R4 = OH, R9 = F]. Treatment of this alc. with DAST gave II [R4 = R9 = F]. The analogs of II [R4 = OH or F; R9 = Cl] were similarly prepared

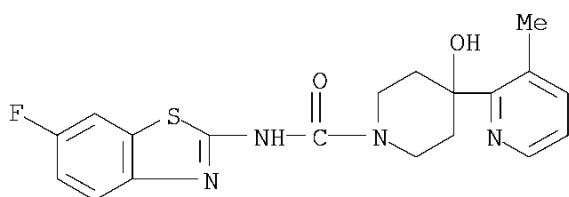
IT 833489-62-6P, N-(6-Fluorobenzothiazol-2-yl)-4-hydroxy-4-(3-methylpyridin-2-yl)piperidine-1-carboxamide 833489-64-8P, N-(6-Chlorobenzothiazol-2-yl)-4-hydroxy-4-(3-methylpyridin-2-yl)piperidine-1-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of di(hetero)arylpiperidinecarboxamide derivs. as VR1 antagonists)

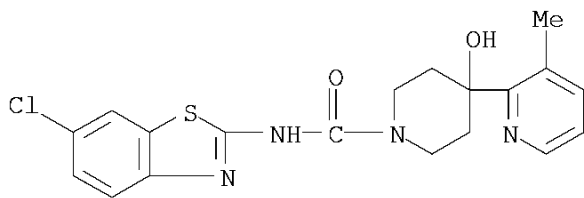
RN 833489-62-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(6-fluoro-2-benzothiazolyl)-4-hydroxy-4-(3-methyl-2-pyridinyl)- (CA INDEX NAME)



RN 833489-64-8 CAPLUS

CN 1-Piperidinecarboxamide, N-(6-chloro-2-benzothiazolyl)-4-hydroxy-4-(3-methyl-2-pyridinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 120 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:99226 CAPLUS

DOCUMENT NUMBER: 142:197859

TITLE: Preparation of dibenzo[b,f]furan-1-carboxamides, 9H-carbazole-4-carboxamides, and dibenzo[b,d]thiophene-4-carboxamides as PDE4 inhibitors for the treatment of inflammatory and allergic disorders

INVENTOR(S): Gopalan, Balasubramanian; Gharat, Laxmikant A.; Lakdawala, Aftab D.; Karunakaran, Usha

PATENT ASSIGNEE(S): Glenmark Pharmaceuticals, Inc. USA, USA

SOURCE: U.S. Pat. Appl. Publ., 59 pp., Cont.-in-part of Appl. No. PCT/IB04/000355.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

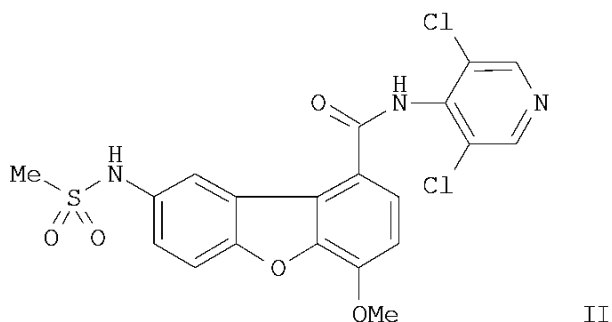
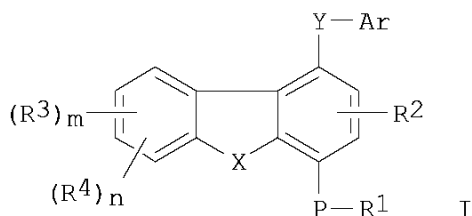
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050027129	A1	20050203	US 2004-821642	20040409
US 7223789	B2	20070529		
IN 2003MU00363	A	20050304	IN 2003-MU363	20030411
WO 2004089940	A1	20041021	WO 2004-IB355	20040211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ZA 2005008240	A	20060531	ZA 2005-8240	20051012
US 20070105854	A1	20070510	US 2006-536434	20060928
US 7384962	B2	20080610		
US 20070105855	A1	20070510	US 2006-536448	20060928
US 7393846	B2	20080701		
US 20090182143	A1	20090716	US 2008-131286	20080602
PRIORITY APPLN. INFO.:			IN 2003-MU363	A 20030411
			US 2003-519967P	P 20031113
			WO 2004-IB355	A2 20040211
			US 2004-821642	A3 20040409
			US 2006-536434	A1 20060928

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:197859

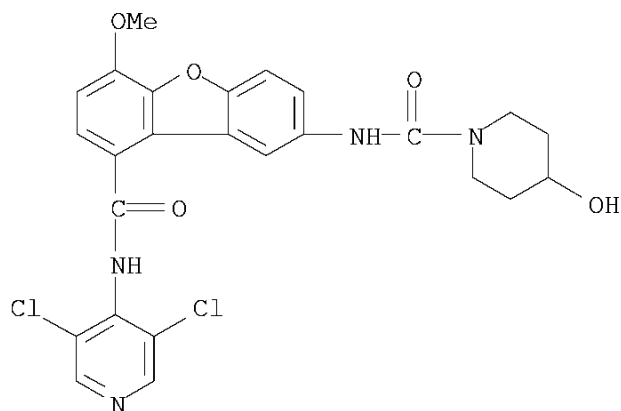
GI



AB Title heterocyclic tricycles I [wherein R1-R3, R5, R6, Ra = independently H, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, (hetero)aryl, heterocyclyl(alkyl), etc.; R4 = NR5R6 (R5, R6 = H, alkyl, cycloalkyl, etc.), heterocyclyl; Ar = (un)substituted aryl(alkyl), heterocyclyl, heteroaryl; X = O, SO0-2, NRA; Y = CONR7, NR7SO0-2, SO0-2NR7, NR7CO; R7 =

H, OH, ORa, (un)substituted alkyl, aryl, heterocyclyl; P = O, S; m = 0-3; n = 1-4; Ra = H, alkyl, cycloalkyl, etc.; and tautomers, regioisomers, stereoisomers, enantiomers, diastereomers, polymorphs, N-oxides, pharmaceutically acceptable salts, solvates, and compns. thereof] were prepared as phosphodiesterase type 4 (PDE4) inhibitors. For example, N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-aminodibenzo[b,f]furan-1-carboxamide (prepared in six steps from isovanillin, 4-fluoronitrobenzene, and 4-amino-3,5-dichloropyridine) was coupled with methanesulfonyl chloride in THF and pyridine to give the sulfonamide II. The latter inhibited the PDE4-induced conversion of [3H] cAMP to the corresponding [3H] 5'-AMP with IC50 of 0.5058 nM. Thus, I and their pharmaceutical compns. are useful for the treatment of immune disorders, inflammatory conditions, allergic conditions, CNS diseases, and insulin resistant diabetes (no data).

IT 778576-56-0P, N-(3,5-Dichloropyridin-4-yl)-4-methoxy-8-[(4-hydroxypiperidin-1-yl)carbonyl]amino]dibenzo[b,d]furan-1-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (PDE4 inhibitor; preparation of tricyclic heterocycles as PDE4 inhibitors for treatment of immune and inflammatory disorders and insulin resistant diabetes)
 RN 778576-56-0 CAPLUS
 CN 1-Piperidinecarboxamide, N-[9-[(3,5-dichloro-4-pyridinyl)amino]carbonyl]-6-methoxy-2-dibenzofuranyl]-4-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 121 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:71176 CAPLUS

DOCUMENT NUMBER: 142:176857

TITLE: Preparation of fused aryl and heteroaryl derivatives, in particular pyrazolo[3,4-d]pyrimidines, as modulators of G-coupled protein receptor and their use in the prophylaxis and treatment of metabolic disorders

INVENTOR(S): Jones, Robert M.; Semple, Graeme; Xiong, Yifeng; Shin, Young-Jun; Ren, Albert S.; Calderon, Imelda; Fioravanti, Beatriz; Choi, Jin Sun Karoline; Sage, Carlton R.

PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 320 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007658	A2	20050127	WO 2004-US22417	20040713
WO 2005007658	A3	20050616		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004257267	A1	20050127	AU 2004-257267	20040713
AU 2004257267	B2	20091203		
CA 2532971	A1	20050127	CA 2004-2532971	20040713
US 20050059650	A1	20050317	US 2004-890549	20040713
US 7132426	B2	20061107		
EP 1644375	A2	20060412	EP 2004-756935	20040713
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
CN 1829718	A	20060906	CN 2004-80020172	20040713
BR 2004012689	A	20061003	BR 2004-12689	20040713
JP 2007531698	T	20071108	JP 2006-520271	20040713
SG 144942	A1	20080828	SG 2008-5436	20040713
NZ 544200	A	20090731	NZ 2004-544200	20040713
ZA 2006000006	A	20070131	ZA 2006-6	20060103
IN 2006KN00071	A	20070727	IN 2006-KN71	20060109
KR 2006056944	A	20060525	KR 2006-700945	20060113
MX 2006000554	A	20060703	MX 2006-554	20060113
NO 2006000688	A	20060407	NO 2006-688	20060213
US 20060142262	A1	20060629	US 2006-355785	20060216
US 7625906	B2	20091201		
US 20070072844	A1	20070329	US 2006-602162	20061120
US 20070082874	A1	20070412	US 2006-602176	20061120
IN 2009KN02245	A	20090703	IN 2009-KN2245	20090616
PRIORITY APPLN. INFO.:			US 2003-487443P	P 20030714
			US 2003-510644P	P 20031010
			US 2004-890549	A3 20040713
			WO 2004-US22417	W 20040713
			US 2006-355785	A1 20060216
OTHER SOURCE(S):		CASREACT 142:176857; MARPAT 142:176857		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A, B = independently (un)substituted alkylene; D = O, S, SO, SO₂, etc.; E = N, C, CH and derivs.; K = (un)substituted cyclo/alkylene; Q = NH and derivs., O, S, SO, SO₂; T, M, J = independently N, CH and derivs.; U, W, Z = independently C, N; V = a bond, N, CH and derivs.; X, Y = independently O, S, N, CH and derivs., NH and derivs.; Ar1 = (un)substituted hetero/aryl; their pharmaceutically acceptable salts, hydrates and solvates] were prepared as modulators, in particular agonists

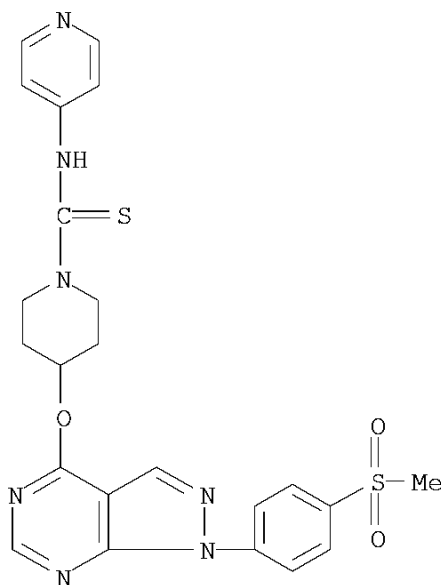
and inverse agonists of G-coupled protein receptor (RUP3), for treating diabetes, hyperglycemia and other metabolic disorders. Ten biol. examples are given. For example, II was prepared, in 5 steps, from 4-(methylsulfonyl)phenylhydrazine•HCl, ethoxymethylenemalononitrile and 4-chloro-1-(4-methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidine. Selected I displayed EC50 < 10 µM in a melanophore-based pigment dispersion assay. Selected RUP3 agonists I lowered blood glucose levels in rats in an oral glucose tolerance test. Thus, I are useful in the prophylaxis or treatment of metabolic disorders and complications thereof, such as, diabetes and obesity.

IT 832717-51-8P, 4-[[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]oxy]piperidine-1-carbothioic acid N-(pyridin-4-yl)amide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of fused aryl and heteroaryl derivs., in particular pyrazolopyrimidines, as modulators of G-coupled protein receptor and their use in treatment of diabetes, hyperglycemia and related diseases)

RN 832717-51-8 CAPLUS

CN 1-Piperidinecarbothioamide, 4-[[1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]oxy]-N-4-pyridinyl- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
 REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 122 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:36554 CAPLUS

DOCUMENT NUMBER: 142:113744

TITLE: Preparation of biaryl ether sulfonamides and related derivatives as ubiquitin ligase inhibitors

INVENTOR(S): Ramesh, Usha V.; Look, Gary Charles; Singh, Rajinder; Issakani, Sarkiz D.

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 115 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050009871	A1	20050113	US 2004-858537	20040601
WO 2005007621	A2	20050127	WO 2004-US17380	20040601
WO 2005007621	A3	20060413		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

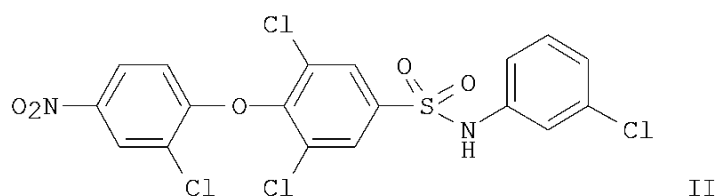
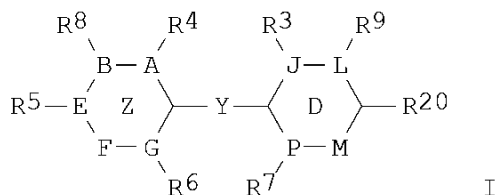
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1651595 A2 20060503 EP 2004-754071 20040601

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

PRIORITY APPLN. INFO.:
 US 2003-475223P P 20030530
 US 2003-509780P P 20031009
 WO 2004-US17380 W 20040601

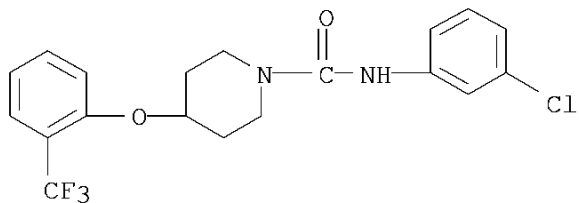
OTHER SOURCE(S): MARPAT 142:113744
 GI



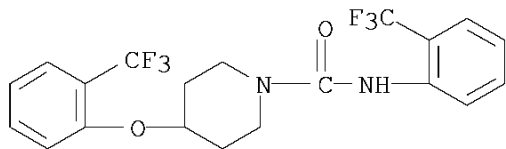
AB Title compds. I [wherein ring Z and D = independently (un)saturated (non)aromatic; R3 = H, halo, NO2, NO, NH2, CN, (un)substituted hydrocarbyl-C(:O)-, hydrocarbyl, etc.; R4 = H, NH2 and derivs., NO2, NO, CONH2 and derivs., CN, (un)substituted hydrocarbyl, etc.; R5 = H, NO2, NO,

NH₂, (un)substituted hydrocarbyl, mono- to perhalogenated-hydrocarbyl, etc.; R₆ = H, halo, CN, SO₂, NO₂, etc.; R₂₀ = SO₂-NH₂ and derivs., NHSO₂H and derivs.; R₇, R₈, R₉ = independently H, halo, OH, (un)substituted hydrocarbyl, CN, SO₂, NO₂, NH₂, etc.; Y = O, S, SO, SO₂, CO, NH and derivs.; A, B, E, F, G, J, L, M, P = independently O, S, N, or C with provisos; and their pharmaceutically acceptable salts and complexes; provided that certain compds. are absent] were prepared as ubiquitin ligase inhibitors and antiproliferative agents. I are useful as inhibitors of the biochem. pathways of organisms in which ubiquitination is involved. Furthermore, the invention provides for methods of inhibiting ubiquitination in a cell comprising contacting a cell in which inhibition of ubiquitination is desired with a compound according to the invention. Thus, reacting 4-(2-chloro-4-nitrophenoxy)-3,5-dichlorobenzenesulfonyl chloride with 3-chloroaniline in Py gave II in 55% yield and 97% purity. Selected I displayed ubiquitin ligase inhibitory activity in a plate-based E3 ligase assay. Selected I exhibited antiproliferative activity against A549, HeLa, HCT116, and H1299 cells.

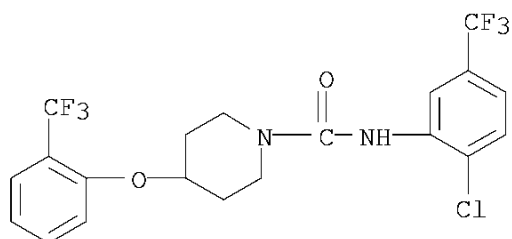
IT 823782-68-9P, N-(3-Chlorophenyl)-4-[2-(trifluoromethyl)phenoxy]piperidine-1-carboxamide 823782-69-0P
, 4-[2-(Trifluoromethyl)phenoxy]-N-[2-(trifluoromethyl)phenyl]piperidine-1-carboxamide 823782-70-3P,
N-[2-Chloro-5-(trifluoromethyl)phenyl]-4-[2-(trifluoromethyl)phenoxy]piperidine-1-carboxamide 823782-71-4P
, N-(2,5-Dichlorophenyl)-4-[2-(trifluoromethyl)phenoxy]piperidine-1-carboxamide 823782-72-5P,
N-[2-Chloro-5-(trifluoromethyl)phenyl]-4-(pyrimidin-2-yloxy)piperidine-1-carboxamide 823782-73-6P,
N-(2,5-Dichlorophenyl)-4-[(pyrimidin-2-yl)oxy]piperidine-1-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of biaryl ether sulfonamides and related derivs. as ubiquitin ligase inhibitors)
RN 823782-68-9 CAPLUS
CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-[2-(trifluoromethyl)phenoxy]-
(CA INDEX NAME)



RN 823782-69-0 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-(trifluoromethyl)phenoxy]-N-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

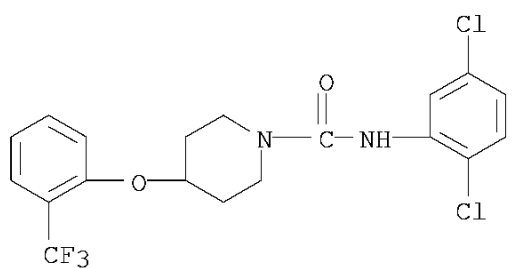


RN 823782-70-3 CAPLUS
CN 1-Piperidinecarboxamide, N-[2-chloro-5-(trifluoromethyl)phenyl]-4-[2-(trifluoromethyl)phenoxy]- (CA INDEX NAME)



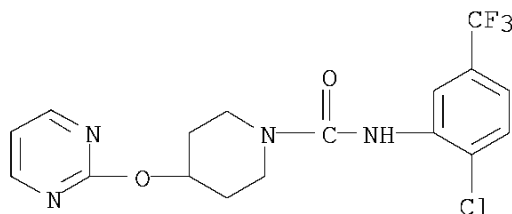
RN 823782-71-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,5-dichlorophenyl)-4-[2-(trifluoromethyl)phenoxy]- (CA INDEX NAME)



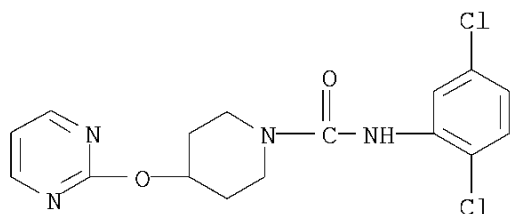
RN 823782-72-5 CAPLUS

CN 1-Piperidinecarboxamide, N-[2-chloro-5-(trifluoromethyl)phenyl]-4-(2-pyrimidinyl)- (CA INDEX NAME)



RN 823782-73-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,5-dichlorophenyl)-4-(2-pyrimidinyl)- (CA INDEX NAME)



OS.CITING REF COUNT:

4

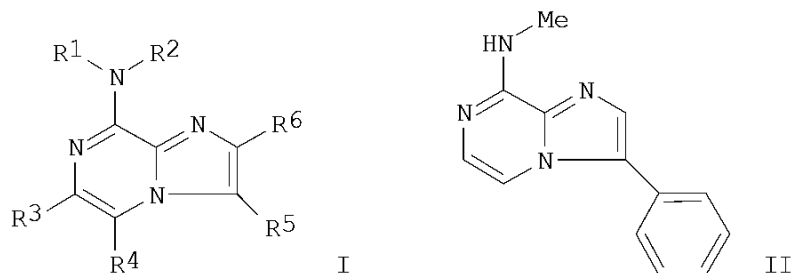
THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

L4 ANSWER 123 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2005:34601 CAPLUS
 DOCUMENT NUMBER: 142:134621
 TITLE: Preparation of aryl-substituted
 8-aminoarylimidazo[1,2-a]pyrazines as kinase
 inhibitors for treatment of cancer and other
 conditions
 INVENTOR(S): Sun, Connie Li; Liang, Congxin; Huang, Ping; Harris,
 G. Davis; Guan, Huiping
 PATENT ASSIGNEE(S): Sugen, Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 119 pp., Cont.-in-part of U.S.
 Ser. No. 781,928.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050009832	A1	20050113	US 2004-845586	20040514
US 7186832	B2	20070306		
US 20040220189	A1	20041104	US 2004-781928	20040220
US 7157460	B2	20070102		

PRIORITY APPLN. INFO.:
 US 2003-448114P P 20030220
 US 2003-508860P P 20031007
 US 2004-781928 A2 20040220

OTHER SOURCE(S): MARPAT 142:134621
 GI



AB The title compds. I [wherein R1, R2 = H, (cyclo)alkyl, (hetero)aryl, etc.; R3, R4 = H, halo, (un)substituted (cyclo)alkyl, (hetero)aryl, etc.; R5 = H, halo, (un)substituted (hetero)aryl; wherein at least one of R4 and R5 = (hetero)aryl; R6 = H; or pharmaceutically acceptable salts and prodrugs thereof] were prepared as protein kinase (PK) inhibitors. For example, amination of 3,5-dibromoimidazo[1,2-a]pyrazine with methylamine in THF afforded (3-bromoimidazo[1,2-a]pyrazin-8-yl)methylamine (50%), which was coupled with phenylboronic acid in THF to give II (63%). Various assays which may be used to determine the level of activity of compds. I against one or more PKs (such as GST-Flk1 receptor tyrosine kinase, fibroblast growth factor type 1 receptors (FGFR1), and platelet-derived growth factor (PDGF) receptors) were described in detail (no data given). Thus, I and pharmaceutical compns. comprising these compds. are useful for treating disorders related to abnormal PK activity, such as cancer, diabetes, autoimmune disorders, inflammatory disorders, and cardiovascular disorders (no data).

IT 787591-56-4P, 4-Hydroxypiperidine-1-carboxylic acid
 N-[4-(8-methylaminoimidazo[1,2-a]pyrazin-3-yl)phenyl]amide

787591-57-5P, 4-Hydroxypiperidine-1-carboxylic acid

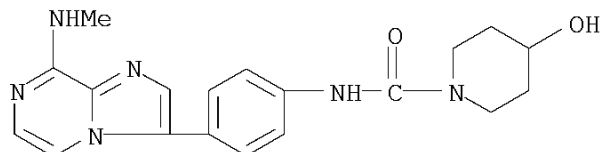
N-[3-(8-methylaminoimidazo[1,2-a]pyrazin-3-yl)phenyl]amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(kinase inhibitor; preparation of imidazo[1,2-a]pyrazines as kinase inhibitors for treatment of cancer and other conditions)

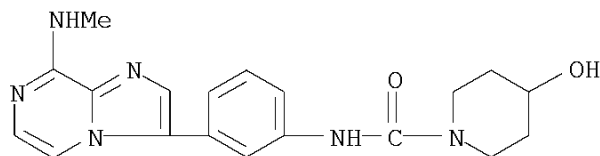
RN 787591-56-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-[8-(methylamino)imidazo[1,2-a]pyrazin-3-yl]phenyl]- (CA INDEX NAME)



RN 787591-57-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[3-[8-(methylamino)imidazo[1,2-a]pyrazin-3-yl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 124 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:1156498 CAPLUS

DOCUMENT NUMBER: 142:93848

TITLE: Preparation of guanidino-substituted quinazolinone compounds as MC4-R agonists

INVENTOR(S): Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron; Conlee, Christopher R.; Thompson, Brian D.; De Armas, Kuntz Judith; Musso, David L.; Barvian, Kevin K.; Thomson, Stephen A.; Swain, William R.; Du, Kien S.; Chauder, Brian A.; Speake, Jason D.; Bishop, Michael J.

PATENT ASSIGNEE(S): Chiron Corporation, USA; Glaxosmithkline

SOURCE: PCT Int. Appl., 277 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004112793	A1	20041229	WO 2004-US15959	20040521
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,			

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

AU 2004249120	A1	20041229	AU 2004-249120	20040521
AU 2004249120	B2	20080724		
CA 2523015	A1	20041229	CA 2004-2523015	20040521
US 20050059662	A1	20050317	US 2004-850967	20040521
US 7625909	B2	20091201		
EP 1651229	A1	20060503	EP 2004-776069	20040521
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1829517	A	20060906	CN 2004-80013951	20040521
JP 2007501861	T	20070201	JP 2006-533275	20040521
IN 2005KN02103	A	20070720	IN 2005-KN2103	20051024
MX 2005012483	A	20060929	MX 2005-12483	20051118

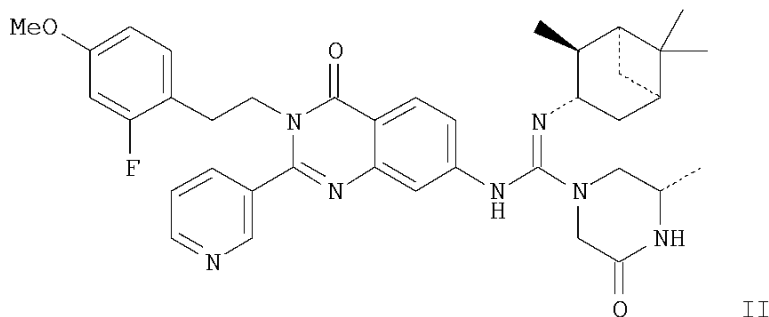
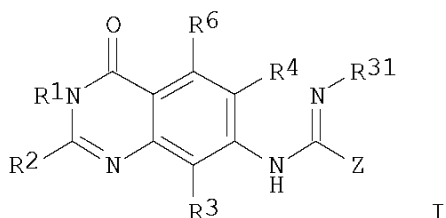
PRIORITY APPLN. INFO.:

US 2003-473317P	P	20030523
US 2003-523336P	P	20031119
US 2003-524492P	P	20031124
WO 2004-US15959	W	20040521

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:93848

GI



AB A variety of small mol., guanidine-containing mols. capable of acting as MC4-R agonists such as I-III [Z1 = CR4, N; Z2 = CR5, N; Z3 = CR6, N; R1 = (un)substituted arylalkyl, heteroarylalkyl, aryl, heteroaryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, arylalkyl, aryl, etc.; R4-R6 = H, Cl, I, F, Br, OH, etc.; W = IV (wherein R11, R12 = H, (un)substituted alkyl, aryl, etc.; at least one of R11 and R12 is (un)substituted heterocyclylalkyl; R13 = H, (un)substituted aryl, alkyl, etc.; R14 = H, (un)substituted alkyl, cycloalkyl, etc.)] are provided. General procedures used in the synthesis of compds. I-III are described. E.g., a multi-step synthesis of (1S,2S,3S,5R)-V, was given. The exemplified compds. I-III were tested against MC4-R and exhibited -logEC50 values above about 3. The compds. I

are useful in treating MC4-R mediated diseases such as obesity and type II diabetes. The pharmaceutical composition comprising the compound I is disclosed.

IT 817627-11-5P

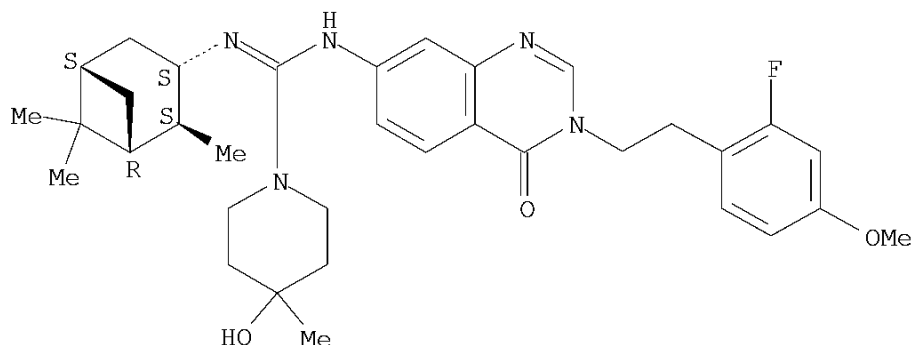
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of guanidino-substituted quinazolinone compds. as MC4-R agonists)

RN 817627-11-5 CAPLUS

CN 1-Piperidinecarboximidamide, N-[3-[2-(2-fluoro-4-methoxyphenyl)ethyl]-3,4-dihydro-4-oxo-7-quinazolinyl]-4-hydroxy-4-methyl-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 125 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:1038664 CAPLUS

DOCUMENT NUMBER: 142:6556

TITLE: Preparation of substituted heterocycles for the treatment of abnormal cell growth

INVENTOR(S): Bhattacharya, Samit Kumar; Chen, Jinshan; Connell, Richard Damian; Kath, John Charles; Kauffman, Goss S.; Lipka, Blaise S.; Morris, Joel

PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 54 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20040242604	A1	20041202	US 2004-849707	20040520
US 7585869	B2	20090908		
CA 2527017	A1	20041209	CA 2004-2527017	20040517
WO 2004106308	A1	20041209	WO 2004-IB1687	20040517

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

EP 1636195 A1 20060322 EP 2004-733400 20040517
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

BR 2004010720 A 20060620 BR 2004-10720 20040517

JP 2007501854 T 20070201 JP 2006-530679 20040517

MX 2005012839 A 20060517 MX 2005-12839 20051128

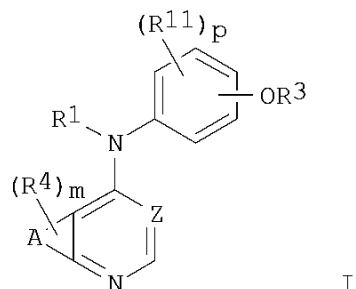
PRIORITY APPLN. INFO.: US 2003-473817P P 20030527

WO 2004-IB1687 W 20040517

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:6556; MARPAT 142:6556

GI



AB Title compds. I [Z = CR1, CCN, N; A = fused 5-7-membered ring optionally containing heteroatoms; R1 = H, alkyl; m = 0-3; p = 0-4; R3 = Ph, 4-6-membered heterocyclic ring; R4 = substituted divalent alkyl, etc.; R11 = halo, CN, NO2, etc.] are prepared For instance, N-tert-Butyl-4-[[2-methyl-4-[(6-(morpholin-4-yl)pyrido[3,4-d]pyrimidin-4-yl)amino]phenyl]oxy]benzamide is prepared in 8 steps from 6-fluoro-3H-pyrido[3,4-d]pyrimidin-4-one and 3-(4-amino-2-methylphenoxy)benzoic acid tert-Bu ester. Compds. of the invention have IC50 values of <10 μ M against erbB-2 kinase. I are useful for treating abnormal cell growth.

IT 799242-38-9P, 4-[[4-[(6-Methoxyquinazolin-4-yl)amino]-2-methylphenyl]oxy]piperidine-1-carboxylic acid cyclopentylamide
 799242-55-0P, 4-[[2-Methyl-4-[(6-(morpholin-4-yl)pyrido[3,4-d]pyrimidin-4-yl)amino]phenyl]oxy]piperidine-1-carboxylic acid cyclopentylamide 799242-65-2P,
 4-[[4-[(6-Methoxyquinazolin-4-yl)amino)-2-methylphenyl]oxy]piperidine-1-carboxylic acid (2,6-difluorophenyl)amide 799242-68-5P,
 4-[[4-[(6-Methoxyquinazolin-4-yl)amino)-2-methylphenyl]oxy]piperidine-1-carboxylic acid N-(4-methoxyphenyl)amide 799242-69-6P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-methylphenyl]oxy]piperidine-1-carboxylic acid (2,6-difluorophenyl)amide 799242-70-9P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino)-2-methylphenyl]oxy]piperidine-1-carboxylic acid N-(4-methoxyphenyl)amide 799242-72-1P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino)-2-methylphenyl]oxy]piperidine-1-carboxylic acid (2,5-difluorophenyl)amide 799242-73-2P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino)-2-methylphenyl]oxy]piperidine-1-carboxylic acid cyclopentylamide 799242-95-8P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino)-2-methylphenyl]oxy]piperidine-1-carboxylic acid N-(o-tolyl)amide 799242-96-9P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino)-2-methylphenyl]oxy]piperidine-

1-carboxylic acid N-(4-chlorophenyl)amide 799242-97-0P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-methylphenyl]oxy]piperidine-
 1-carboxylic acid N-(2-chlorophenyl)amide 799242-98-1P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-methylphenyl]oxy]piperidine-
 1-carboxylic acid N-(2-methoxyphenyl)amide 799242-99-2P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-methylphenyl]oxy]piperidine-
 1-carboxylic acid N-(2-fluorophenyl)amide 799243-00-8P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-methylphenyl]oxy]piperidine-
 1-carboxylic acid N-(4-fluorophenyl)amide 799243-01-9P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-methylphenyl]oxy]piperidine-
 1-carboxylic acid N-(3-trifluoromethylphenyl)amide 799243-02-0P
 , 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-
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 799243-03-1P, 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-
 methylphenyl]oxy]piperidine-1-carboxylic acid
 N-(2-trifluoromethylphenyl)amide 799243-04-2P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-methylphenyl]oxy]piperidine-
 1-carboxylic acid (2,6-dichlorophenyl)amide 799243-05-3P,
 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-methylphenyl]oxy]piperidine-
 1-carboxylic acid N-(4-trifluoromethylphenyl)amide 799243-06-4P
 , 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-
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 799243-07-5P, 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-
 methylphenyl]oxy]piperidine-1-carboxylic acid (4-dimethylaminophenyl)amide
 799243-08-6P, 4-[[4-[(6,7-Dimethoxyquinazolin-4-yl)amino]-2-
 methylphenyl]oxy]piperidine-1-carboxylic acid (3,5-difluorophenyl)amide
 799243-18-8P, 4-[[2-Methyl-4-[(6-(morpholin-4-yl)pyrido[3,4-
 d]pyrimidin-4-yl)amino]phenyl]oxy]piperidine-1-carboxylic acid
 (2,6-difluorophenyl)amide 799243-19-9P,
 4-[[2-Methyl-4-[(6-(4-methylpiperazin-1-yl)pyrido[3,4-d]pyrimidin-4-
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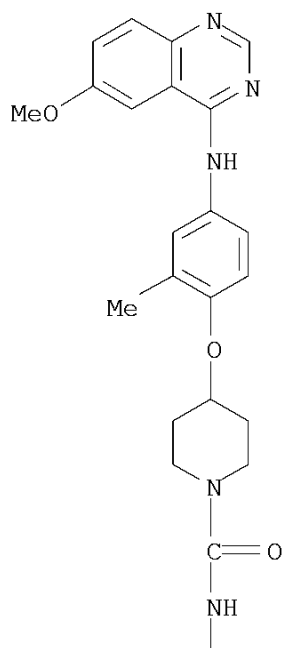
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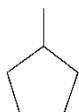
RN 799242-38-9 CAPLUS

CN 1-Piperidinecarboxamide, N-cyclopentyl-4-[4-[(6-methoxy-4-quinazolinyl)amino]-2-methylphenoxy]- (CA INDEX NAME)

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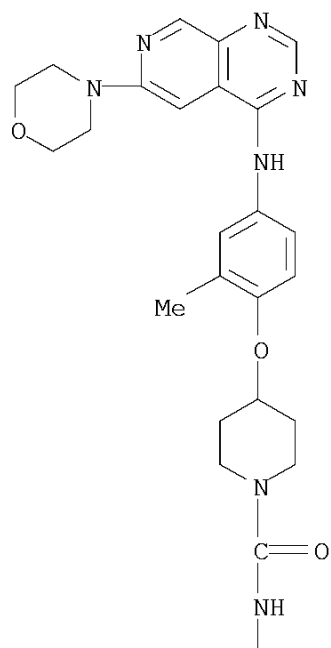
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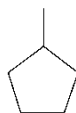
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CN 1-Piperidinecarboxamide, N-cyclopentyl-4-[2-methyl-4-[[6-(4-morpholinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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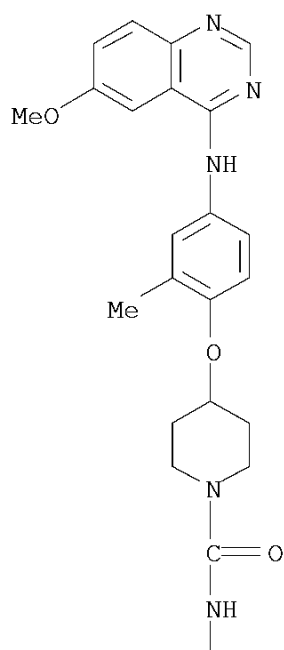


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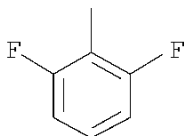


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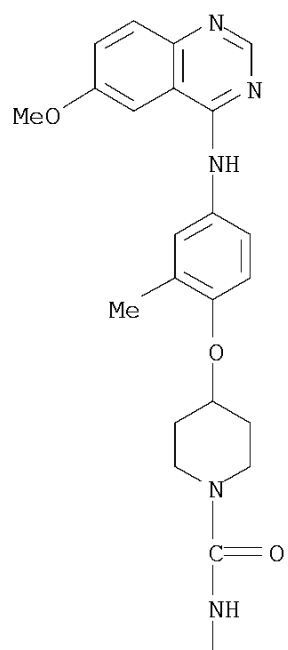


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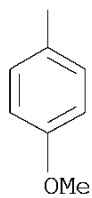


RN 799242-68-5 CAPLUS
CN 1-Piperidinecarboxamide, N-(4-methoxyphenyl)-4-[4-[(6-methoxy-4-quinazolinyl)amino]-2-methylphenoxy]- (CA INDEX NAME)

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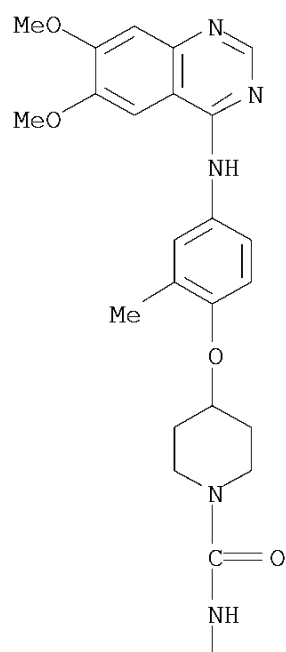


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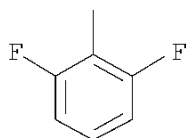


RN 799242-69-6 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methylphenoxy]- (CA INDEX NAME)

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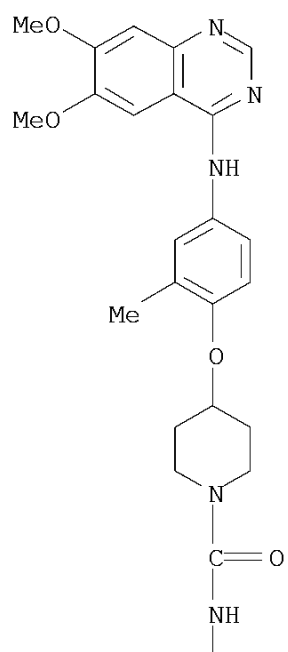


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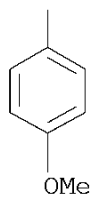


RN 799242-70-9 CAPLUS
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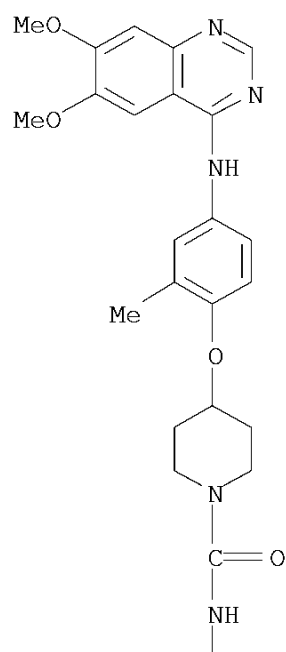


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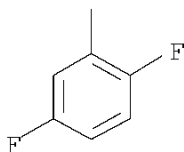


RN 799242-72-1 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,5-difluorophenyl)-4-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methylphenoxy]- (CA INDEX NAME)

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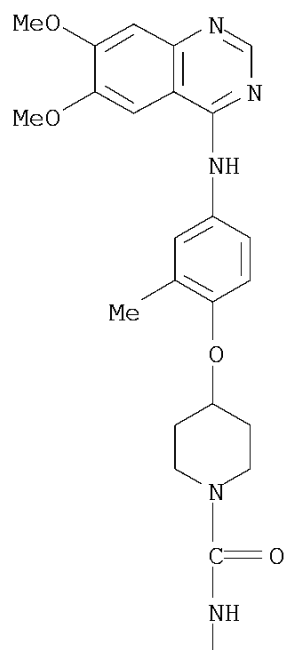


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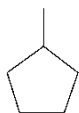


RN 799242-73-2 CAPLUS
CN 1-Piperidinecarboxamide, N-cyclopentyl-4-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methylphenoxy]- (CA INDEX NAME)

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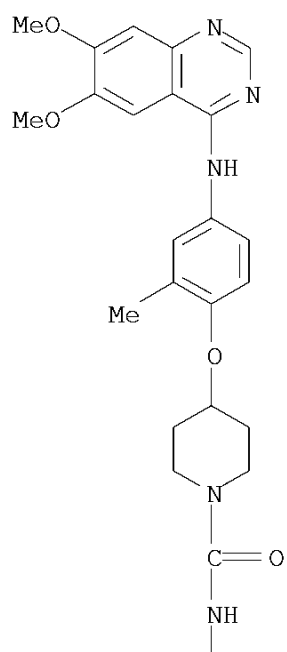


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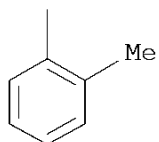


RN 799242-95-8 CAPLUS
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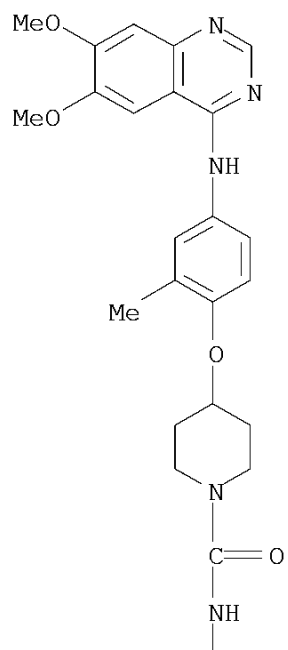


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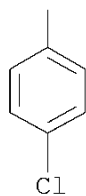


RN 799242-96-9 CAPLUS
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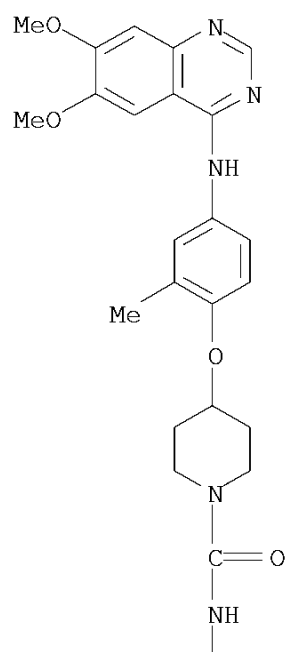


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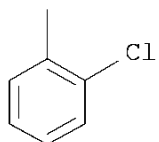


RN 799242-97-0 CAPLUS
CN 1-Piperidinecarboxamide, N-(2-chlorophenyl)-4-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methylphenoxy]- (CA INDEX NAME)

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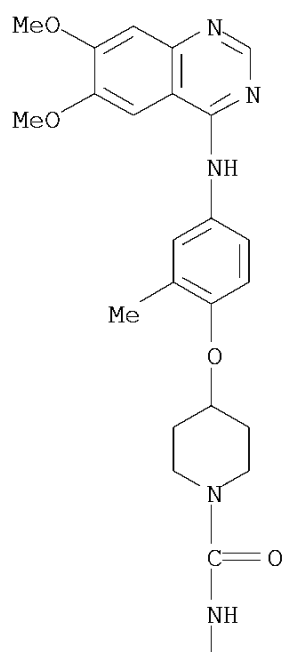


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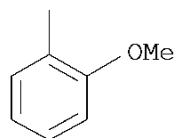


RN 799242-98-1 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methylphenoxy]-N-(2-methoxyphenyl)- (CA INDEX NAME)

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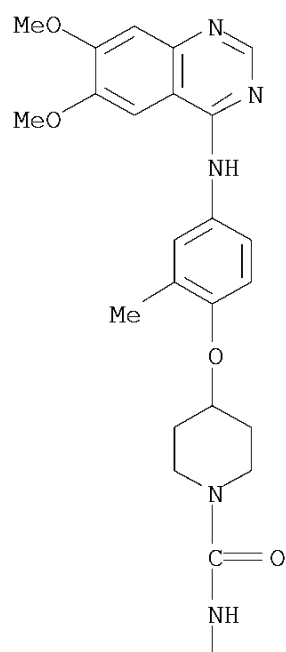


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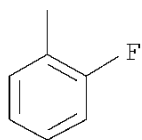


RN 799242-99-2 CAPLUS
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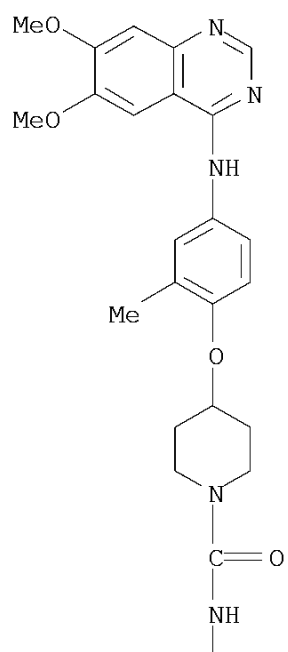


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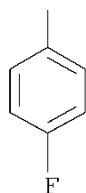


RN 799243-00-8 CAPLUS
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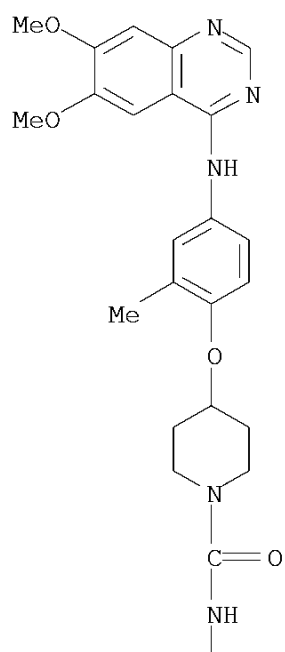


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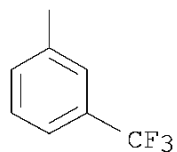


RN 799243-01-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methylphenoxy]-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

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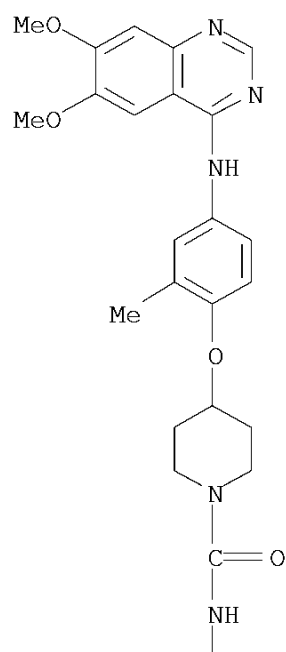


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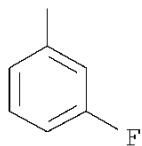


RN 799243-02-0 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methylphenoxy]-N-(3-fluorophenyl)- (CA INDEX NAME)

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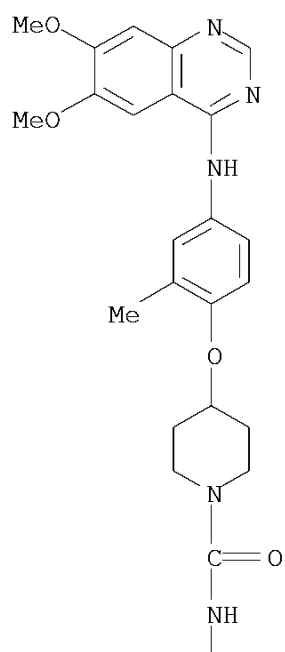


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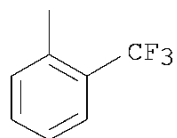


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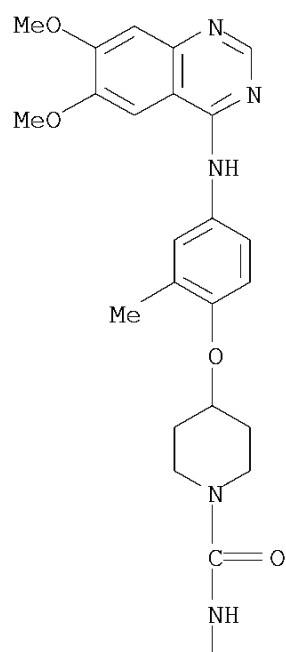


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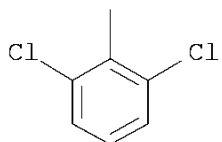


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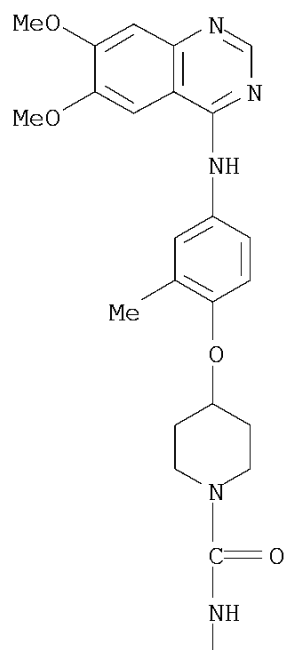


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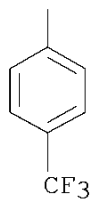


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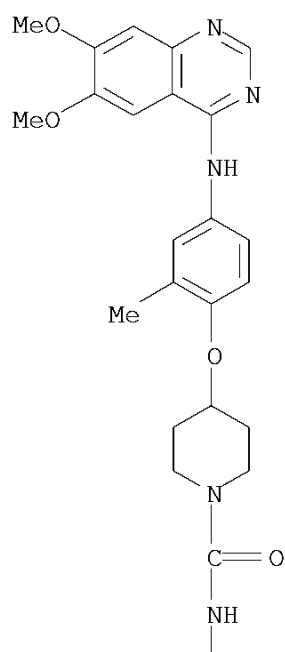


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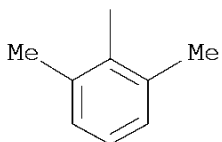


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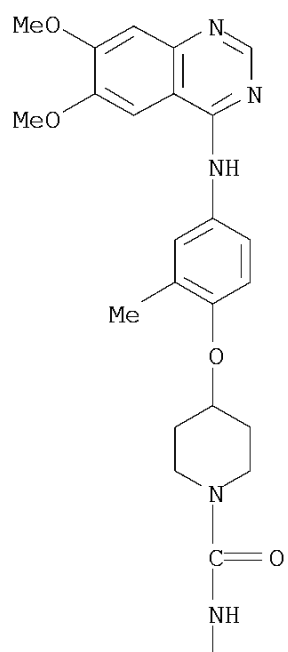


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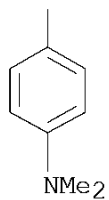


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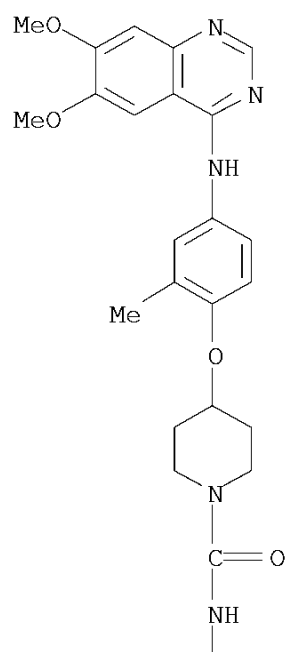


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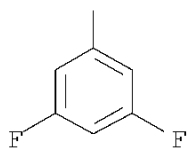


RN 799243-08-6 CAPLUS
CN 1-Piperidinecarboxamide, N-(3,5-difluorophenyl)-4-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methylphenoxy]- (CA INDEX NAME)

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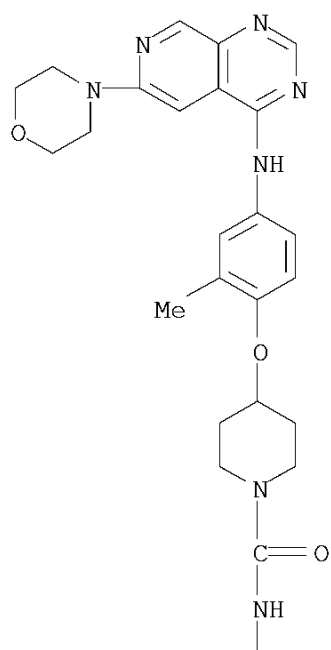


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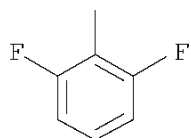


RN 799243-18-8 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-(4-morpholinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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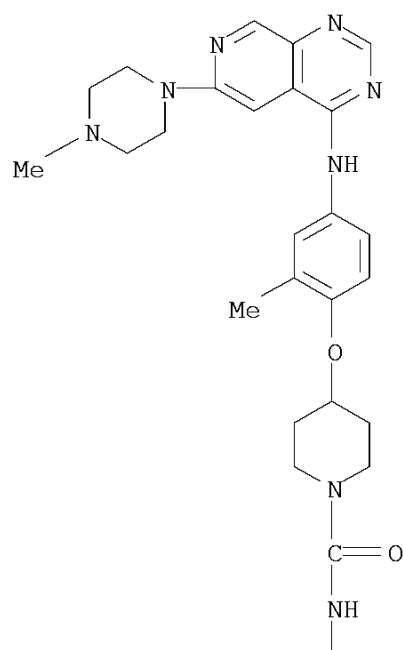


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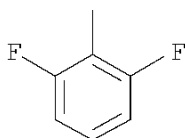


RN 799243-19-9 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-(4-methyl-1-piperazinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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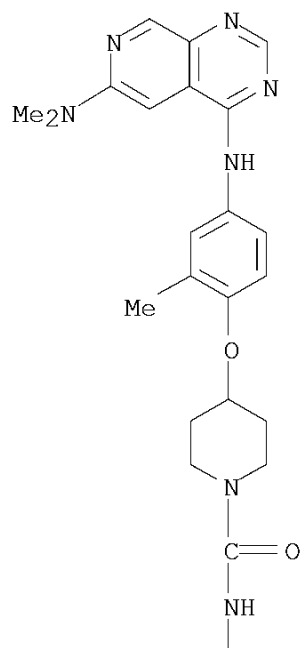


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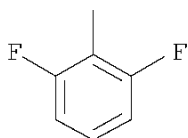


RN 799243-20-2 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[[6-(
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INDEX NAME)

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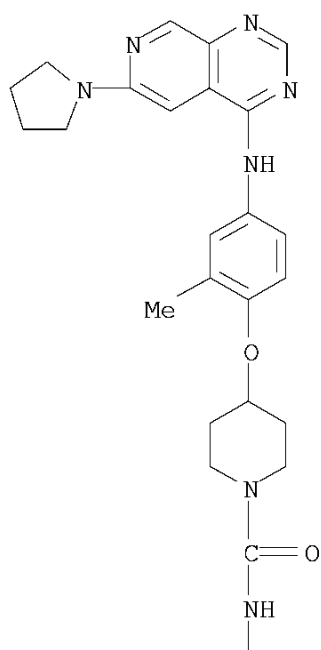


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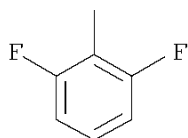


RN 799243-21-3 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-(1-pyrrolidinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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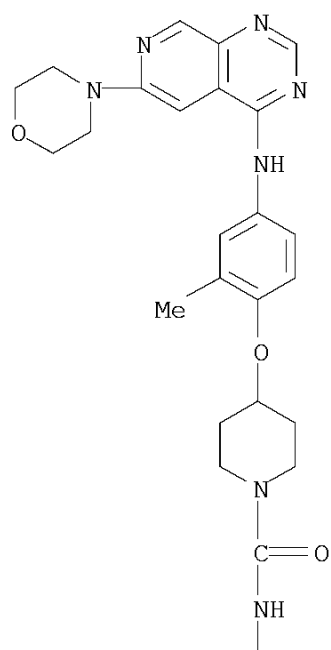


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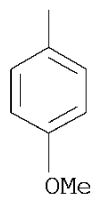


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CN 1-Piperidinecarboxamide, N-(4-methoxyphenyl)-4-[2-methyl-4-[[6-(4-morpholinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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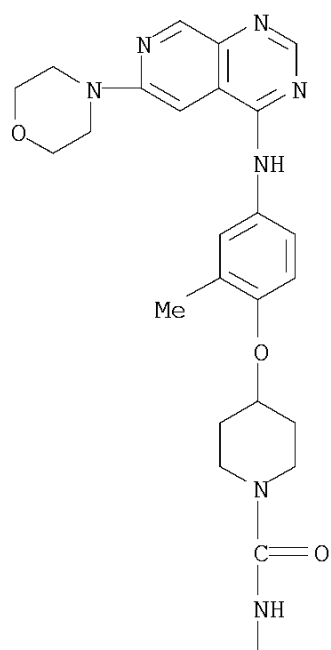


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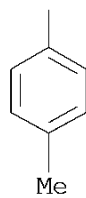


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CN 1-Piperidinecarboxamide, 4-[2-methyl-4-[[6-(4-morpholinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]-N-(4-methylphenyl)- (CA INDEX NAME)

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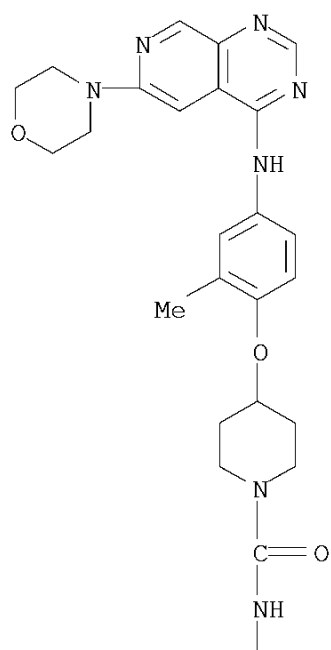


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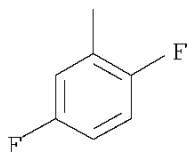


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CN 1-Piperidinecarboxamide, N-(2,5-difluorophenyl)-4-[2-methyl-4-[[6-(4-morpholinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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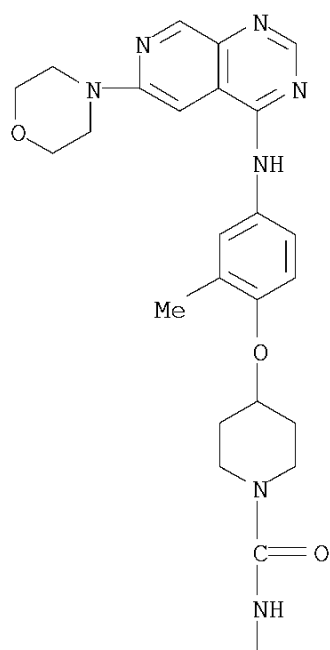


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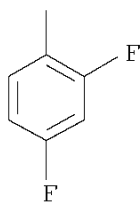


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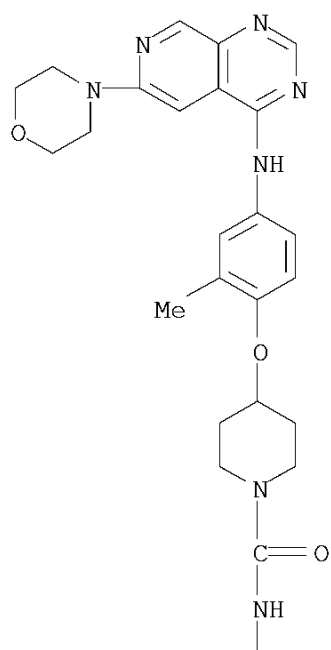


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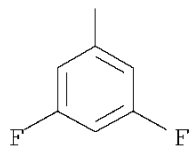


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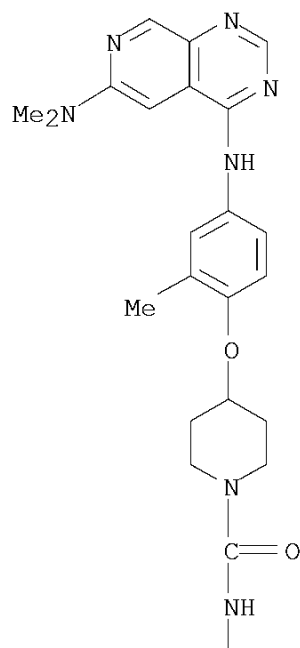


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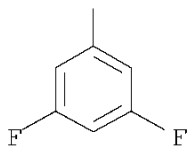


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CN 1-Piperidinecarboxamide, N-(3,5-difluorophenyl)-4-[4-[[6-(
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INDEX NAME)

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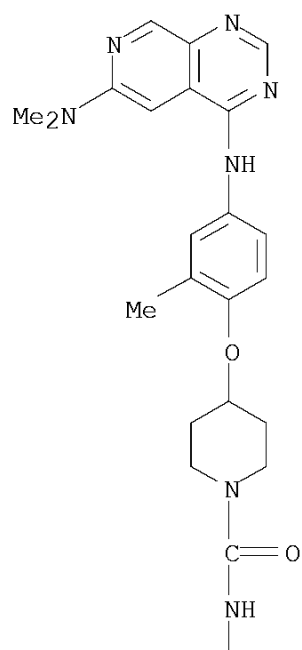


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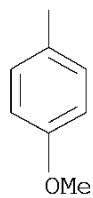


RN 799244-02-3 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[6-(dimethylamino)pyrido[3,4-d]pyrimidin-4-yl]amino]-2-methylphenoxy]-N-(4-methoxyphenyl)- (CA INDEX NAME)

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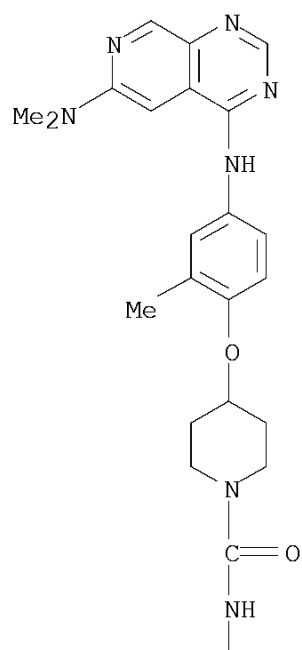


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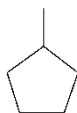


RN 799244-03-4 CAPLUS
CN 1-Piperidinecarboxamide, N-cyclopentyl-4-[4-[[6-(dimethylamino)pyrido[3,4-d]pyrimidin-4-yl]amino]-2-methylphenoxy]- (CA INDEX NAME)

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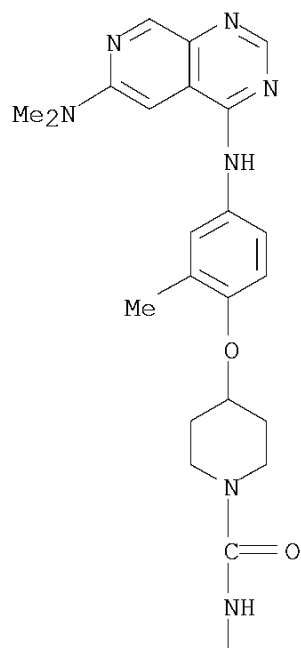


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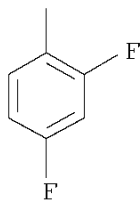


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CN 1-Piperidinecarboxamide, N-(2,4-difluorophenyl)-4-[[6-(dimethylamino)pyrido[3,4-d]pyrimidin-4-yl]amino]-2-methylphenoxy]- (CA INDEX NAME)

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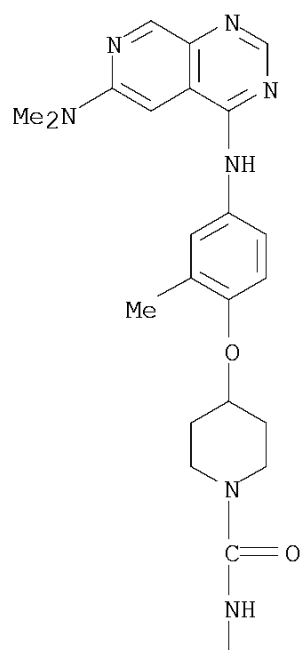


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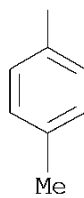


RN 799244-05-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[6-(dimethylamino)pyrido[3,4-d]pyrimidin-4-yl]amino]-2-methylphenoxy]-N-(4-methylphenyl)- (CA INDEX NAME)

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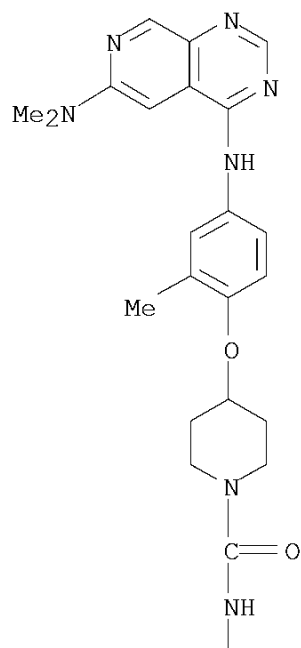


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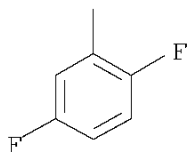


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CN 1-Piperidinecarboxamide, N-(2,5-difluorophenyl)-4-[4-[[6-(
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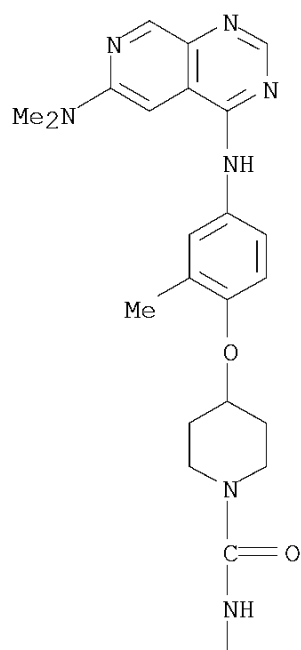


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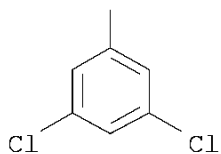


RN 799244-08-9 CAPLUS
CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[4-[[6-(
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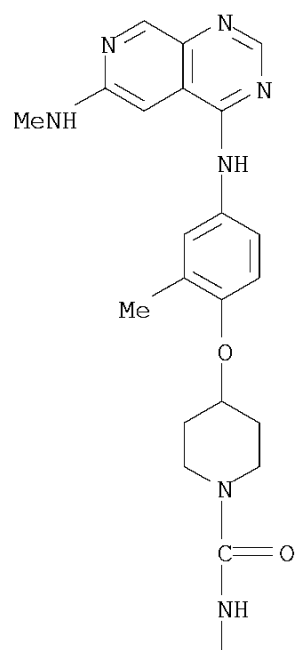


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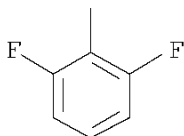


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CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-(methylamino)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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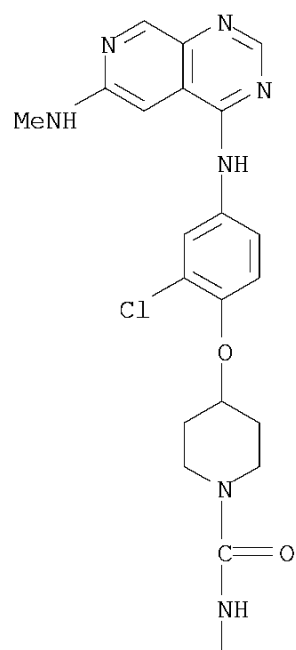


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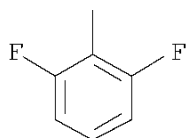


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CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[6-(methylamino)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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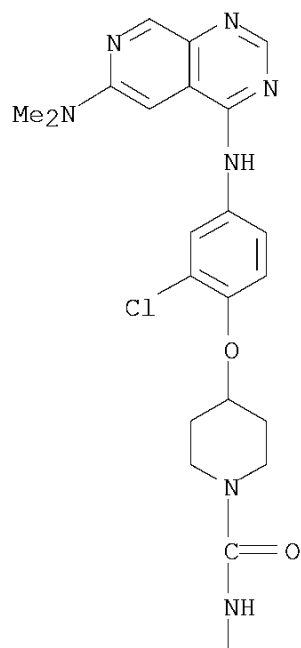


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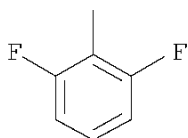


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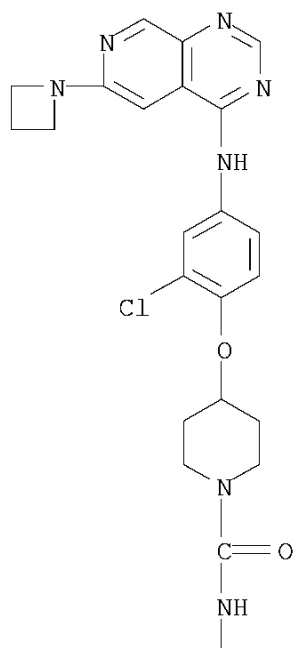


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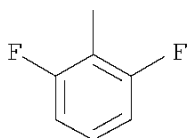


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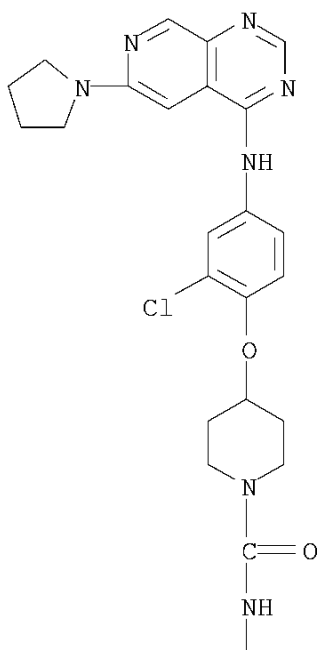


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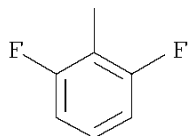


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CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[6-(1-pyrrolidinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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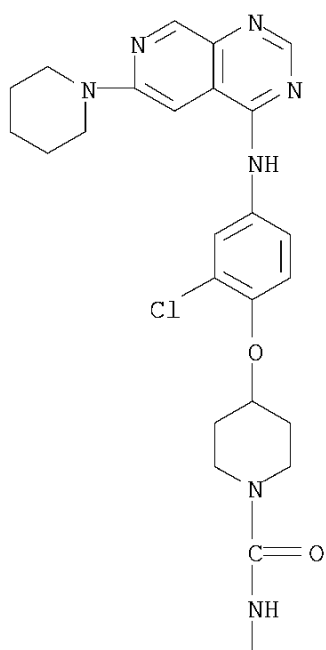


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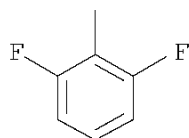


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CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[6-(1-piperidinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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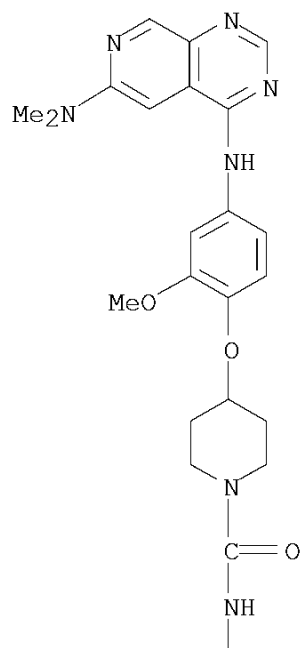


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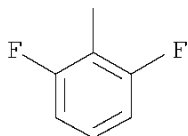


RN 799244-18-1 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[[6-(dimethylamino)pyrido[3,4-d]pyrimidin-4-yl]amino]-2-methoxyphenoxy]- (CA INDEX NAME)

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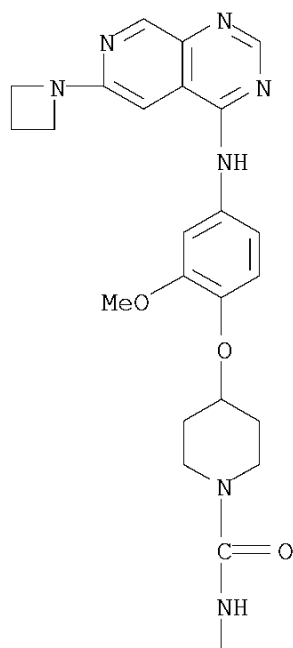


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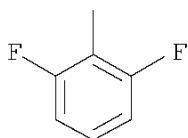


RN 799244-19-2 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[6-(1-azetidiny)pyrido[3,4-d]pyrimidin-4-yl]amino]-2-methoxyphenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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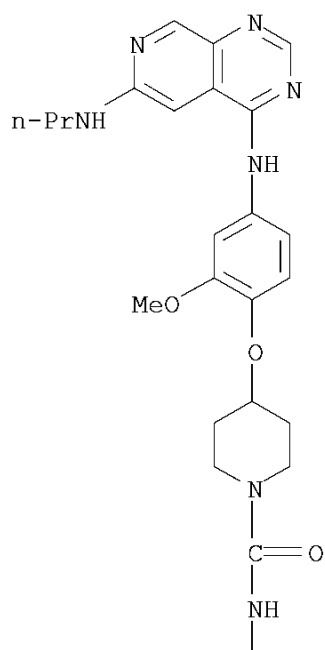


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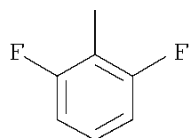


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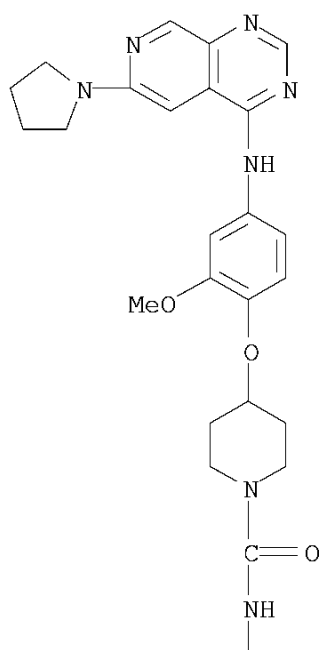


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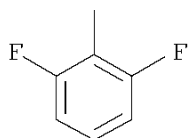


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CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methoxy-4-[[6-(1-pyrrolidinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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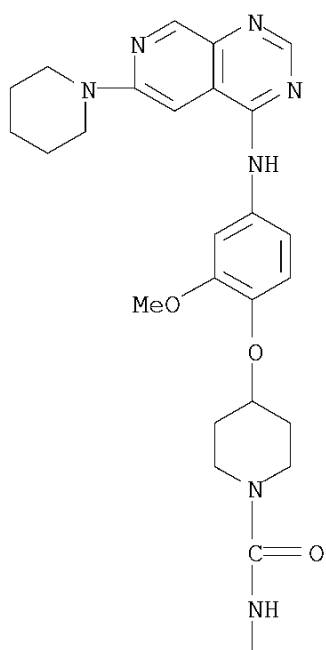


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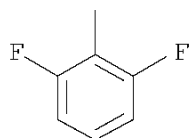


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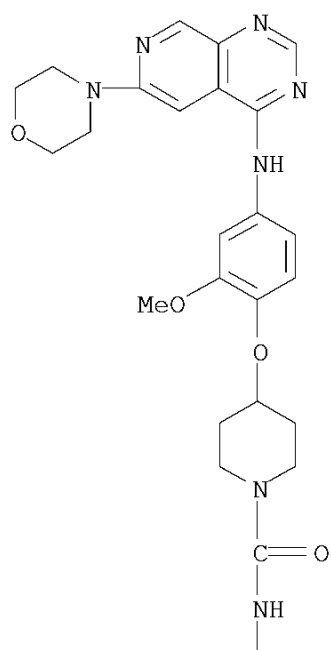


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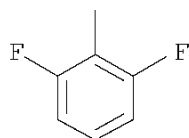


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CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methoxy-4-[[6-(4-morpholinyl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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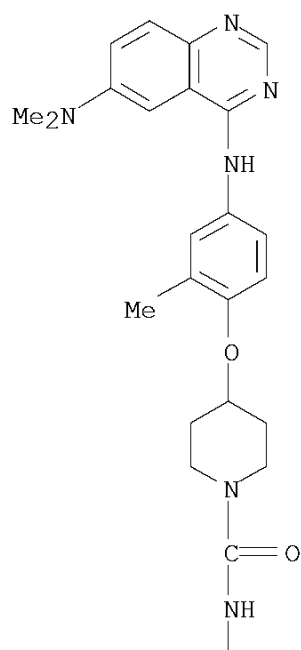


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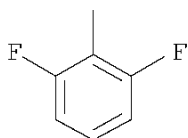


RN 799244-73-8 CAPLUS
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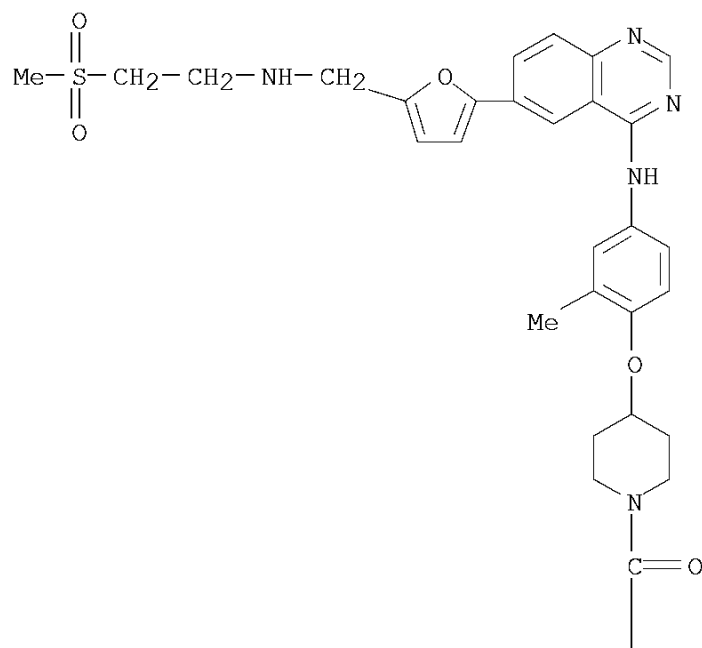


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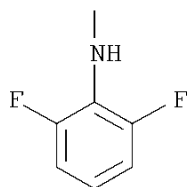


RN 799244-74-9 CAPLUS
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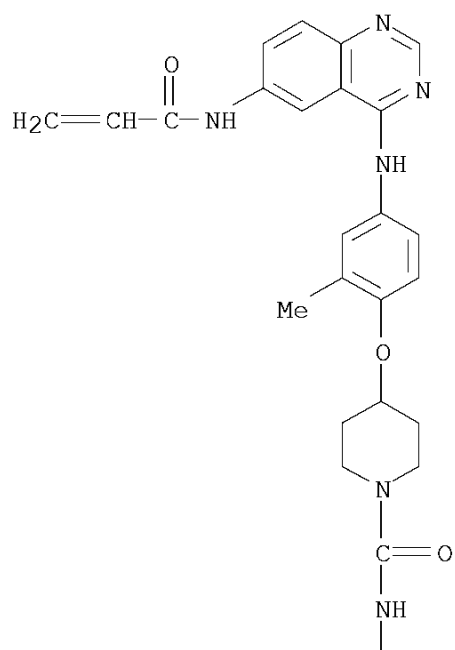


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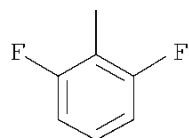


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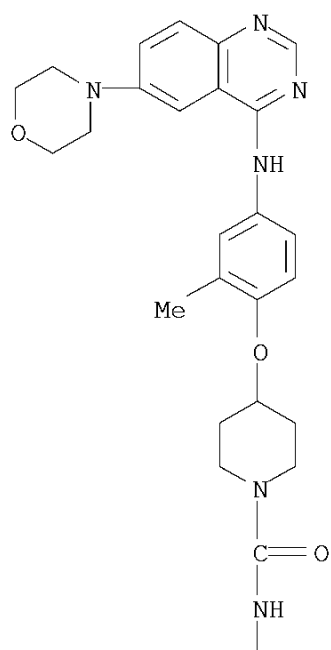


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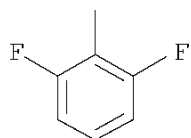


RN 799244-76-1 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-(4-morpholinyl)-4-quinazolinyl]amino]phenoxy]- (CA INDEX NAME)

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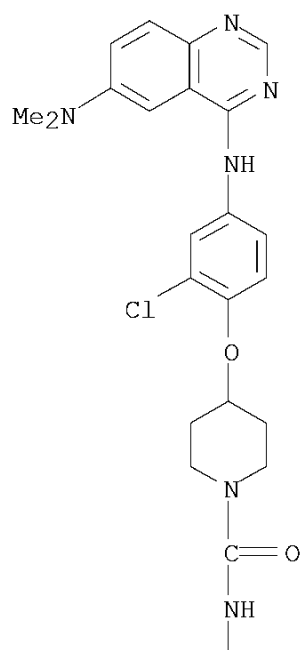


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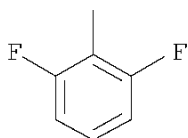


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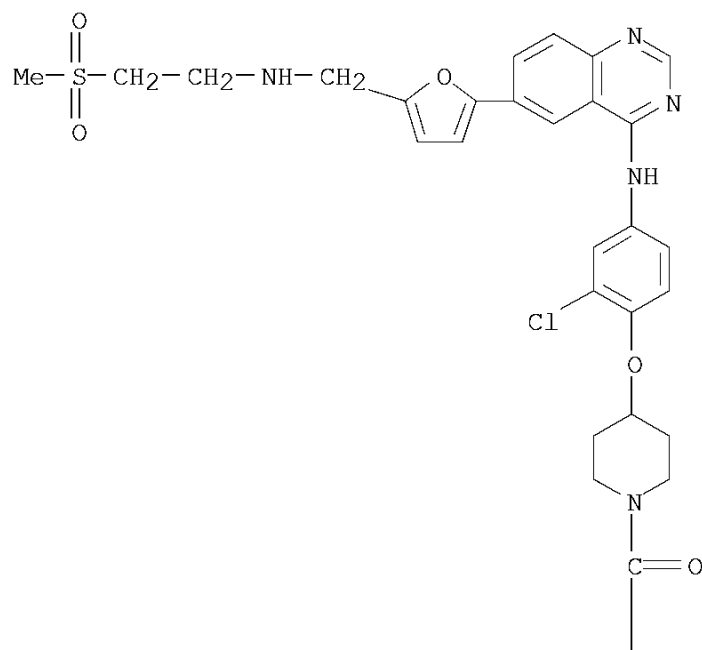


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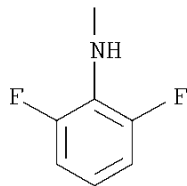


RN 799244-78-3 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[6-[5-[[[2-(methylsulfonyl)ethyl]amino]methyl]-2-furanyl]-4-quinazolinyl]amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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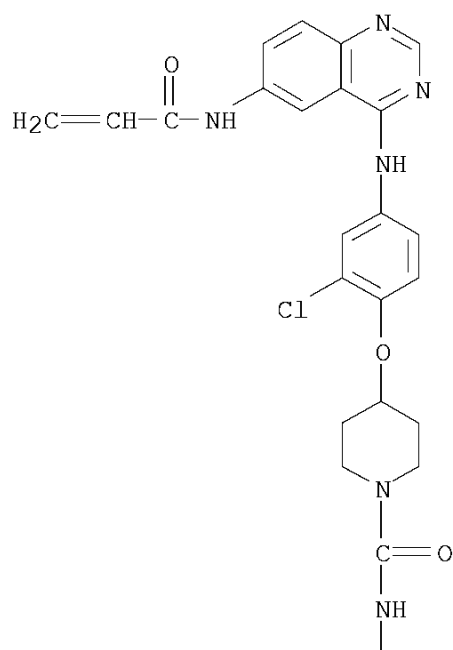


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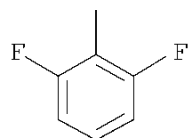


RN 799244-79-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[6-[(1-oxo-2-propen-1-yl)amino]-4-quinazolinyl]amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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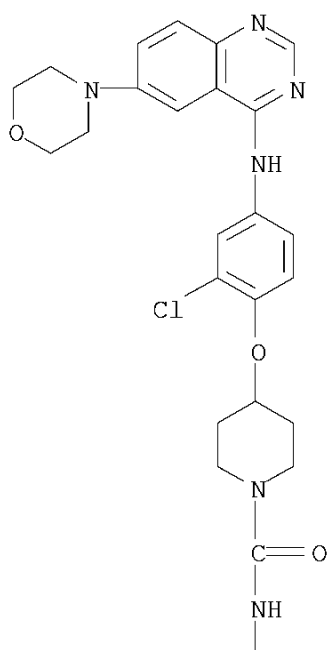


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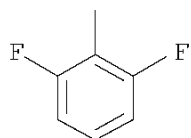


RN 799244-80-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[6-(4-morpholinyl)-4-quinazoliny]amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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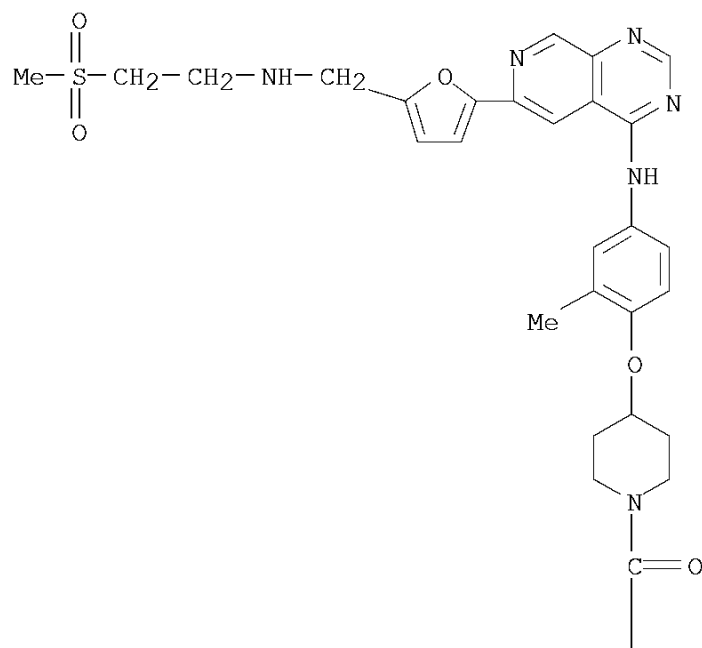


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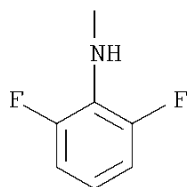


RN 799244-95-4 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-[5-[[[2-(methylsulfonyl)ethyl]amino]methyl]-2-furanyl]pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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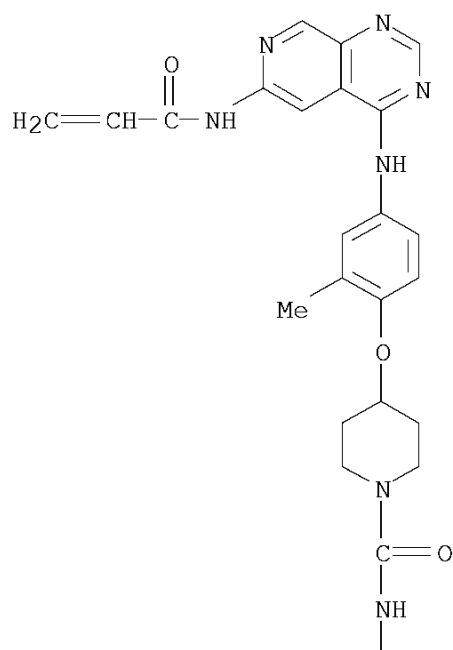


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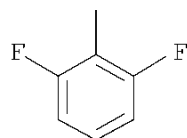


RN 799244-96-5 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-[(1-oxo-2-propen-1-yl)amino]pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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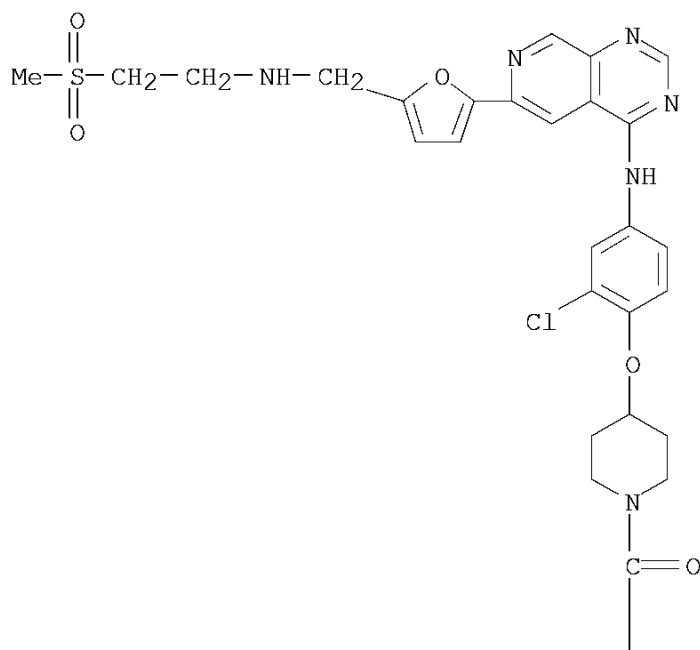


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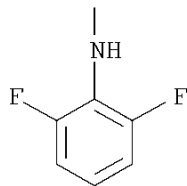


RN 799244-97-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[6-[5-[[[2-(methanesulfonyl)ethyl]amino]methyl]-2-furanyl]pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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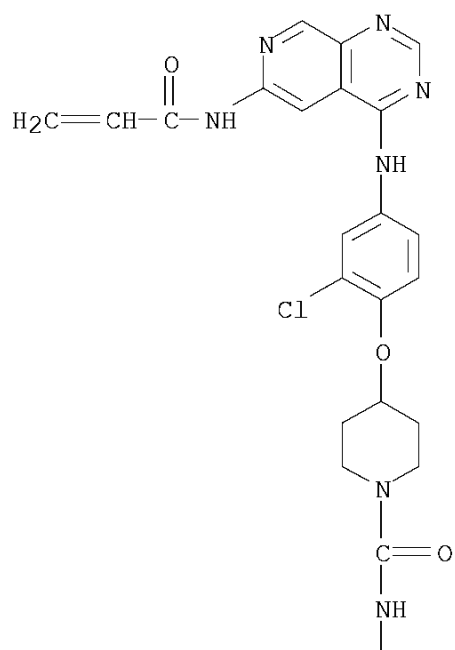


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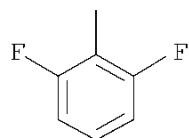


RN 799244-98-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[6-[(1-oxo-2-propen-1-yl)amino]pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]-N-(2,6-difluorophenyl)-
(CA INDEX NAME)

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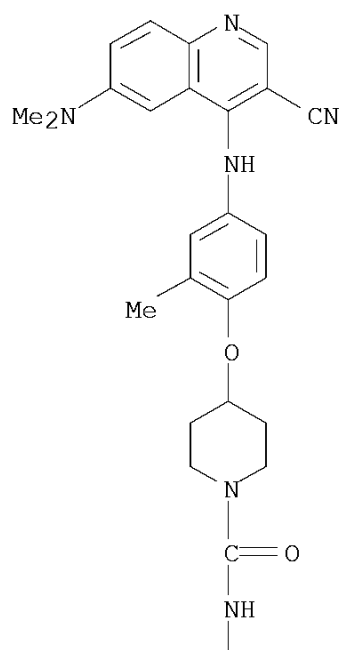


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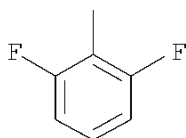


RN 799245-20-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[3-cyano-6-(dimethylamino)-4-quinoliny]amino]-2-methylphenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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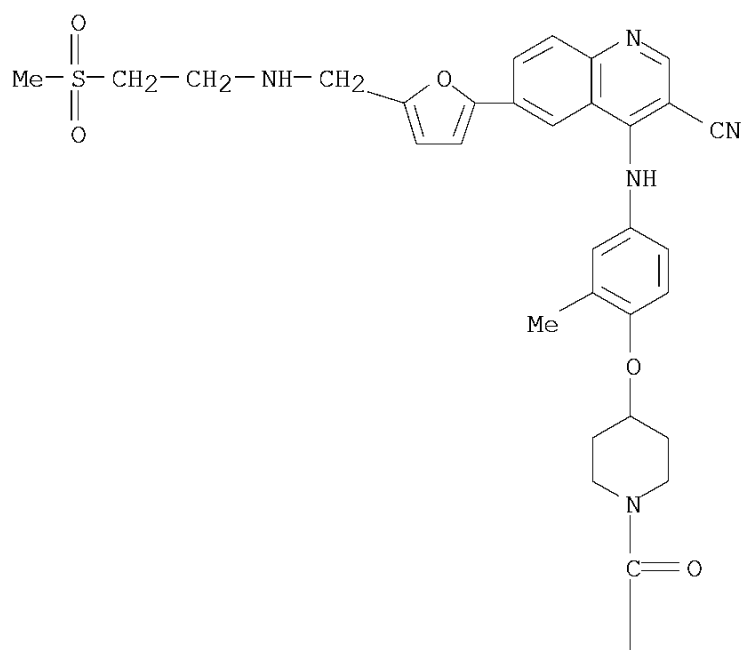


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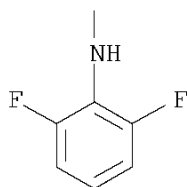


RN 799245-21-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[3-cyano-6-[5-[[[2-(methylsulfonyl)ethyl]amino]methyl]-2-furanyl]-4-quinolinyl]amino]-2-methylphenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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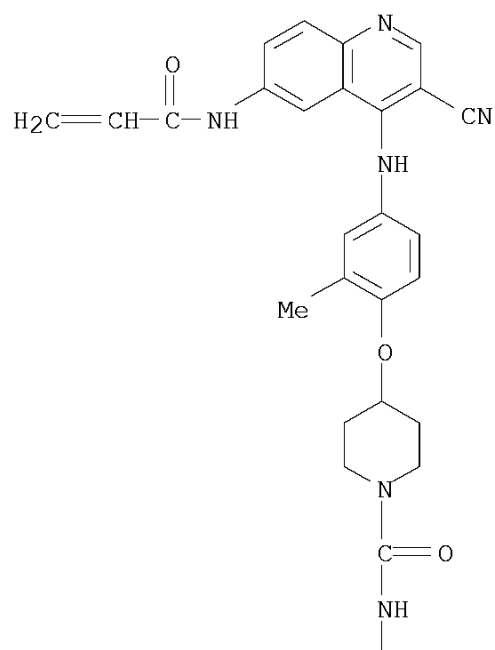


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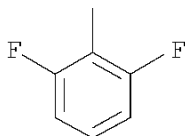


RN 799245-22-0 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[3-cyano-6-[(1-oxo-2-propen-1-yl)amino]-4-quinolinyl]amino]-2-methylphenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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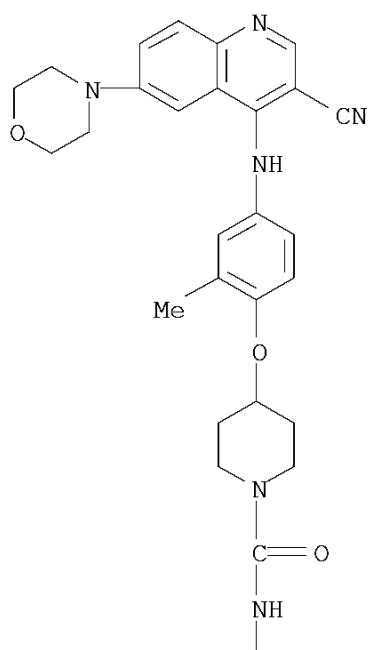


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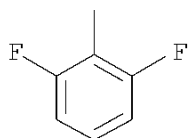


RN 799245-23-1 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[3-cyano-6-(4-morpholinyl)-4-quinoliny]amino]-2-methylphenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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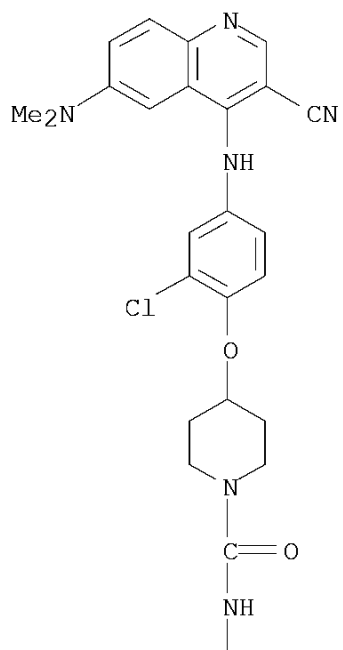


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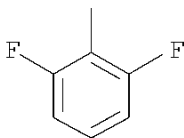


RN 799245-24-2 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[3-cyano-6-(dimethylamino)-4-quinoliny]amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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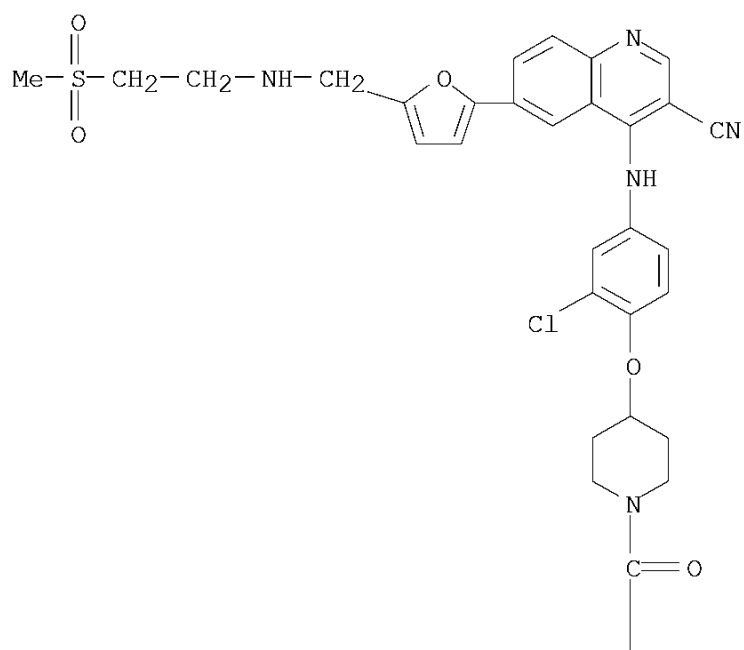


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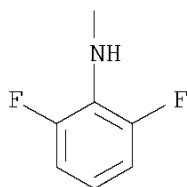


RN 799245-25-3 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[3-cyano-6-[5-[[[2-(
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N-(2,6-difluorophenyl)- (CA INDEX NAME)

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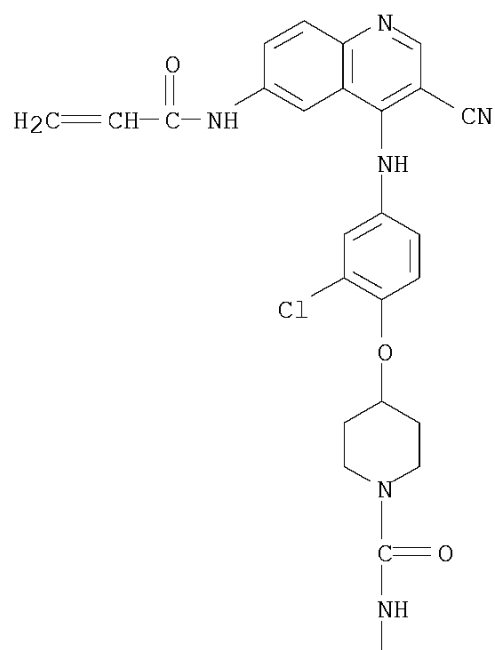


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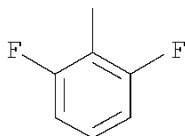


RN 799245-26-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[3-cyano-6-[(1-oxo-2-propen-1-yl)amino]-4-quinolinyl]amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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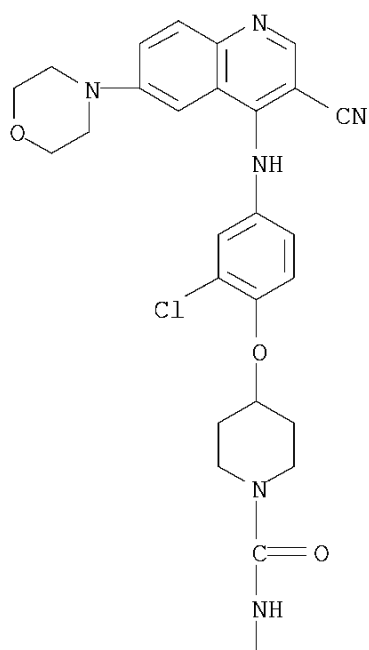


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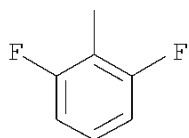


RN 799245-27-5 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[3-cyano-6-(4-morpholinyl)-4-quinolinyl]amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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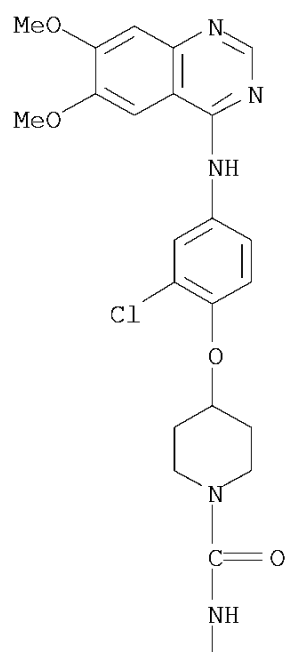


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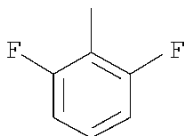


RN 799245-30-0 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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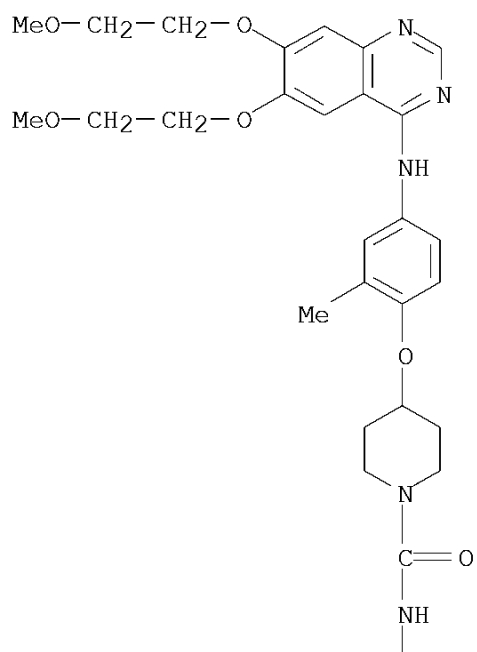


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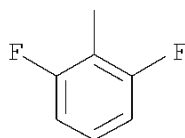


RN 799245-36-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[6,7-bis(2-methoxyethoxy)-4-quinazolinyl]amino]-2-methylphenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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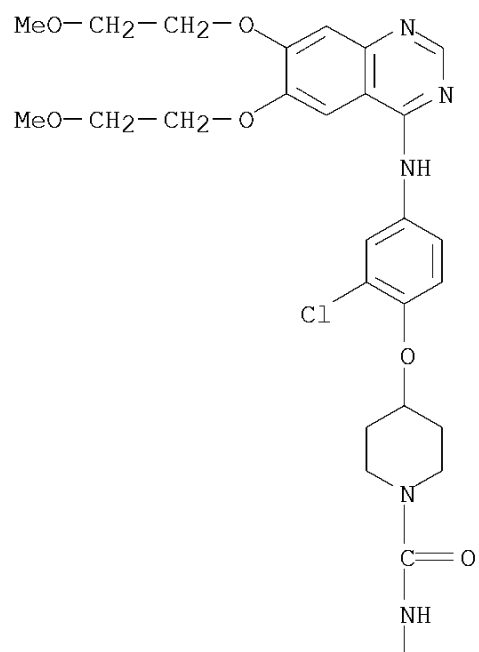


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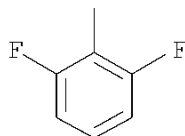


RN 799245-37-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[6,7-bis(2-methoxyethoxy)-4-quinazoliny]amino]-2-chlorophenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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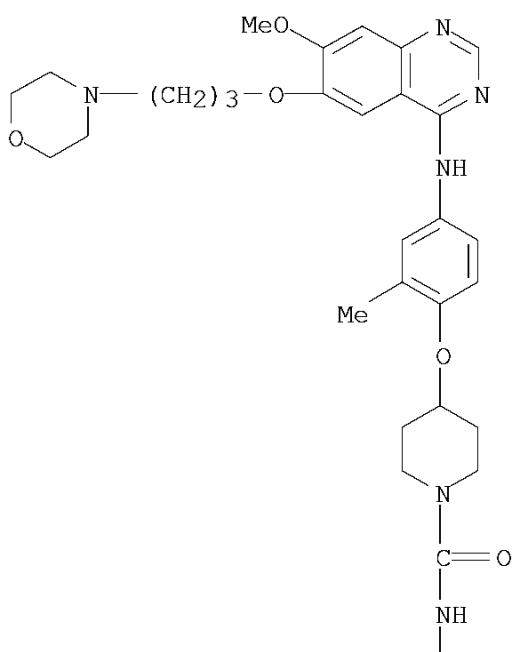


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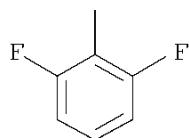


RN 799245-43-5 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[[7-methoxy-6-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]-2-methylphenoxy]- (CA INDEX NAME)

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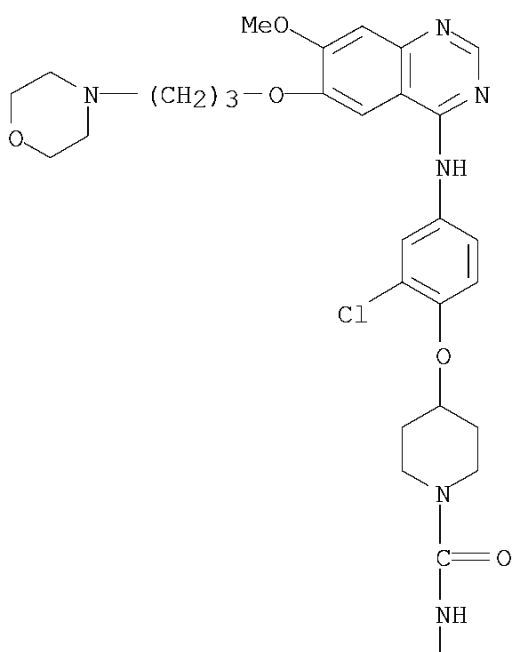


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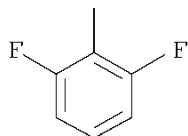


RN 799245-44-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[7-methoxy-6-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenoxy]-N-(2,6-difluorophenyl)-
(CA INDEX NAME)

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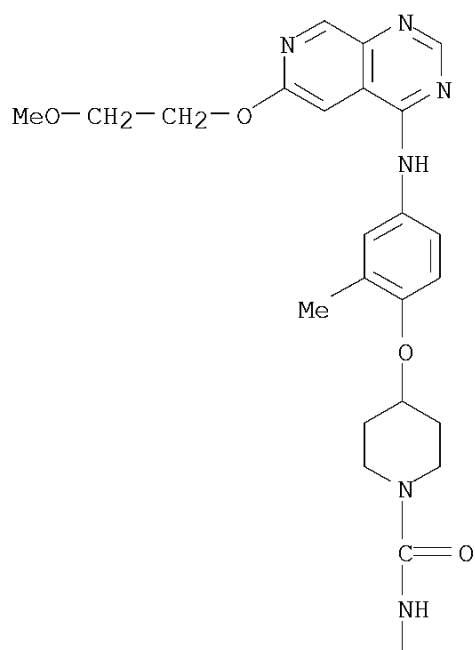


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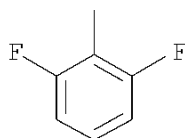


RN 799245-53-7 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[[6-(2-methoxyethoxy)pyrido[3,4-d]pyrimidin-4-yl]amino]-2-methylphenoxy]- (CA INDEX NAME)

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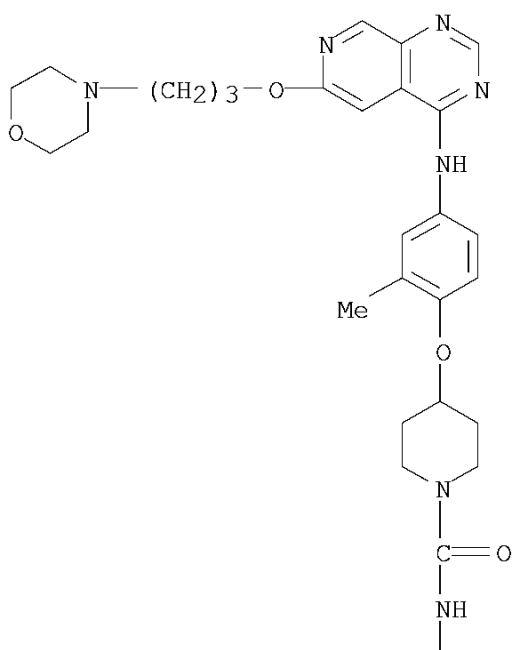


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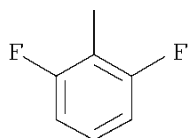


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CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-[3-(4-morpholinyl)propoxy]pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]- (CA INDEX NAME)

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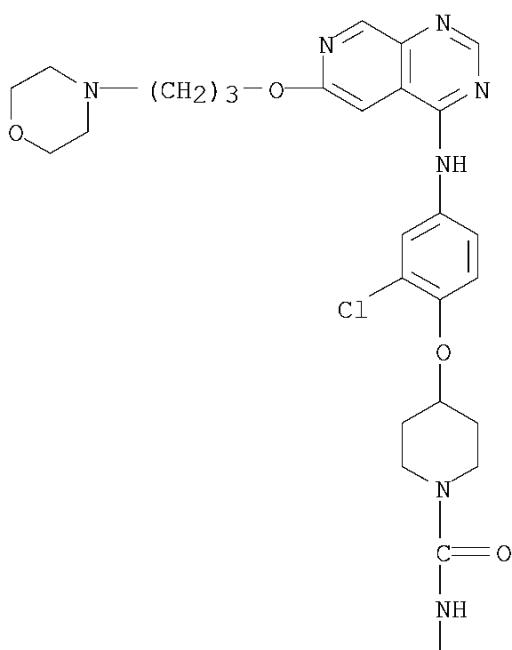


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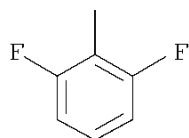


RN 799245-61-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[6-[3-(4-morpholinyl)propoxy]pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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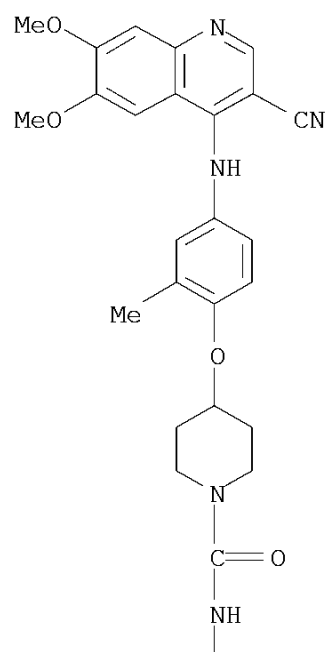


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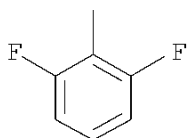


RN 799245-69-5 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[(3-cyano-6,7-dimethoxy-4-quinolinyl)amino]-2-methylphenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

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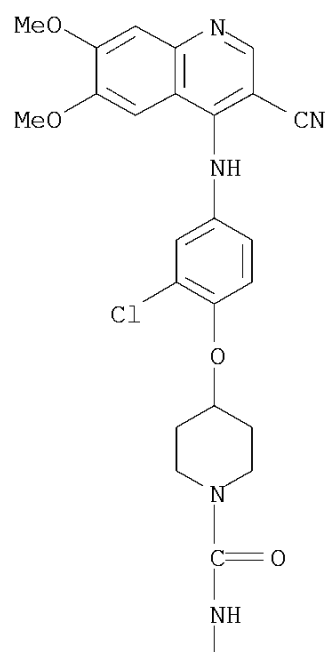


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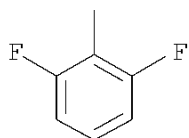


RN 799245-70-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[(3-cyano-6,7-dimethoxy-4-quinolinyl)amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

PAGE 1-A

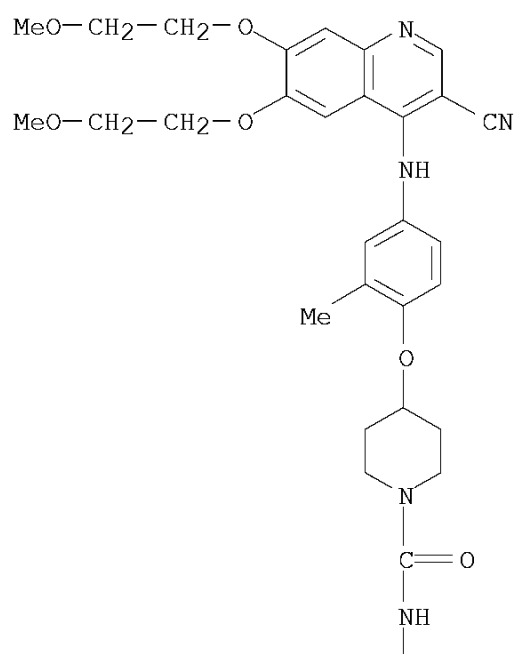


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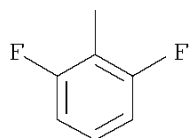


RN 799245-78-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[3-cyano-6,7-bis(2-methoxyethoxy)-4-quinolinyl]amino]-2-methylphenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

PAGE 1-A

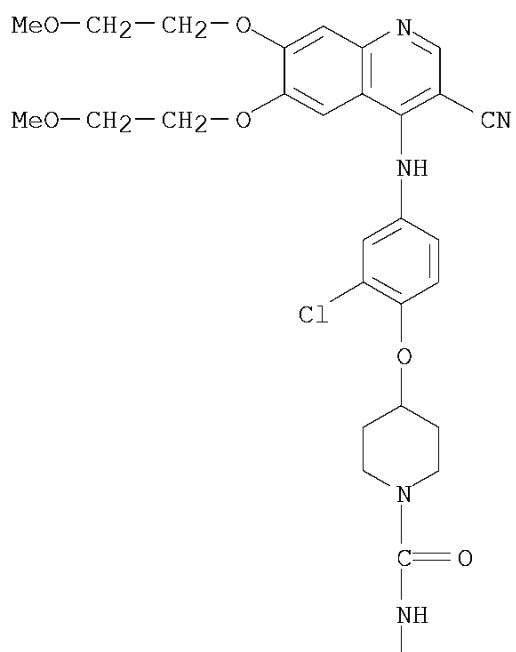


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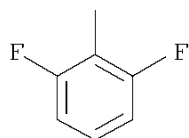


RN 799245-79-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[3-cyano-6,7-bis(2-methoxyethoxy)-4-quinoliny]amino]phenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

PAGE 1-A

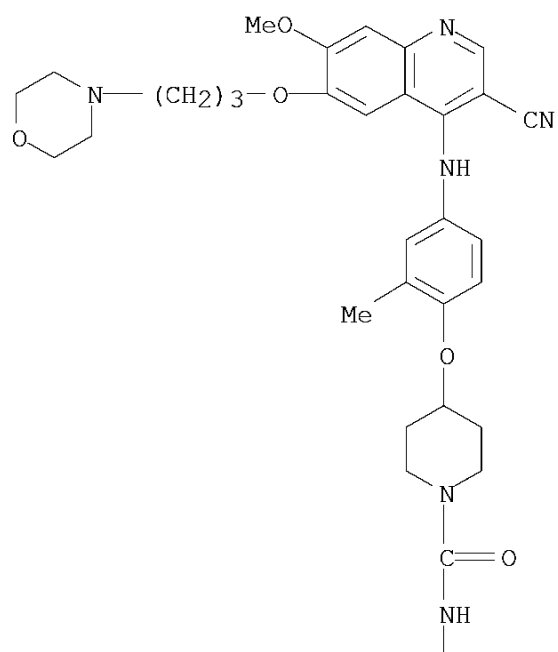


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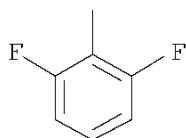


RN 799245-85-5 CAPLUS
CN 1-Piperidinecarboxamide, 4-[4-[[3-cyano-7-methoxy-6-[3-(4-morpholinyl)propoxy]-4-quinolinyl]amino]-2-methylphenoxy]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

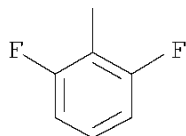
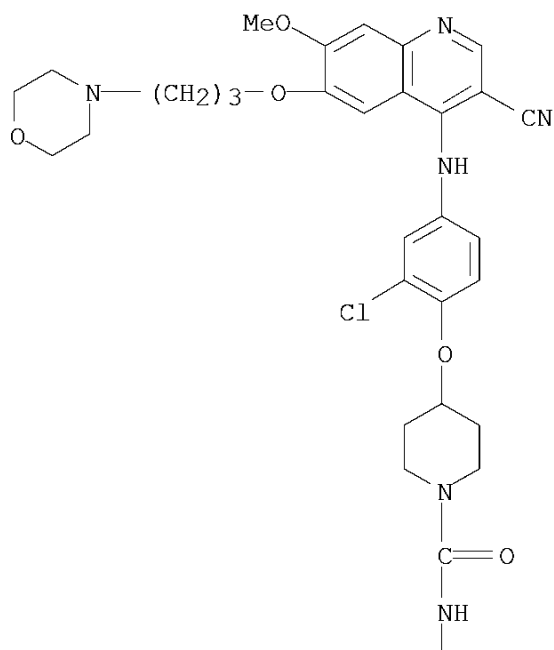
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PAGE 2-A



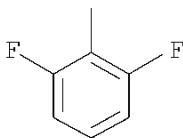
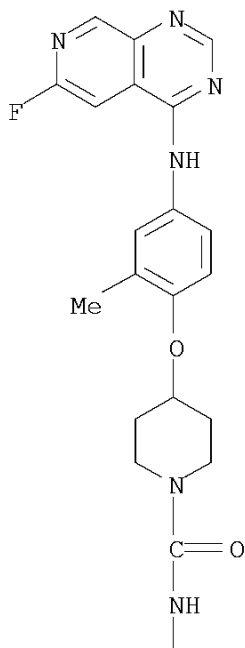
RN 799245-86-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-[2-chloro-4-[[3-cyano-7-methoxy-6-[3-(4-morpholinyl)propoxy]-4-quinolinyl]amino]phenoxy]-N-(2,6-difluorophenyl)-
(CA INDEX NAME)



IT 799242-47-0P, 4-[[4-((6-Fluoropyrido[3,4-d]pyrimidin-4-yl)amino)-
 2-methylphenyl]oxy]piperidine-1-carboxylic acid (2,6-difluorophenyl)amide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of substituted pyrimidine/quinazolines for treatment of
 abnormal cell growth)

RN 799242-47-0 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[(6-fluoropyrido[3,4-
 d]pyrimidin-4-yl)amino]-2-methylphenoxy]- (CA INDEX NAME)



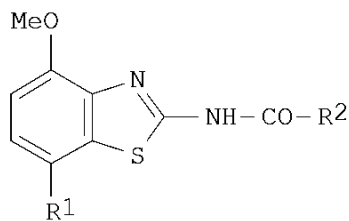
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)

L4 ANSWER 126 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2004:1019787 CAPLUS
 DOCUMENT NUMBER: 142:6546
 TITLE: Preparation of benzothiazoles as A2a receptor ligands
 for the treatment of Alzheimer's disease
 INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross,
 Roger David; Riemer, Claus
 PATENT ASSIGNEE(S): Hoffman-La Roche Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 27 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

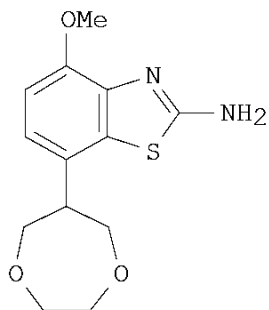
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20040235915	A1	20041125	US 2004-847558	20040517
US 7371748	B2	20080513		
AU 2004251814	A1	20050106	AU 2004-251814	20040514
AU 2004251814	B2	20090723		

CA 2524366	A1	20050106	CA 2004-2524366	20040514
WO 2005000842	A1	20050106	WO 2004-EP5178	20040514
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1636223	A1	20060322	EP 2004-732950	20040514
EP 1636223	B1	20080319		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR			
BR 2004010440	A	20060606	BR 2004-10440	20040514
CN 1791600	A	20060621	CN 2004-80013562	20040514
CN 100528871	C	20090819		
JP 2006528220	T	20061214	JP 2006-529816	20040514
AT 389652	T	20080415	AT 2004-732950	20040514
PT 1636223	E	20080506	PT 2004-732950	20040514
ES 2301991	T3	20080701	ES 2004-732950	20040514
NZ 543074	A	20090131	NZ 2004-543074	20040514
RU 2351597	C2	20090410	RU 2005-139527	20040514
NO 2005005150	A	20051104	NO 2005-5150	20051103
MX 2005012360	A	20060202	MX 2005-12360	20051116
ZA 2005009294	A	20061025	ZA 2005-9294	20051116
KR 859886	B1	20080923	KR 2005-721945	20051117
IN 2005CN03057	A	20070727	IN 2005-CN3057	20051118
PRIORITY APPLN. INFO.:			EP 2003-11039	A 20030519
			WO 2004-EP5178	W 20040514

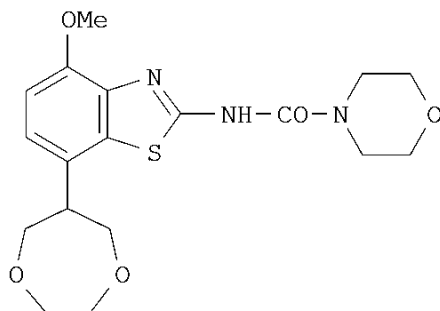
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 142:6546
GI



I



II



III

AB Title compds. I [R1 = 1,4-dioxepanyl, tetrahydropyran-4-yl; R2 = (CH2)*n*-cycloalkyl, NR-(CH2)*n*-cycloalkyl, NR-(CH2)*n*-Ph, etc.; R = H, alkyl; *n* = 0-1] and their pharmaceutically acceptable salts and formulations were prepared. For example, sequential condensation of amine II, e.g., prepared from 4-methoxybromobenzene in 4-steps, Ph chloroformate and morpholine afforded urea III in 7% yield. The p*K*_i of 27-examples of compds. I ranged from 8.5-9.4, with the preferred compds. having a p*K*_i >8.5. Of note, compds. I possess a high affinity towards the A2a receptor (no data provided). Compds. I are claimed useful for the treatment of Alzheimer's disease, depression, Parkinson's disease and ADHD.

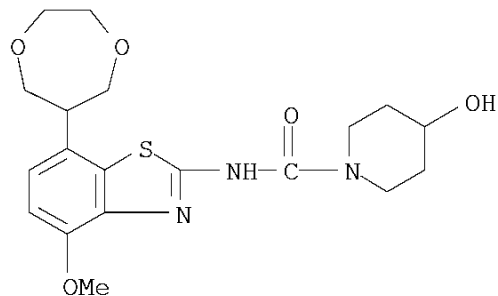
IT 798532-88-4P 798533-00-3P 798533-11-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

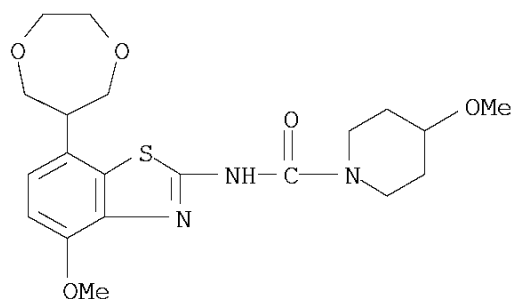
(preparation of benzothiazoles as A2a receptor ligands for the treatment of Alzheimer's disease)

RN 798532-88-4 CAPLUS

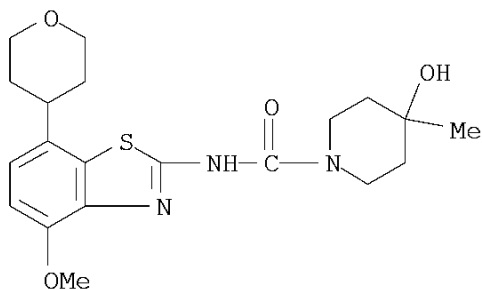
CN 1-Piperidinecarboxamide, N-[7-(1,4-dioxepan-6-yl)-4-methoxy-2-benzothiazolyl]-4-hydroxy- (CA INDEX NAME)



RN 798533-00-3 CAPLUS
CN 1-Piperidinecarboxamide, N-[7-(1,4-dioxepan-6-yl)-4-methoxy-2-benzothiazolyl]-4-methoxy- (CA INDEX NAME)



RN 798533-11-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methoxy-7-(tetrahydro-2H-pyran-4-yl)-2-benzothiazolyl]-4-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 127 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:965242 CAPLUS

DOCUMENT NUMBER: 141:410806

TITLE: Preparation of azetidinecarboxamide derivatives and analogs for the treatment of CB1 receptor-mediated disorders

INVENTOR(S): Davidson, James Edward Paul; Bentley, Jonathan Mark; Dawson, Claire Elizabeth; Harrison, Kerry; Mansell, Howard Langham; Pither, Alan Leslie; Pratt, Robert Mark; Roffey, Jonathan Richard Anthony; Ruston, Victoria Jane

PATENT ASSIGNEE(S): Vernalis Research Limited, UK

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096794	A1	20041111	WO 2004-GB1884	20040429
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1618105 A1 20060125 EP 2004-730303 20040429
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
 JP 2006525299 T 20061109 JP 2006-506208 20040429
 US 20070173486 A1 20070726 US 2006-554447 20061012
 US 20090181939 A1 20090716 US 2009-407021 20090319

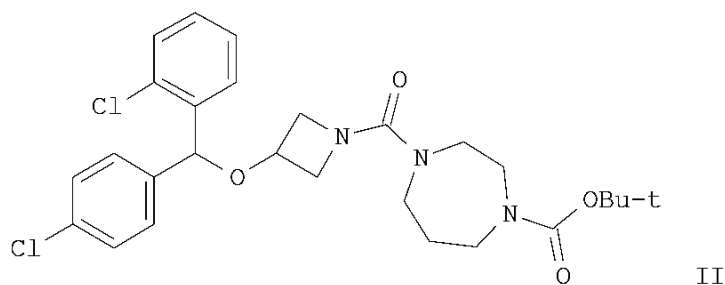
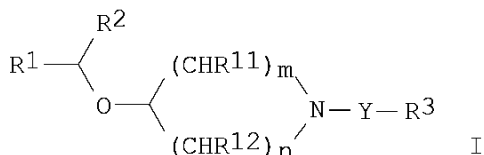
PRIORITY APPLN. INFO.:

GB 2003-10052 A 20030501
 WO 2004-GB1884 W 20040429
 US 2006-554447 A1 20061012

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 141:410806

GI



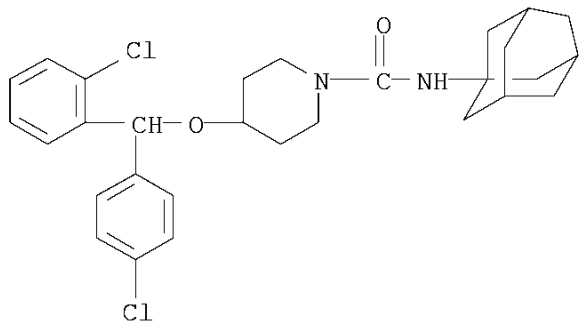
AB Title compds. I [wherein R1 = (hetero)aryl; R2 = alkyl or (hetero)aryl; R3 = alkyl, (hetero)aryl, substituted amino, alkoxy or amide; R11, R12 = H or alkyl; Y = C(O), C(S), SO2, or alkylene; m = 1 or 2; n = 1 or 2; with some limitations, and pharmaceutically acceptable salts or prodrugs thereof], useful for the treatment of CB1 receptor-mediated disorders, such as obesity, were prepared Compds. I were tested in several biol. assays, and six compds. were reported to have binding constant Ki values from 0.8 to 27.7 nM against recombinant human CB1 (hCB1) receptor. For example, azetidinecarboxamide II was synthesized in several steps, and had Ki value of 0.8 nM against hCB1 receptor.

IT 791119-50-1P, 4-(2,4'-Dichlorobenzhydryloxy)-N-(1-adamantyl)piperidine-1-carboxamide 791119-56-7P, 4-(2,4,4'-Trichlorobenzhydryloxy)-N-(cyclohexyl)piperidine-1-carboxamide 791119-74-9P, 4-(2,2'-Dichlorobenzhydryloxy)-N-(3-chloro-4-methoxyphenyl)piperidine-1-carboxamide 791119-75-0P, 4-(2,2'-Dichlorobenzhydryloxy)-N-(3-chlorophenyl)piperidine-1-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of azetidinecarboxamide derivs. and analogs for treatment of CB1 receptor mediated disorders)

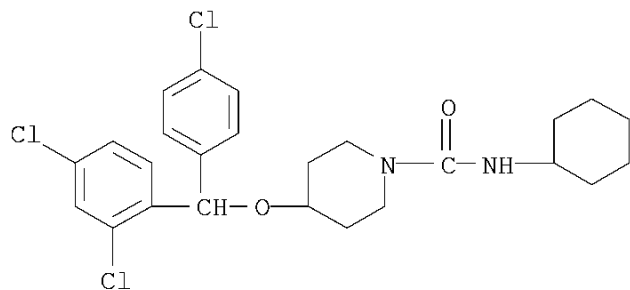
RN 791119-50-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(2-chlorophenyl) (4-chlorophenyl)methoxy]-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA INDEX NAME)



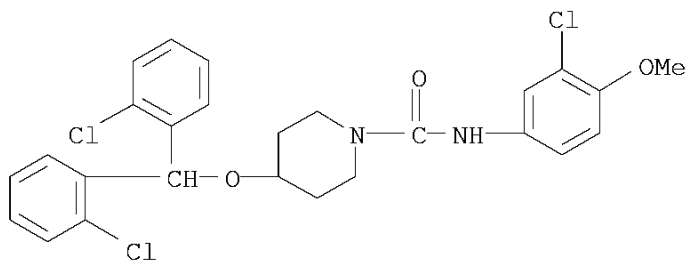
RN 791119-56-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(4-chlorophenyl) (2,4-dichlorophenyl)methoxy]-N-cyclohexyl- (CA INDEX NAME)



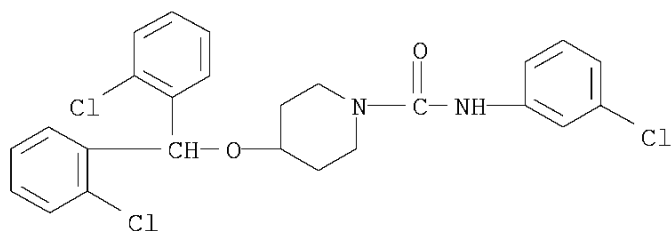
RN 791119-74-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[bis (2-chlorophenyl)methoxy]-N-(3-chloro-4-methoxyphenyl)- (CA INDEX NAME)



RN 791119-75-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[bis (2-chlorophenyl)methoxy]-N-(3-chlorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
 REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 128 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:934327 CAPLUS

DOCUMENT NUMBER: 141:395578

TITLE: Preparation of aryl-substituted 8-aminoarylimidazo[1,2-a]pyrazines as kinase inhibitors for treatment of cancer and other conditions

INVENTOR(S): Sun, Connie Li; Liang, Congxin; Huang, Ping; Harris, G. Davis; Guan, Huiping

PATENT ASSIGNEE(S): Sugan, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 76 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

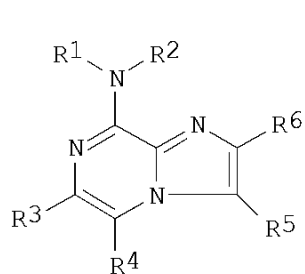
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

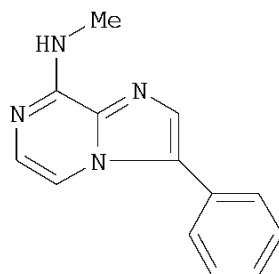
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040220189	A1	20041104	US 2004-781928	20040220
US 7157460	B2	20070102		
US 20050009832	A1	20050113	US 2004-845586	20040514
US 7186832	B2	20070306		
PRIORITY APPLN. INFO.:			US 2003-448114P	P 20030220
			US 2003-508860P	P 20031007
			US 2004-781928	A2 20040220

OTHER SOURCE(S): MARPAT 141:395578

GI



I



II

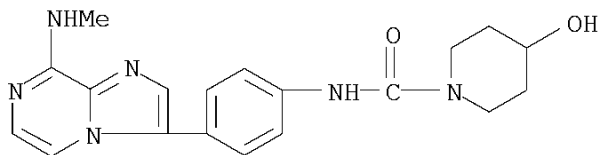
AB Title compds. I [wherein R1, R2 = independently H, acyl, carbamoyl, alkoxy, (un)substituted (cyclo)alkyl, (hetero)aryl, etc.; R3, R4 = independently H, halo, OH, acyl, carbamoyl, alkoxy, sulfamoyl, CN, NO2,

NH₂, (un)substituted (cyclo)alkyl, (hetero)aryl, etc.; R₅ = H, halo, (un)substituted aryl; wherein at least one of R₃, R₄, and R₅ = aryl; R₆ = H; or pharmaceutically acceptable salts and prodrugs thereof] were prepared as protein kinase (PK) inhibitors. For example, amination of 3,5-dibromoimidazo[1,2-a]pyrazine with methylamine in THF afforded (3-bromoimidazo[1,2-a]pyrazin-8-yl)methylamine (50%), which was coupled with phenylboronic acid in THF to give II (63%). Nine exemplified compds. were tested and found active against GST-Flk1 receptor tyrosine kinase, fibroblast growth factor type 1 receptors (FGFR1), and platelet-derived growth factor (PDGF) receptors (no data). Thus, I and pharmaceutical compns. comprising these compds. are useful for treating disorders related to abnormal PK activity, such as cancer, diabetes, autoimmune disorders, inflammatory disorders, and cardiovascular disorders (no data).

IT 787591-56-4P, 4-Hydroxypiperidine-1-carboxylic acid
N-[4-(8-methylaminoimidazo[1,2-a]pyrazin-3-yl)phenyl]amide
787591-57-5P, 4-Hydroxypiperidine-1-carboxylic acid
N-[3-(8-methylaminoimidazo[1,2-a]pyrazin-3-yl)phenyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(kinase inhibitor; preparation of imidazo[1,2-a]pyrazines as kinase inhibitors for treatment of cancer and other conditions)

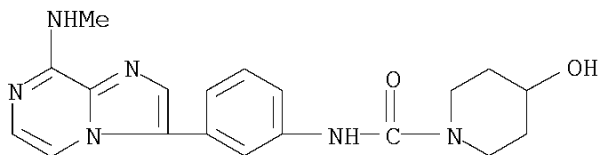
RN 787591-56-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-[8-(methylamino)imidazo[1,2-a]pyrazin-3-yl]phenyl]- (CA INDEX NAME)



RN 787591-57-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[3-[8-(methylamino)imidazo[1,2-a]pyrazin-3-yl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 129 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:878393 CAPLUS

DOCUMENT NUMBER: 141:366121

TITLE: Preparation of dibenzo[b,f]furan-1-carboxamides, 9H-carbazole-4-carboxamides, and dibenzo[b,d]thiophene-4-carboxamides as PDE4 inhibitors for the treatment of inflammatory and allergic disorders

INVENTOR(S): Gopalan, Balasubramanian; Gharat, Laxmikant Atmaram;

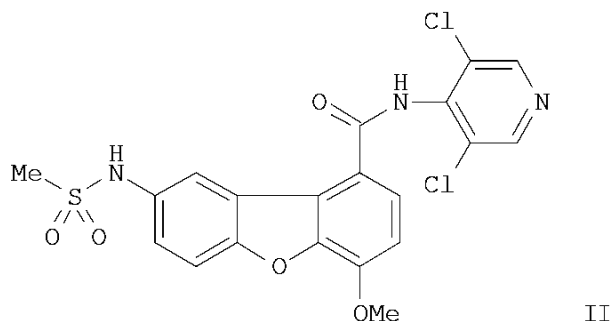
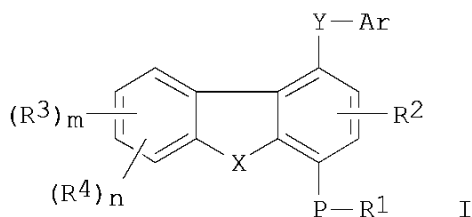
PATENT ASSIGNEE(S): Lakdawala, Aftab Dawoodbhai; Karaunakaran, Usha
 SOURCE: Glenmark Pharmaceuticals Ltd., India
 PCT Int. Appl., 121 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089940	A1	20041021	WO 2004-IB355	20040211
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
IN 2003MU00363	A	20050304	IN 2003-MU363	20030411
AU 2004228453	A1	20041021	AU 2004-228453	20040211
CA 2522023	A1	20041021	CA 2004-2522023	20040211
EP 1620429	A1	20060201	EP 2004-710093	20040211
EP 1620429	B1	20090401		
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BR 2004009747	A	20060509	BR 2004-9747	20040211
CN 1829711	A	20060906	CN 2004-80016048	20040211
JP 2006522789	T	20061005	JP 2006-506259	20040211
NZ 542882	A	20071026	NZ 2004-542882	20040211
AT 427308	T	20090415	AT 2004-710093	20040211
ES 2320888	T3	20090529	ES 2004-710093	20040211
AP 2008	A	20090630	AP 2005-3424	20040211
US 20050027129	A1	20050203	US 2004-821642	20040409
US 7223789	B2	20070529		
MX 2005010948	A	20060531	MX 2005-10948	20051011
ZA 2005008240	A	20060531	ZA 2005-8240	20051012
NO 2005005316	A	20060111	NO 2005-5316	20051110
US 20070105854	A1	20070510	US 2006-536434	20060928
US 7384962	B2	20080610		
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US 7393846	B2	20080701		
US 20090182143	A1	20090716	US 2008-131286	20080602
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			WO 2004-IB355	W 20040211
			US 2004-821642	A3 20040409
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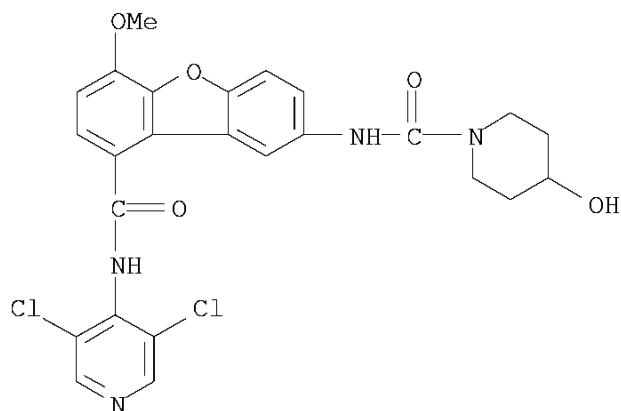
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 141:366121; MARPAT 141:366121

GI



- AB Title heterocyclic tricycles I [wherein R1-R3, R5, R6, Ra = independently H, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, (hetero)aryl, heterocyclyl(alkyl), etc.; R4 = NR5R6, heterocyclyl; Ar = (un)substituted aryl(alkyl), heterocyclyl, heteroaryl; X = O, SO0-2, NRa; Y = CONR7, NR7SO0-2, SO0-2NR7, NR7CO; R7 = H, OH, ORa, (un)substituted alkyl, aryl, heterocyclyl; P = O, S; m = 0-3; n = 1-4; and tautomers, regioisomers, stereoisomers, enantiomers, diastereomers, polymorphs, N-oxides, pharmaceutically acceptable salts, solvates, and compns. thereof] were prepared as phosphodiesterase type 4 (PDE4) inhibitors. For example, N-(3,5-dichloropyrid-4-yl)-4-methoxy-8-aminodibenzo[b,f]furan-1-carboxamide (prepared in six steps from isovanillin, 4-fluoronitrobenzene, and 4-amino-3,5-dichloropyridine) was coupled with methanesulfonyl chloride in THF and pyridine to give the sulfonamide II. The latter inhibited the PDE4-induced conversion of [3H] cAMP to the corresponding [3H] 5'-AMP with IC50 of 0.5058 nM. Thus, I and their pharmaceutical compns. are useful for the treatment of immune disorders, inflammatory conditions, allergic conditions, CNS diseases, and insulin resistant diabetes (no data).
- IT 778576-56-0P, N-(3,5-Dichloropyridin-4-yl)-4-methoxy-8-[[4-hydroxypiperidin-1-yl]carbonyl]amino]dibenzo[b,d]furan-1-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (PDE4 inhibitor; preparation of tricyclic heterocycles as PDE4 inhibitors for treatment of immune and inflammatory disorders and insulin resistant diabetes)
- RN 778576-56-0 CAPLUS
- CN 1-Piperidinecarboxamide, N-[9-[[3,5-dichloro-4-pyridinyl]amino]carbonyl]-6-methoxy-2-dibenzofuranyl]-4-hydroxy- (CA INDEX NAME)

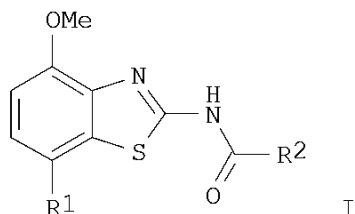


OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 130 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:857216 CAPLUS
DOCUMENT NUMBER: 141:350158
TITLE: Preparation of 2-acylaminobenzothiazole derivatives as
adenosine receptor ligands
INVENTOR(S): Flohr, Alexander; Norcross, Roger David
PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., Switz.
SOURCE: U.S. Pat. Appl. Publ., 26 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040204584	A1	20041014	US 2004-812736	20040330
US 6872833	B2	20050329		
AU 2004228193	A1	20041021	AU 2004-228193	20040407
AU 2004228193	B2	20090723		
CA 2520852	A1	20041021	CA 2004-2520852	20040407
WO 2004089949	A1	20041021	WO 2004-EP3734	20040407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1615919	A1	20060118	EP 2004-726119	20040407
EP 1615919	B1	20070822		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004009402	A	20060425	BR 2004-9402	20040407
CN 1774437	A	20060517	CN 2004-80009801	20040407
CN 100447140	C	20081231		

JP 2006522765	T	20061005	JP 2006-505050	20040407
JP 4426569	B2	20100303		
AT 370947	T	20070915	AT 2004-726119	20040407
ES 2291868	T3	20080301	ES 2004-726119	20040407
ZA 2005008180	A	20070328	ZA 2005-8180	20051010
IN 2005CN02614	A	20070831	IN 2005-CN2614	20051013
NO 2005004794	A	20051027	NO 2005-4794	20051018
PRIORITY APPLN. INFO.:			EP 2003-8038	A 20030414
			WO 2004-EP3734	W 20040407
OTHER SOURCE(S):	MARPAT 141:350158			
GI				



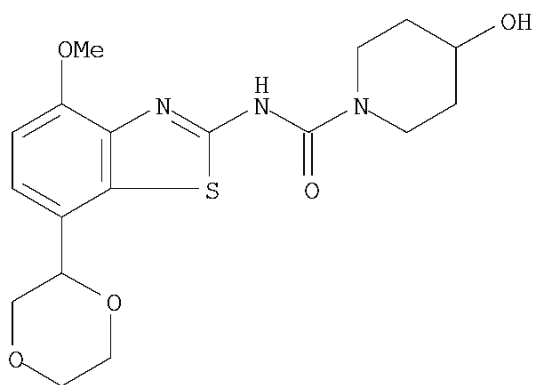
AB The title compds. [I; R1 = (RS)-[1,4]dioxan-2-yl, (R)-[1,4]dioxan-2-yl, (S)-[1,4]dioxan-2-yl; R2 = (a) (un)substituted -(CH2)n-pyridin-2, 3 or 4-yl (b) (un)substituted -(CH2)n-piperidin-1-yl, (c) (un)substituted -(CH2)n-phenyl, (d) benzo[1,3]dioxol-5-yl, -(CH2)n-morpholinyl, -(CH2)n-tetrahydropyran-4-yl, -(CH2)n-O-lower alkyl, -(CH2)n-cycloalkyl, -(CH2)n-C(O)-NR'R'', -(CH2)n-2-oxopyrrolidin-1-yl, -(CH2)nNR'R'', -2-oxa-5-azabicyclo[2.2.1]heptan-5-yl, or -1-oxa-8-azaspiro[4.5]decan-8-yl; R', R'' = each (un)substituted lower alkyl, -(CH2)o-O-lower alkyl, or cycloalkyl; n = 0, 1, 2 or 3; m = 0 or 1; o = 1 or 2] or pharmaceutically acceptable salts thereof are prepared These compds. are adenosine receptor ligands with a good affinity to the A2A-receptor and a high selectivity to the A1 and A3 receptors, and are useful for treating diseases based on adenosine A2a receptor activity such as Alzheimer's disease, Parkinson's disease, Huntington's disease, neuroprotection, schizophrenia, anxiety, pain, respiration deficits, depression, drug addiction such as amphetamine, cocaine, opioids, ethanol, nicotine, and cannabinoids, or against asthma, allergic responses, hypoxia, ischemia, seizure, and substance abuse. Thus, 3-methoxybenzoic acid was treated with O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate (HATU) and N-ethyldiisopropylamine in THF and then treatment with [(+)-7-([1,4]dioxan-2-yl)-4-methoxybenzothiazol-2-yl]amine to give (+)-N-[7-([1,4]Dioxan-2-yl)-4-methoxybenzothiazol-2-yl]-3-methoxybenzamide (II). II showed a good affinity to human adenosine receptor A2 with pKi of 9.14 and 10,082 selectivity to human adenosine receptor A1.

IT 774223-92-6P, (+)-4-Hydroxypiperidine-1-carboxylic acid
N-[7-([1,4]dioxan-2-yl)-4-methoxybenzothiazol-2-yl]amide
774224-51-0P, (+)-4-Hydroxy-4-methylpiperidine-1-carboxylic acid
N-[7-([1,4]dioxan-2-yl)-4-methoxybenzothiazol-2-yl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-acylaminobenzothiazole derivs. as adenosine receptor ligands for treating disease based on adenosine A2a receptor activity)

RN 774223-92-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[7-(1,4-dioxan-2-yl)-4-methoxy-2-benzothiazolyl]-4-hydroxy-, (+)- (CA INDEX NAME)

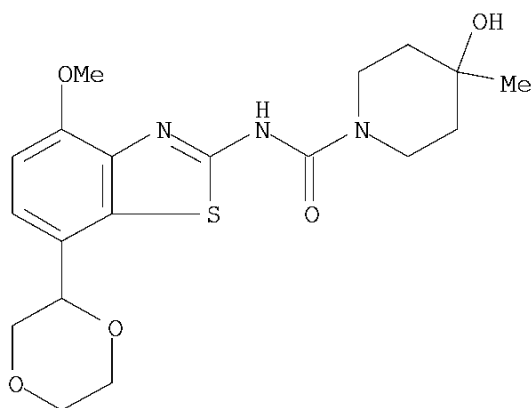
Rotation (+).



RN 774224-51-0 CAPLUS

CN 1-Piperidinecarboxamide, N-[7-(1,4-dioxan-2-yl)-4-methoxy-2-benzothiazolyl]-4-hydroxy-4-methyl-, (+)- (CA INDEX NAME)

Rotation (+).



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 131 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:696342 CAPLUS

DOCUMENT NUMBER: 141:225302

TITLE: Preparation of N-arylheterocycles as melanin concentrating hormone (MCH) antagonists.

INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Boehme, Thomas; Hessler, Gerhard; Stahl, Petra; Gretzke, Dirk

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany; Aventis Pharma GmbH

SOURCE: PCT Int. Appl., 390 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

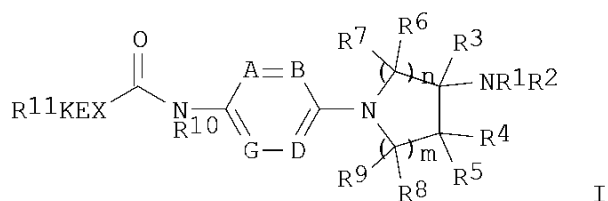
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072025	A2	20040826	WO 2004-EP1342	20040213
WO 2004072025	A3	20041223		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10306250	A1	20040909	DE 2003-10306250	20030214
AU 2004212145	A1	20040826	AU 2004-212145	20040213
CA 2516118	A1	20040826	CA 2004-2516118	20040213
EP 1597228	A2	20051123	EP 2004-710808	20040213
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BR 2004007504	A	20060214	BR 2004-7504	20040213
CN 1774418	A	20060517	CN 2004-80009860	20040213
CN 100506792	C	20090701		
JP 2006517563	T	20060727	JP 2006-501827	20040213
NZ 541823	A	20090131	NZ 2004-541823	20040213
US 20040220191	A1	20041104	US 2004-779853	20040217
US 7223788	B2	20070529		
MX 2005008449	A	20060525	MX 2005-8449	20050810
ZA 2005006369	A	20060726	ZA 2005-6369	20050810
IN 2005CN01902	A	20070406	IN 2005-CN1902	20050811
NO 2005004220	A	20051028	NO 2005-4220	20050912
US 20070207991	A1	20070906	US 2007-622028	20070111
PRIORITY APPLN. INFO.:			DE 2003-10306250	A 20030214
			US 2003-488545P	P 20030718
			WO 2004-EP1342	A 20040213
			US 2004-779853	A1 20040217

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 141:225302

GI



AB Title compds. [I; R1, R2 = H, alkyl, alkoxyalkyl, aryloxyalkyl, alkylcarbonyl, alkenylcarbonyl, etc.; R1R2N = atoms to form a 4-10 membered mono-, bi-, or spirocyclic (substituted) ring; R3 = H, alkyl; R4, R5 = H, alkyl, OH, alkoxy, alkylcarbonyloxy, alkylthio; R6-R9 = H, alkyl; R6R7, R8R9 = O; A, B, D, G = N, CR42; AB, DG = CR42; R42 = H, F, Cl, Br, iodo, CF3, NO2, cyano, OCF3, alkoxy, alkylthio, alkenyl, cycloalkyl, cycloalkoxy, cycloalkenyl, alkynyl, CO2H, etc.; R10 = H, alkyl, alkenyl, alkynyl; X = NR52, O, bond, C:C, C.tplbond.C, etc.; R52 = H, alkyl; E = (substituted) C3-14 carbocyclyl, heterocyclyl; K = bond, O, CH2O, S, SO, CO, C:C, C.tplbond.C, etc.; R11 = H, alkyl, alkoxyalkyl, alkenyl, alkynyl, 3-10 membered (substituted) mono-, bi-, tri- or spirocyclic ring; EKR11 = (unsatd.) tricyclic ring; m, n = 0-2], were prepared Thus, N-[1-(4-aminophenyl)pyrrolidin-3-yl]piperidine was treated with

carbonyldiimidazole and then with 4-(4-chlorophenyl)piperidine to give 4-(4-chlorophenyl)piperidine-1-carboxylic acid [4-[3-(acetylmethylamino)pyrrolidin-1-yl]phenyl]amide. The latter at 30 mg/kg orally in female NMRI mice reduced milk consumption by 64%.

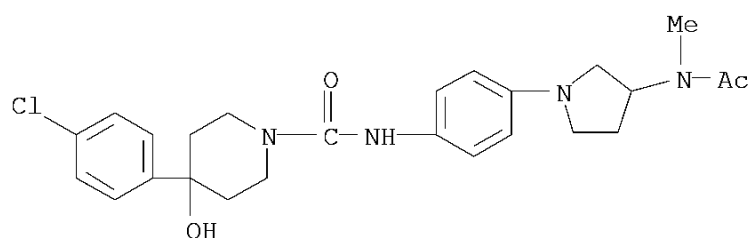
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 748170-43-6P 748170-62-9P 748170-73-2P
 748170-90-3P 748171-39-3P 748171-40-6P
 748171-42-8P 748171-45-1P 748171-46-2P
 748171-57-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-arylheterocycles as MCH antagonists)

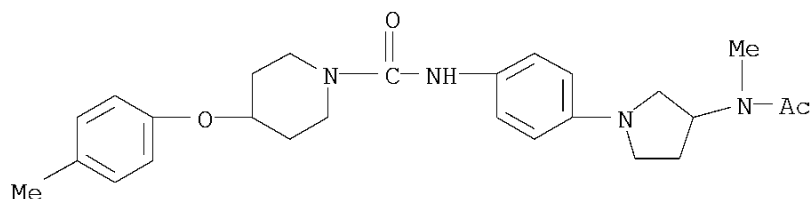
RN 748168-31-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[3-(acetylmethylamino)-1-pyrrolidinyl]phenyl]-4-(4-chlorophenyl)-4-hydroxy- (CA INDEX NAME)



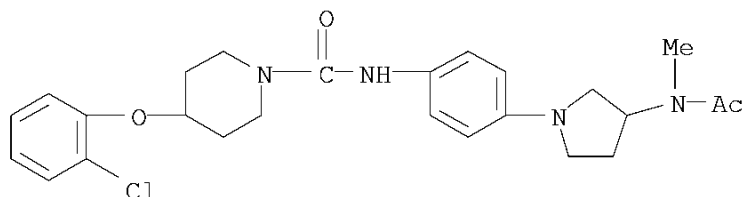
RN 748168-63-0 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[3-(acetylmethylamino)-1-pyrrolidinyl]phenyl]-4-(4-methylphenoxy)- (CA INDEX NAME)



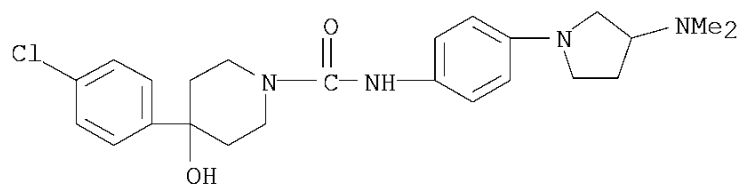
RN 748168-64-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[3-(acetylmethylamino)-1-pyrrolidinyl]phenyl]-4-(2-chlorophenoxy)- (CA INDEX NAME)



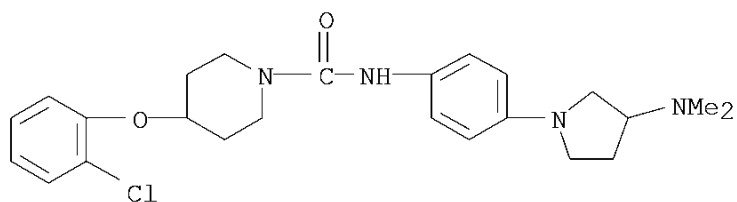
RN 748170-43-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-4-hydroxy- (CA INDEX NAME)



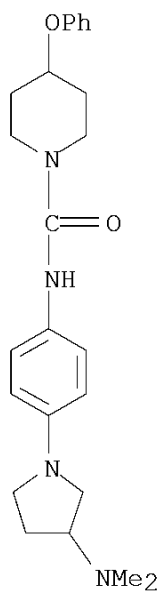
RN 748170-62-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-chlorophenoxy)-N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]- (CA INDEX NAME)



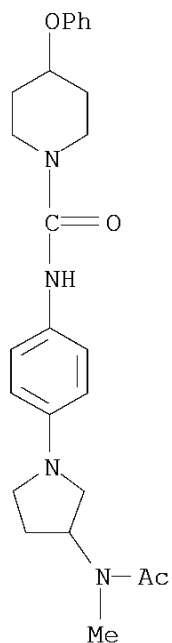
RN 748170-73-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-4-phenoxy- (CA INDEX NAME)



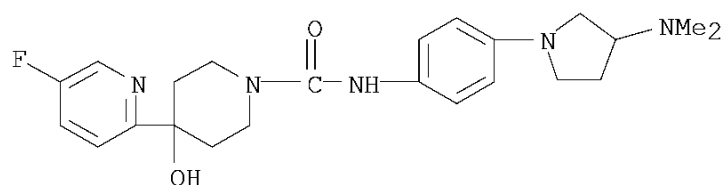
RN 748170-90-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[3-(acetylmethylamino)-1-pyrrolidinyl]phenyl]-4-phenoxy- (CA INDEX NAME)



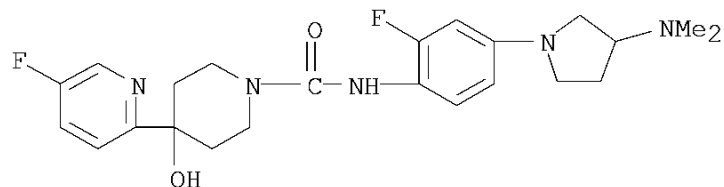
RN 748171-39-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-4-(5-fluoro-2-pyridinyl)-4-hydroxy- (CA INDEX NAME)



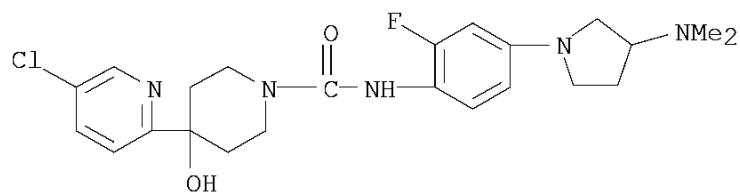
RN 748171-40-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]-2-fluorophenyl]-4-(5-fluoro-2-pyridinyl)-4-hydroxy- (CA INDEX NAME)



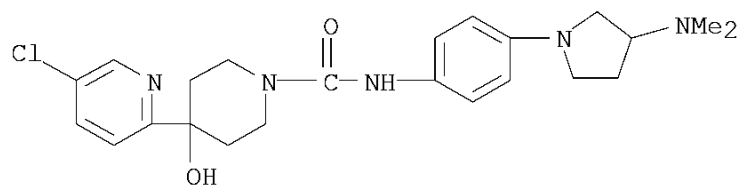
RN 748171-42-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(5-chloro-2-pyridinyl)-N-[4-[3-(dimethylamino)-1-pyrrolidinyl]-2-fluorophenyl]-4-hydroxy- (CA INDEX NAME)



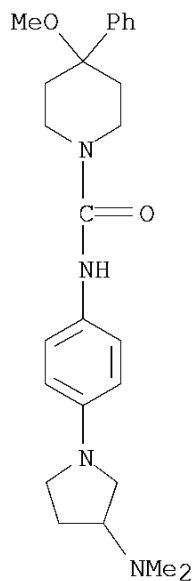
RN 748171-45-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(5-chloro-2-pyridinyl)-N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-4-hydroxy- (CA INDEX NAME)



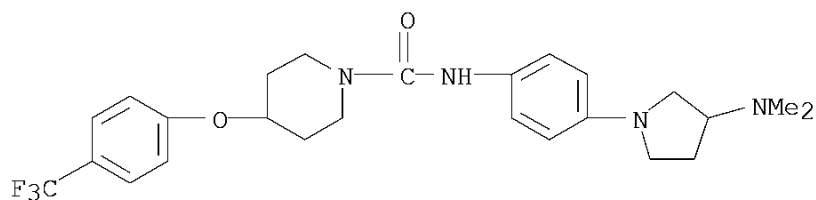
RN 748171-46-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-4-methoxy-4-phenyl- (CA INDEX NAME)



RN 748171-57-5 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-4-[4-(trifluoromethyl)phenoxy]- (CA INDEX NAME)

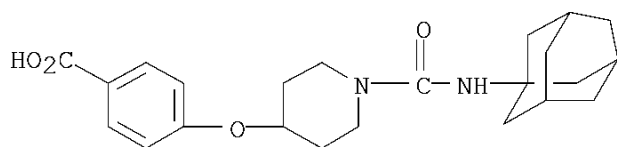


OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (40 CITINGS)
 REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 132 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2004:665197 CAPLUS
 DOCUMENT NUMBER: 141:360200
 TITLE: QSAR of human steroid 5 α -reductase inhibitors: Where are the differences between isoenzyme type 1 and 2?
 AUTHOR(S): Hutter, Michael C.; Hartmann, Rolf W.
 CORPORATE SOURCE: Center of Bioinformatics, Saarland University, Saarbruecken, D-66041, Germany
 SOURCE: QSAR & Combinatorial Science (2004), 23(6), 406-415
 CODEN: QCSSAU; ISSN: 1611-020X
 PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
 DOCUMENT TYPE: Journal
 LANGUAGE: English

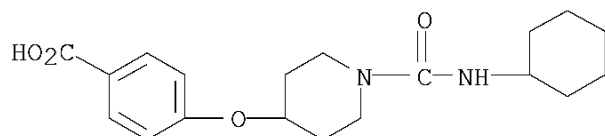
AB Quant. Structure Activity Relationships have been established for inhibitors of human steroid 5 α -reductase including 6-azasteroids and non-steroidal compds. From the applied descriptors, those related to the mol. geometry, electronic properties, and the electrostatic surface were derived from semi-empirical AM1 calcns. A chemical reaction as part of the inhibitory action is indicated by the presence of the ionization potential in the descriptor space. Strong similarities between the variables for the prediction of the binding affinity to the type 1 and IC50 values for the type 2 isoform of the 5 α -reductase were observed. The most pronounced differences in the linear regression QSAR equations were found for the descriptors accounting for the hydrogen-bonding interaction, suggesting a different hydrogen-bonding pattern in the binding pocket of both isoforms. Furthermore, the topol. indexes together with the surface related descriptors point towards a lower content of aromatic amino acids in the binding site of the type 2 isoenzyme. Consequences for the design of new inhibitors are discussed.

IT 777874-49-4 777874-52-9 777874-55-2
 RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
 (QSAR of human steroid 5 α -reductase inhibitors)
 RN 777874-49-4 CAPLUS
 CN Benzoic acid, 4-[[1-[(tricyclo[3.3.1.1^{3,7}]dec-1-ylamino)carbonyl]-4-piperidinyl]oxy]- (CA INDEX NAME)



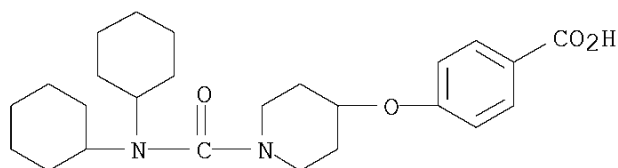
RN 777874-52-9 CAPLUS

CN Benzoic acid, 4-[[1-[(cyclohexylamino)carbonyl]-4-piperidinyl]oxy]- (CA INDEX NAME)



RN 777874-55-2 CAPLUS

CN Benzoic acid, 4-[[1-[(dicyclohexylamino)carbonyl]-4-piperidinyl]oxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 133 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:546416 CAPLUS

DOCUMENT NUMBER: 141:106391

TITLE: Preparation of benzo[d]azepine derivatives as antagonists and/or inverse agonists of the histamine H3 receptor for the treatment of neurological disorders

INVENTOR(S): Bamford, Mark James; Dean, David Kenneth; Sehmi, Sanjeet Singh; Wilson, David Matthew; Witherington, Jason

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

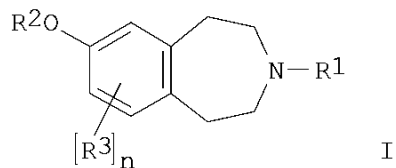
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056369	A1	20040708	WO 2003-EP14556	20031218
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2509413	A1	20040708	CA 2003-2509413	20031218
AU 2003294909	A1	20040714	AU 2003-294909	20031218

AU 2003294909	B2	20070517		
EP 1572215	A1	20050914	EP 2003-785885	20031218
EP 1572215	B1	20090902		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017483	A	20051116	BR 2003-17483	20031218
CN 1726042	A	20060125	CN 2003-80106364	20031218
CN 1326838	C	20070718		
JP 2006512412	T	20060413	JP 2005-502553	20031218
NZ 540148	A	20071130	NZ 2003-540148	20031218
AT 441417	T	20090915	AT 2003-785885	20031218
EP 2133340	A1	20091216	EP 2009-168438	20031218
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR				
ES 2333008	T3	20100216	ES 2003-785885	20031218
ZA 2005004270	A	20060726	ZA 2005-4270	20050525
IN 2005DN02232	A	20070105	IN 2005-DN2232	20050526
US 20060040918	A1	20060223	US 2005-539385	20050616
US 7560452	B2	20090714		
MX 2005006567	A	20050816	MX 2005-6567	20050617
KR 765027	B1	20071009	KR 2005-711441	20050617
NO 2005003384	A	20050915	NO 2005-3384	20050712
US 20070299056	A1	20071227	US 2007-831191	20070731
KR 2007089762	A	20070831	KR 2007-719049	20070820
KR 897642	B1	20090514		
IN 2008DN07731	A	20081031	IN 2008-DN7731	20080912
US 20090105226	A1	20090423	US 2008-339145	20081219
PRIORITY APPLN. INFO.:				
			GB 2002-29820	A 20021220
			GB 2003-12607	A 20030602
			EP 2003-785885	A3 20031218
			WO 2003-EP14556	W 20031218
			IN 2005-DN2232	A3 20050526
			US 2005-539385	A3 20050616
			KR 2005-711441	A3 20050617

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 141:106391

GI



AB The title compds. [I; R1 = cycloalkyl optionally substituted by alkyl; R2 = H, alkyl, X(cycloalkyl), X(aryl), etc.; X = a bond, alkyl; R3 = halo, alkyl, alkoxy, CN, NH2, CF3; n = 0-2], useful in the treatment of neurol. and psychiatric disorders, were prepared Thus, reacting 7-benzyloxy-1,2,4,5-tetrahydrobenzo[d]azepine (preparation given) with cyclobutanone in the presence of NaBH(OAc)3 afforded I [R1 = cyclobutyl; R2 = CH2Ph; n = 0] which showed pKb of 9.0-10.5 in the histamine H3 functional antagonist assay. The pharmaceutical composition comprising the compound I is claimed.

IT 720690-19-7P

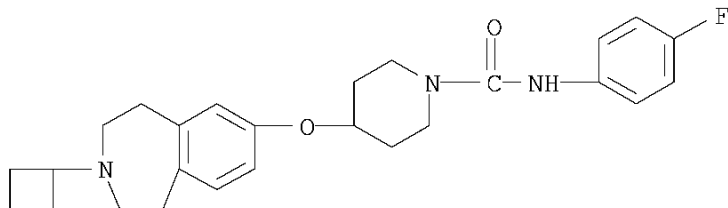
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of benzo[d]azepine derivs. as antagonists and/or inverse agonists of the histamine H3 receptor for the treatment of neurol. disorders)

RN 720690-19-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(3-cyclobutyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)oxy]-N-(4-fluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 134 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:203828 CAPLUS

DOCUMENT NUMBER: 140:253450

TITLE: Preparation of azaarene derivatives as neovascularization inhibitors

INVENTOR(S): Tsuruoka, Akihiko; Matsushima, Tomohiro; Matsukura, Masayuki; Miyazaki, Kazuki; Takahashi, Keiko; Kamata, Junichi; Fukuda, Yoshio

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 347 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020434	A1	20040311	WO 2003-JP10964	20030828
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2488739	A1	20040311	CA 2003-2488739	20030828
AU 2003261807	A1	20040319	AU 2003-261807	20030828
AU 2003261807	B2	20070104		
AU 2003261807	B9	20070215		
EP 1522540	A1	20050413	EP 2003-791389	20030828
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003013871	A	20050719	BR 2003-13871	20030828
CN 1678607	A	20051005	CN 2003-820271	20030828

CN 100339376	C	20070926		
NZ 538617	A	20051223	NZ 2003-538617	20030828
RU 2310651	C2	20071120	RU 2005-108999	20030828
JP 4183193	B2	20081119	JP 2004-532761	20030828
US 20050187236	A1	20050825	US 2003-651496	20030829
US 7109219	B2	20060919		
IN 2004CN02961	A	20060217	IN 2004-CN2961	20041228
US 20060004029	A1	20060105	US 2005-521074	20050112
MX 2005001536	A	20050419	MX 2005-1536	20050208
NO 2005001577	A	20050527	NO 2005-1577	20050329
US 20070004764	A1	20070104	US 2006-507082	20060818
US 7468380	B2	20081223		

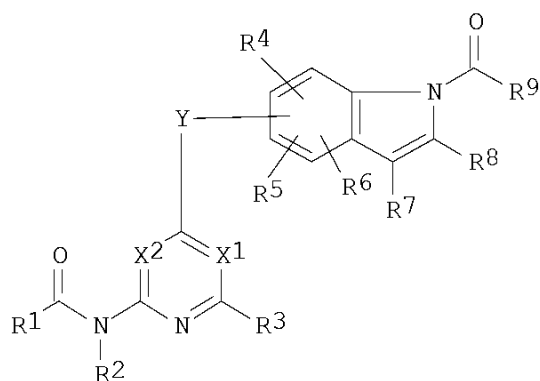
PRIORITY APPLN. INFO.:

JP 2002-253123	A	20020830
US 2003-464690P	P	20030422
WO 2003-JP10964	W	20030828
US 2003-651496	A3	20030829

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:253450

GI



I

AB The title compds. I [X1 is nitrogen or a group represented by the general formula CR10 ; X2 is nitrogen or a group represented by the general formula CR11 ; Y is oxygen or the like; R1 is C1-6 alkoxy, optionally substituted C6-10 aryloxy, a group represented by the general formula NR12aR12b, or the like; R2 is hydrogen, optionally substituted C1-6 alkyl, or the like; R3 - R8, R10, and R11 are each independently hydrogen, halogeno, optionally substituted C1-6 alkyl, or the like; R9 is a group represented by the general formula NR16aR16b, or the like; and R12a, R12b, R16a, and R16b are each independently hydrogen, optionally substituted C1-6 alkyl, or the like] are prepared Compds. of this invention showed IC50 values of 3 nM to 40 nM against VEGFR2 kinase.

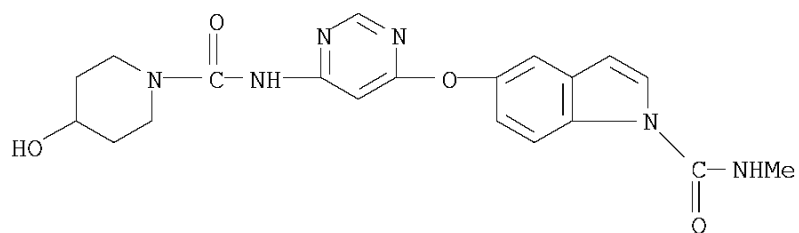
IT 670250-22-3P 670250-58-5P 670250-60-9P
 670251-05-5P 670251-06-6P 670251-12-4P
 670251-13-5P 670251-18-0P 670251-21-5P
 670251-24-8P 670251-27-1P 670251-31-7P
 670251-36-2P 670251-39-5P 670251-41-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azaarene derivs. as neovascularization inhibitors)

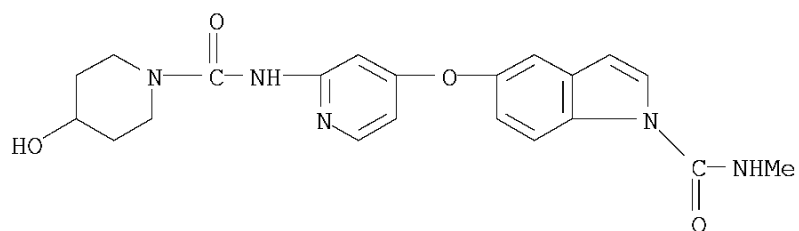
RN 670250-22-3 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[[6-[[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyrimidinyl]oxy]-N-methyl- (CA INDEX NAME)



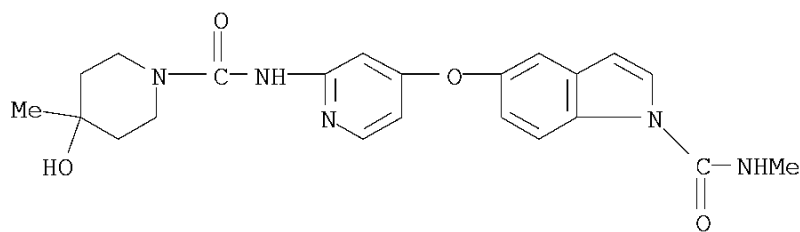
RN 670250-58-5 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[[2-[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]-N-methyl- (CA INDEX NAME)



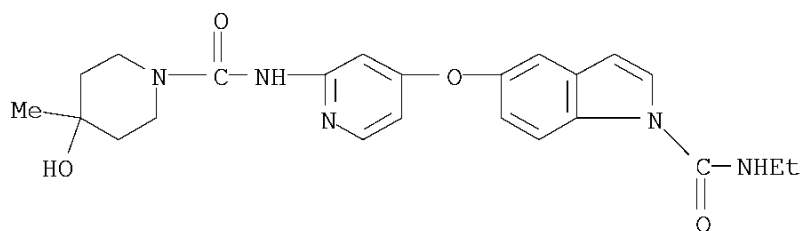
RN 670250-60-9 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[[2-[[4-hydroxy-4-methyl-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]-N-methyl- (CA INDEX NAME)



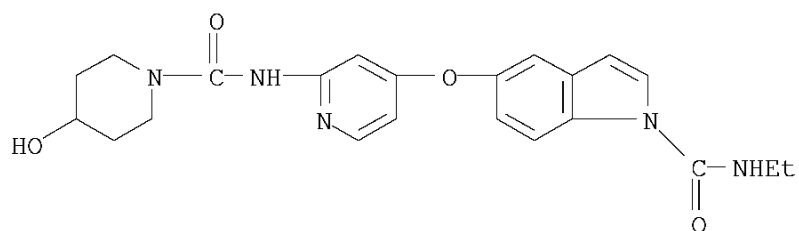
RN 670251-05-5 CAPLUS

CN 1H-Indole-1-carboxamide, N-ethyl-5-[[2-[[4-hydroxy-4-methyl-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]- (CA INDEX NAME)



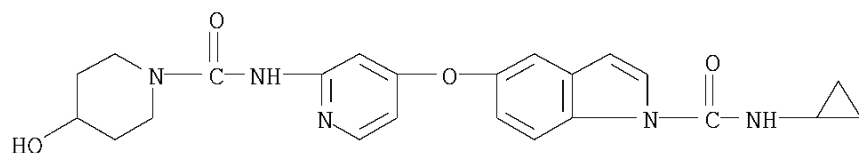
RN 670251-06-6 CAPLUS

CN 1H-Indole-1-carboxamide, N-ethyl-5-[[2-[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]- (CA INDEX NAME)



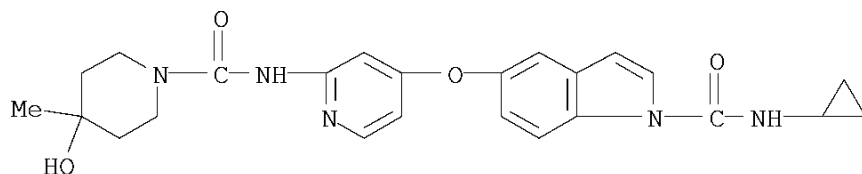
RN 670251-12-4 CAPLUS

CN 1H-Indole-1-carboxamide, N-cyclopropyl-5-[[2-[[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]- (CA INDEX NAME)



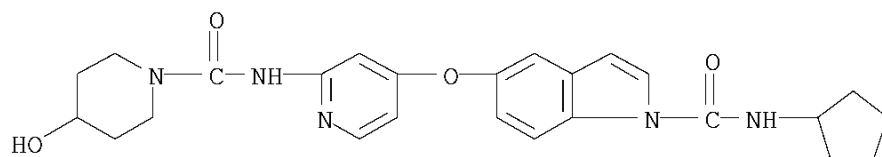
RN 670251-13-5 CAPLUS

CN 1H-Indole-1-carboxamide, N-cyclopropyl-5-[[2-[[[4-hydroxy-4-methyl-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]- (CA INDEX NAME)



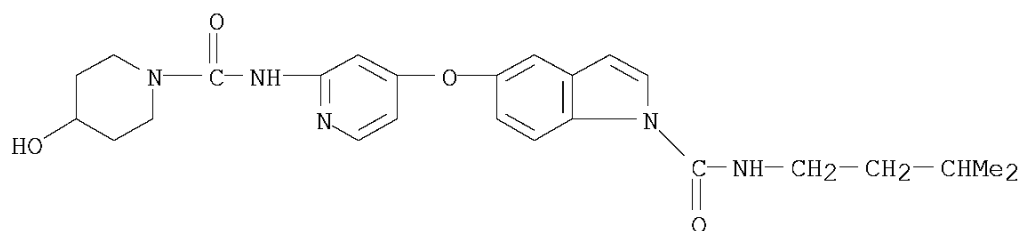
RN 670251-18-0 CAPLUS

CN 1H-Indole-1-carboxamide, N-cyclopentyl-5-[[2-[[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]- (CA INDEX NAME)



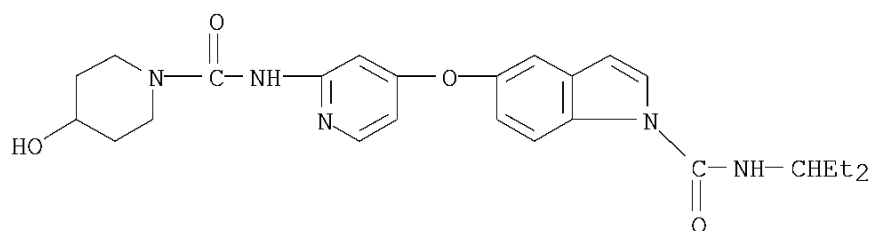
RN 670251-21-5 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[[2-[[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]-N-(3-methylbutyl)- (CA INDEX NAME)



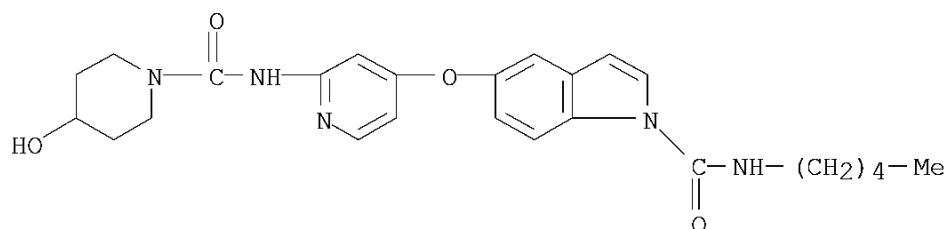
RN 670251-24-8 CAPLUS

CN 1H-Indole-1-carboxamide, N-(1-ethylpropyl)-5-[[2-[[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]- (CA INDEX NAME)



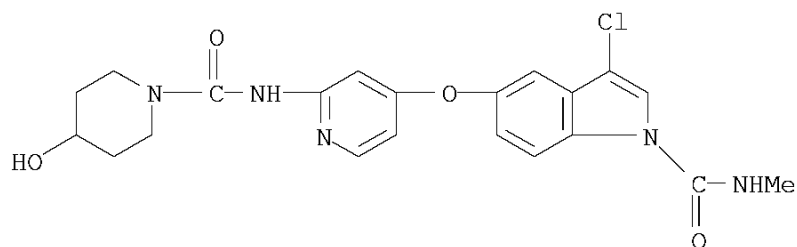
RN 670251-27-1 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[[2-[[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]-N-pentyl- (CA INDEX NAME)



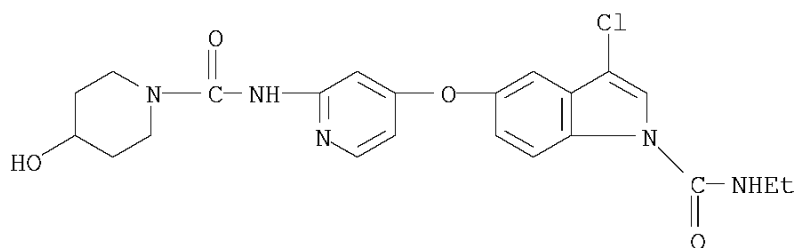
RN 670251-31-7 CAPLUS

CN 1H-Indole-1-carboxamide, 3-chloro-5-[[2-[[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]-N-methyl- (CA INDEX NAME)



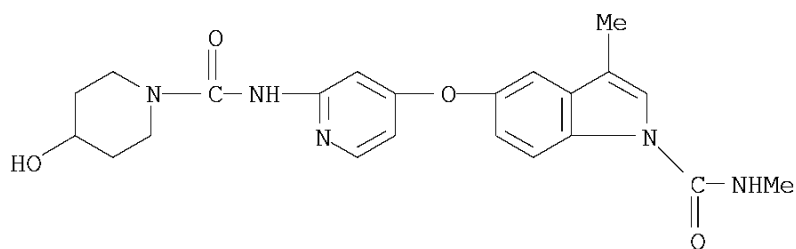
RN 670251-36-2 CAPLUS

CN 1H-Indole-1-carboxamide, 3-chloro-N-ethyl-5-[[2-[[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]- (CA INDEX NAME)



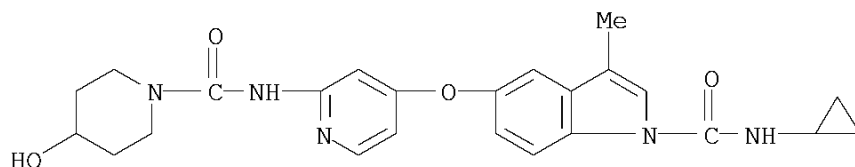
RN 670251-39-5 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[[2-[[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]-N,3-dimethyl- (CA INDEX NAME)



RN 670251-41-9 CAPLUS

CN 1H-Indole-1-carboxamide, N-cyclopropyl-5-[[2-[[[4-hydroxy-1-piperidinyl]carbonyl]amino]-4-pyridinyl]oxy]-3-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 135 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:97104 CAPLUS

DOCUMENT NUMBER: 141:243304

TITLE: Synthesis and Biological Activity of N- and O-Acyl Derivatives of 2,6-Diphenyl-4-hydroxypiperidines and Tetrahydropyridines

AUTHOR(S): Soldatenkov, A. T.; Levov, A. N.; Mobio, I. G.; Polyakova, E. V.; Kutyakov, S. V.; An, Le Tuan; Komarova, A. I.; Polyanskii, K. B.; Andreeva, E. I.; Minaev, L. I.

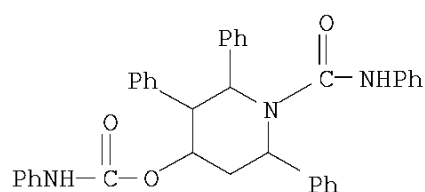
CORPORATE SOURCE: Russian Institute of Peoples Friendship, Moscow, Russia

SOURCE: Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (2003), 37(10), 526-528

CODEN: PCJOAU; ISSN: 0091-150X

PUBLISHER: Kluwer Academic/Consultants Bureau

DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 141:243304
 AB A series of N- and O-acyl derivs. of 2,6-diphenyl-4-hydroxypiperidines and tetrahydropyridines was prepared The antibacterial, antifungal, and herbicidal activity of the compds. was investigated as well.
 IT 749247-91-4P
 RL: AGR (Agricultural use); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of N- and O-acyl derivs. of 2,6-diphenyl-4-hydroxypiperidines and tetrahydropyridines and their antibacterial, antifungal, and herbicidal activity)
 RN 749247-91-4 CAPLUS
 CN 1-Piperidinecarboxamide, N,2,3,6-tetraphenyl-4-
 [[(phenylamino)carbonyl]oxy]- (CA INDEX NAME)



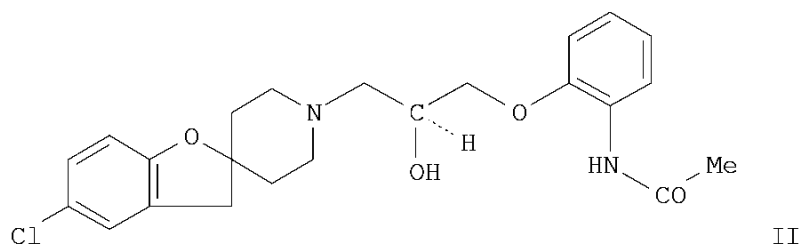
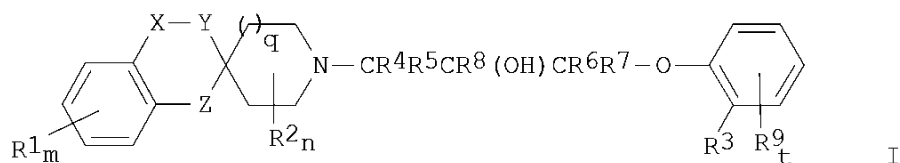
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
 REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 136 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2004:41477 CAPLUS
 DOCUMENT NUMBER: 140:93937
 TITLE: Preparation of tricyclic spiropiperidines or spiropyrrolidines useful against disorders affected by modulation of chemokine receptors
 INVENTOR(S): Hossain, Nafizal; Ivanova, Svetlana; Menonides-Harsema, Marguerite
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
 SOURCE: PCT Int. Appl., 281 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005295	A1	20040115	WO 2003-SE1185	20030707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2492122	A1	20040115	CA 2003-2492122	20030707

AU 2003243122	A1	20040123	AU 2003-243122	20030707
AU 2003243122	B2	20060928		
EP 1521757	A1	20050413	EP 2003-762957	20030707
EP 1521757	B1	20080130		
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CN 1675218	A	20050928	CN 2003-819146	20030707
CN 1326858	C	20070718		
JP 2005537255	T	20051208	JP 2004-519472	20030707
NZ 537259	A	20060831	NZ 2003-537259	20030707
CN 1974574	A	20070606	CN 2006-10143556	20030707
AT 385235	T	20080215	AT 2003-762957	20030707
RU 2320664	C2	20080327	RU 2004-137278	20030707
PT 1521757	E	20080403	PT 2003-762957	20030707
ES 2298575	T3	20080516	ES 2003-762957	20030707
IN 2004DN04014	A	20070427	IN 2004-DN4014	20041216
ZA 2005000024	A	20060222	ZA 2005-24	20050103
MX 2005000278	A	20050331	MX 2005-278	20050104
US 20050245741	A1	20051103	US 2005-520699	20050107
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NO 2005000635	A	20050331	NO 2005-635	20050204
HK 1074622	A1	20080613	HK 2005-106846	20050809
IN 2008DN06536	A	20081024	IN 2008-DN6536	20080728
US 20090062322	A1	20090305	US 2008-265251	20081105
PRIORITY APPLN. INFO.:				
			SE 2002-2133	A 20020708
			CN 2003-819146	A3 20030707
			WO 2003-SE1185	W 20030707
			IN 2004-DN4014	A3 20041216
			US 2005-520699	A1 20050107

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 140:93937
 GI



AB The invention provides tricyclic spiro-piperidines or spiro-pyrrolidines (shown as I; variables defined below; e.g. II), processes for their preparation, pharmaceutical compns. containing them and their use in therapy for disorders affected by modulation of chemokine receptors (no data). For I: m is 0-4; each R1 = halogen, cyano, hydroxy, C1-C6 alkyl, C1-C6 haloalkyl,

C1-C6 alkoxy or sulfonamido; either X = a bond, -CH2-, -O- or -C(O)- and Y = a bond, -CH2-, -O- or -C(O)-, or X and Y together = -CH:CM e- or -CM e:CH-, and Z = a bond, -O-, -NH- or -CH2-, provided that only one of X, Y and Z can be a bond at any one time and provided that X and Y do not both simultaneously = -O- or -C(O)-. N = 0-2; each R2 = halogen or C1-C6 alkyl; q = 0-1; R3 = -NHC(O)R10, -C(O)NR11R12 or -COOR12a; R4, R5, R6, R7 and R8 = H or a C1-C6 alkyl group; t = 0-2; each R9 = halogen, cyano, hydroxy, carboxy, C1-C6 alkoxy, C1-C6 alkoxycarbonyl, C1-C6 haloalkyl, or C1-C6 alkyl; addnl. details are given in the claims. Methods of preparation are claimed and >200 example preps. are included. For example, II was prepared in 2 steps starting from N-(2-hydroxyphenyl)acetamide, ((2S)-oxiran-2-yl)methyl and Cs2CO3 in DMF to give N-[2-[(2S)-oxiran-2-yl)methoxy]phenyl]acetamide as an intermediate, which was reacted with 5-chloro-3H-spiro[1-benzofuran-2,4'-piperidine] in EtOH to give II.

IT 644970-54-7P

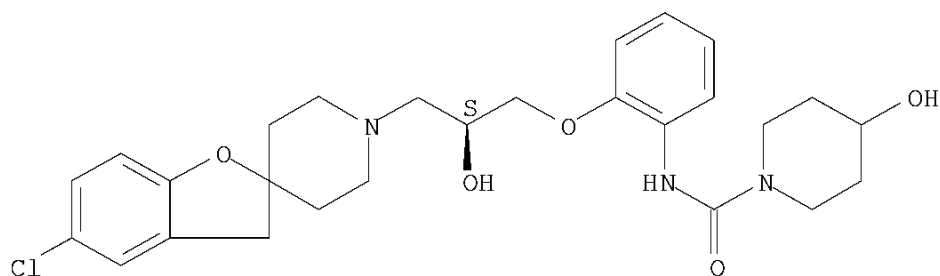
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of tricyclic spiropiperidines or spiropyrrolidines useful against disorders affected by modulation of chemokine receptors)

RN 644970-54-7 CAPLUS

CN 1-Piperidinecarboxamide, N-[2-[(2S)-3-(5-chlorospiro[benzofuran-2(3H),4'-piperidin]-1'-yl)-2-hydroxypropoxy]phenyl]-4-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 137 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2004:20537 CAPLUS
 DOCUMENT NUMBER: 140:87699
 TITLE: Remedies for diseases caused by vascular contraction or dilation
 INVENTOR(S): Nakade, Shinji; Suzuki, Hidehiro; Habashita, Hiromu
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 216 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002531	A1	20040108	WO 2003-JP8039	20030625

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003248245 A1 20040119 AU 2003-248245 20030625
 EP 1522314 A1 20050413 EP 2003-761797 20030625

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

US 20060148844 A1 20060706 US 2005-519113 20051101

PRIORITY APPLN. INFO.: JP 2002-185546 A 20020626
 WO 2003-JP8039 W 20030625

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:87699

AB Remedies and/or preventives for diseases caused by vascular contraction or dilation which comprise EDG-5 regulators. EDG-5 regulators specifically bind to EDG-5 and show antagonism or agonism. Thus, an EDG-5 antagonist is useful in treating and/or preventing diseases caused by vascular contraction such as cerebrovascular spasmodic disease following subarachnoid hemorrhage or cerebral infarction, cardiovascular spasmodic disease, hypertension, kidney diseases, cardiac infarction, angina, arrhythmia, portal hypertension in association with cirrhosis and varicosity in association with cirrhosis. On the other hand, an EDG-5 agonist is useful in treating and/or preventing diseases caused by vascular dilation such as chronic headache (for example, hemicrania, tension headache, headache of the mixed type, cluster headache), hemorrhoid and cardiac diseases.

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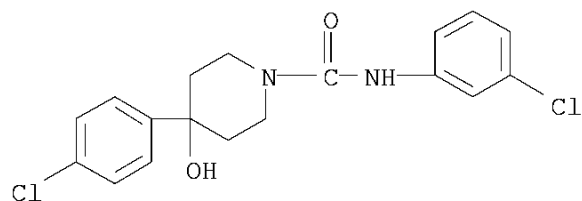
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 642497-28-7P 642497-29-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(EDG-5 agonists and antagonists as remedies for diseases caused by vascular contraction or dilation)

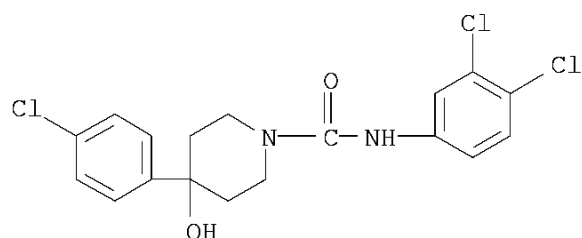
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(CA INDEX NAME)



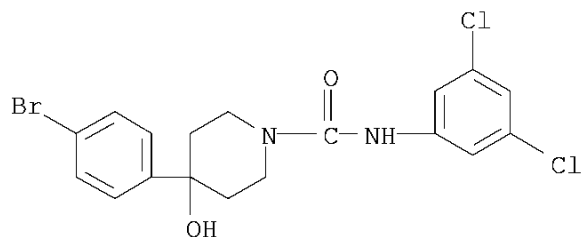
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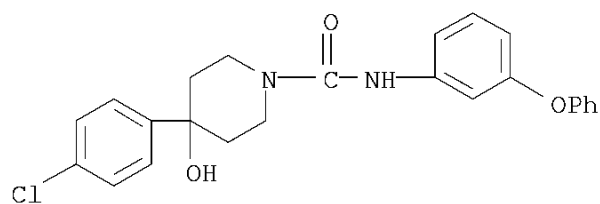
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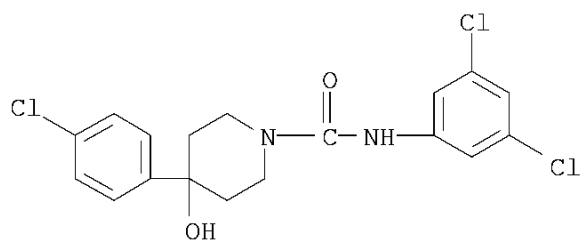
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CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-(3-phenoxyphenyl)-
(CA INDEX NAME)



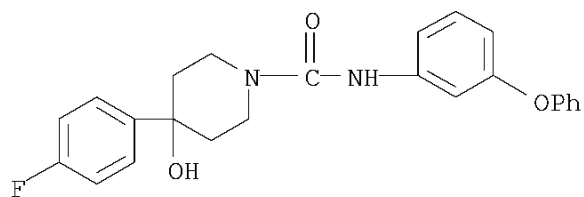
RN 642494-89-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-N-(3,5-dichlorophenyl)-4-hydroxy-
(CA INDEX NAME)



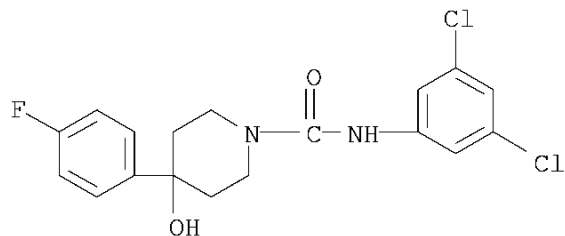
RN 642494-90-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-fluorophenyl)-4-hydroxy-N-(3-phenoxyphenyl)-
(CA INDEX NAME)



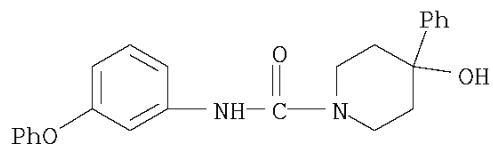
RN 642494-91-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-(4-fluorophenyl)-4-
hydroxy- (CA INDEX NAME)



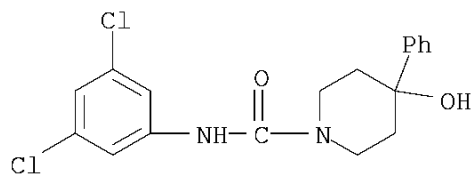
RN 642494-92-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-(3-phenoxyphenyl)-4-phenyl- (CA
INDEX NAME)



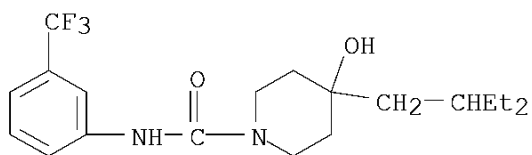
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INDEX NAME)



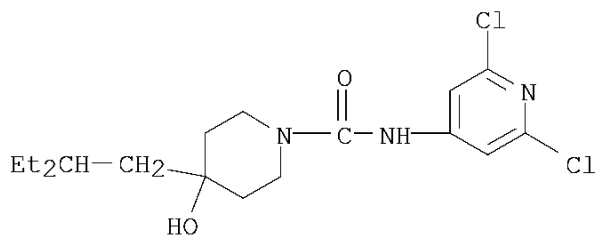
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RN 642494-95-9 CAPLUS

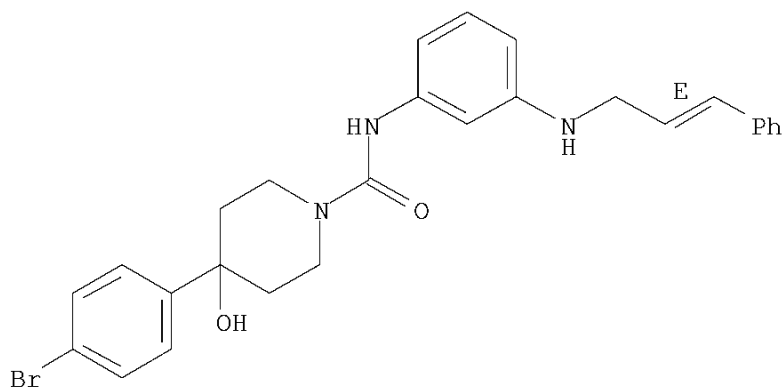
CN 1-Piperidinecarboxamide, N-(2,6-dichloro-4-pyridinyl)-4-(2-ethylbutyl)-4-hydroxy- (CA INDEX NAME)



RN 642494-96-0 CAPLUS

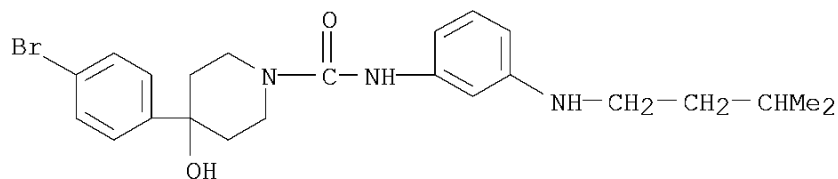
CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[(2E)-3-phenyl-2-propen-1-yl]amino]phenyl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 642494-97-1 CAPLUS

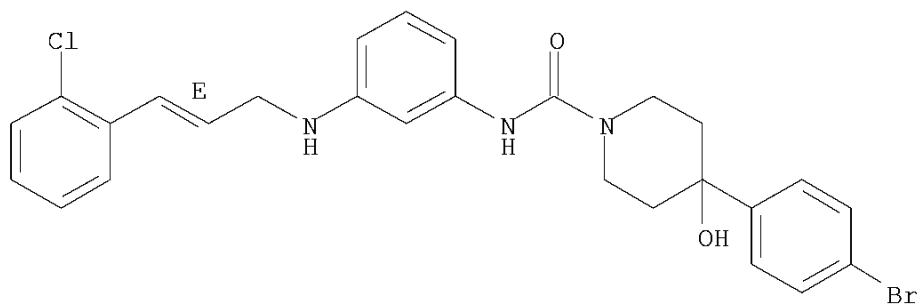
CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[(3-methylbutyl)amino]phenyl]- (CA INDEX NAME)



RN 642494-98-2 CAPLUS

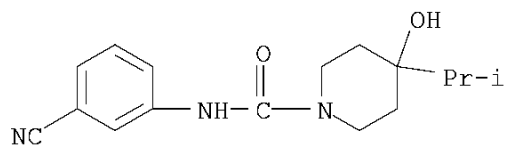
CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[[(2E)-3-(2-chlorophenyl)-2-propen-1-yl]amino]phenyl]-4-hydroxy- (CA INDEX NAME)

Double bond geometry as shown.



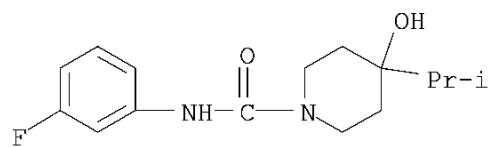
RN 642494-99-3 CAPLUS

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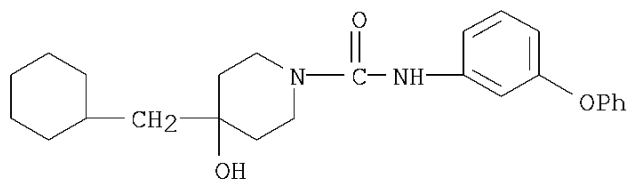
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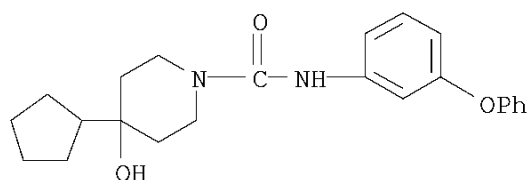
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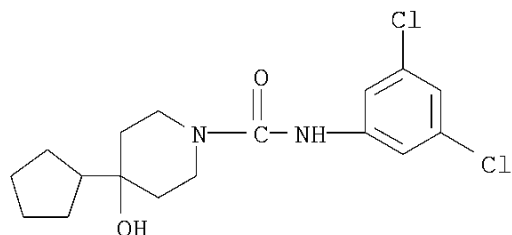
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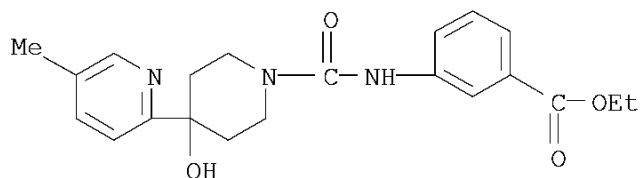
RN 642495-03-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-cyclopentyl-N-(3,5-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)



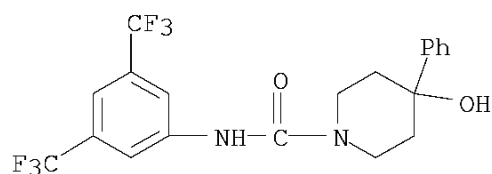
RN 642495-04-3 CAPLUS

CN Benzoic acid, 3-[[[4-hydroxy-4-(5-methyl-2-pyridinyl)-1-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

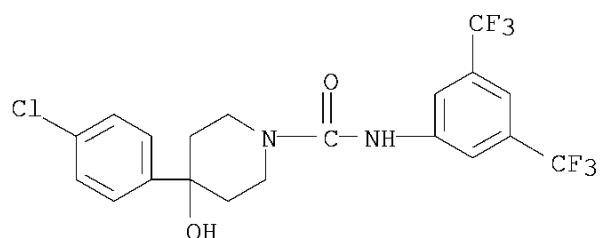


RN 642495-05-4 CAPLUS

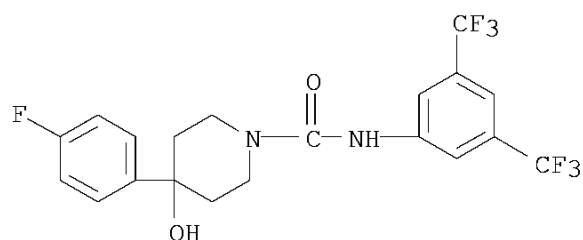
CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy-4-phenyl- (CA INDEX NAME)



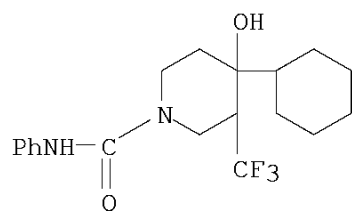
RN 642495-06-5 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-(4-chlorophenyl)-4-hydroxy- (CA INDEX NAME)



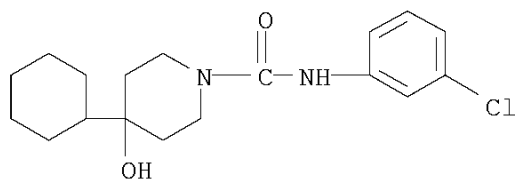
RN 642495-07-6 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-(4-fluorophenyl)-4-hydroxy- (CA INDEX NAME)



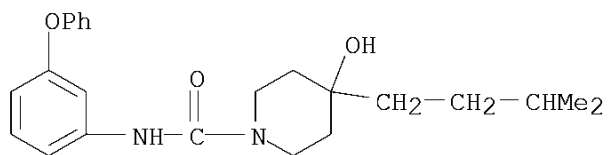
RN 642495-08-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-cyclohexyl-4-hydroxy-N-phenyl-3-(trifluoromethyl)- (CA INDEX NAME)



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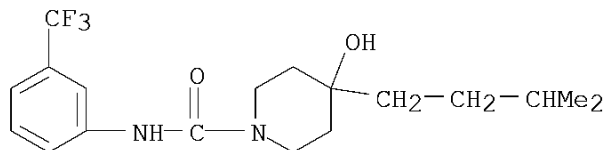


RN 642495-10-1 CAPLUS
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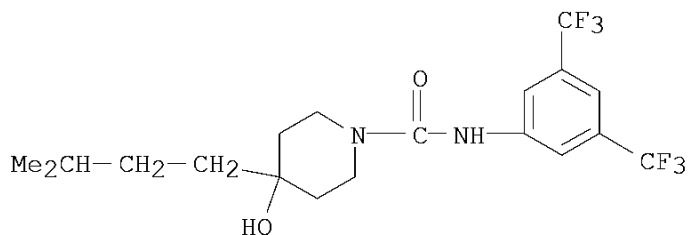
RN 642495-11-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-(3-methylbutyl)-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



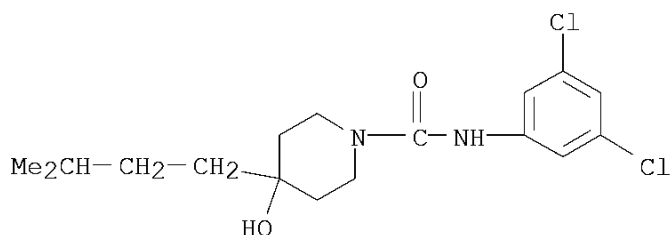
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CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy-4-(3-methylbutyl)- (CA INDEX NAME)



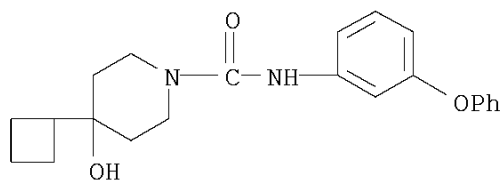
RN 642495-13-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-hydroxy-4-(3-methylbutyl)- (CA INDEX NAME)

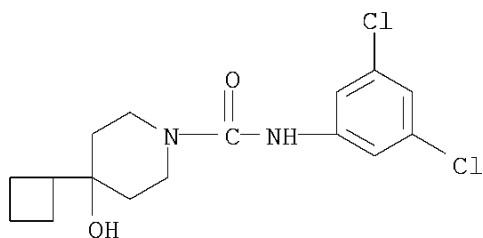


RN 642495-14-5 CAPLUS

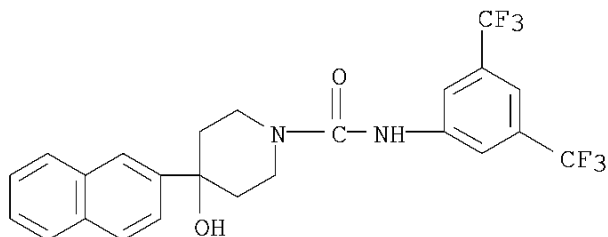
CN 1-Piperidinecarboxamide, 4-cyclobutyl-4-hydroxy-N-(3-phenoxyphenyl)- (CA INDEX NAME)



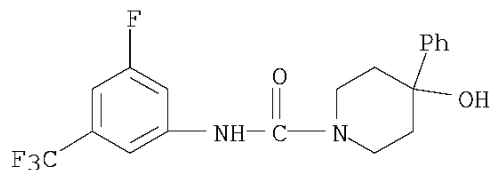
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 CN 1-Piperidinecarboxamide, 4-cyclobutyl-N-(3,5-dichlorophenyl)-4-hydroxy-
 (CA INDEX NAME)



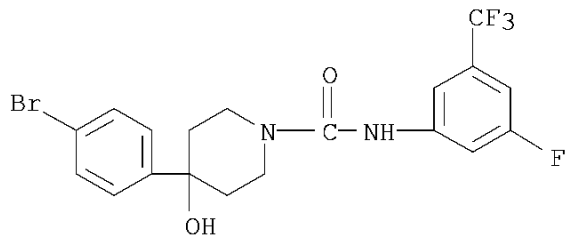
RN 642495-16-7 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy-4-(2-naphthalenyl)- (CA INDEX NAME)



RN 642495-17-8 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy-4-phenyl- (CA INDEX NAME)

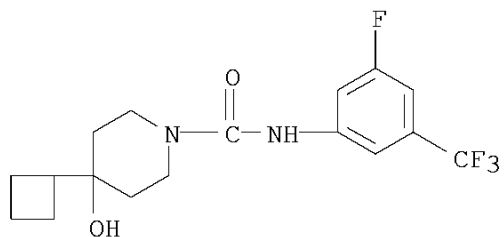


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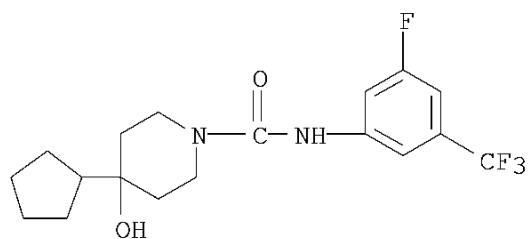
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(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



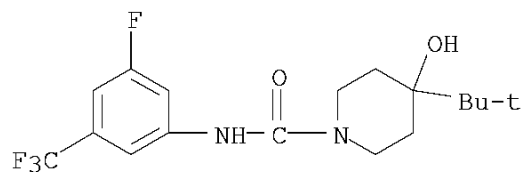
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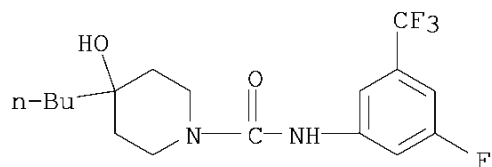
RN 642495-21-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1,1-dimethylethyl)-N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



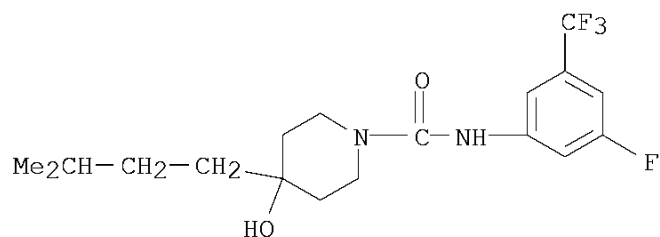
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CN 1-Piperidinecarboxamide, 4-butyl-N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



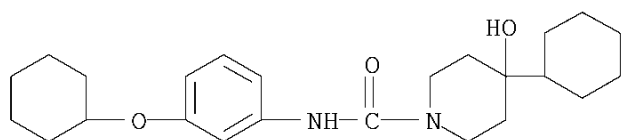
RN 642495-23-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy-4-(3-methylbutyl)- (CA INDEX NAME)



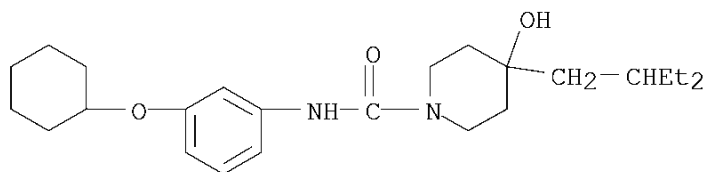
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CN 1-Piperidinecarboxamide, 4-cyclohexyl-N-[3-(cyclohexyloxy)phenyl]-4-hydroxy- (CA INDEX NAME)



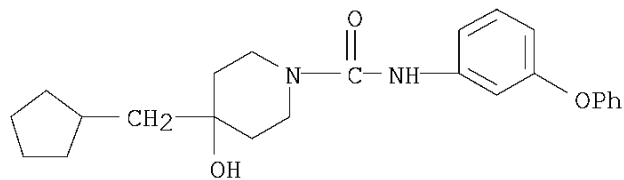
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CN 1-Piperidinecarboxamide, N-[3-(cyclohexyloxy)phenyl]-4-(2-ethylbutyl)-4-hydroxy- (CA INDEX NAME)



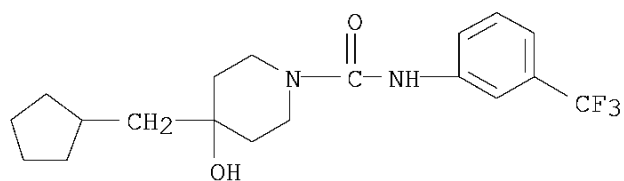
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CN 1-Piperidinecarboxamide, 4-(cyclopentylmethyl)-4-hydroxy-N-(3-phenoxyphenyl)- (CA INDEX NAME)

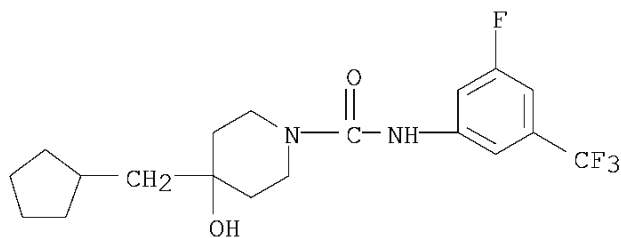


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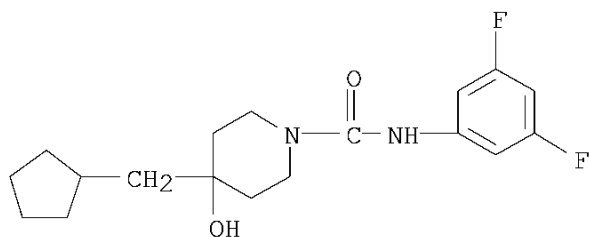
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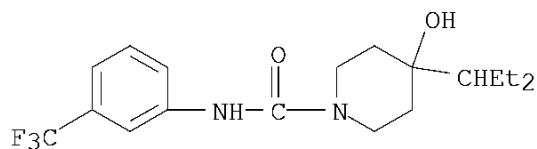
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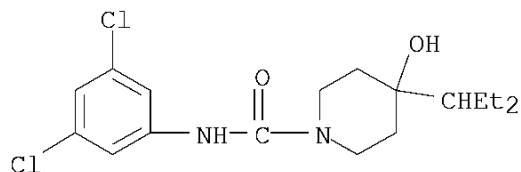
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 CN 1-Piperidinecarboxamide, 4-(cyclopentylmethyl)-N-(3,5-difluorophenyl)-4-hydroxy- (CA INDEX NAME)



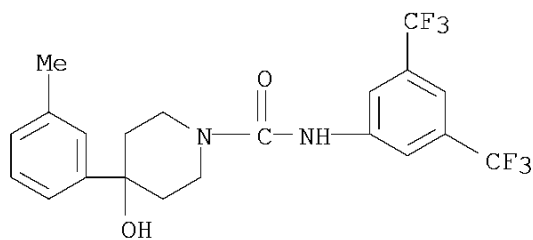
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 CN 1-Piperidinecarboxamide, 4-(1-ethylpropyl)-4-hydroxy-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 642495-31-6 CAPLUS
 CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-(1-ethylpropyl)-4-hydroxy- (CA INDEX NAME)

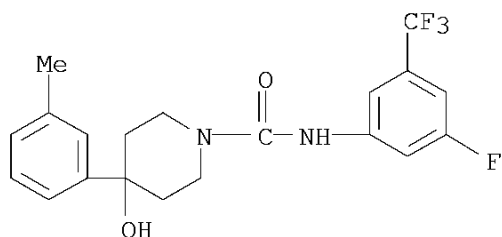


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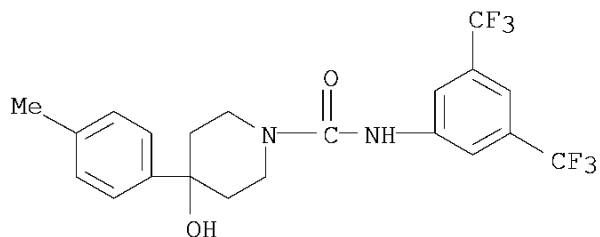
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CN 1-Piperidinecarboxamide, N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy-4-(3-methylphenyl)- (CA INDEX NAME)



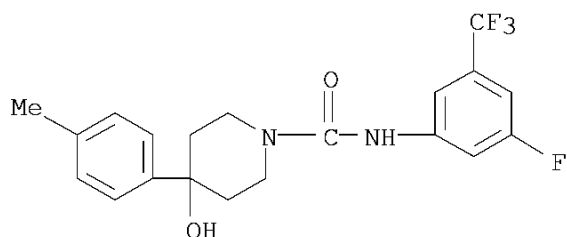
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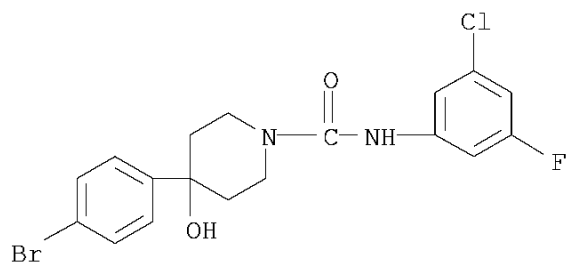
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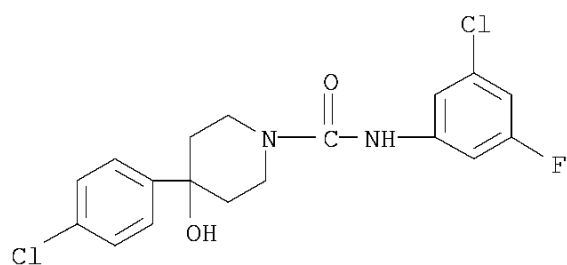
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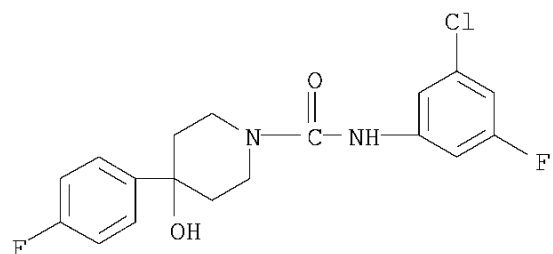
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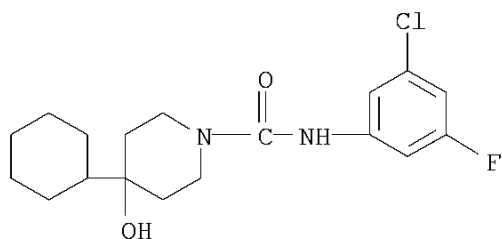
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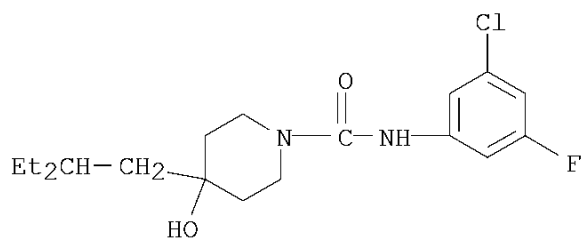
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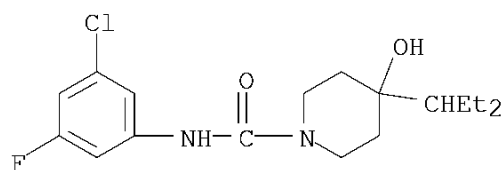
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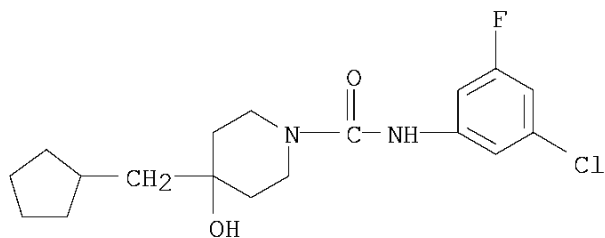
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CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-(1-ethylpropyl)-4-hydroxy- (CA INDEX NAME)



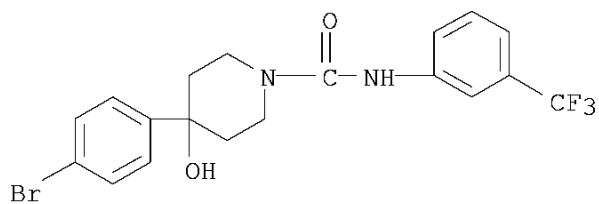
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CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-(cyclopentylmethyl)-4-hydroxy- (CA INDEX NAME)



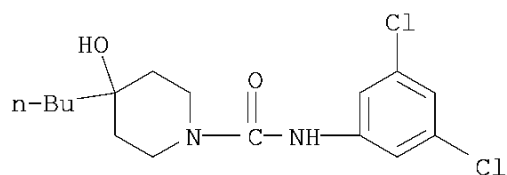
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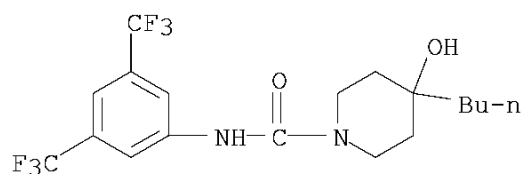
RN 642495-44-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-butyl-N-(3,5-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)



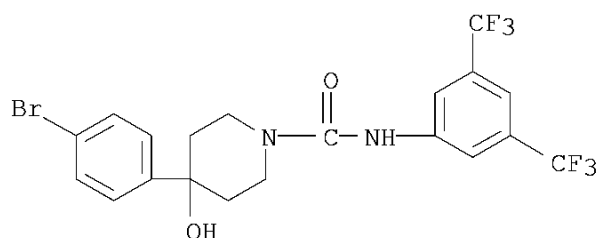
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CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-butyl-4-hydroxy- (CA INDEX NAME)



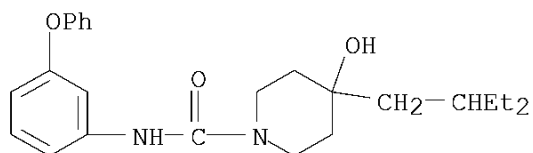
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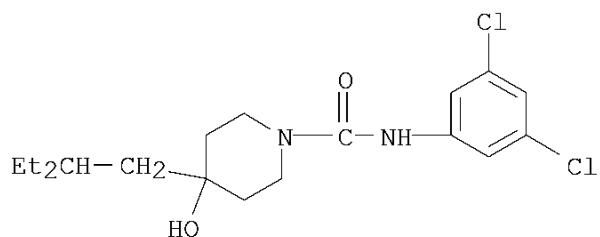
RN 642495-47-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-ethylbutyl)-4-hydroxy-N-(3-phenoxyphenyl)- (CA INDEX NAME)



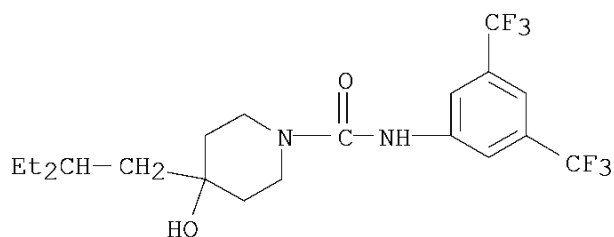
RN 642495-48-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-(2-ethylbutyl)-4-hydroxy- (CA INDEX NAME)



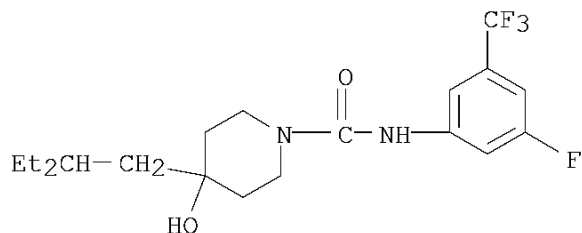
RN 642495-49-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-(2-ethylbutyl)-4-hydroxy- (CA INDEX NAME)



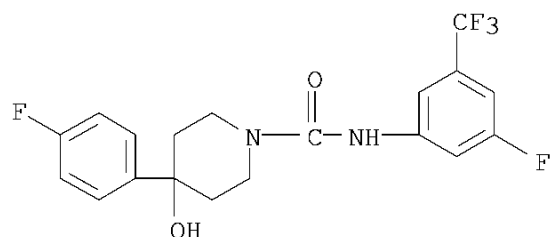
RN 642495-50-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-ethylbutyl)-N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



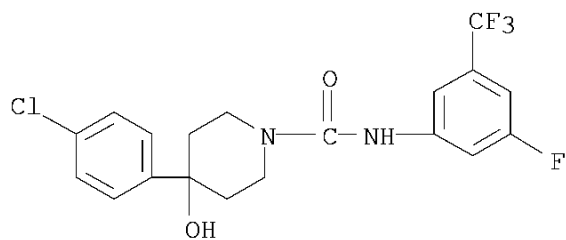
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CN 1-Piperidinecarboxamide, 4-(4-fluorophenyl)-N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



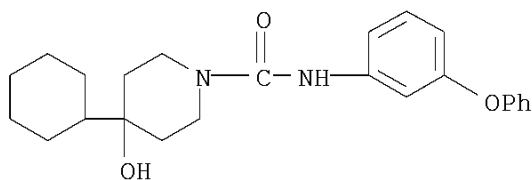
RN 642495-52-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



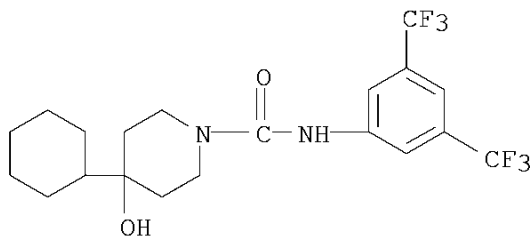
RN 642495-53-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-cyclohexyl-4-hydroxy-N-(3-phenoxyphenyl)- (CA INDEX NAME)



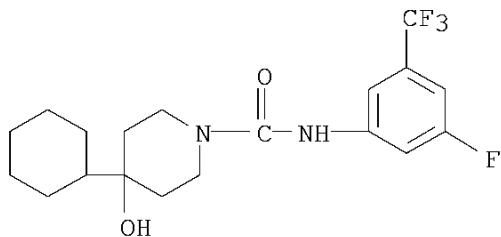
RN 642495-54-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-cyclohexyl-4-hydroxy- (CA INDEX NAME)



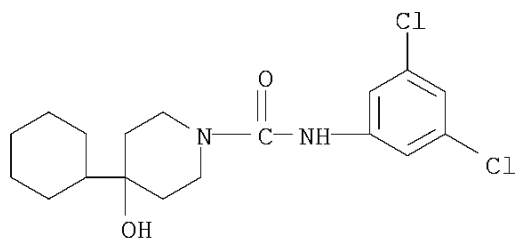
RN 642495-55-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-cyclohexyl-N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



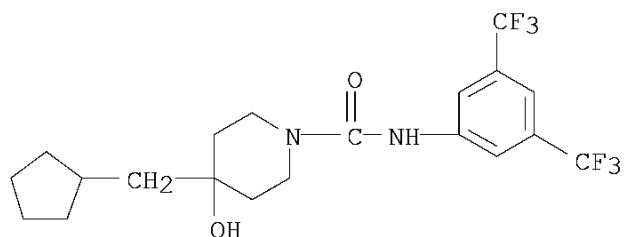
RN 642495-56-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-cyclohexyl-N-(3,5-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)



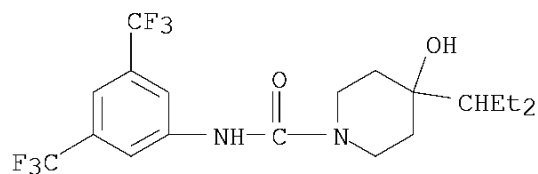
RN 642495-57-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-(cyclopentylmethyl)-4-hydroxy- (CA INDEX NAME)



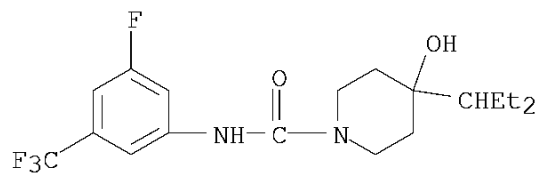
RN 642495-58-7 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-(1-ethylpropyl)-4-hydroxy- (CA INDEX NAME)



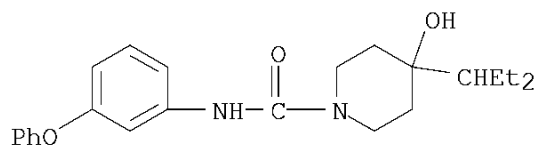
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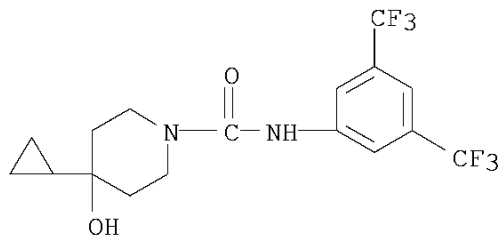
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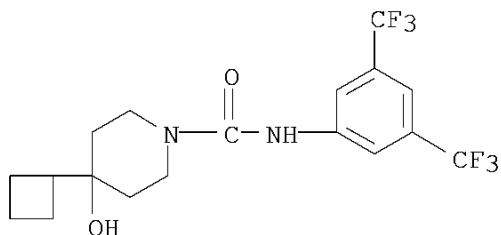
RN 642495-61-2 CAPLUS

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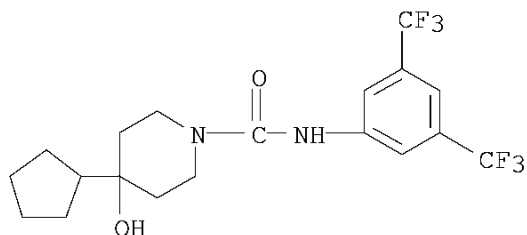
RN 642495-62-3 CAPLUS

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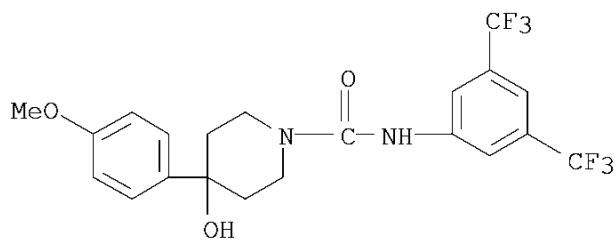
RN 642495-63-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-cyclopentyl-4-hydroxy- (CA INDEX NAME)



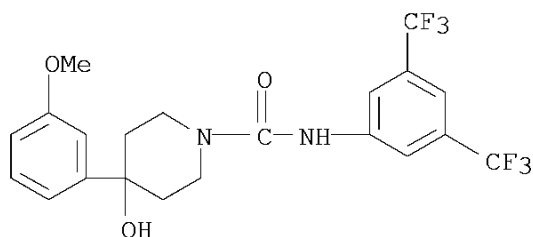
RN 642495-64-5 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy-4-(4-methoxyphenyl)- (CA INDEX NAME)



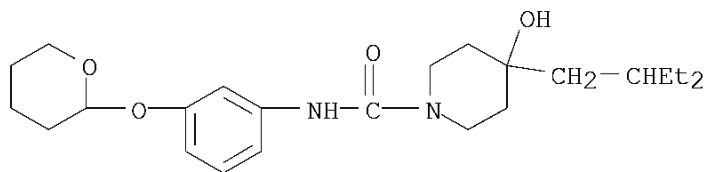
RN 642495-65-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy-4-(3-methoxyphenyl)- (CA INDEX NAME)



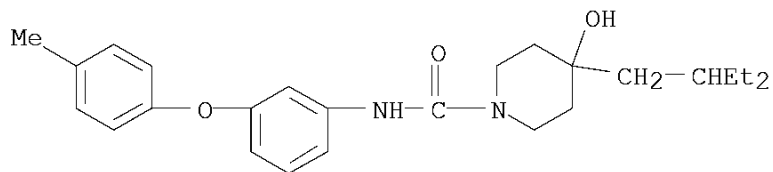
RN 642495-66-7 CAPLUS

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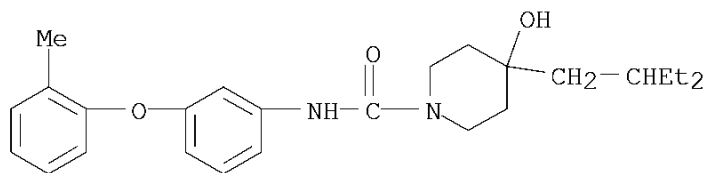
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CN 1-Piperidinecarboxamide, 4-(2-ethylbutyl)-4-hydroxy-N-[3-(4-methylphenoxy)phenyl]- (CA INDEX NAME)



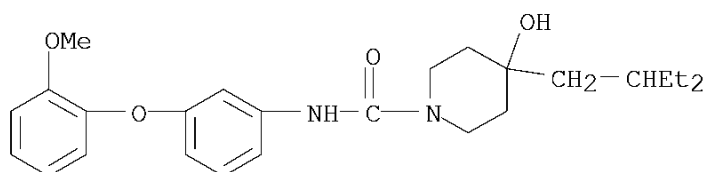
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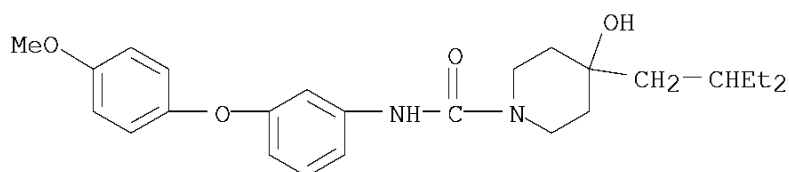
RN 642495-69-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-ethylbutyl)-4-hydroxy-N-[3-(2-methoxyphenoxy)phenyl]- (CA INDEX NAME)



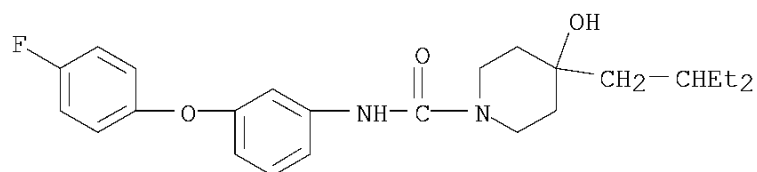
RN 642495-70-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2-ethylbutyl)-4-hydroxy-N-[3-(4-methoxyphenoxy)phenyl]- (CA INDEX NAME)



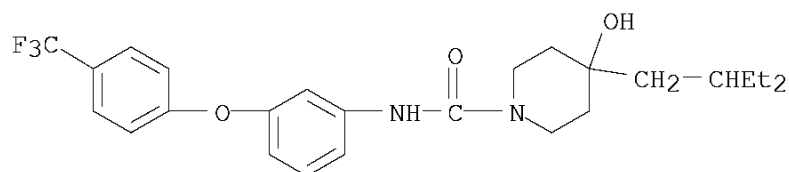
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CN 1-Piperidinecarboxamide, 4-(2-ethylbutyl)-4-hydroxy-N-[3-(4-fluorophenoxy)phenyl]-4-hydroxy- (CA INDEX NAME)



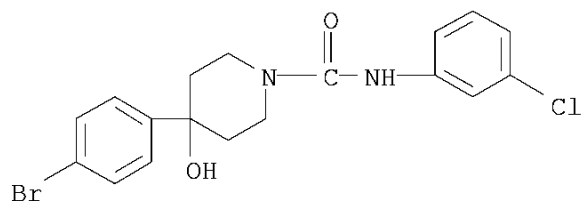
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CN 1-Piperidinecarboxamide, 4-(2-ethylbutyl)-4-hydroxy-N-[3-[4-(trifluoromethyl)phenoxy]phenyl]- (CA INDEX NAME)



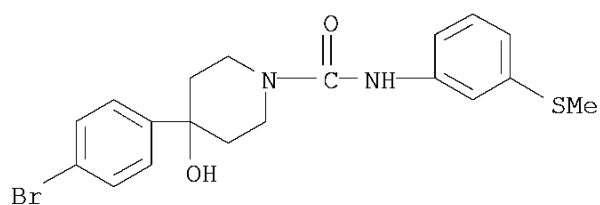
RN 642495-74-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-(3-chlorophenyl)-4-hydroxy-
(CA INDEX NAME)



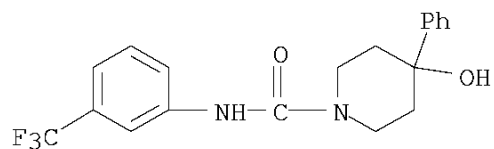
RN 642495-75-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-(methylthio)phenyl]- (CA INDEX NAME)



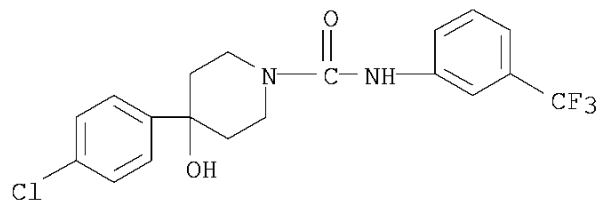
RN 642495-76-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[3-(trifluoromethyl)phenyl]-
(CA INDEX NAME)



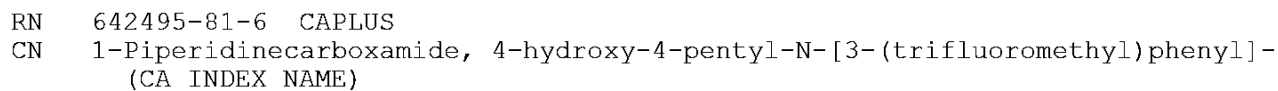
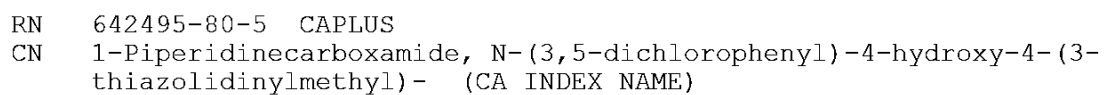
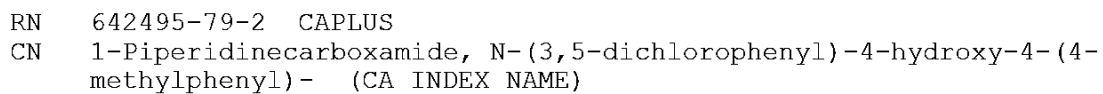
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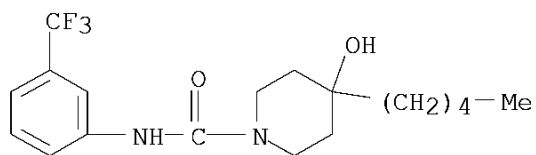
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RN 642495-78-1 CAPLUS

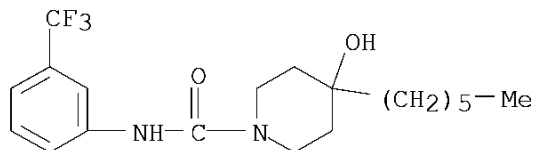
CN 1-Piperidinecarboxamide, 4-(4-fluorophenyl)-4-hydroxy-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)





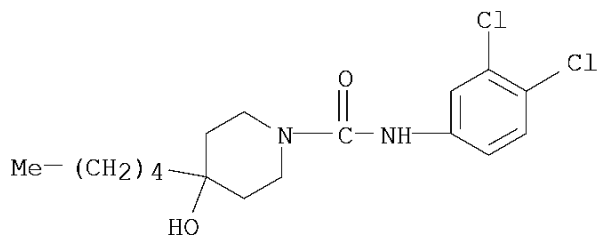
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CN 1-Piperidinecarboxamide, 4-hexyl-4-hydroxy-N-[3-(trifluoromethyl)phenyl]-
(CA INDEX NAME)



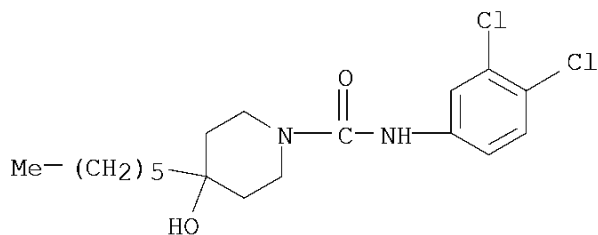
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CN 1-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-4-hydroxy-4-pentyl- (CA
INDEX NAME)



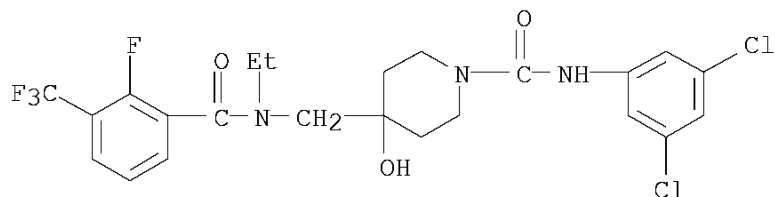
RN 642495-84-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-4-hexyl-4-hydroxy- (CA
INDEX NAME)



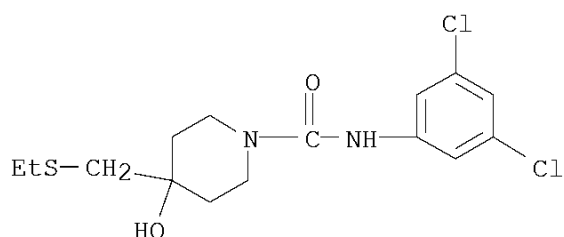
RN 642495-85-0 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl[2-fluoro-3-(trifluoromethyl)benzoyl]amino]methyl]-4-hydroxy- (CA INDEX NAME)



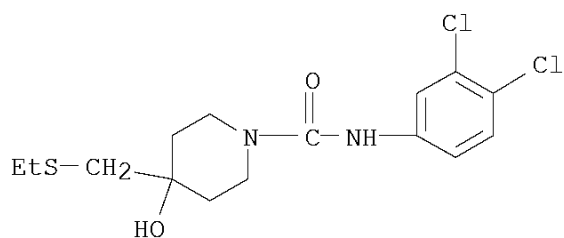
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CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[(ethylthio)methyl]-4-hydroxy- (CA INDEX NAME)



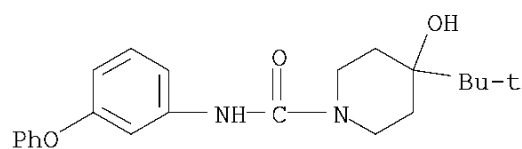
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CN 1-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-4-[(ethylthio)methyl]-4-hydroxy- (CA INDEX NAME)



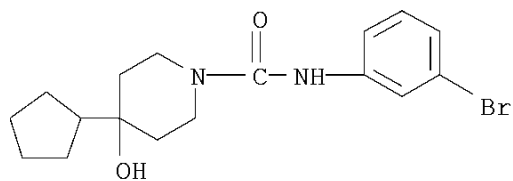
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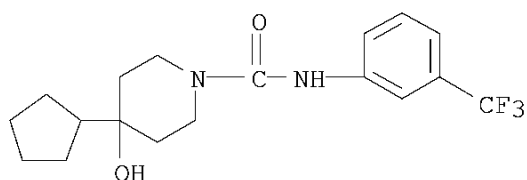
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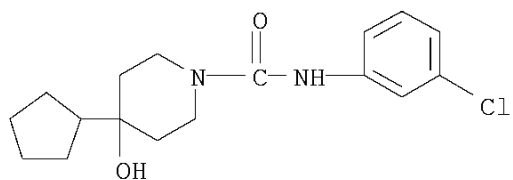
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CN 1-Piperidinecarboxamide, 4-cyclopentyl-4-hydroxy-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



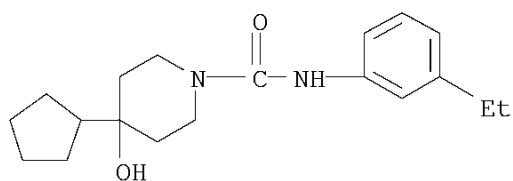
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CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-cyclopentyl-4-hydroxy- (CA INDEX NAME)



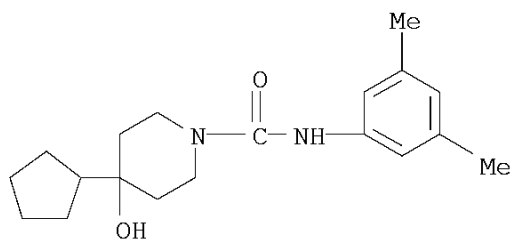
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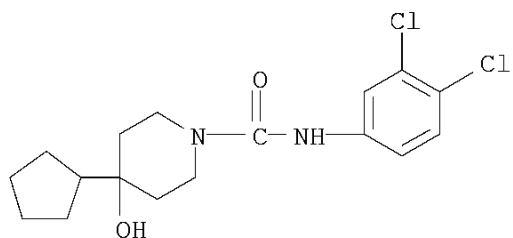


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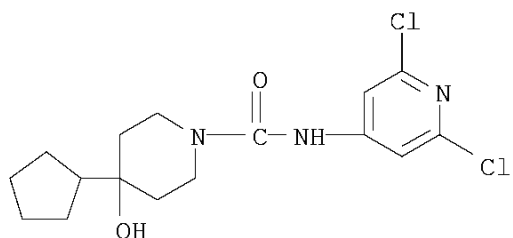
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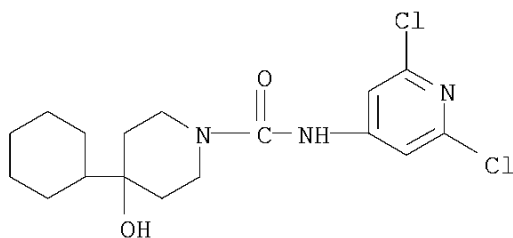
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 CN 1-Piperidinecarboxamide, 4-cyclopentyl-N-(3,4-dichlorophenyl)-4-hydroxy-
 (CA INDEX NAME)



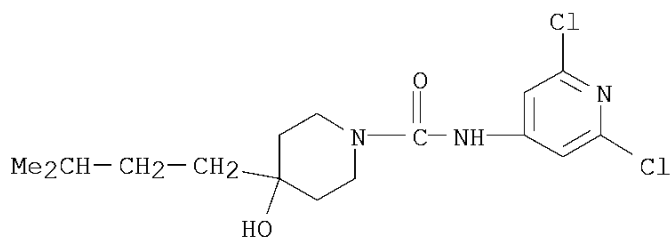
RN 642495-95-2 CAPLUS
 CN 1-Piperidinecarboxamide, 4-cyclopentyl-N-(2,6-dichloro-4-pyridinyl)-4-hydroxy-
 (CA INDEX NAME)



RN 642495-96-3 CAPLUS
 CN 1-Piperidinecarboxamide, 4-cyclohexyl-N-(2,6-dichloro-4-pyridinyl)-4-hydroxy-
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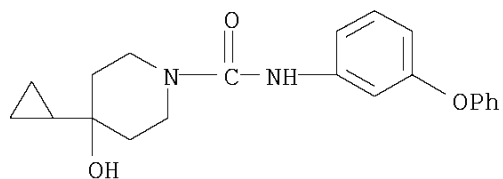


RN 642495-97-4 CAPLUS
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 (CA INDEX NAME)



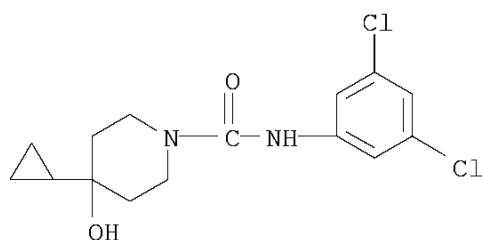
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CN 1-Piperidinecarboxamide, 4-cyclopropyl-4-hydroxy-N-(3-phenoxyphenyl)- (CA INDEX NAME)



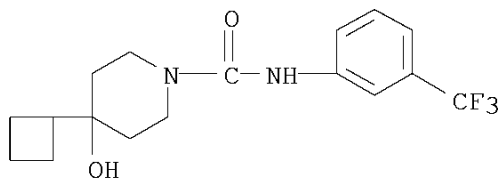
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CN 1-Piperidinecarboxamide, 4-cyclopropyl-N-(3,5-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)



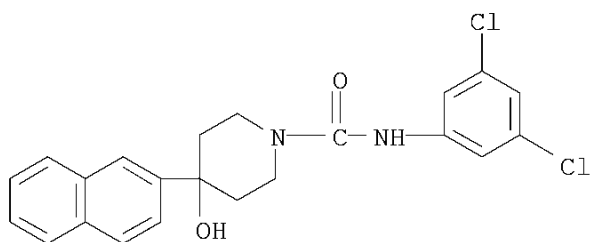
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CN 1-Piperidinecarboxamide, 4-cyclobutyl-4-hydroxy-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



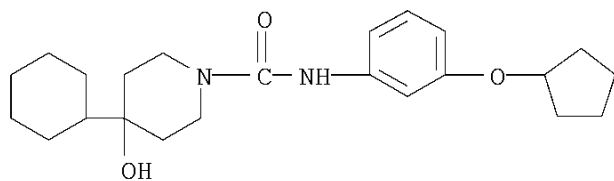
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CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-hydroxy-4-(2-naphthalenyl)- (CA INDEX NAME)



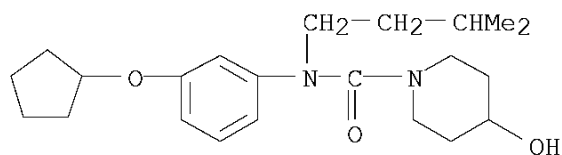
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CN 1-Piperidinecarboxamide, 4-cyclohexyl-N-[3-(cyclopentyloxy)phenyl]-4-hydroxy- (CA INDEX NAME)



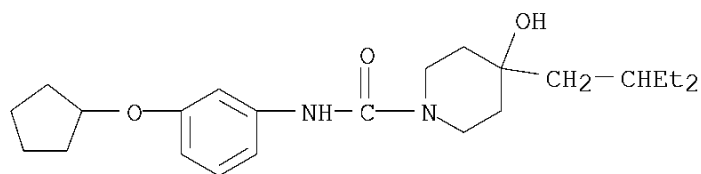
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CN 1-Piperidinecarboxamide, N-[3-(cyclopentyloxy)phenyl]-4-hydroxy-N-(3-methylbutyl)- (CA INDEX NAME)



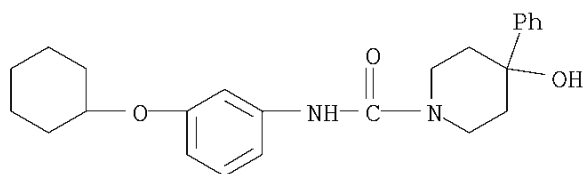
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CN 1-Piperidinecarboxamide, N-[3-(cyclopentyloxy)phenyl]-4-(2-ethylbutyl)-4-hydroxy- (CA INDEX NAME)



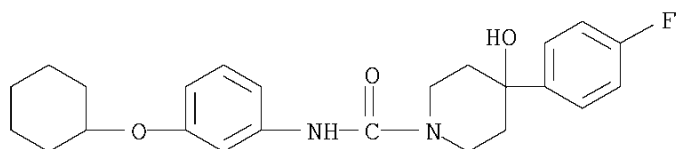
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CN 1-Piperidinecarboxamide, N-[3-(cyclohexyloxy)phenyl]-4-hydroxy-4-phenyl- (CA INDEX NAME)



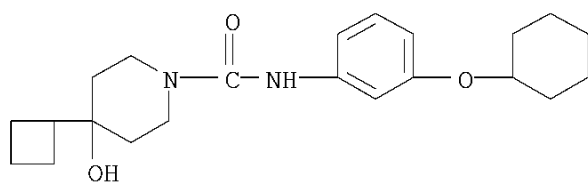
RN 642496-06-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(cyclohexyloxy)phenyl]-4-(4-fluorophenyl)-4-hydroxy- (CA INDEX NAME)



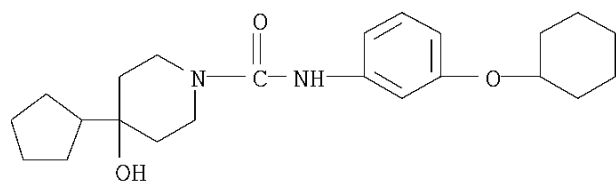
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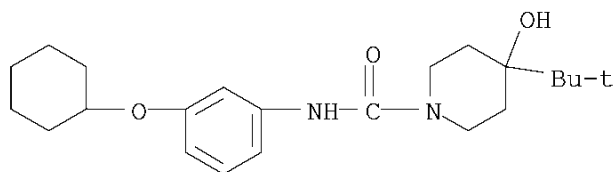
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CN 1-Piperidinecarboxamide, N-[3-(cyclohexyloxy)phenyl]-4-cyclopentyl-4-hydroxy- (CA INDEX NAME)



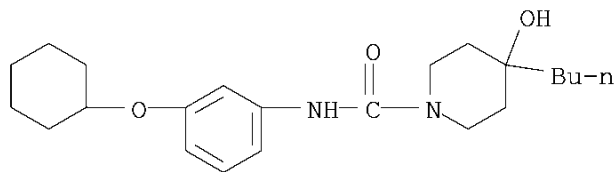
RN 642496-09-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(cyclohexyloxy)phenyl]-4-(1,1-dimethylethyl)-4-hydroxy- (CA INDEX NAME)



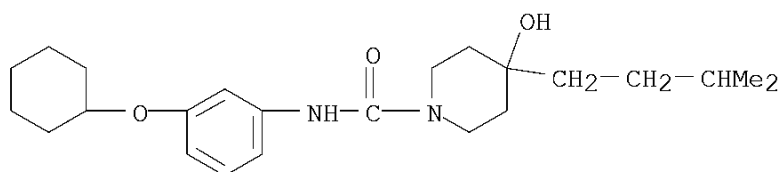
RN 642496-10-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-butyl-N-[3-(cyclohexyloxy)phenyl]-4-hydroxy- (CA INDEX NAME)



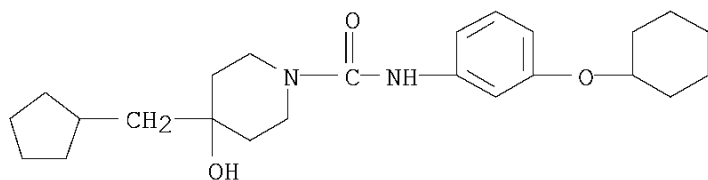
RN 642496-11-5 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(cyclohexyloxy)phenyl]-4-hydroxy-4-(3-methylbutyl)- (CA INDEX NAME)



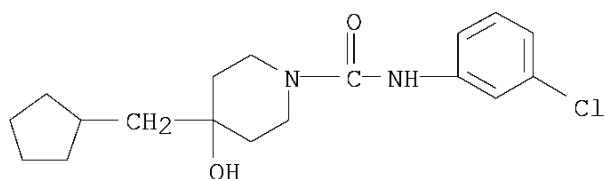
RN 642496-12-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(cyclohexyloxy)phenyl]-4-(cyclopentylmethyl)-4-hydroxy- (CA INDEX NAME)



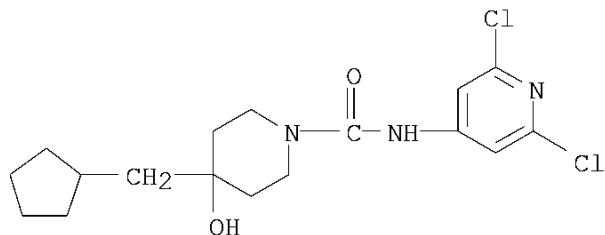
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CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-(cyclopentylmethyl)-4-hydroxy- (CA INDEX NAME)



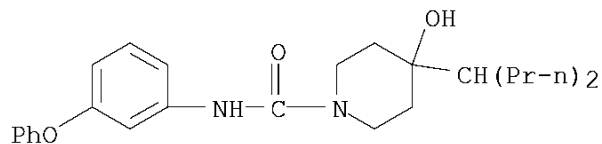
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CN 1-Piperidinecarboxamide, 4-(cyclopentylmethyl)-N-(2,6-dichloro-4-pyridinyl)-4-hydroxy- (CA INDEX NAME)



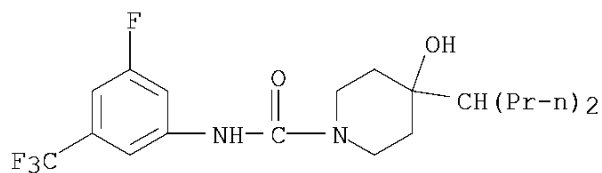
RN 642496-15-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-(3-phenoxyphenyl)-4-(1-propylbutyl)- (CA INDEX NAME)



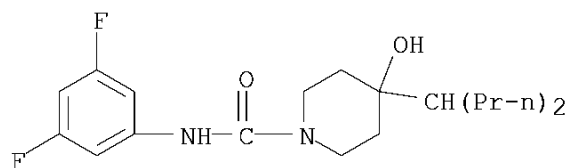
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CN 1-Piperidinecarboxamide, N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy-4-(1-propylbutyl)- (CA INDEX NAME)



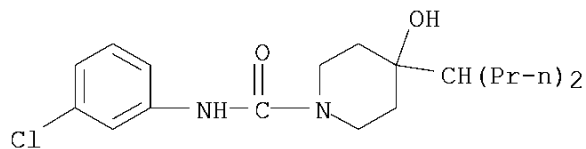
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CN 1-Piperidinecarboxamide, N-(3,5-difluorophenyl)-4-hydroxy-4-(1-propylbutyl)- (CA INDEX NAME)



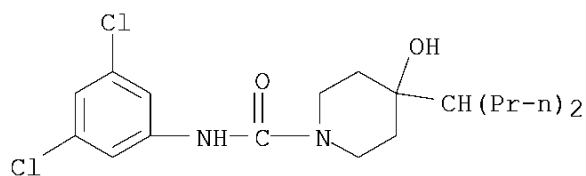
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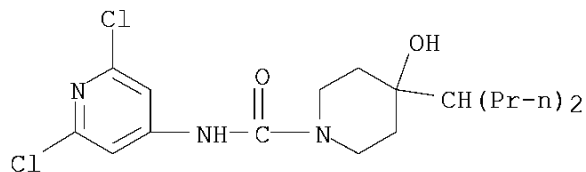
RN 642496-19-3 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-hydroxy-4-(1-propylbutyl)- (CA INDEX NAME)



RN 642496-20-6 CAPLUS

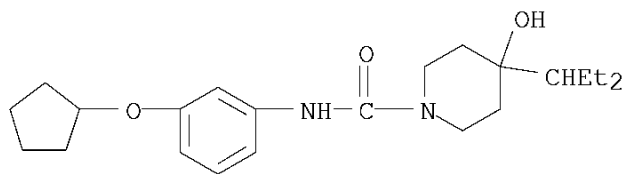
CN 1-Piperidinecarboxamide, N-(2,6-dichloro-4-pyridinyl)-4-hydroxy-4-(1-propylbutyl)- (CA INDEX NAME)



RN 642496-21-7 CAPLUS

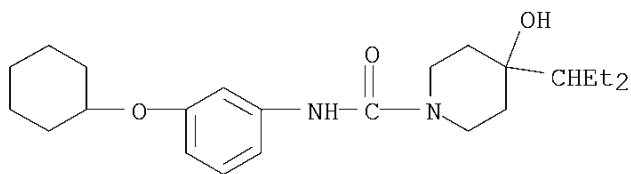
CN 1-Piperidinecarboxamide, N-[3-(cyclopentyloxy)phenyl]-4-(1-ethylpropyl)-4-

hydroxy- (CA INDEX NAME)



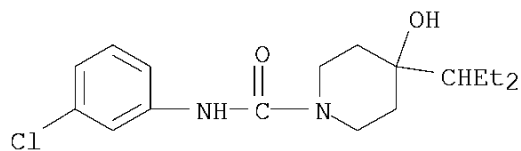
RN 642496-22-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(cyclohexyloxy)phenyl]-4-(1-ethylpropyl)-4-hydroxy- (CA INDEX NAME)



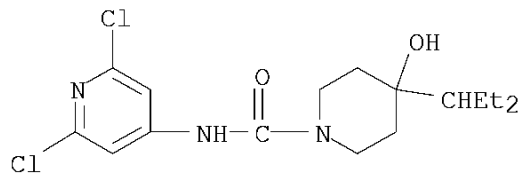
RN 642496-23-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-(1-ethylpropyl)-4-hydroxy- (CA INDEX NAME)



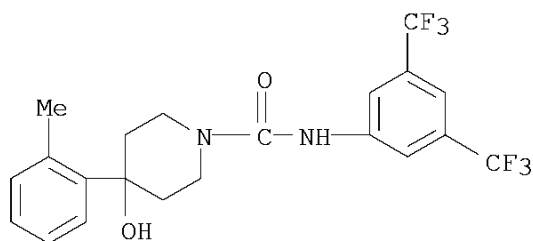
RN 642496-24-0 CAPLUS

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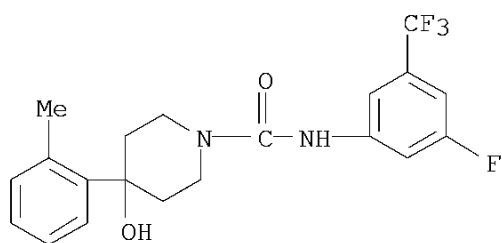
RN 642496-25-1 CAPLUS

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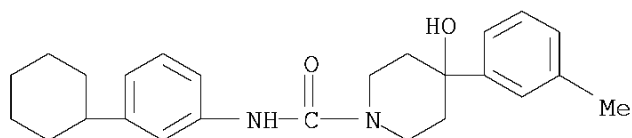
RN 642496-26-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy-4-(2-methylphenyl)- (CA INDEX NAME)



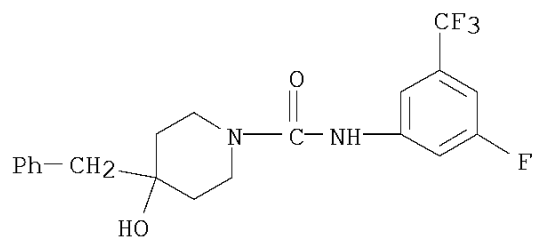
RN 642496-27-3 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-cyclohexylphenyl)-4-hydroxy-4-(3-methylphenyl)- (CA INDEX NAME)



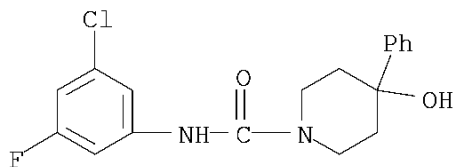
RN 642496-28-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy-4-(phenylmethyl)- (CA INDEX NAME)



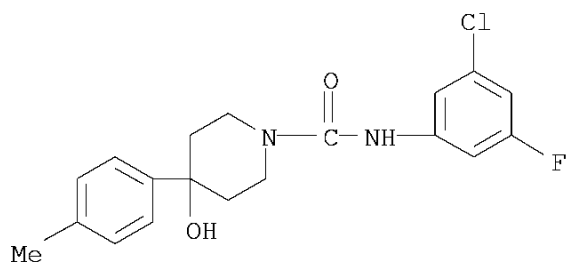
RN 642496-29-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-hydroxy-4-phenyl- (CA INDEX NAME)



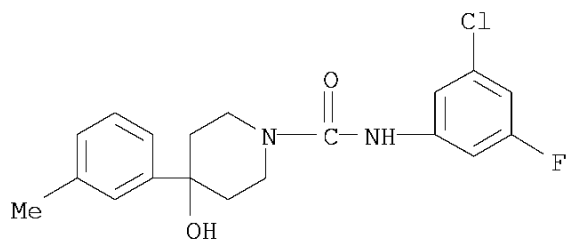
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CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-hydroxy-4-(4-methylphenyl)- (CA INDEX NAME)



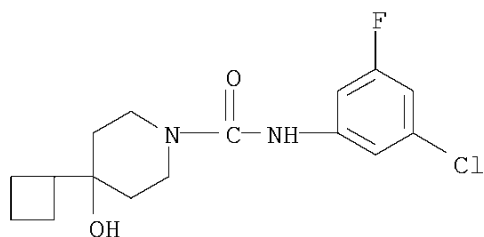
RN 642496-31-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-hydroxy-4-(3-methylphenyl)- (CA INDEX NAME)



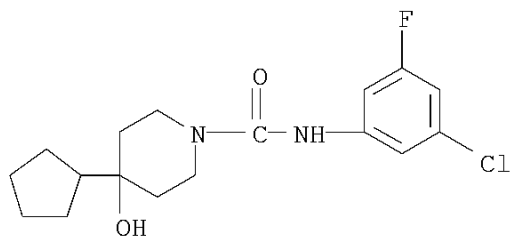
RN 642496-32-0 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-cyclobutyl-4-hydroxy- (CA INDEX NAME)



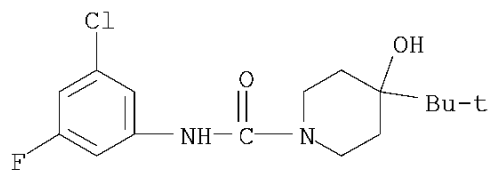
RN 642496-33-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-cyclopentyl-4-hydroxy- (CA INDEX NAME)



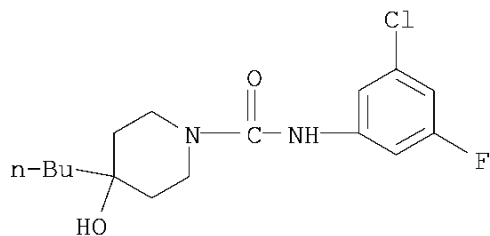
RN 642496-34-2 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-(1,1-dimethylethyl)-4-hydroxy- (CA INDEX NAME)



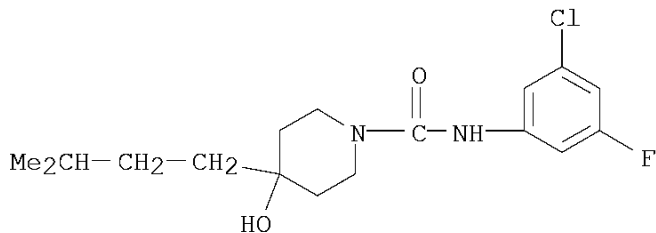
RN 642496-35-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-butyl-N-(3-chloro-5-fluorophenyl)-4-hydroxy- (CA INDEX NAME)



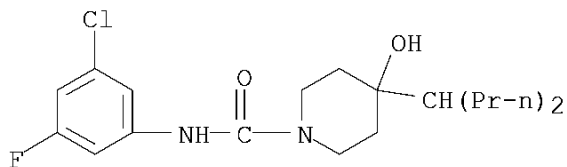
RN 642496-36-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-hydroxy-4-(3-methylbutyl)- (CA INDEX NAME)



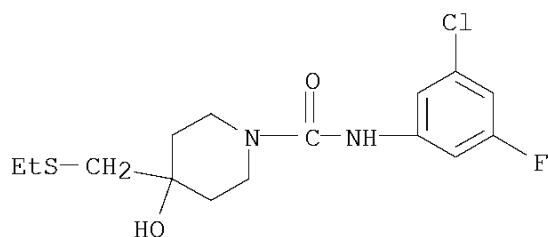
RN 642496-37-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-hydroxy-4-(1-propylbutyl)- (CA INDEX NAME)



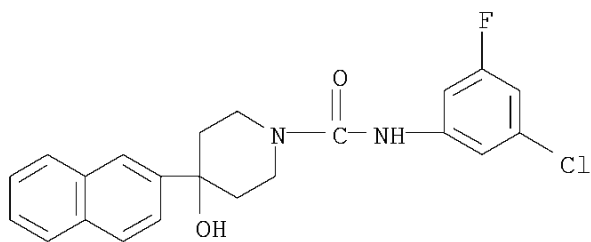
RN 642496-38-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-[(ethylthio)methyl]-4-hydroxy- (CA INDEX NAME)



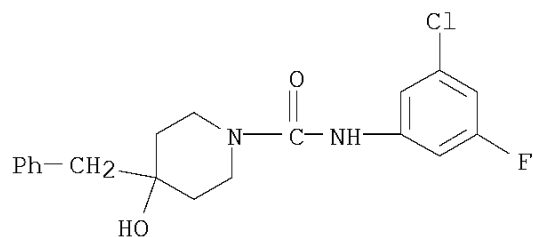
RN 642496-39-7 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-hydroxy-4-(2-naphthalenyl)- (CA INDEX NAME)



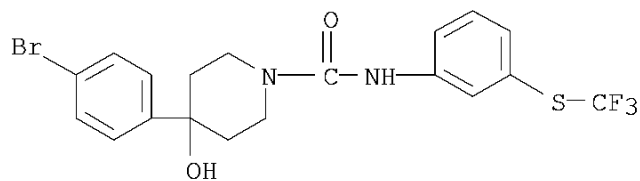
RN 642496-40-0 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-hydroxy-4-(phenylmethyl)- (CA INDEX NAME)



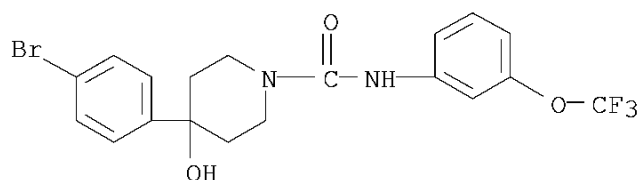
RN 642496-41-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[(trifluoromethyl)thio]phenyl]- (CA INDEX NAME)



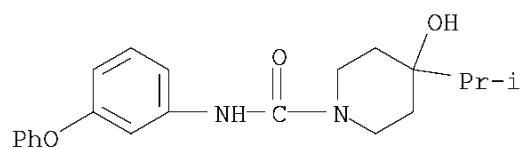
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CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



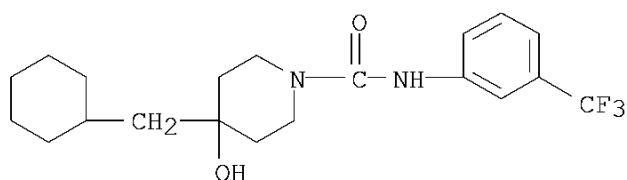
RN 642496-43-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-(1-methylethyl)-N-(3-phenoxyphenyl)- (CA INDEX NAME)



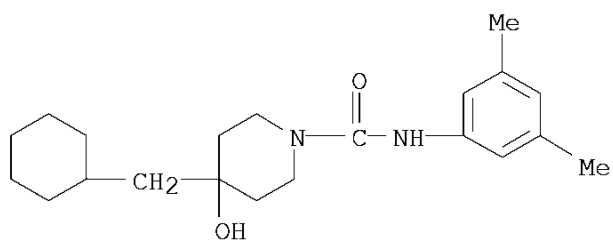
RN 642496-44-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(cyclohexylmethyl)-4-hydroxy-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



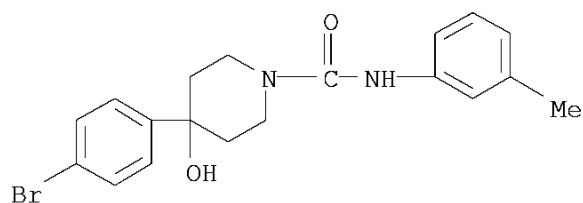
RN 642496-45-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(cyclohexylmethyl)-N-(3,5-dimethylphenyl)-4-hydroxy- (CA INDEX NAME)



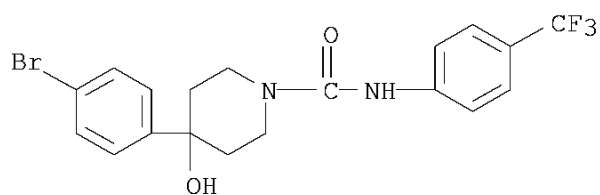
RN 642496-46-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-(3-methylphenyl)-
(CA INDEX NAME)



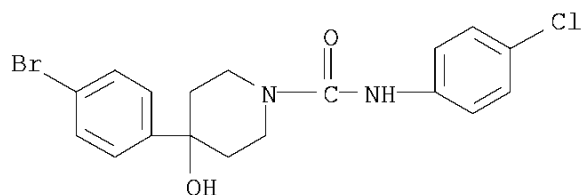
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CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[4-(trifluoromethyl)phenyl]-
(CA INDEX NAME)



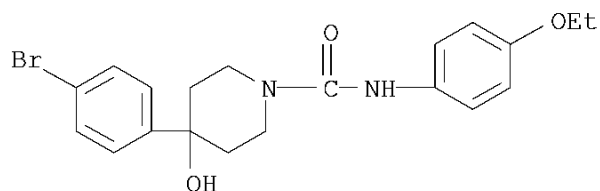
RN 642496-48-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-(4-chlorophenyl)-4-hydroxy-
(CA INDEX NAME)



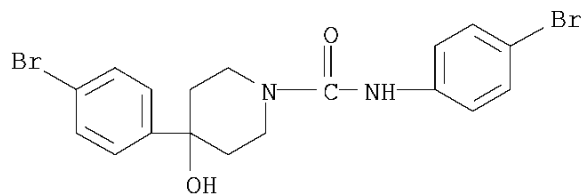
RN 642496-49-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-(4-ethoxyphenyl)-4-hydroxy-
(CA INDEX NAME)



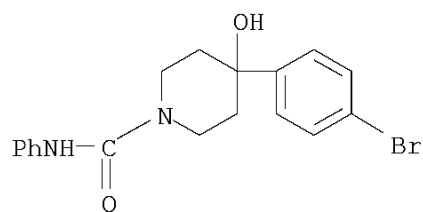
RN 642496-50-2 CAPLUS

CN 1-Piperidinecarboxamide, N,4-bis(4-bromophenyl)-4-hydroxy- (CA INDEX
NAME)



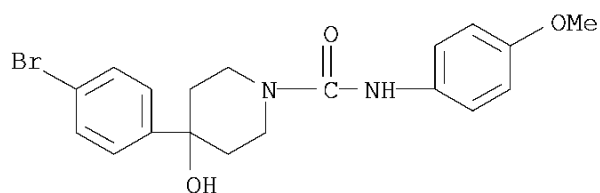
RN 642496-51-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-phenyl- (CA INDEX NAME)



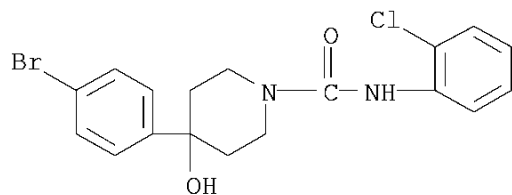
RN 642496-52-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-(4-methoxyphenyl)- (CA INDEX NAME)



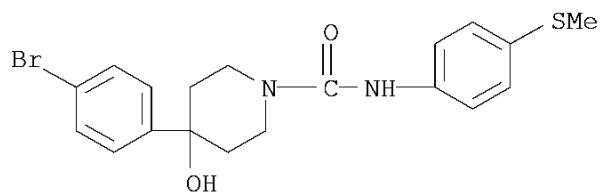
RN 642496-53-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-(2-chlorophenyl)-4-hydroxy- (CA INDEX NAME)

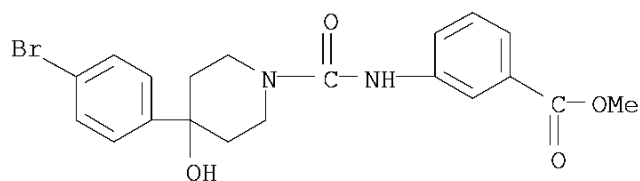


RN 642496-54-6 CAPLUS

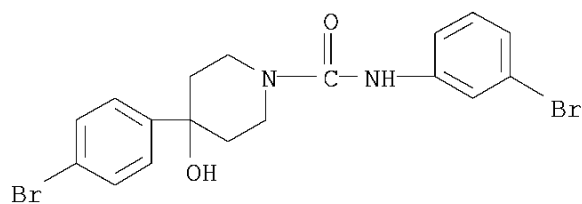
CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[4-(methylthio)phenyl]- (CA INDEX NAME)



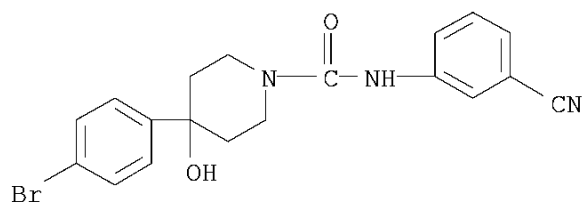
RN 642496-55-7 CAPLUS
 CN Benzoic acid, 3-[[[4-(4-bromophenyl)-4-hydroxy-1-piperidinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)



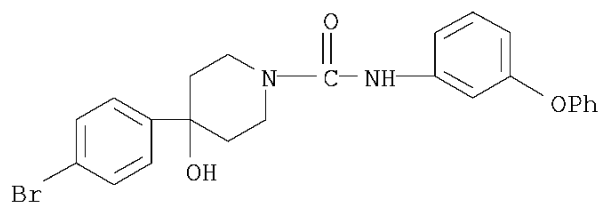
RN 642496-56-8 CAPLUS
 CN 1-Piperidinecarboxamide, N-(3-bromophenyl)-4-(4-bromophenyl)-4-hydroxy- (CA INDEX NAME)



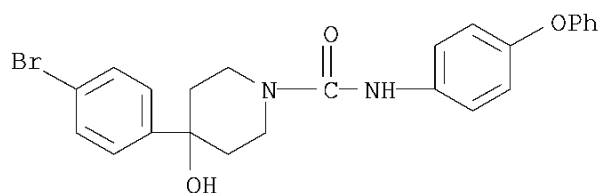
RN 642496-57-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-(3-cyanophenyl)-4-hydroxy- (CA INDEX NAME)



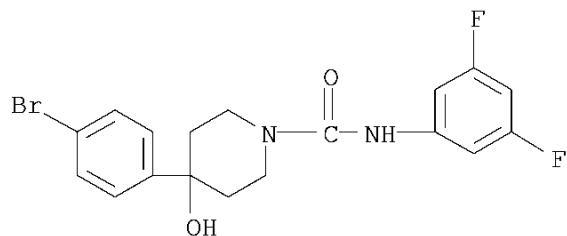
RN 642496-58-0 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-(3-phenoxyphenyl)- (CA INDEX NAME)



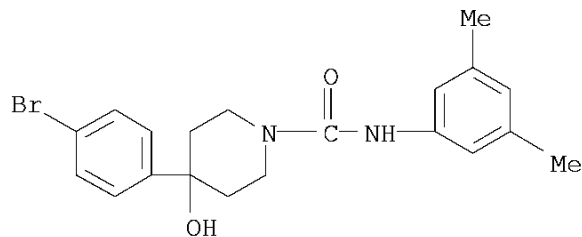
RN 642496-59-1 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-(4-phenoxyphenyl)-
 (CA INDEX NAME)



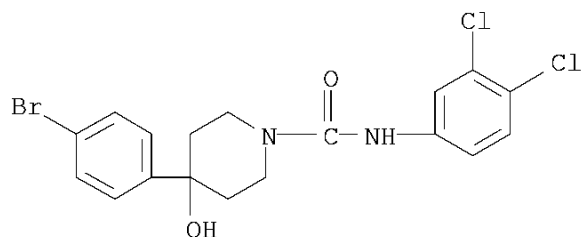
RN 642496-60-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-(3,5-difluorophenyl)-4-
 hydroxy- (CA INDEX NAME)



RN 642496-61-5 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-(3,5-dimethylphenyl)-4-
 hydroxy- (CA INDEX NAME)

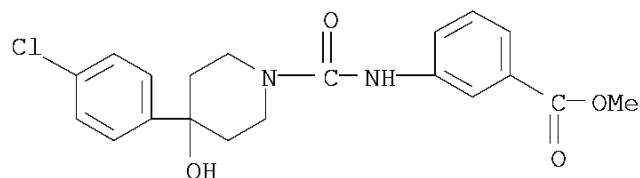


RN 642496-62-6 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-(3,4-dichlorophenyl)-4-
 hydroxy- (CA INDEX NAME)



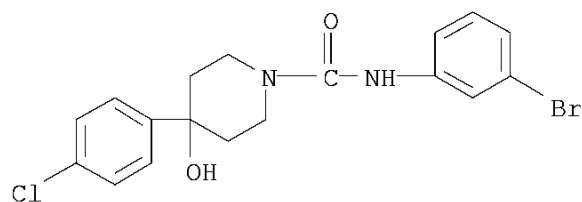
RN 642496-63-7 CAPLUS

CN Benzoic acid, 3-[[[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)



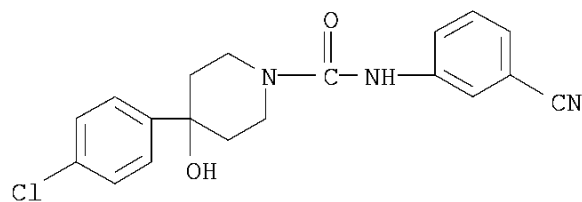
RN 642496-64-8 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-bromophenyl)-4-(4-chlorophenyl)-4-hydroxy- (CA INDEX NAME)



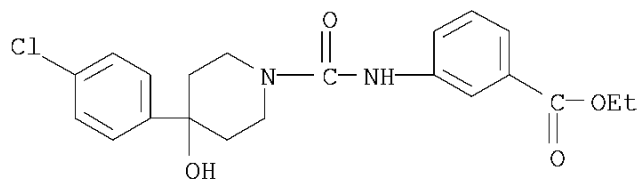
RN 642496-65-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-N-(3-cyanophenyl)-4-hydroxy- (CA INDEX NAME)



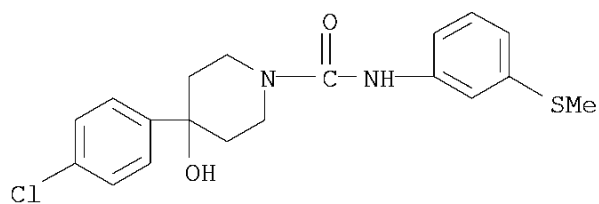
RN 642496-66-0 CAPLUS

CN Benzoic acid, 3-[[[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



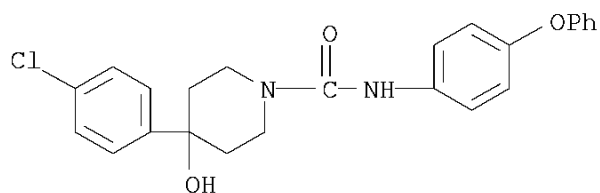
RN 642496-67-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[3-(methylthio)phenyl]- (CA INDEX NAME)



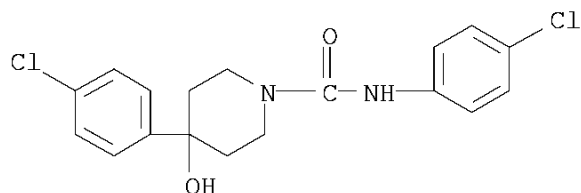
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CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-(4-phenoxyphenyl)- (CA INDEX NAME)



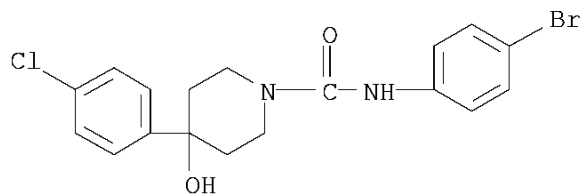
RN 642496-69-3 CAPLUS

CN 1-Piperidinecarboxamide, N,4-bis(4-chlorophenyl)-4-hydroxy- (CA INDEX NAME)



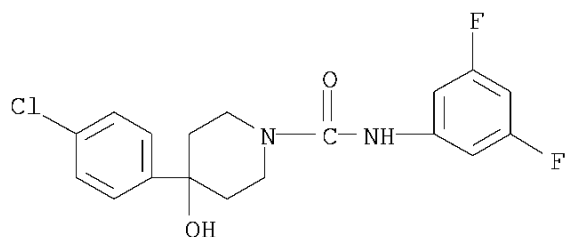
RN 642496-70-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-bromophenyl)-4-(4-chlorophenyl)-4-hydroxy- (CA INDEX NAME)



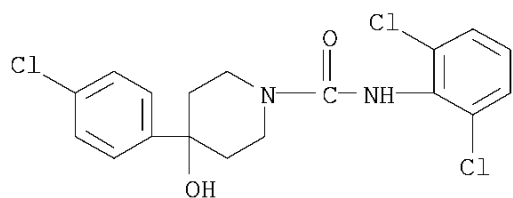
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CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-N-(3,5-difluorophenyl)-4-hydroxy- (CA INDEX NAME)



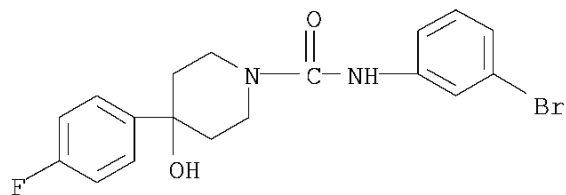
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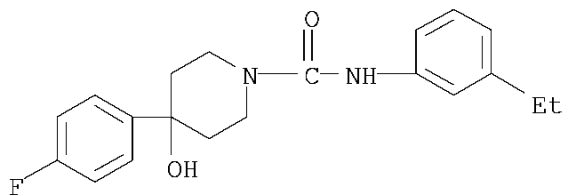
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CN 1-Piperidinecarboxamide, N-(3-bromophenyl)-4-(4-fluorophenyl)-4-hydroxy- (CA INDEX NAME)



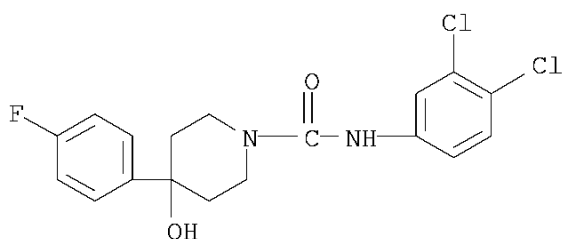
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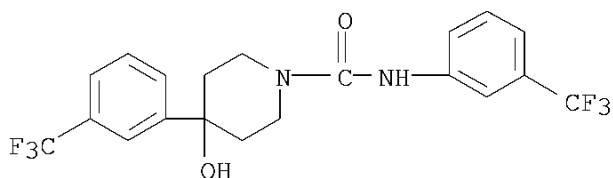
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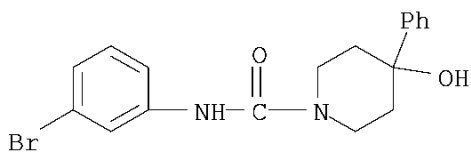
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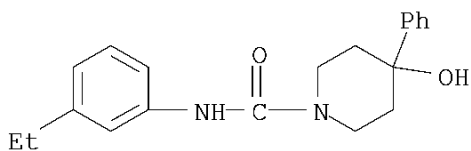
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CN 1-Piperidinecarboxamide, N-(3-bromophenyl)-4-hydroxy-4-phenyl- (CA INDEX NAME)

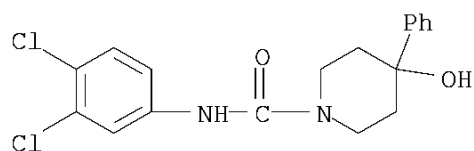


RN 642496-88-6 CAPLUS

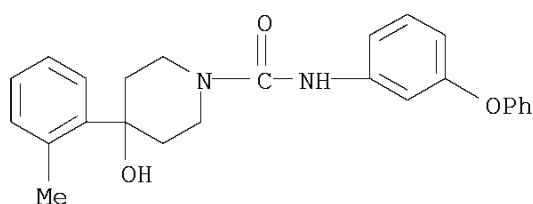
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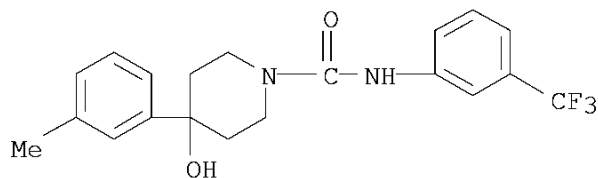
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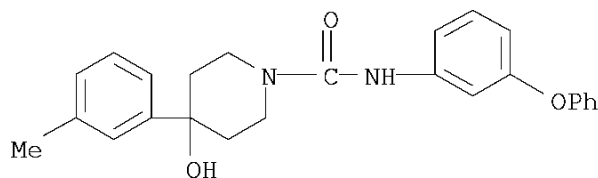
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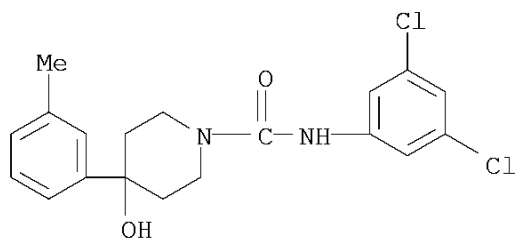
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 CN 1-Piperidinecarboxamide, 4-hydroxy-4-(3-methylphenyl)-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



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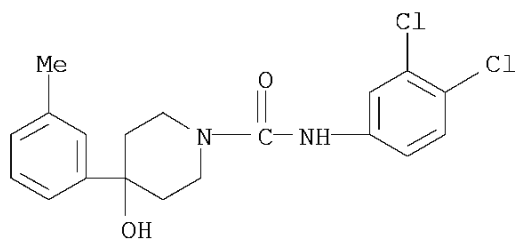


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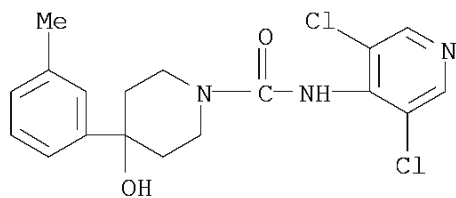
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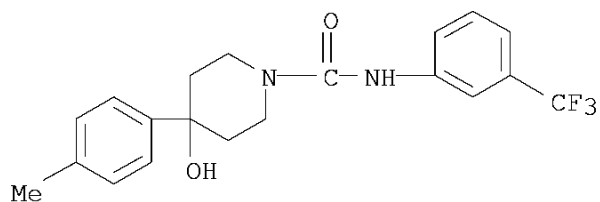
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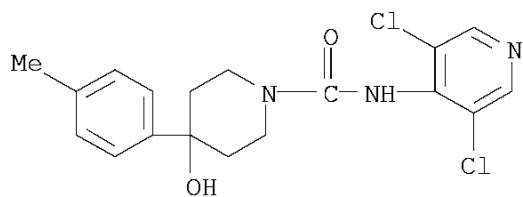
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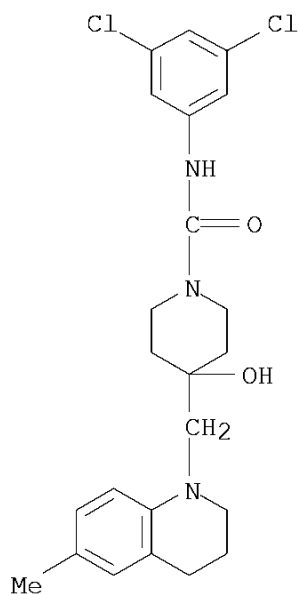
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CN 1-Piperidinecarboxamide, N-(3,5-dichloro-4-pyridinyl)-4-hydroxy-4-(4-methylphenyl)- (CA INDEX NAME)



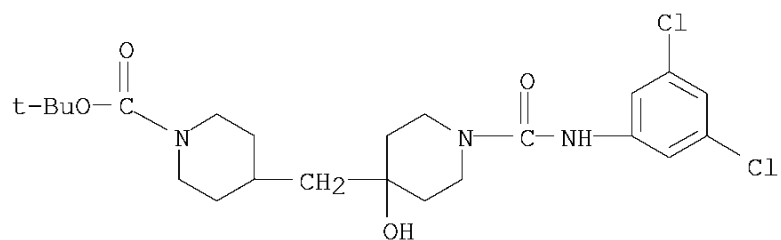
RN 642496-98-8 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[(3,4-dihydro-6-methyl-1(2H)-quinolinyl)methyl]-4-hydroxy- (CA INDEX NAME)



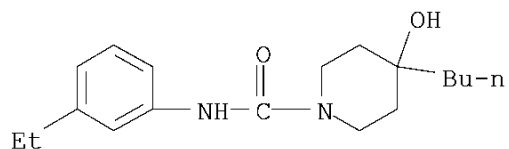
RN 642496-99-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[1-[[[(3,5-dichlorophenyl)amino]carbonyl]-4-hydroxy-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



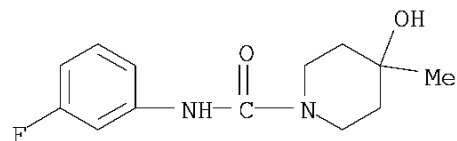
RN 642497-00-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-butyl-N-(3-ethylphenyl)-4-hydroxy- (CA INDEX NAME)



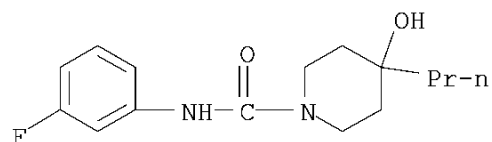
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CN 1-Piperidinecarboxamide, N-(3-fluorophenyl)-4-hydroxy-4-methyl- (CA INDEX NAME)



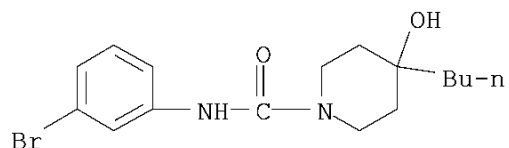
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CN 1-Piperidinecarboxamide, N-(3-fluorophenyl)-4-hydroxy-4-propyl- (CA INDEX NAME)



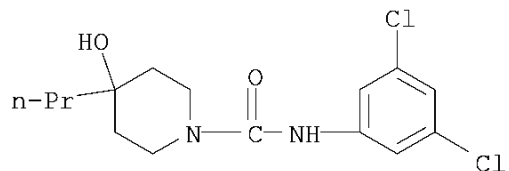
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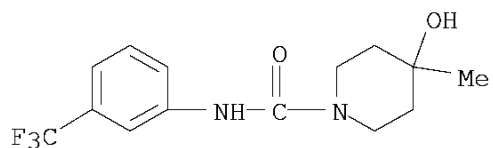
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CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-hydroxy-4-propyl- (CA INDEX NAME)

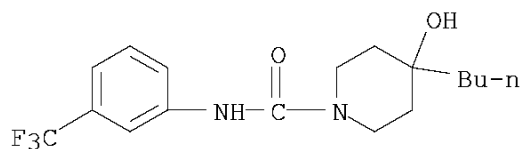


RN 642497-05-0 CAPLUS

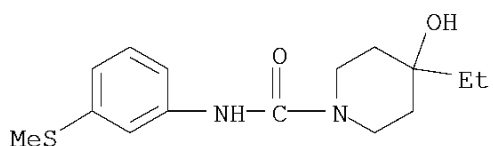
CN 1-Piperidinecarboxamide, 4-hydroxy-4-methyl-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



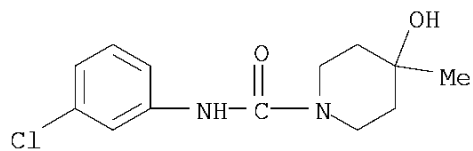
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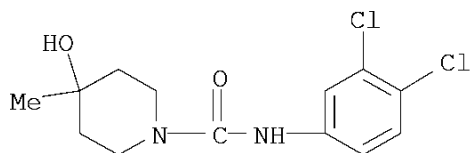
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 INDEX NAME)



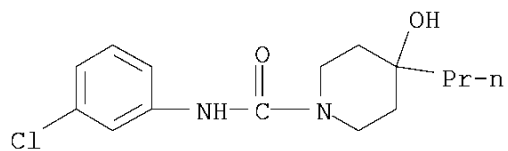
RN 642497-08-3 CAPLUS
 CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-hydroxy-4-methyl- (CA INDEX
 NAME)



RN 642497-09-4 CAPLUS
 CN 1-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-4-hydroxy-4-methyl- (CA
 INDEX NAME)

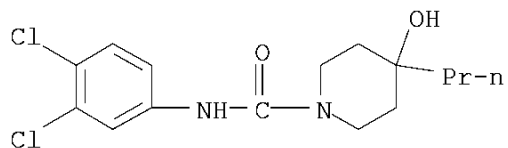


RN 642497-10-7 CAPLUS
 CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-hydroxy-4-propyl- (CA INDEX
 NAME)



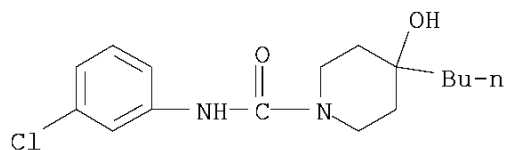
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CN 1-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-4-hydroxy-4-propyl- (CA INDEX NAME)



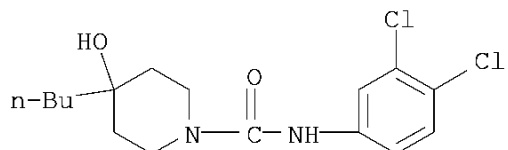
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CN 1-Piperidinecarboxamide, 4-butyl-N-(3-chlorophenyl)-4-hydroxy- (CA INDEX NAME)



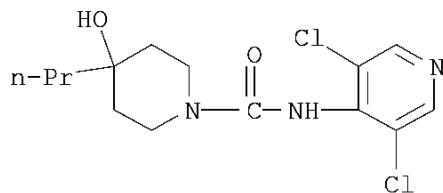
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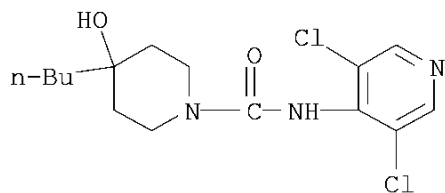
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CN 1-Piperidinecarboxamide, N-(3,5-dichloro-4-pyridinyl)-4-hydroxy-4-propyl- (CA INDEX NAME)

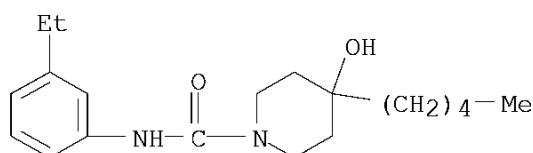


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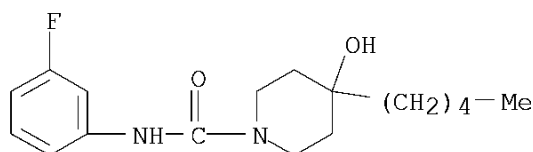
CN 1-Piperidinecarboxamide, 4-butyl-N-(3,5-dichloro-4-pyridinyl)-4-hydroxy- (CA INDEX NAME)



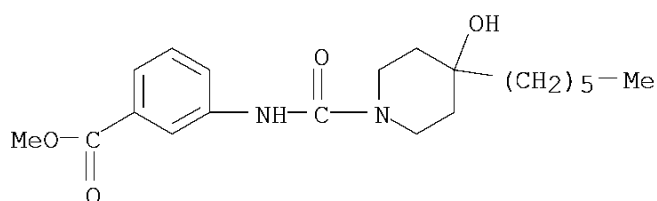
RN 642497-16-3 CAPLUS
 CN 1-Piperidinecarboxamide, N-(3-ethylphenyl)-4-hydroxy-4-pentyl- (CA INDEX NAME)



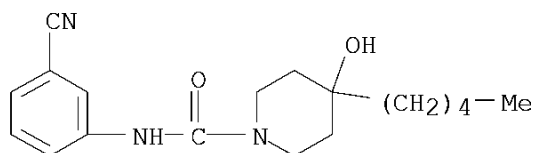
RN 642497-17-4 CAPLUS
 CN 1-Piperidinecarboxamide, N-(3-fluorophenyl)-4-hydroxy-4-pentyl- (CA INDEX NAME)



RN 642497-18-5 CAPLUS
 CN Benzoic acid, 3-[[(4-hexyl-4-hydroxy-1-piperidinyl) carbonyl] amino]-, methyl ester (CA INDEX NAME)

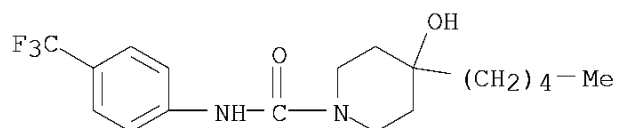


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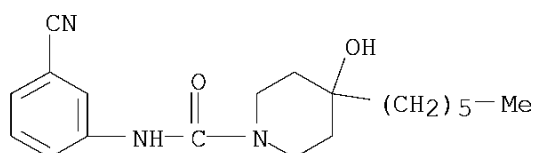
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 CN 1-Piperidinecarboxamide, 4-hydroxy-4-pentyl-N-[4-(trifluoromethyl)phenyl]-

(CA INDEX NAME)



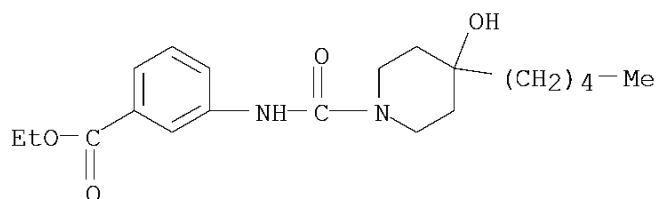
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CN 1-Piperidinecarboxamide, N-(3-cyanophenyl)-4-hexyl-4-hydroxy- (CA INDEX NAME)



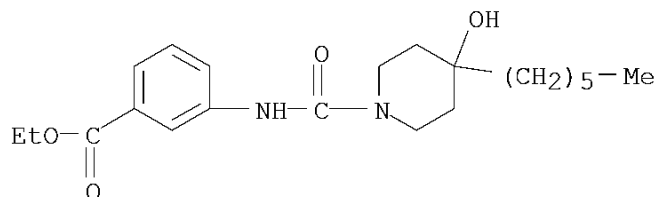
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CN Benzoic acid, 3-[[(4-hydroxy-4-pentyl-1-piperidinyl)carbonyl]amino]-, ethyl ester (CA INDEX NAME)



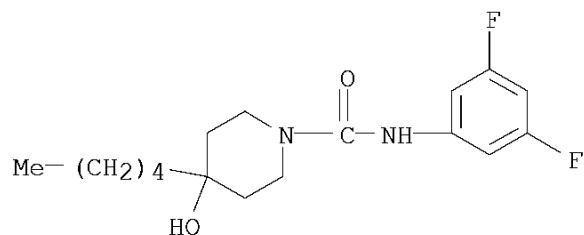
RN 642497-23-2 CAPLUS

CN Benzoic acid, 3-[[(4-hexyl-4-hydroxy-1-piperidinyl)carbonyl]amino]-, ethyl ester (CA INDEX NAME)



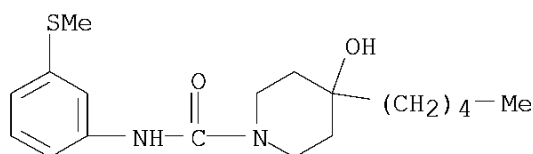
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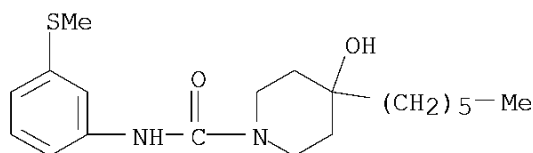
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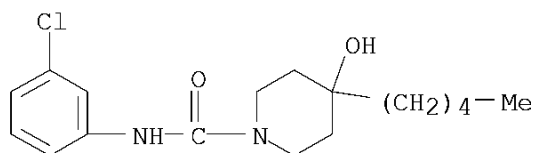
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CN 1-Piperidinecarboxamide, 4-hexyl-4-hydroxy-N-[3-(methylthio)phenyl]- (CA INDEX NAME)



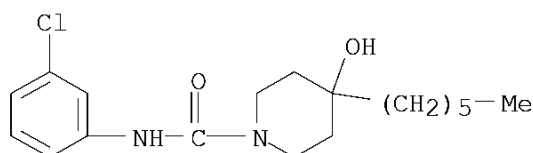
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CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-hydroxy-4-pentyl- (CA INDEX NAME)



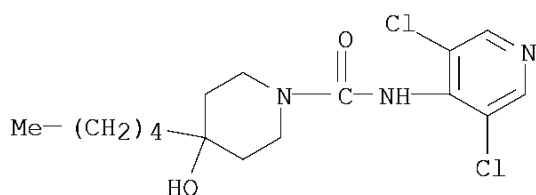
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CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-hexyl-4-hydroxy- (CA INDEX NAME)



RN 642497-29-8 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichloro-4-pyridinyl)-4-hydroxy-4-pentyl- (CA INDEX NAME)



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	642497-36-7P	642497-37-8P	642497-38-9P
	642497-39-0P	642497-40-3P	642497-41-4P
	642497-42-5P	642497-43-6P	642497-44-7P
	642497-45-8P	642497-46-9P	642497-47-0P
	642497-48-1P	642497-49-2P	642497-50-5P,
	4-(4-Bromophenyl)-4-hydroxy-N-(3-((3-methylbenzoyl)amino)phenyl)-1-piperidinecarboxamide 642497-51-6P 642497-52-7P,		
	4-(4-Bromophenyl)-4-hydroxy-N-(3-(heptanoylamino)phenyl)-1-piperidinecarboxamide 642497-53-8P,		
	4-(4-Bromophenyl)-4-hydroxy-N-(3-((2-methoxybenzoyl)amino)phenyl)-1-piperidinecarboxamide 642497-54-9P 642497-55-0P		
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	642497-87-8P	642497-88-9P	642497-89-0P
	642497-90-3P	642497-91-4P	642497-92-5P
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	642497-96-9P	642497-97-0P	642497-98-1P
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	642498-02-0P	642498-03-1P	642498-04-2P
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	642498-07-5P	642498-08-6P	642498-09-7P
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	642498-13-3P	642498-14-4P	642498-15-5P
	642498-16-6P	642498-17-7P	642498-18-8P
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	642498-22-4P	642498-23-5P	642498-24-6P
	642498-25-7P, 4-Hydroxy-N-(3-methoxyphenyl)-4-(5-methyl-2-pyridyl)-1-piperidinecarboxamide 642498-26-8P 642498-27-9P		
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	642498-31-5P	642498-32-6P	642498-33-7P
	642498-34-8P	642498-35-9P,	
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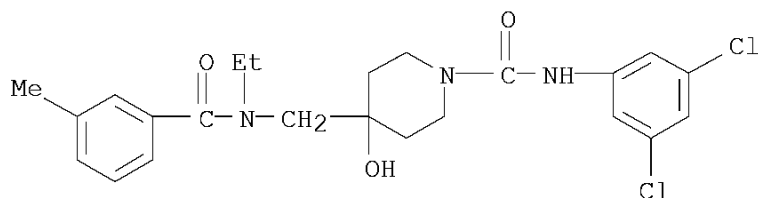
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 642498-54-2P 642498-55-3P,
 N-(3-(Cyclopentyloxy)phenyl)-4-hydroxy-4-phenyl-1-piperidinecarboxamide
 642498-56-4P 642498-57-5P 642498-58-6P
 642498-59-7P 642498-60-0P 642498-61-1P
 642498-62-2P 642498-63-3P 642498-64-4P,
 N-(3-(Cyclohexyloxy)phenyl)-4-hydroxy-4-(1-propylbutyl)-1-
 piperidinecarboxamide 642498-65-5P 642498-66-6P
 642498-67-7P 642498-68-8P 642498-69-9P
 642498-70-2P, N-(3-Chloro-5-fluorophenyl)-4-hydroxy-4-(2-
 methylphenyl)-1-piperidinecarboxamide 642498-71-3P
 642498-72-4P 642498-73-5P 642498-75-7P
 642498-76-8P 642498-77-9P,
 N-(3,5-Dichlorophenyl)-4-(ethoxymethyl)-4-hydroxy-1-piperidinecarboxamide
 642498-78-0P 642498-79-1P 642498-80-4P
 642498-81-5P 642498-82-6P 642498-83-7P
 642498-84-8P 642498-85-9P 642498-86-0P
 642498-87-1P, Ethyl 3-(((4-(4-bromophenyl)-4-hydroxy-1-
 piperidinyl)carbonyl)amino)benzoate 642498-88-2P
 642498-89-3P 642498-90-6P 642498-91-7P
 642498-92-8P 642498-93-9P 642498-94-0P,
 4-Hydroxy-4-(5-methyl-2-pyridinyl)-N-(3-phenoxyphenyl)-1-
 piperidinecarboxamide 642498-95-1P 642498-96-2P
 642498-97-3P 642499-00-1P 642592-56-1P
 642592-62-9P 642592-73-2P 642592-79-8P
 642592-86-7P 642592-92-5P 642592-99-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(EDG-5 agonists and antagonists as remedies for diseases caused by
 vascular contraction or dilation)

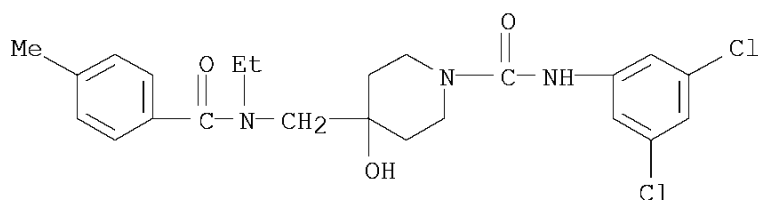
RN 642497-30-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl (3-
 methylbenzoyl)amino]methyl]-4-hydroxy- (CA INDEX NAME)



RN 642497-31-2 CAPLUS

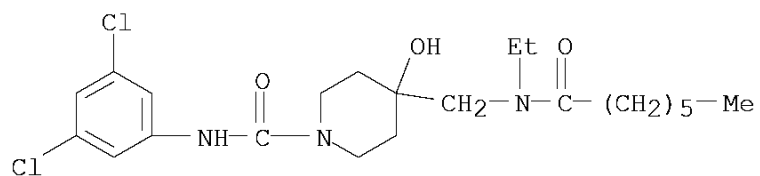
CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl (4-
 methylbenzoyl)amino]methyl]-4-hydroxy- (CA INDEX NAME)



RN 642497-32-3 CAPLUS

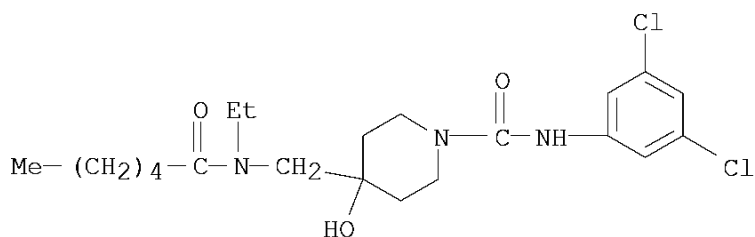
CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl (1-

oxoheptyl)amino]methyl]-4-hydroxy- (CA INDEX NAME)



RN 642497-33-4 CAPLUS

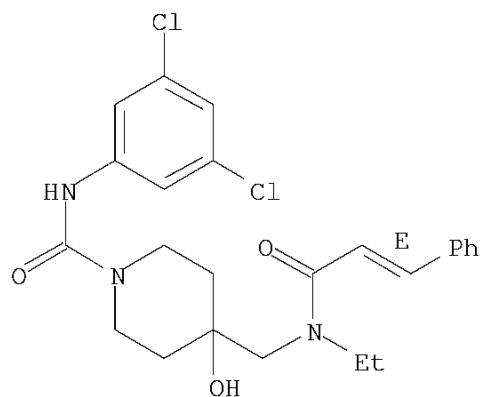
CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl(1-oxohexyl)amino]methyl]-4-hydroxy- (CA INDEX NAME)



RN 642497-34-5 CAPLUS

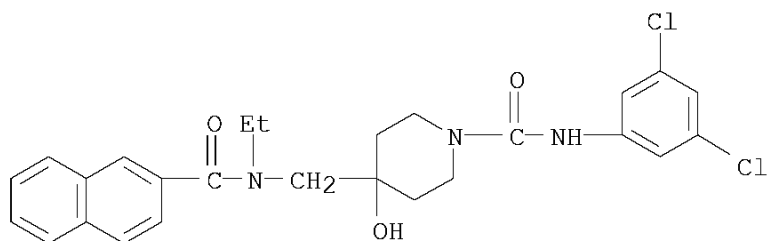
CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl(2E)-1-oxo-3-phenyl-2-propen-1-yl]amino]methyl]-4-hydroxy- (CA INDEX NAME)

Double bond geometry as shown.



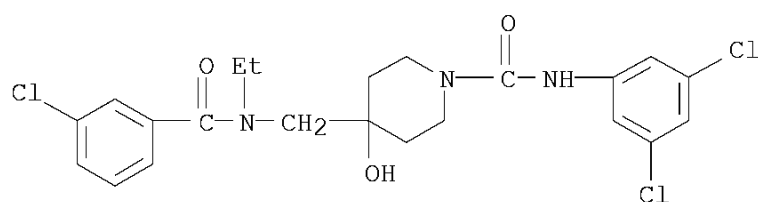
RN 642497-35-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl(2-naphthalenylcarbonyl)amino]methyl]-4-hydroxy- (CA INDEX NAME)



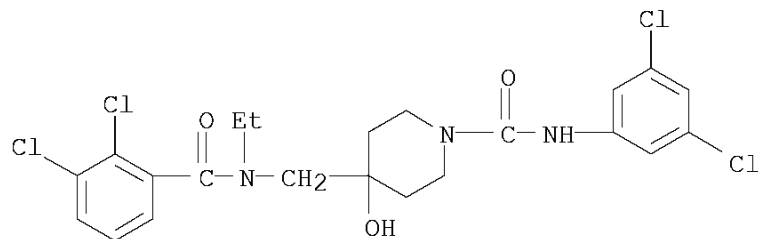
RN 642497-36-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[3-chlorobenzoyl)ethylamino)methyl]-N-(3,5-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)



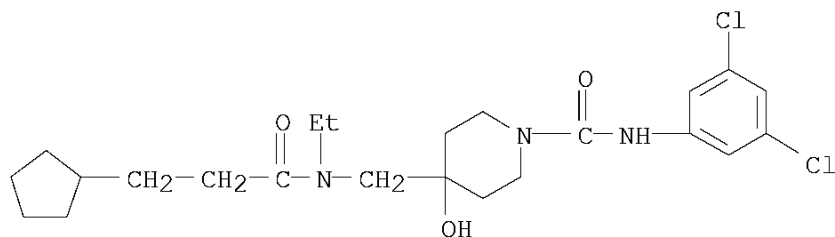
RN 642497-37-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[2,3-dichlorobenzoyl)ethylamino)methyl]-N-(3,5-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)



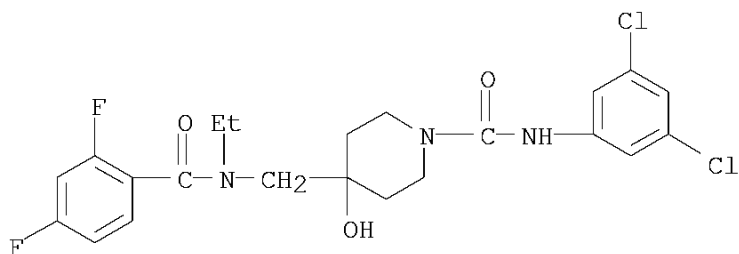
RN 642497-38-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[3-cyclopentyl-1-oxopropyl)ethylamino)methyl]-N-(3,5-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)



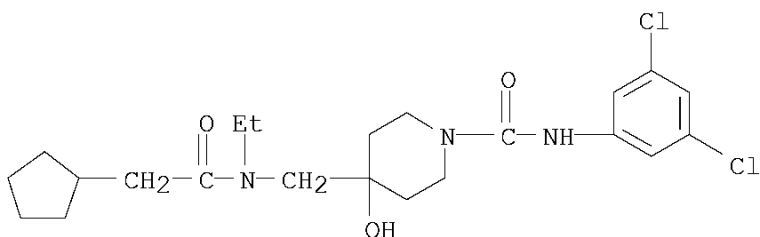
RN 642497-39-0 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[2,4-difluorobenzoyl)ethylamino)methyl]-4-hydroxy- (CA INDEX NAME)



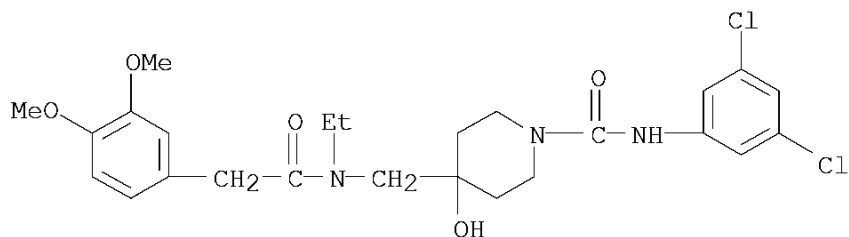
RN 642497-40-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[2-(3,5-difluorophenyl)ethylamino]methyl]-N-(3,5-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)



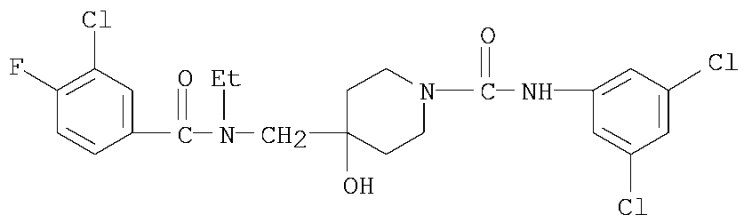
RN 642497-41-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[[2-(3,4-dimethoxyphenyl)acetyl]ethylamino]methyl]-4-hydroxy- (CA INDEX NAME)



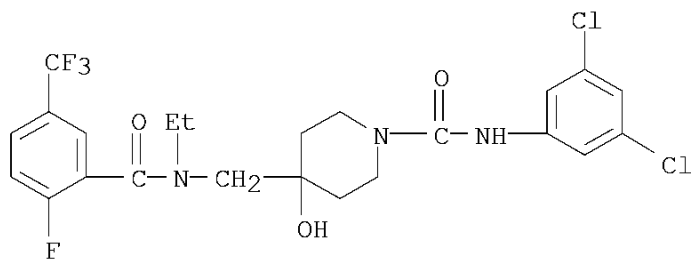
RN 642497-42-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[[2-(3-chloro-4-fluorobenzoyl)ethylamino]methyl]-N-(3,5-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)



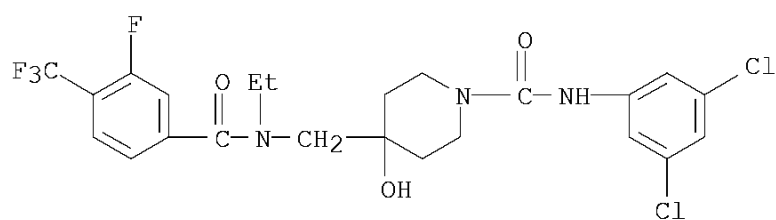
RN 642497-43-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[[2-(2-fluoro-5-(trifluoromethyl)benzoyl)amino]methyl]-4-hydroxy- (CA INDEX NAME)



RN 642497-44-7 CAPLUS

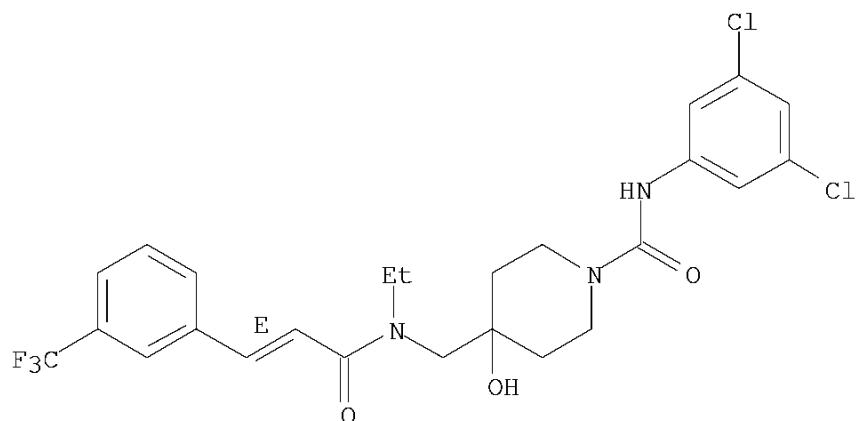
CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl[3-fluoro-4-(trifluoromethyl)benzoyl]amino]methyl]-4-hydroxy- (CA INDEX NAME)



RN 642497-45-8 CAPLUS

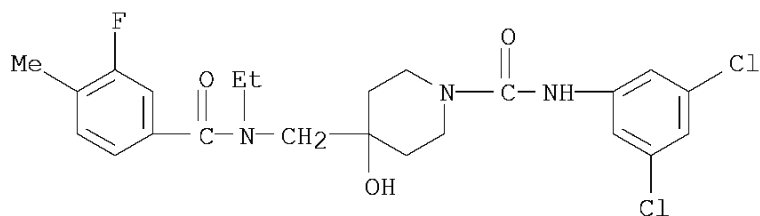
CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl[(2E)-1-oxo-3-[3-(trifluoromethyl)phenyl]-2-propen-1-yl]amino]methyl]-4-hydroxy- (CA INDEX NAME)

Double bond geometry as shown.



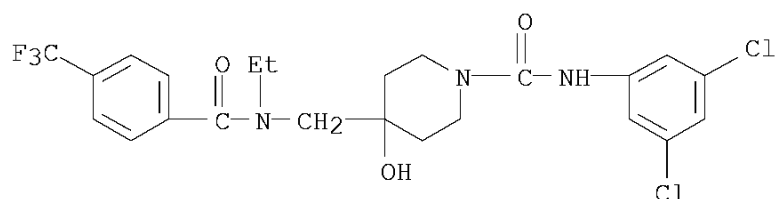
RN 642497-46-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl(3-fluoro-4-methylbenzoyl)amino]methyl]-4-hydroxy- (CA INDEX NAME)



RN 642497-47-0 CAPLUS

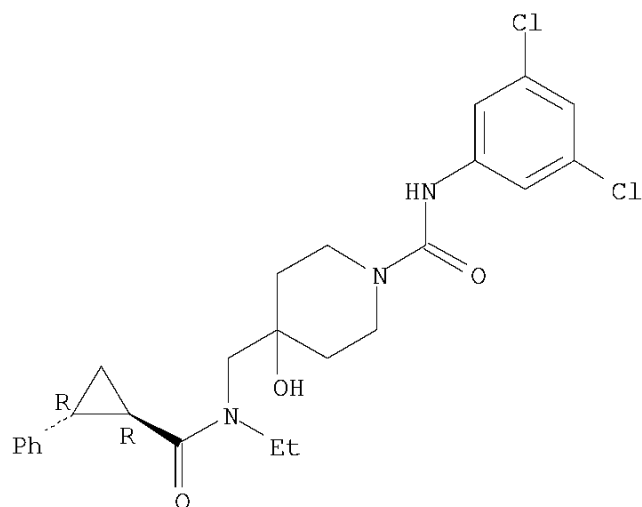
CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl[4-(trifluoromethyl)benzoyl]amino]methyl]-4-hydroxy- (CA INDEX NAME)



RN 642497-48-1 CAPLUS

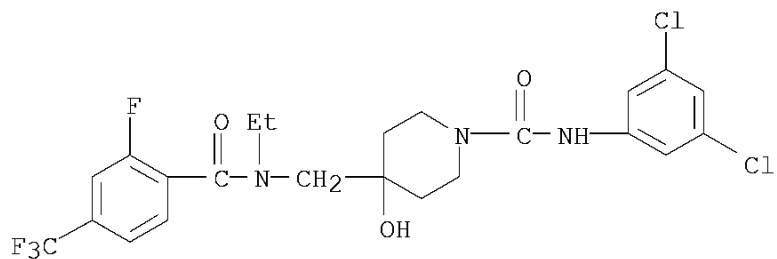
CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl[(1R,2R)-2-phenylcyclopropyl]carbonyl]amino]methyl]-4-hydroxy-, rel- (CA INDEX NAME)

Relative stereochemistry.



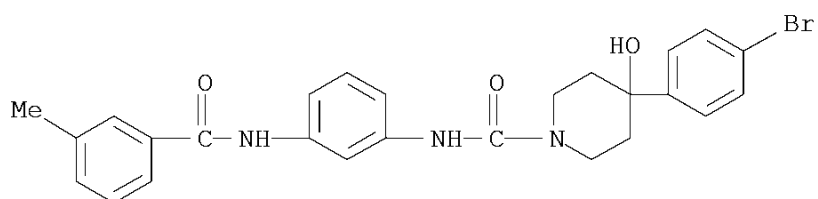
RN 642497-49-2 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-[[ethyl[2-fluoro-4-(trifluoromethyl)benzoyl]amino]methyl]-4-hydroxy- (CA INDEX NAME)



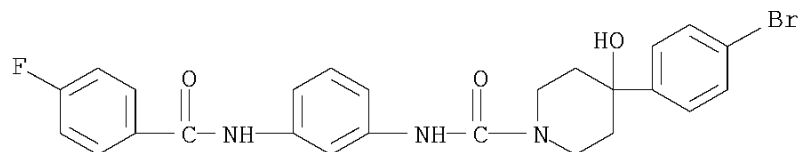
RN 642497-50-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[(3-methylbenzoyl)amino]phenyl]- (CA INDEX NAME)



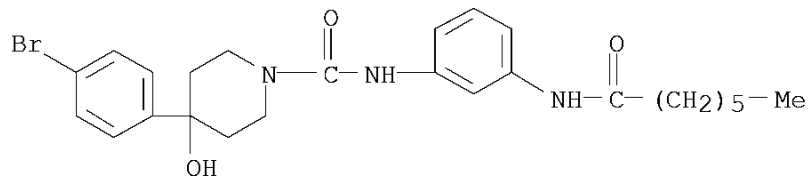
RN 642497-51-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[(4-fluorobenzoyl)amino]phenyl]-4-hydroxy- (CA INDEX NAME)



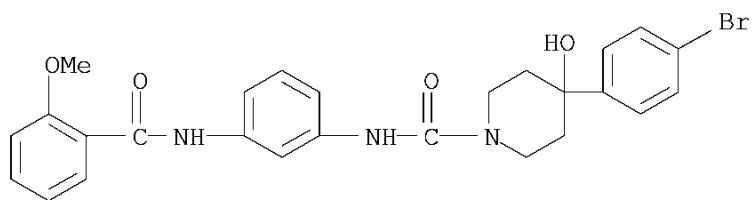
RN 642497-52-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[(1-oxoheptyl)amino]phenyl]- (CA INDEX NAME)



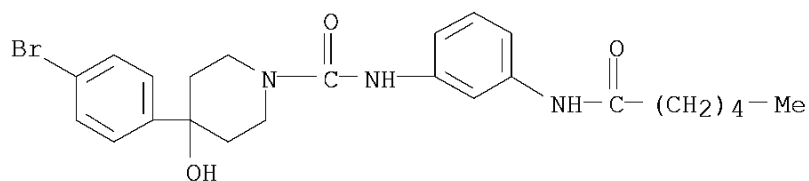
RN 642497-53-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[(2-methoxybenzoyl)amino]phenyl]- (CA INDEX NAME)



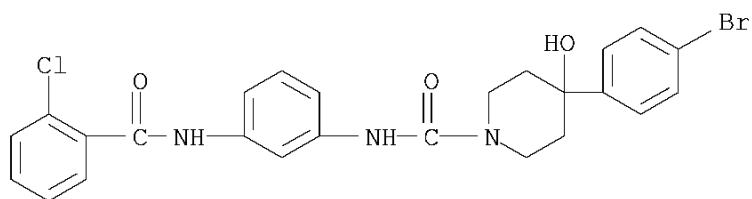
RN 642497-54-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[(1-oxohexyl)amino]phenyl]- (CA INDEX NAME)



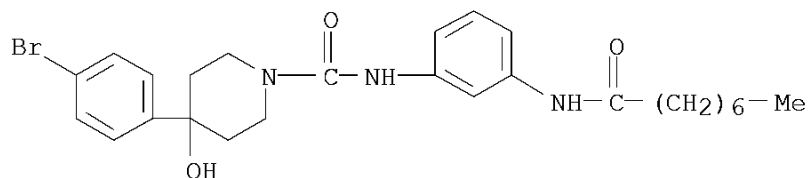
RN 642497-55-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[(2-chlorobenzoyl)amino]phenyl]-4-hydroxy- (CA INDEX NAME)



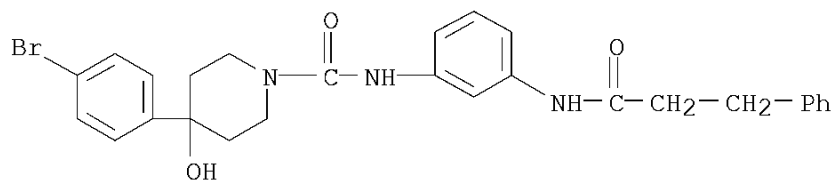
RN 642497-56-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[(1-oxooctyl)amino]phenyl]- (CA INDEX NAME)

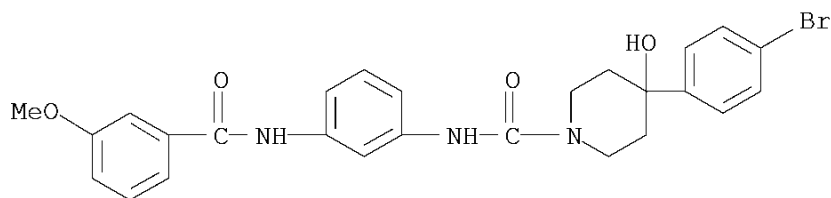


RN 642497-57-2 CAPLUS

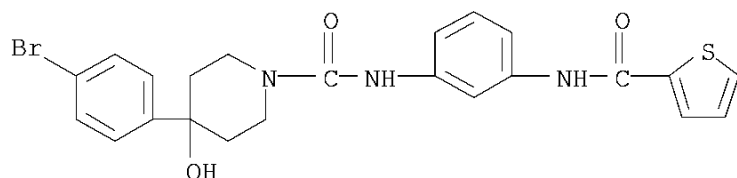
CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[(1-oxo-3-phenylpropyl)amino]phenyl]- (CA INDEX NAME)



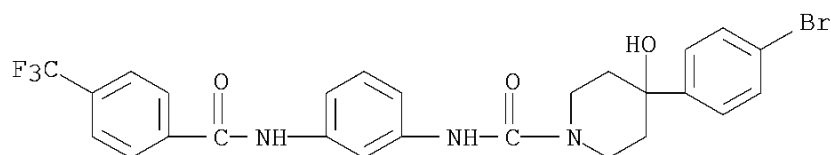
RN 642497-58-3 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[(3-methoxybenzoyl)amino]phenyl]- (CA INDEX NAME)



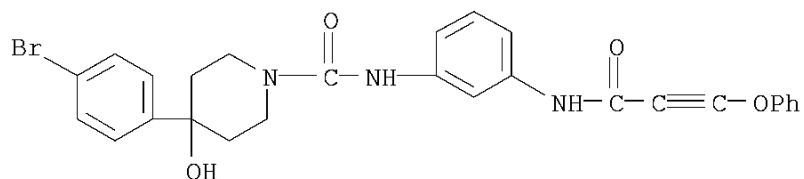
RN 642497-59-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[(2-thienylcarbonyl)amino]phenyl]- (CA INDEX NAME)



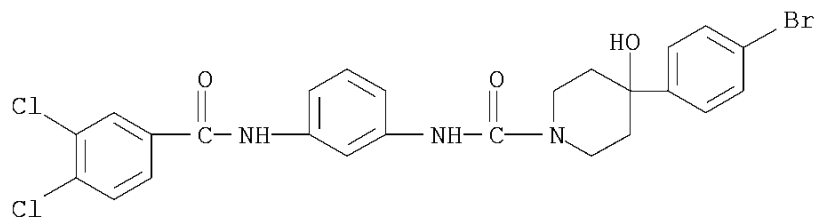
RN 642497-60-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[[4-(trifluoromethyl)benzoyl]amino]phenyl]- (CA INDEX NAME)



RN 642497-61-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[(1-oxo-3-phenoxy-2-propyn-1-yl)amino]phenyl]- (CA INDEX NAME)

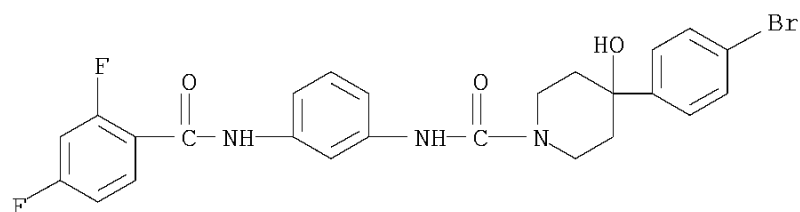


RN 642497-62-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[(3,4-dichlorobenzoyl)amino]phenyl]-4-hydroxy- (CA INDEX NAME)



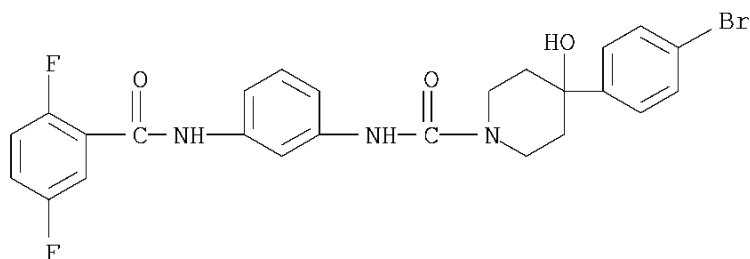
RN 642497-63-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-(2,4-difluorobenzoyl)amino]phenyl]-4-hydroxy- (CA INDEX NAME)



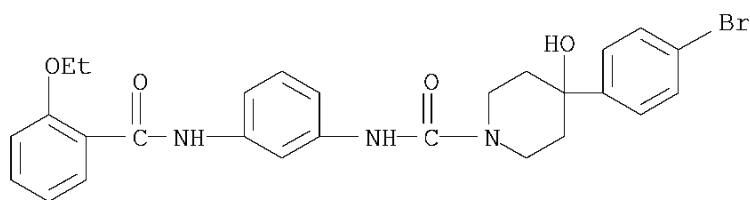
RN 642497-64-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-(2,5-difluorobenzoyl)amino]phenyl]-4-hydroxy- (CA INDEX NAME)



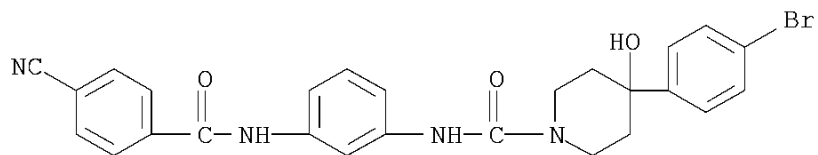
RN 642497-65-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-(2-ethoxybenzoyl)amino]phenyl]-4-hydroxy- (CA INDEX NAME)



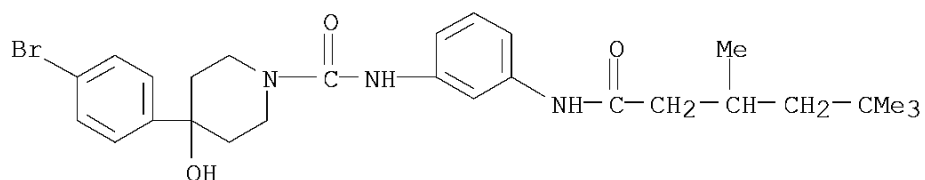
RN 642497-66-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-(4-cyanobenzoyl)amino]phenyl]-4-hydroxy- (CA INDEX NAME)



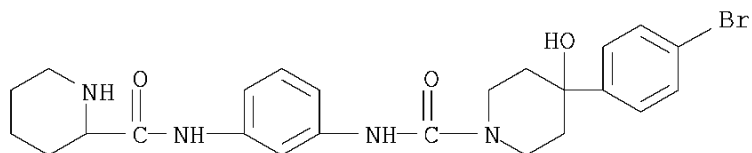
RN 642497-67-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-(3,5,5-trimethyl-1-oxohexyl)amino]phenyl]- (CA INDEX NAME)



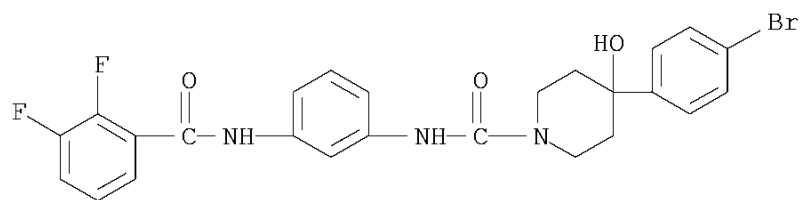
RN 642497-68-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-(2-piperidinylcarbonyl)amino]phenyl]- (CA INDEX NAME)



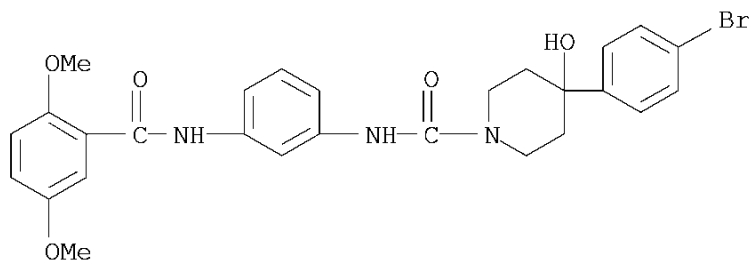
RN 642497-69-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-(2,3-difluorobenzoyl)amino]phenyl]-4-hydroxy- (CA INDEX NAME)



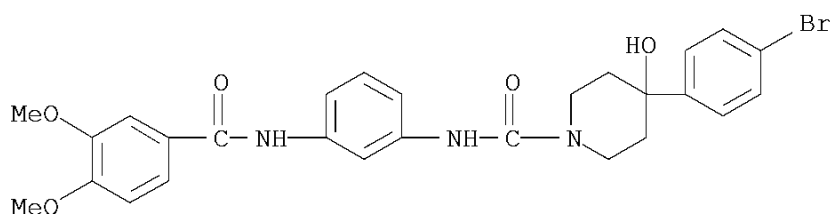
RN 642497-70-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-(2,5-dimethoxybenzoyl)amino]phenyl]-4-hydroxy- (CA INDEX NAME)



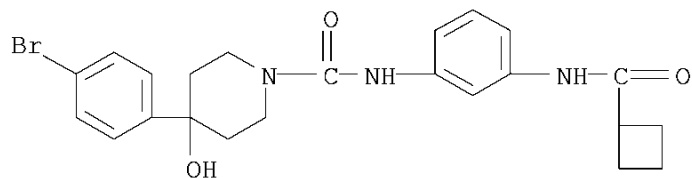
RN 642497-71-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[(3,4-dimethoxybenzoyl)amino]phenyl]-4-hydroxy- (CA INDEX NAME)



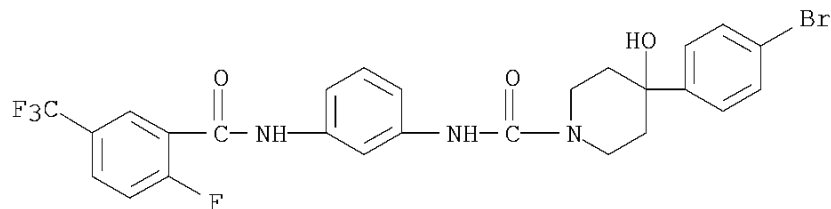
RN 642497-72-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[(cyclobutylcarbonyl)amino]phenyl]-4-hydroxy- (CA INDEX NAME)



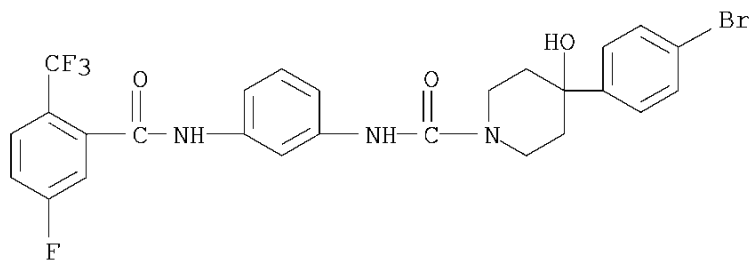
RN 642497-73-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[[2-fluoro-5-(trifluoromethyl)benzoyl]amino]phenyl]-4-hydroxy- (CA INDEX NAME)



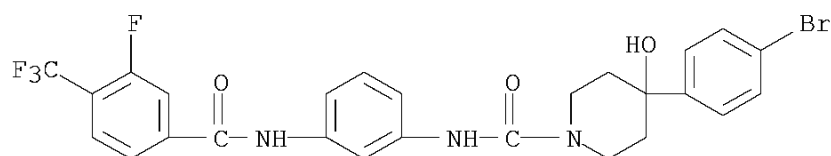
RN 642497-74-3 CAPLUS

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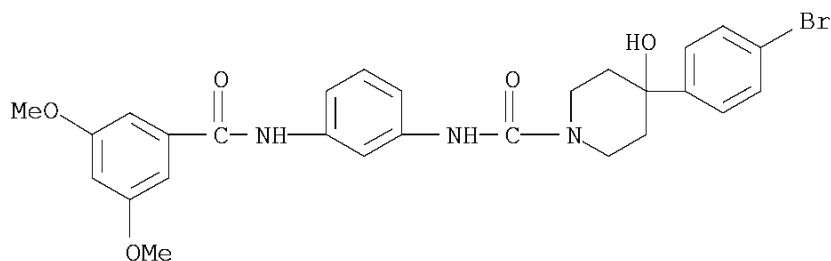
RN 642497-75-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[[3-fluoro-4-(trifluoromethyl)benzoyl]amino]phenyl]-4-hydroxy- (CA INDEX NAME)



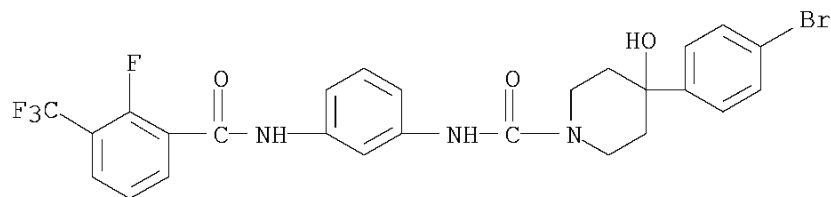
RN 642497-76-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[(3,5-dimethoxybenzoyl)amino]phenyl]-4-hydroxy- (CA INDEX NAME)



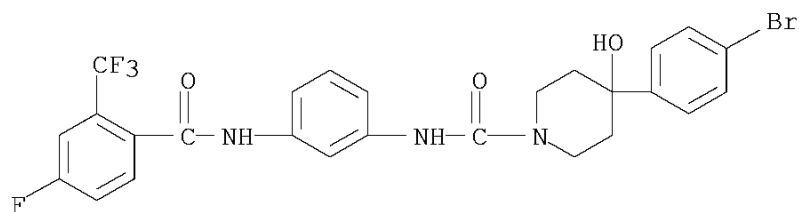
RN 642497-77-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[[2-fluoro-3-(trifluoromethyl)benzoyl]amino]phenyl]-4-hydroxy- (CA INDEX NAME)



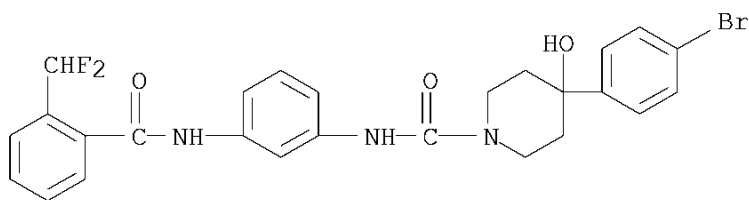
RN 642497-78-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[[4-fluoro-2-(trifluoromethyl)benzoyl]amino]phenyl]-4-hydroxy- (CA INDEX NAME)



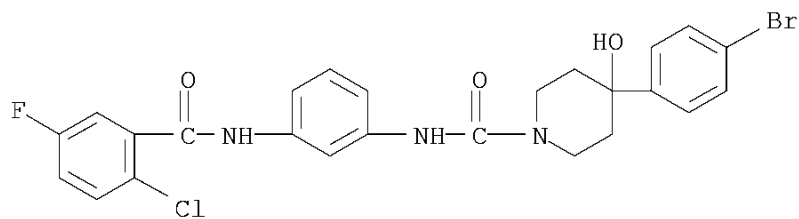
RN 642497-79-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[[2-(difluoromethyl)benzoyl]amino]phenyl]-4-hydroxy- (CA INDEX NAME)



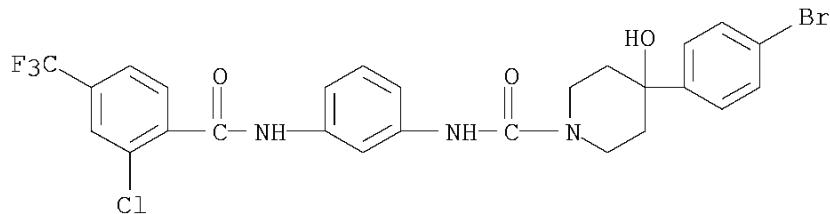
RN 642497-80-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[[2-chloro-5-fluorobenzoyl]amino]phenyl]-4-hydroxy- (CA INDEX NAME)



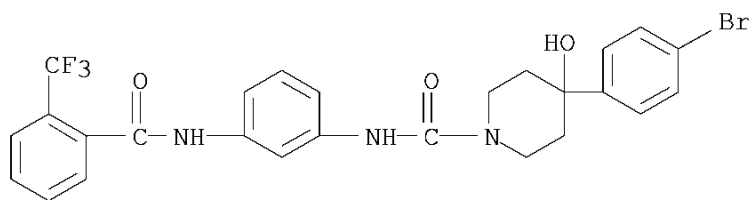
RN 642497-81-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-[3-[[2-chloro-4-(trifluoromethyl)benzoyl]amino]phenyl]-4-hydroxy- (CA INDEX NAME)



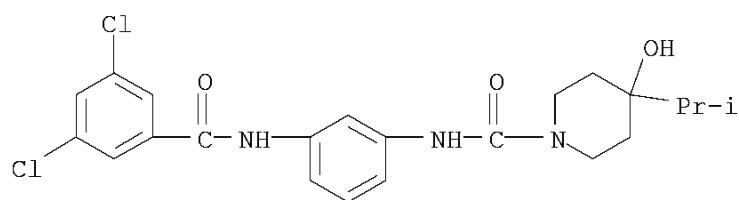
RN 642497-82-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[[2-(trifluoromethyl)benzoyl]amino]phenyl]- (CA INDEX NAME)



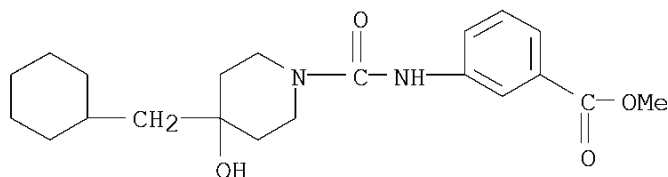
RN 642497-83-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-[(3,5-dichlorobenzoyl)amino]phenyl]-4-hydroxy-4-(1-methylethyl)- (CA INDEX NAME)



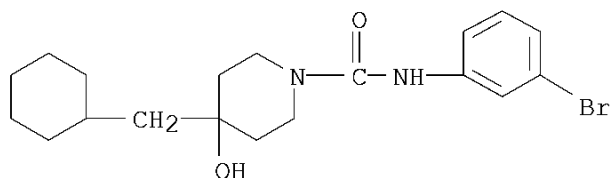
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CN Benzoic acid, 3-[[[4-(cyclohexylmethyl)-4-hydroxy-1-piperidinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)



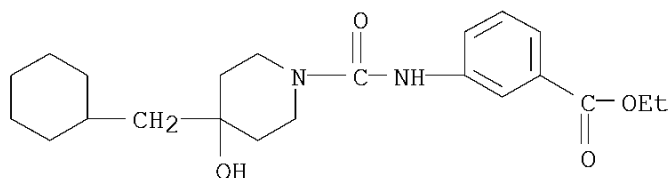
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CN 1-Piperidinecarboxamide, N-(3-bromophenyl)-4-(cyclohexylmethyl)-4-hydroxy- (CA INDEX NAME)

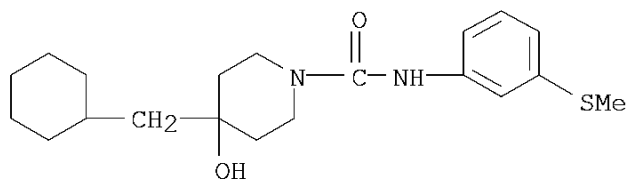


RN 642497-86-7 CAPLUS

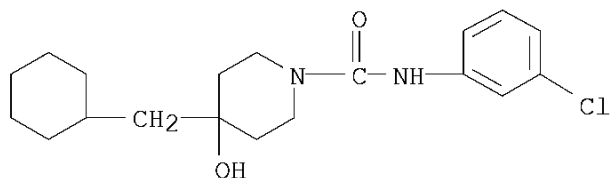
CN Benzoic acid, 3-[[[4-(cyclohexylmethyl)-4-hydroxy-1-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



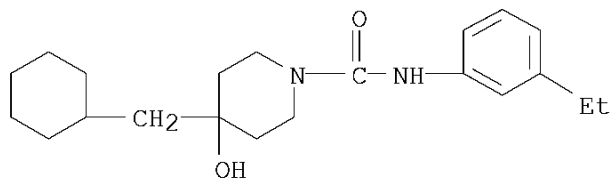
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CN 1-Piperidinecarboxamide, 4-(cyclohexylmethyl)-4-hydroxy-N-[3-(methylthio)phenyl]- (CA INDEX NAME)



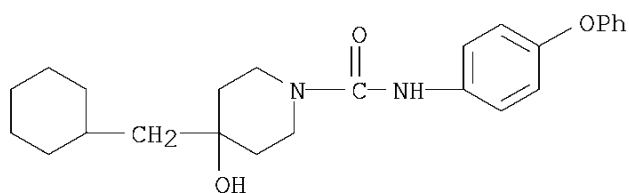
RN 642497-88-9 CAPLUS
CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-(cyclohexylmethyl)-4-hydroxy- (CA INDEX NAME)



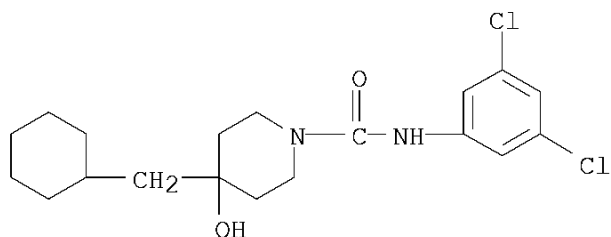
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CN 1-Piperidinecarboxamide, 4-(cyclohexylmethyl)-N-(3-ethylphenyl)-4-hydroxy- (CA INDEX NAME)



RN 642497-90-3 CAPLUS
CN 1-Piperidinecarboxamide, 4-(cyclohexylmethyl)-4-hydroxy-N-(4-phenoxyphenyl)- (CA INDEX NAME)

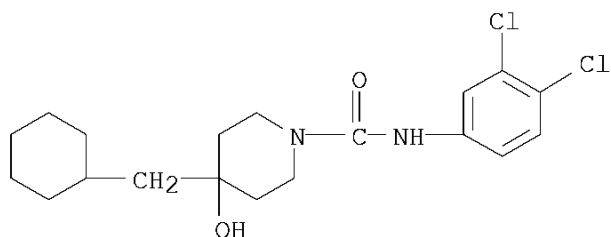


RN 642497-91-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-(cyclohexylmethyl)-N-(3,5-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)



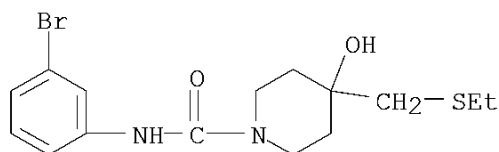
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CN 1-Piperidinecarboxamide, 4-(cyclohexylmethyl)-N-(3,4-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)



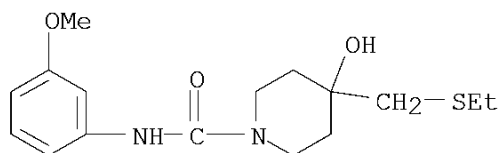
RN 642497-93-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-bromophenyl)-4-[(ethylthio)methyl]-4-hydroxy- (CA INDEX NAME)



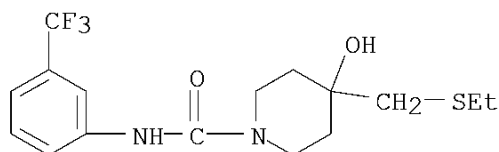
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CN 1-Piperidinecarboxamide, 4-[(ethylthio)methyl]-4-hydroxy-N-(3-methoxyphenyl)- (CA INDEX NAME)



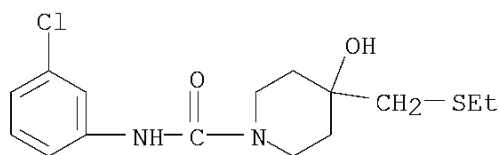
RN 642497-95-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(ethylthio)methyl]-4-hydroxy-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



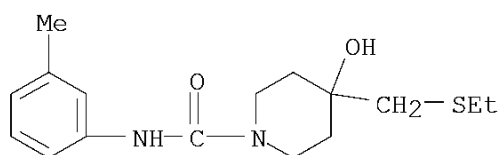
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CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-[(ethylthio)methyl]-4-hydroxy- (CA INDEX NAME)



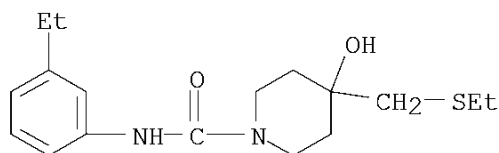
RN 642497-97-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(ethylthio)methyl]-4-hydroxy-N-(3-methylphenyl)- (CA INDEX NAME)



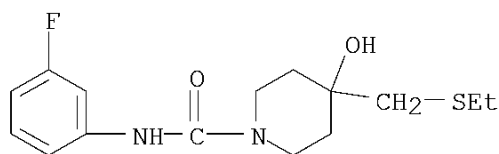
RN 642497-98-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-ethylphenyl)-4-[(ethylthio)methyl]-4-hydroxy- (CA INDEX NAME)



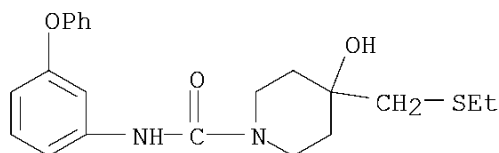
RN 642497-99-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(ethylthio)methyl]-N-(3-fluorophenyl)-4-hydroxy- (CA INDEX NAME)



RN 642498-00-8 CAPLUS

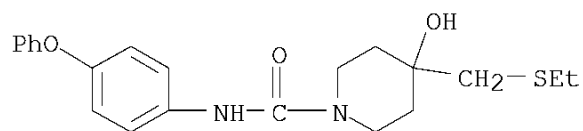
CN 1-Piperidinecarboxamide, 4-[(ethylthio)methyl]-4-hydroxy-N-(3-phenoxyphenyl)- (CA INDEX NAME)



RN 642498-01-9 CAPLUS

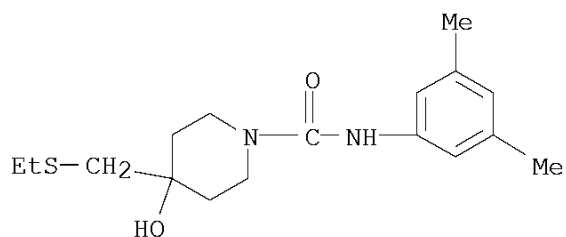
CN 1-Piperidinecarboxamide, 4-[(ethylthio)methyl]-4-hydroxy-N-(4-

phenoxyphenyl)- (CA INDEX NAME)



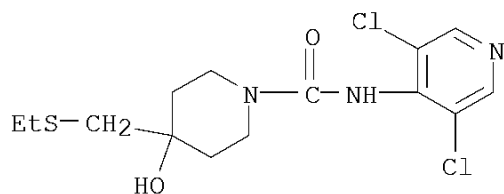
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CN 1-Piperidinecarboxamide, N-(3,5-dimethylphenyl)-4-[(ethylthio)methyl]-4-hydroxy- (CA INDEX NAME)



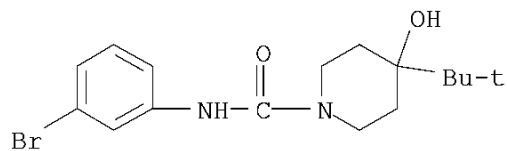
RN 642498-03-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichloro-4-pyridinyl)-4-[(ethylthio)methyl]-4-hydroxy- (CA INDEX NAME)



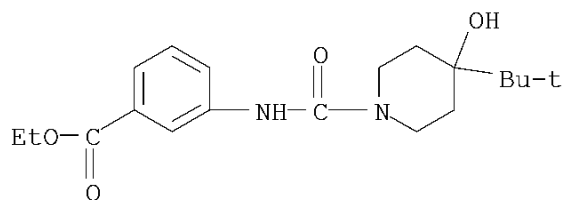
RN 642498-04-2 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-bromophenyl)-4-(1,1-dimethylethyl)-4-hydroxy- (CA INDEX NAME)



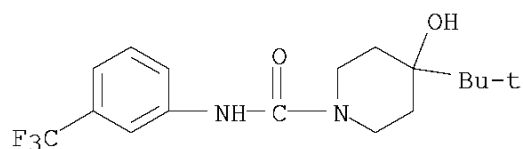
RN 642498-05-3 CAPLUS

CN Benzoic acid, 3-[[[4-(1,1-dimethylethyl)-4-hydroxy-1-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



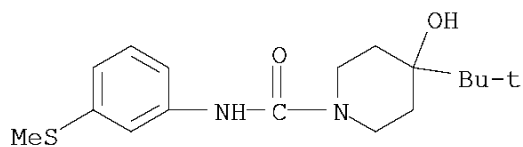
RN 642498-06-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1,1-dimethylethyl)-4-hydroxy-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



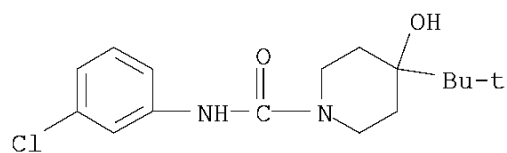
RN 642498-07-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1,1-dimethylethyl)-4-hydroxy-N-[3-(methylthio)phenyl]- (CA INDEX NAME)



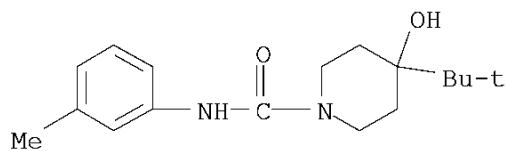
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CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-(1,1-dimethylethyl)-4-hydroxy- (CA INDEX NAME)



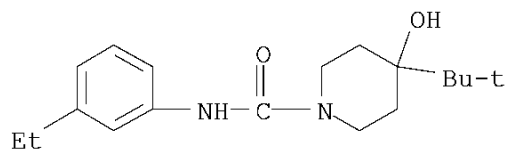
RN 642498-09-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1,1-dimethylethyl)-4-hydroxy-N-(3-methylphenyl)- (CA INDEX NAME)



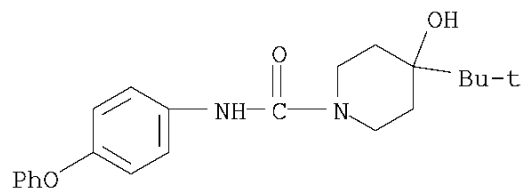
RN 642498-10-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1,1-dimethylethyl)-N-(3-ethylphenyl)-4-hydroxy- (CA INDEX NAME)



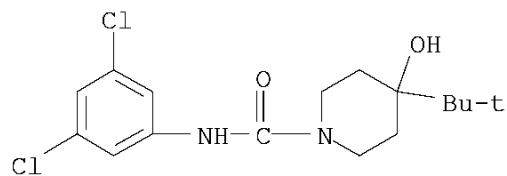
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CN 1-Piperidinecarboxamide, 4-(1,1-dimethylethyl)-4-hydroxy-N-(4-phenoxyphenyl)- (CA INDEX NAME)



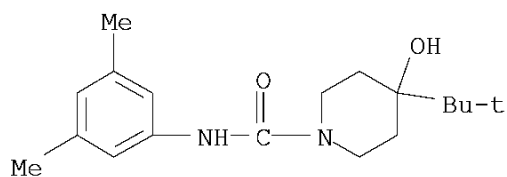
RN 642498-12-2 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-(1,1-dimethylethyl)-4-hydroxy- (CA INDEX NAME)



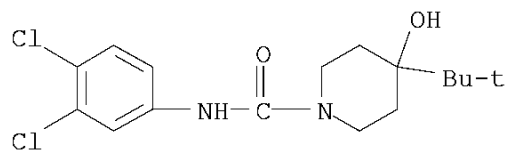
RN 642498-13-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1,1-dimethylethyl)-N-(3,5-dimethylphenyl)-4-hydroxy- (CA INDEX NAME)



RN 642498-14-4 CAPLUS

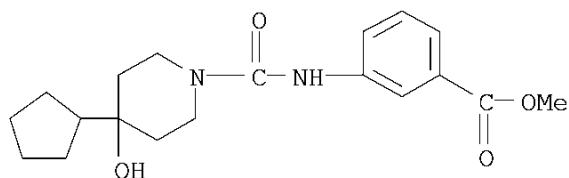
CN 1-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-4-(1,1-dimethylethyl)-4-hydroxy- (CA INDEX NAME)



RN 642498-15-5 CAPLUS

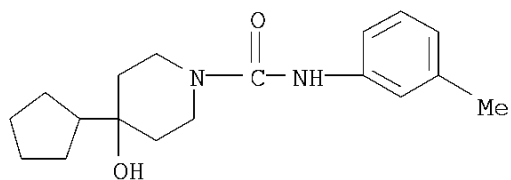
CN Benzoic acid, 3-[[(4-cyclopentyl-4-hydroxy-1-piperidinyl) carbonyl] amino]-,

methyl ester (CA INDEX NAME)



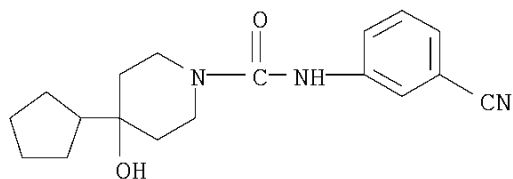
RN 642498-16-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-cyclopentyl-4-hydroxy-N-(3-methylphenyl)- (CA INDEX NAME)



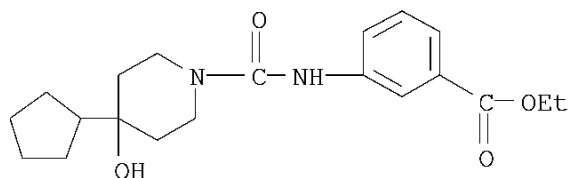
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CN 1-Piperidinecarboxamide, N-(3-cyanophenyl)-4-cyclopentyl-4-hydroxy- (CA INDEX NAME)



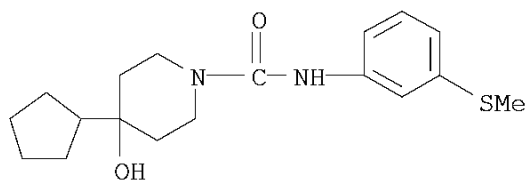
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CN Benzoic acid, 3-[[4-cyclopentyl-4-hydroxy-1-piperidinyl)carbonyl]amino]-, ethyl ester (CA INDEX NAME)

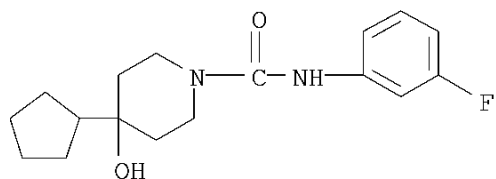


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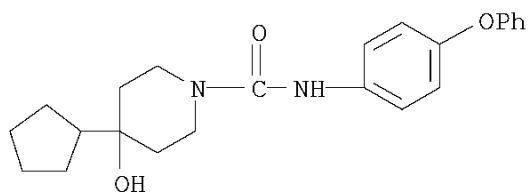
CN 1-Piperidinecarboxamide, 4-cyclopentyl-4-hydroxy-N-[3-(methylthio)phenyl]- (CA INDEX NAME)



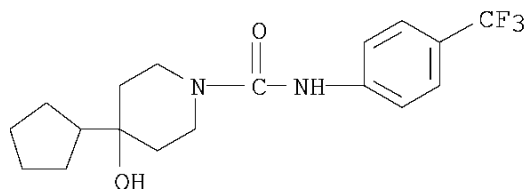
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CN 1-Piperidinecarboxamide, 4-cyclopentyl-N-(3-fluorophenyl)-4-hydroxy- (CA INDEX NAME)



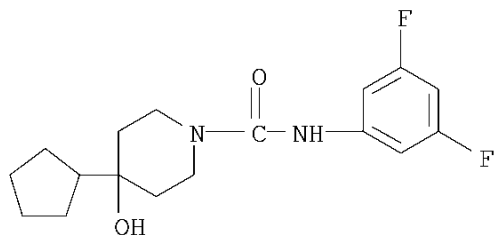
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CN 1-Piperidinecarboxamide, 4-cyclopentyl-4-hydroxy-N-(4-phenoxyphenyl)- (CA INDEX NAME)



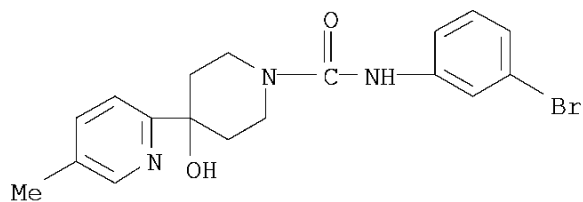
RN 642498-22-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-cyclopentyl-4-hydroxy-N-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 642498-23-5 CAPLUS
CN 1-Piperidinecarboxamide, 4-cyclopentyl-N-(3,5-difluorophenyl)-4-hydroxy- (CA INDEX NAME)

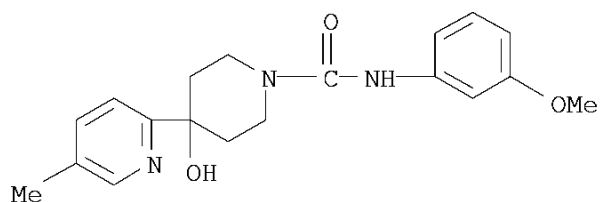


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CN 1-Piperidinecarboxamide, N-(3-bromophenyl)-4-hydroxy-4-(5-methyl-2-pyridinyl)- (CA INDEX NAME)



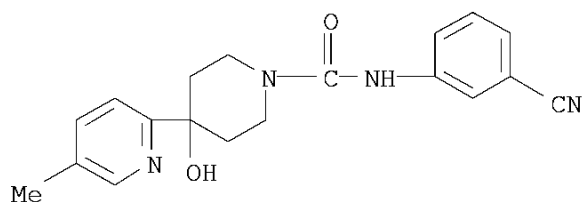
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CN 1-Piperidinecarboxamide, 4-hydroxy-N-(3-methoxyphenyl)-4-(5-methyl-2-pyridinyl)- (CA INDEX NAME)



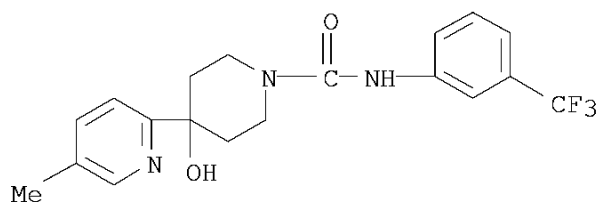
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CN 1-Piperidinecarboxamide, N-(3-cyanophenyl)-4-hydroxy-4-(5-methyl-2-pyridinyl)- (CA INDEX NAME)



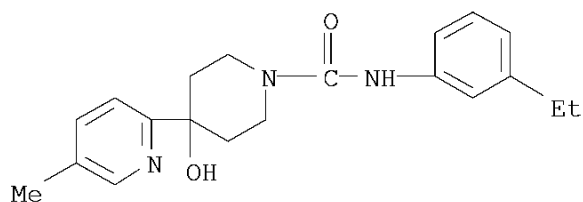
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CN 1-Piperidinecarboxamide, 4-hydroxy-4-(5-methyl-2-pyridinyl)-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



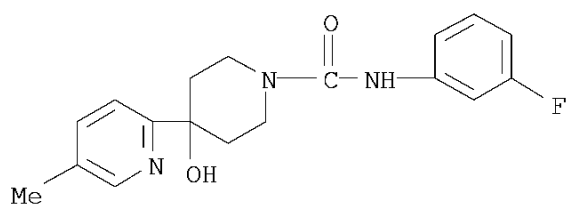
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CN 1-Piperidinecarboxamide, N-(3-ethylphenyl)-4-hydroxy-4-(5-methyl-2-pyridinyl)- (CA INDEX NAME)



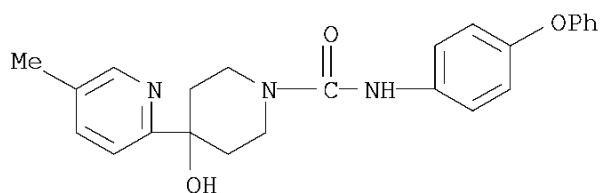
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CN 1-Piperidinecarboxamide, N-(3-fluorophenyl)-4-hydroxy-4-(5-methyl-2-pyridinyl)- (CA INDEX NAME)



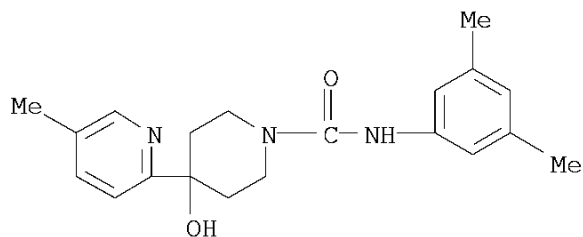
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CN 1-Piperidinecarboxamide, 4-hydroxy-4-(5-methyl-2-pyridinyl)-N-(4-phenoxyphenyl)- (CA INDEX NAME)



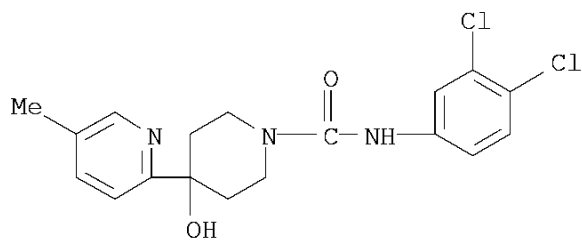
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CN 1-Piperidinecarboxamide, N-(3,5-dimethylphenyl)-4-hydroxy-4-(5-methyl-2-pyridinyl)- (CA INDEX NAME)



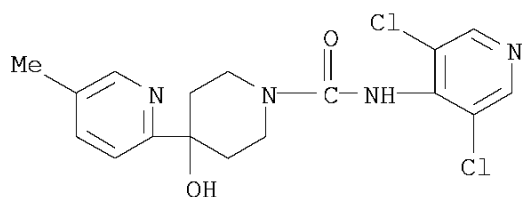
RN 642498-32-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-4-hydroxy-4-(5-methyl-2-pyridinyl)- (CA INDEX NAME)



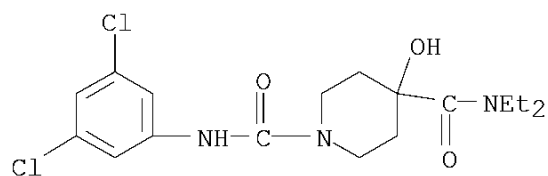
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CN 1-Piperidinecarboxamide, N-(3,5-dichloro-4-pyridinyl)-4-hydroxy-4-(5-methyl-2-pyridinyl)- (CA INDEX NAME)



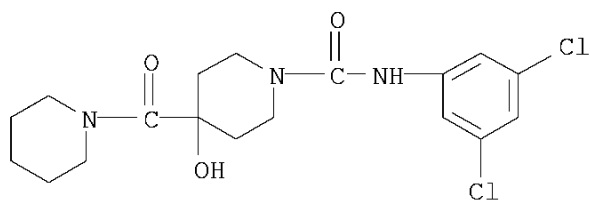
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CN 1,4-Piperidinedicarboxamide, N1-(3,5-dichlorophenyl)-N4,N4-diethyl-4-hydroxy- (CA INDEX NAME)



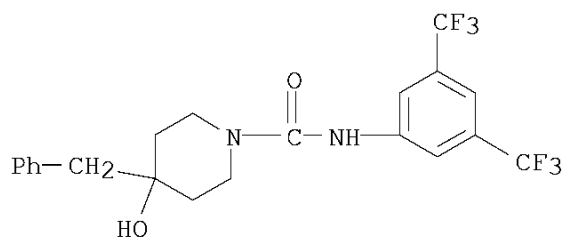
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CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-hydroxy-4-(1-piperidinylcarbonyl)- (CA INDEX NAME)



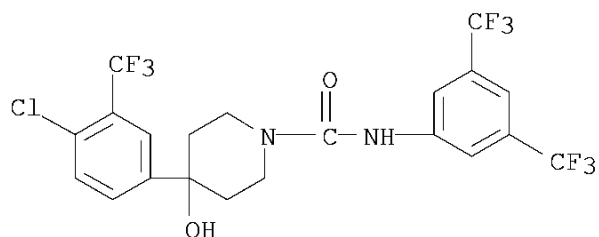
RN 642498-36-0 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy-4-(phenylmethyl)- (CA INDEX NAME)



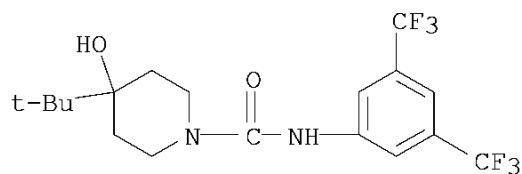
RN 642498-37-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



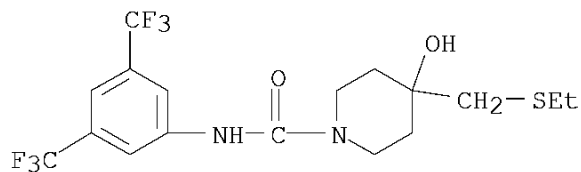
RN 642498-38-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-(1,1-dimethylethyl)-4-hydroxy- (CA INDEX NAME)



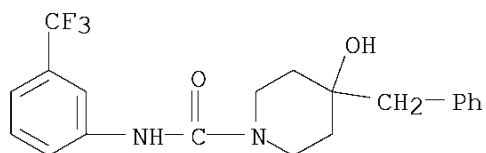
RN 642498-39-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-[(ethylthio)methyl]-4-hydroxy- (CA INDEX NAME)



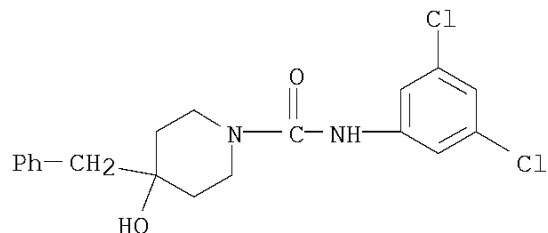
RN 642498-40-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-(phenylmethyl)-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



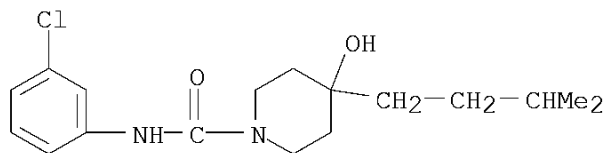
RN 642498-41-7 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-hydroxy-4-(phenylmethyl)-
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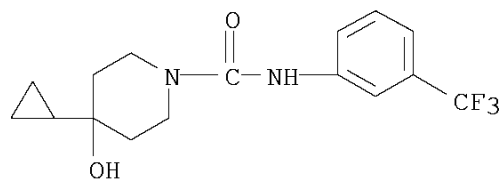
RN 642498-42-8 CAPLUS

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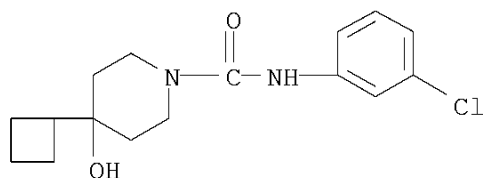
RN 642498-43-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-cyclopropyl-4-hydroxy-N-[3-(trifluoromethyl)phenyl]-
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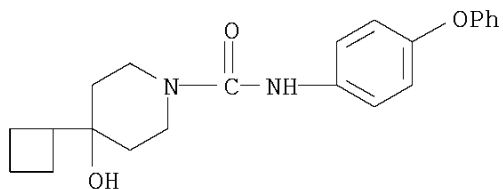
RN 642498-44-0 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-cyclobutyl-4-hydroxy-
(CA INDEX NAME)



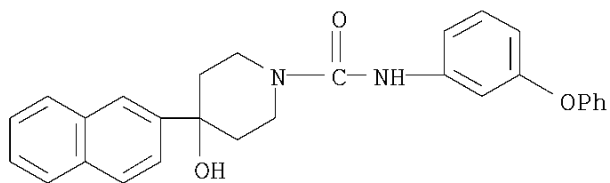
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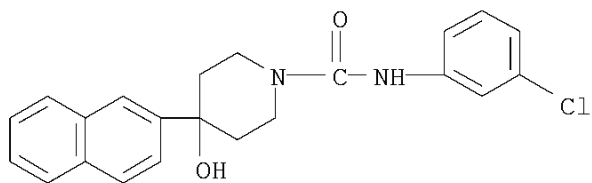
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CN 1-Piperidinecarboxamide, 4-hydroxy-4-(2-naphthalenyl)-N-(3-phenoxyphenyl)- (CA INDEX NAME)



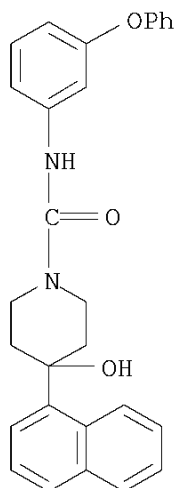
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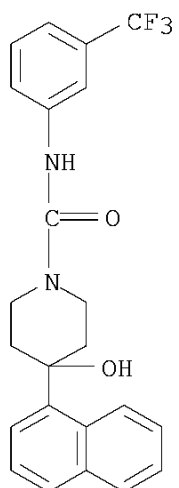
RN 642498-48-4 CAPLUS

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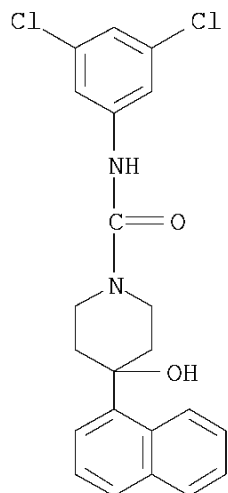
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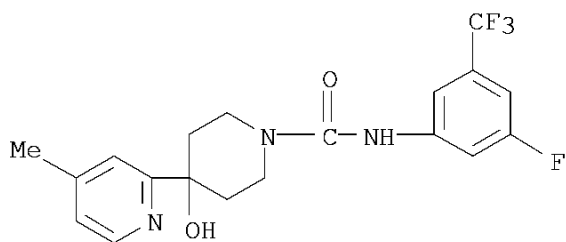
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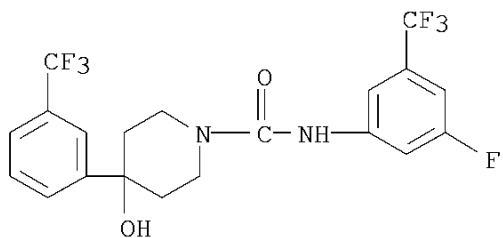
RN 642498-51-9 CAPLUS

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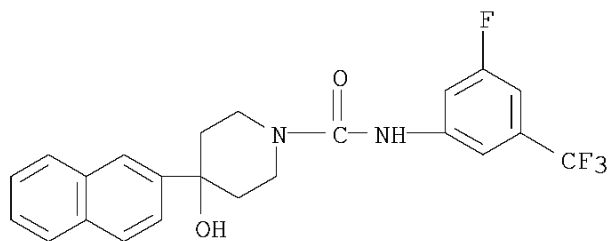
RN 642498-52-0 CAPLUS

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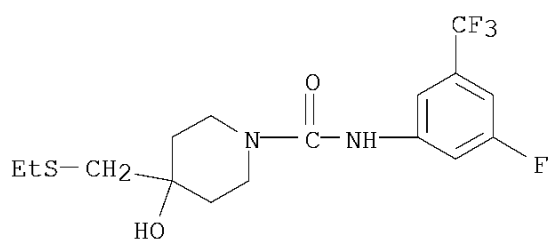
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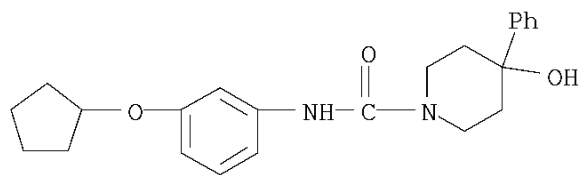
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CN 1-Piperidinecarboxamide, 4-[(ethylthio)methyl]-N-[3-fluoro-5-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



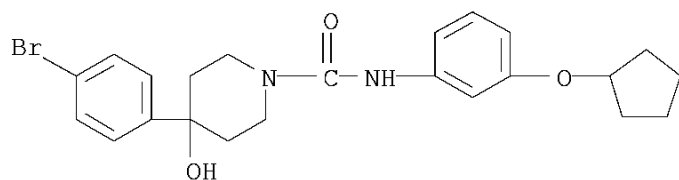
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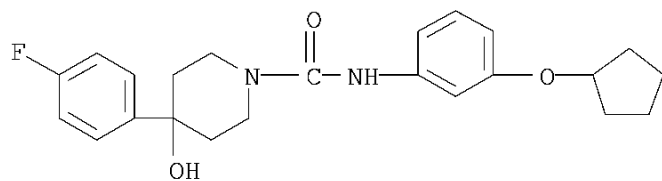
RN 642498-56-4 CAPLUS

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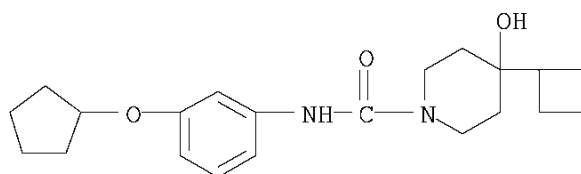
RN 642498-57-5 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(cyclopentyloxy)phenyl]-4-(4-fluorophenyl)-4-hydroxy- (CA INDEX NAME)



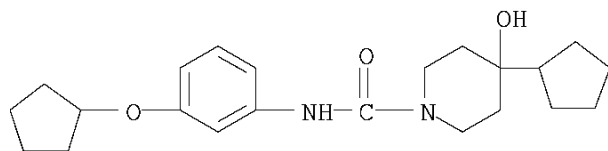
RN 642498-58-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-cyclobutyl-N-[3-(cyclopentyloxy)phenyl]-4-hydroxy- (CA INDEX NAME)



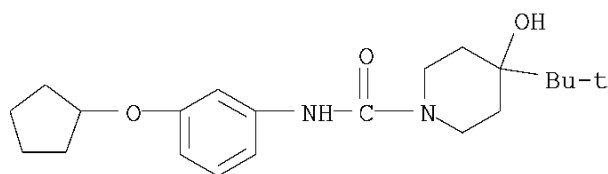
RN 642498-59-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-cyclopentyl-N-[3-(cyclopentyloxy)phenyl]-4-hydroxy- (CA INDEX NAME)



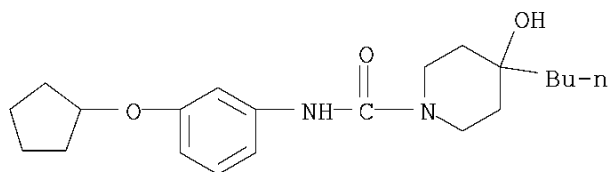
RN 642498-60-0 CAPLUS

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RN 642498-61-1 CAPLUS

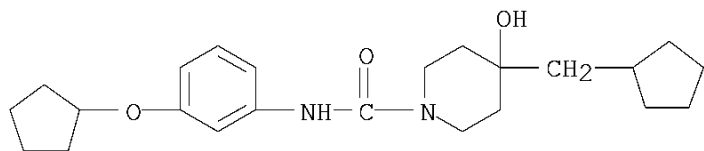
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RN 642498-62-2 CAPLUS

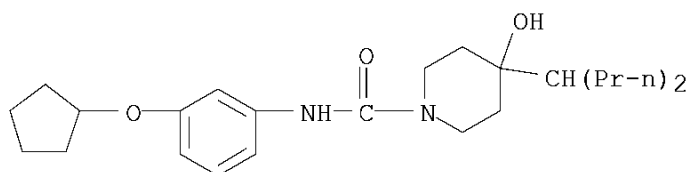
CN 1-Piperidinecarboxamide, 4-(cyclopentylmethyl)-N-[3-

(cyclopentyloxy)phenyl]-4-hydroxy- (CA INDEX NAME)



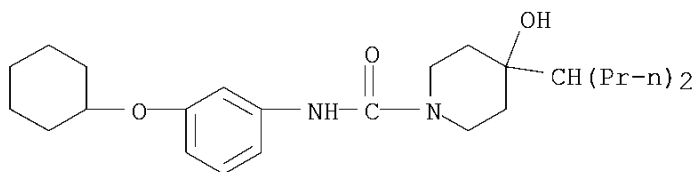
RN 642498-63-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(cyclopentyloxy)phenyl]-4-hydroxy-4-(1-propylbutyl)- (CA INDEX NAME)



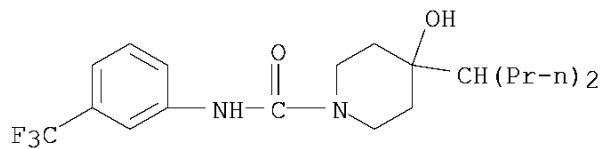
RN 642498-64-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(cyclohexyloxy)phenyl]-4-hydroxy-4-(1-propylbutyl)- (CA INDEX NAME)



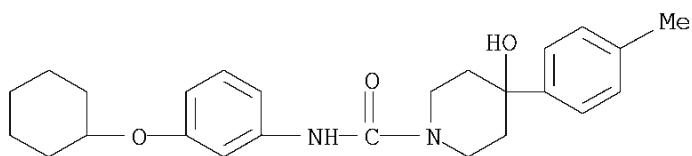
RN 642498-65-5 CAPLUS

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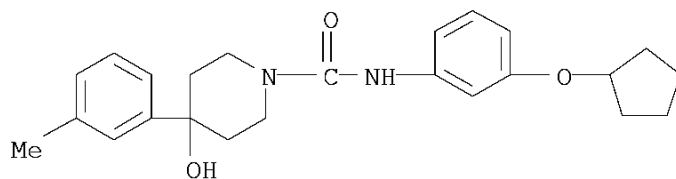
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CN 1-Piperidinecarboxamide, N-[3-(cyclohexyloxy)phenyl]-4-hydroxy-4-(4-methylphenyl)- (CA INDEX NAME)



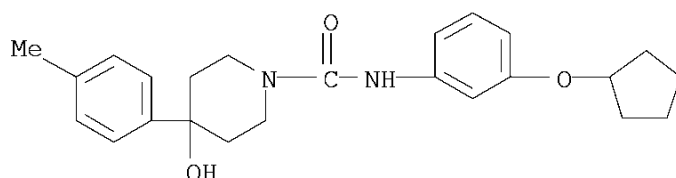
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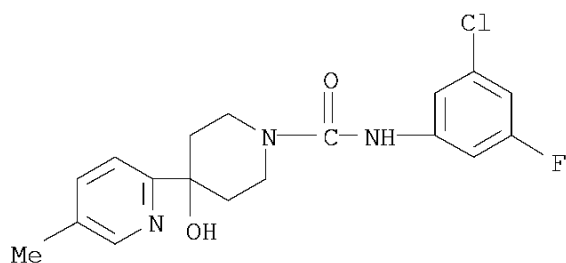
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CN 1-Piperidinecarboxamide, N-[3-(cyclopentyloxy)phenyl]-4-hydroxy-4-(4-methylphenyl)- (CA INDEX NAME)



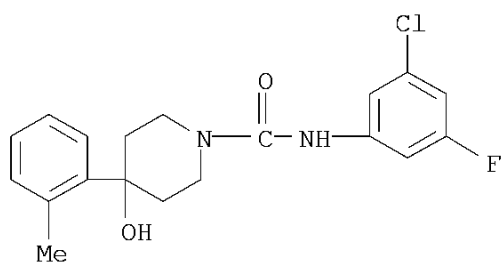
RN 642498-69-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-hydroxy-4-(5-methyl-2-pyridinyl)- (CA INDEX NAME)



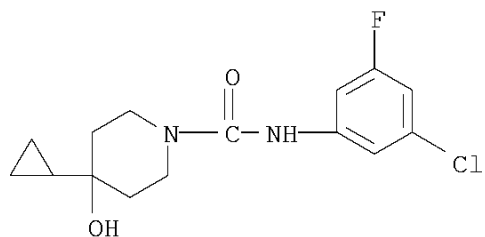
RN 642498-70-2 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-hydroxy-4-(2-methylphenyl)- (CA INDEX NAME)



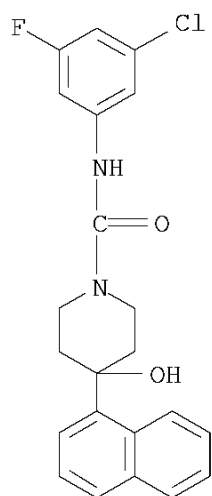
RN 642498-71-3 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-cyclopropyl-4-hydroxy- (CA INDEX NAME)



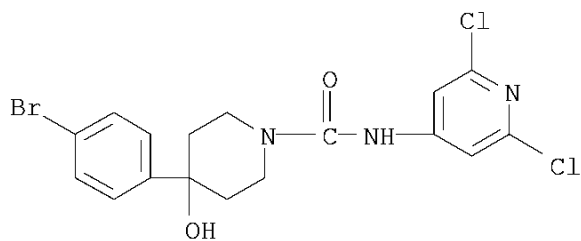
RN 642498-72-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chloro-5-fluorophenyl)-4-hydroxy-4-(1-naphthalenyl)- (CA INDEX NAME)



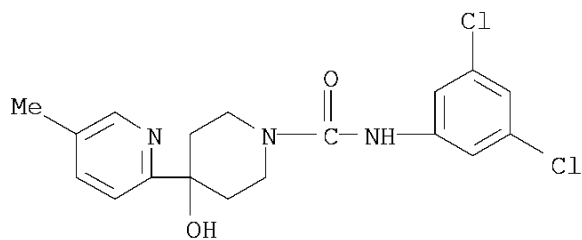
RN 642498-73-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-(2,6-dichloro-4-pyridinyl)-4-hydroxy- (CA INDEX NAME)



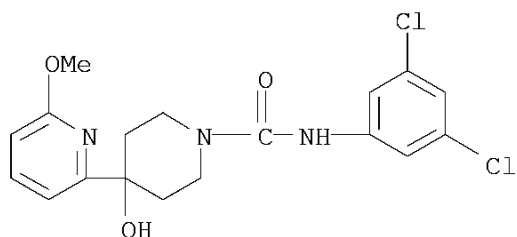
RN 642498-75-7 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-hydroxy-4-(5-methyl-2-pyridinyl)- (CA INDEX NAME)



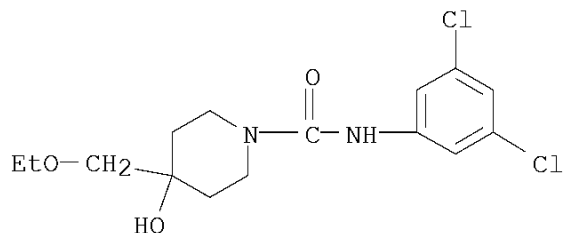
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CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-hydroxy-4-(6-methoxy-2-pyridinyl)- (CA INDEX NAME)



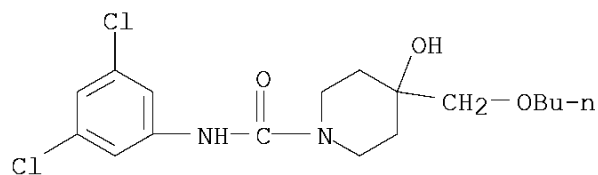
RN 642498-77-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-(ethoxymethyl)-4-hydroxy- (CA INDEX NAME)



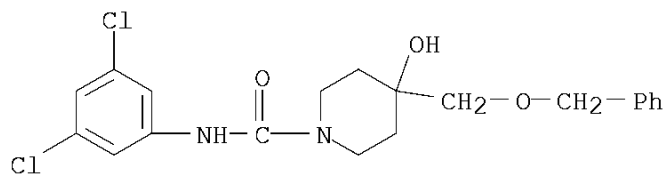
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CN 1-Piperidinecarboxamide, 4-(butoxymethyl)-N-(3,5-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)



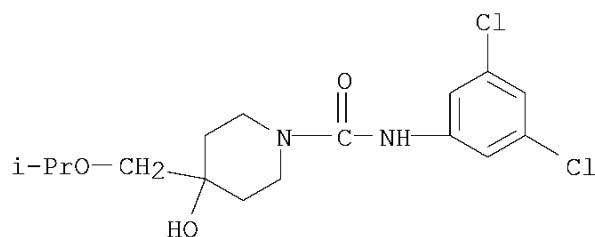
RN 642498-79-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-hydroxy-4-[(phenylmethoxy)methyl]- (CA INDEX NAME)



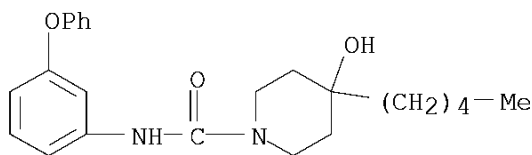
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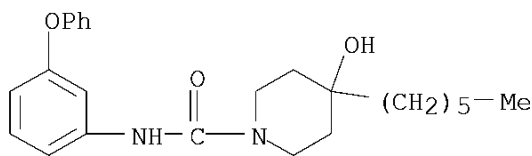
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CN 1-Piperidinecarboxamide, 4-hydroxy-4-pentyl-N-(3-phenoxyphenyl)- (CA INDEX NAME)



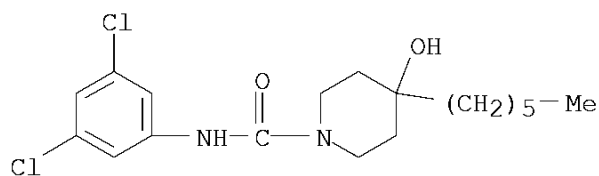
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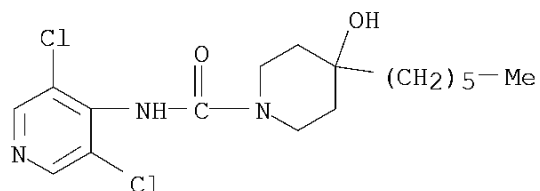
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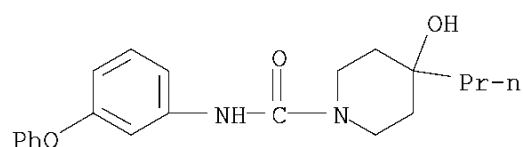
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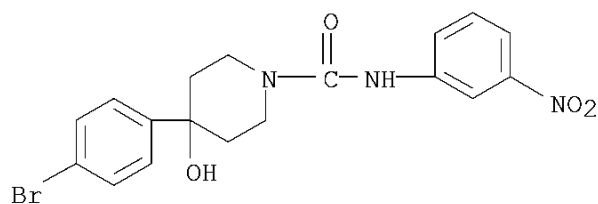
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CN 1-Piperidinecarboxamide, 4-hydroxy-N-(3-phenoxyphenyl)-4-propyl- (CA
INDEX NAME)



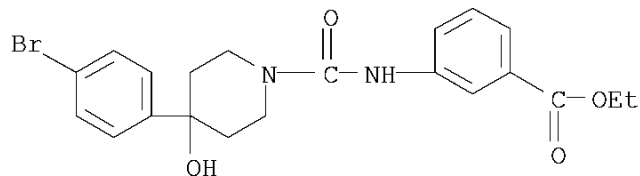
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CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-(3-nitrophenyl)-
(CA INDEX NAME)



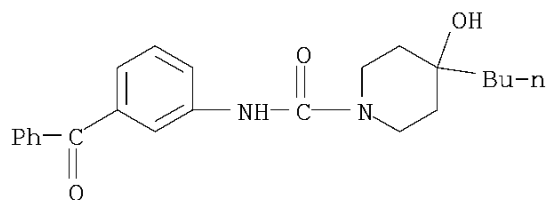
RN 642498-87-1 CAPLUS

CN Benzoic acid, 3-[[[4-(4-bromophenyl)-4-hydroxy-1-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



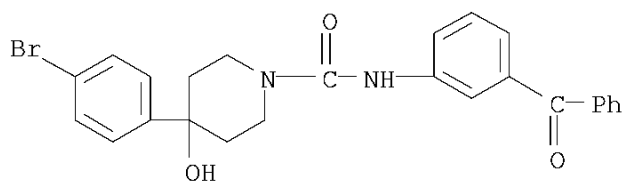
RN 642498-88-2 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-benzoylphenyl)-4-butyl-4-hydroxy- (CA INDEX
NAME)



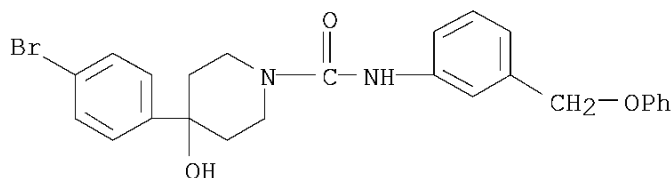
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CN 1-Piperidinecarboxamide, N-(3-benzoylphenyl)-4-(4-bromophenyl)-4-hydroxy-
(CA INDEX NAME)



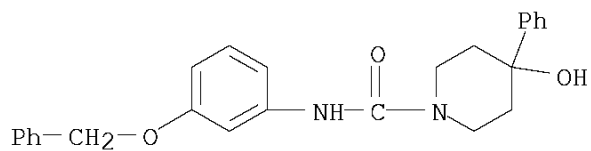
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CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-(phenoxymethyl)phenyl]-
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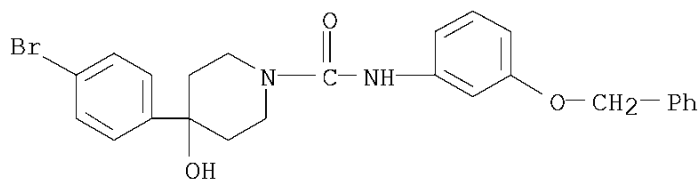
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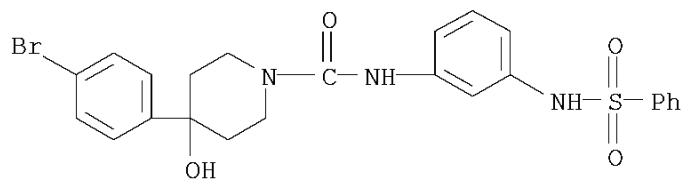
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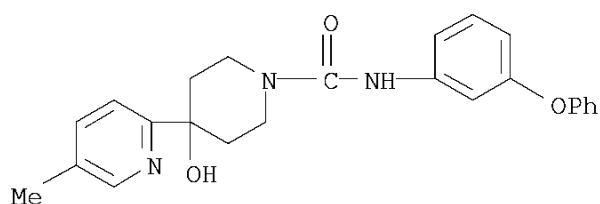
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CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-
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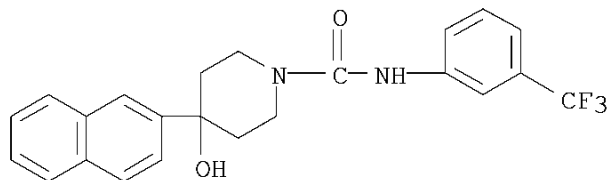
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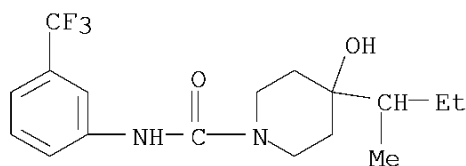
RN 642498-95-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-(2-naphthalenyl)-N-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



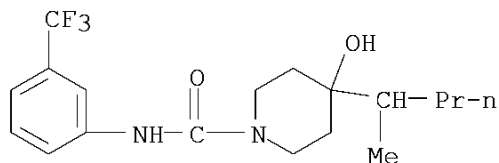
RN 642498-96-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-(1-methylpropyl)-N-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



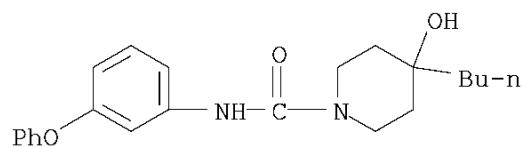
RN 642498-97-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-(1-methylbutyl)-N-[3-
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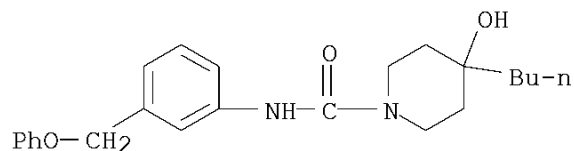
RN 642499-00-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-butyl-4-hydroxy-N-(3-phenoxyphenyl)- (CA INDEX NAME)



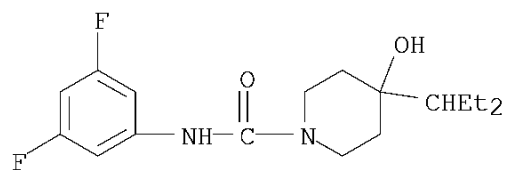
RN 642592-56-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-butyl-4-hydroxy-N-[3-(phoxymethyl)phenyl]- (CA INDEX NAME)



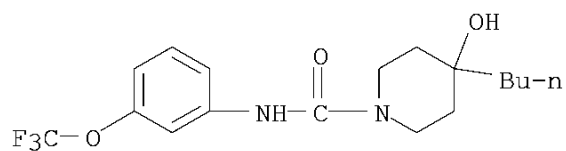
RN 642592-62-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,5-difluorophenyl)-4-(1-ethylpropyl)-4-hydroxy- (CA INDEX NAME)



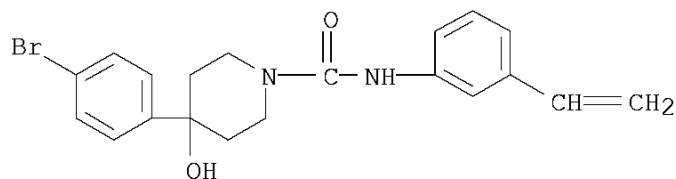
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CN 1-Piperidinecarboxamide, 4-butyl-4-hydroxy-N-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



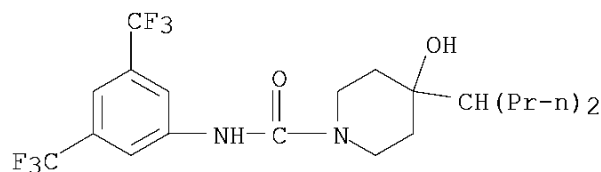
RN 642592-79-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-N-(3-ethenylphenyl)-4-hydroxy- (CA INDEX NAME)



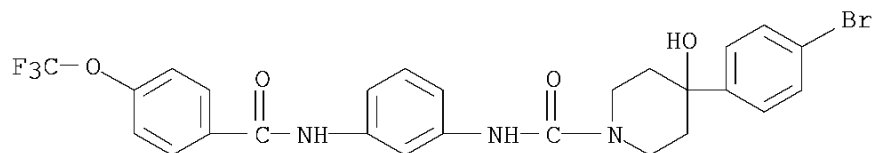
RN 642592-86-7 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy-4-(1-propenyl)- (CA INDEX NAME)



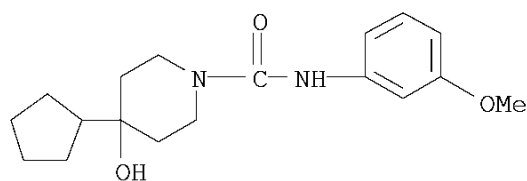
RN 642592-92-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-bromophenyl)-4-hydroxy-N-[3-[[4-(trifluoromethoxy)benzoyl]amino]phenyl]- (CA INDEX NAME)



RN 642592-99-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-cyclopentyl-4-hydroxy-N-(3-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 138 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:972057 CAPLUS

DOCUMENT NUMBER: 140:27765

TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence

INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshii; Tarui, Naoki; Shirai, Junya; Yamashita, Masayuki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

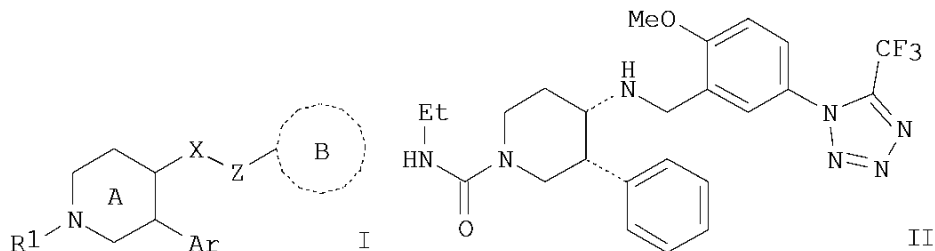
SOURCE: PCT Int. Appl., 264 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101964	A1	20031211	WO 2003-JP6754	20030529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487688	A1	20031211	CA 2003-2487688	20030529
AU 2003241903	A1	20031219	AU 2003-241903	20030529
BR 2003011425	A	20050315	BR 2003-11425	20030529
EP 1553084	A1	20050713	EP 2003-733151	20030529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1671662	A	20050921	CN 2003-818354	20030529
NZ 537330	A	20070427	NZ 2003-537330	20030529
JP 2004285038	A	20041014	JP 2003-154345	20030530
MX 2004011730	A	20050714	MX 2004-11730	20041125
US 20060167052	A1	20060727	US 2004-516252	20041129
US 7622487	B2	20091124		
ZA 2004010085	A	20060726	ZA 2004-10085	20041214
IN 2004KN01942	A	20061201	IN 2004-KN1942	20041216
NO 2004005701	A	20050216	NO 2004-5701	20041229
PRIORITY APPLN. INFO.:				
			JP 2002-159338	A 20020531
			JP 2003-17885	A 20030127
			WO 2003-JP6754	W 20030529

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:27765

GI



AB The title compds. I [wherein Ar = (un)substituted aryl, aralkyl, or heteroaryl; R1 = H, acyl, (un)substituted hydrocarbyl, or heterocyclyl; X = O or (un)substituted NH; Z = (un)substituted CH2; ring A = (un)substituted piperidine; ring B = (un)substituted aryl; with exclusions] or prodrugs or salts thereof are prepared I have excellent tachykinin receptor antagonistic activity, and are useful for the treatment of frequent urination and urinary incontinence (no data). For

example, the compound II•xHCl was prepared in a multi-step synthesis. II showed antagonistic activity with IC50 of 0.025 nM against human substance P receptor. Formulations containing I as an active ingredient were also described.

IT 632344-35-5P 632345-55-2P 632345-57-4P
 632345-61-0P 632346-22-6P 632346-24-8P
 632346-28-2P 632346-69-1P 632346-71-5P
 632348-39-1P

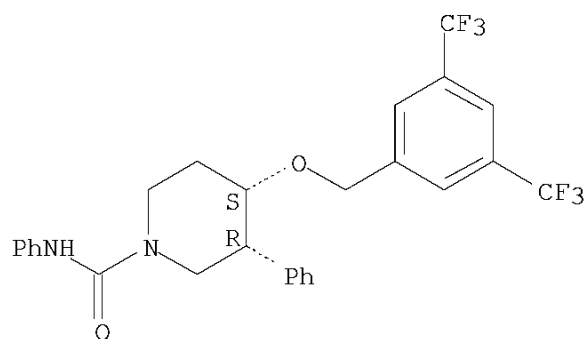
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence)

RN 632344-35-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N,3-diphenyl-, (3R,4S)-rel- (CA INDEX NAME)

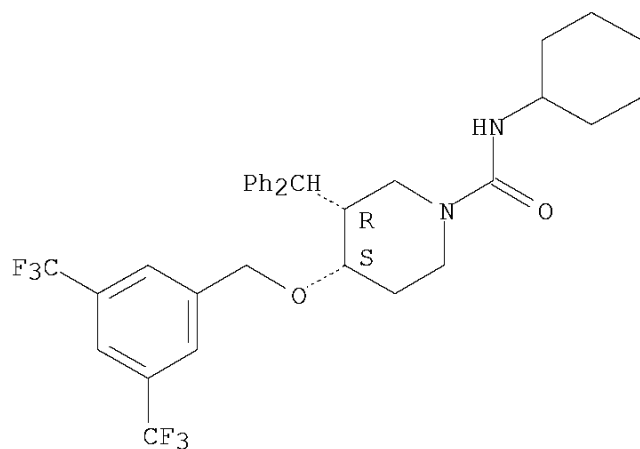
Relative stereochemistry.



RN 632345-55-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-cyclohexyl-3-(diphenylmethyl)-, (3R,4S)-rel- (CA INDEX NAME)

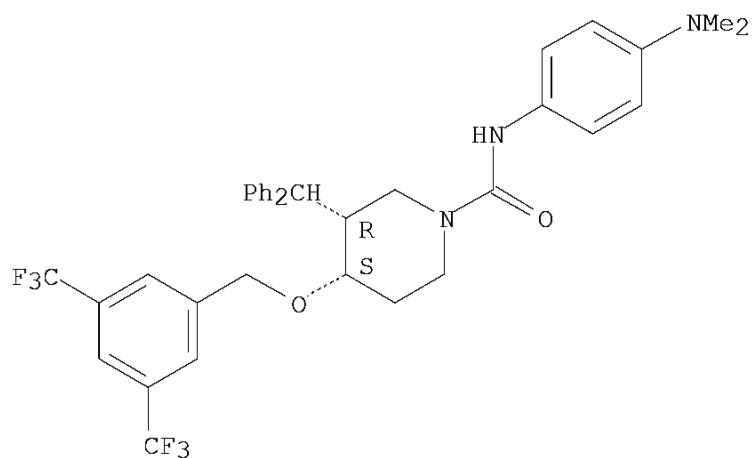
Relative stereochemistry.



RN 632345-57-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-[4-(dimethylamino)phenyl]-3-(diphenylmethyl)-, (3R,4S)-rel- (CA INDEX NAME)

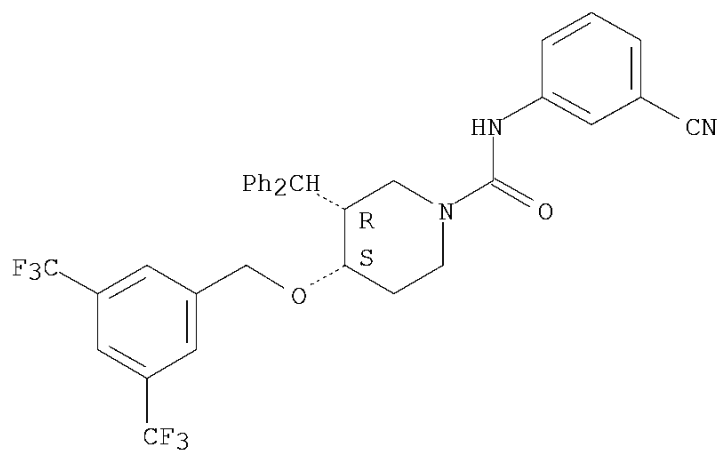
Relative stereochemistry.



RN 632345-61-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-(3-cyanophenyl)-3-(diphenylmethyl)-, (3R,4S)-rel- (CA INDEX NAME)

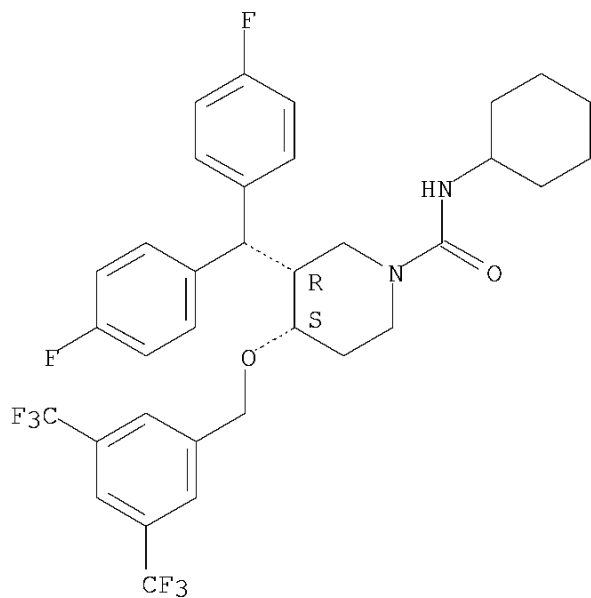
Relative stereochemistry.



RN 632346-22-6 CAPLUS

CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-cyclohexyl-, (3R,4S)-rel- (CA INDEX NAME)

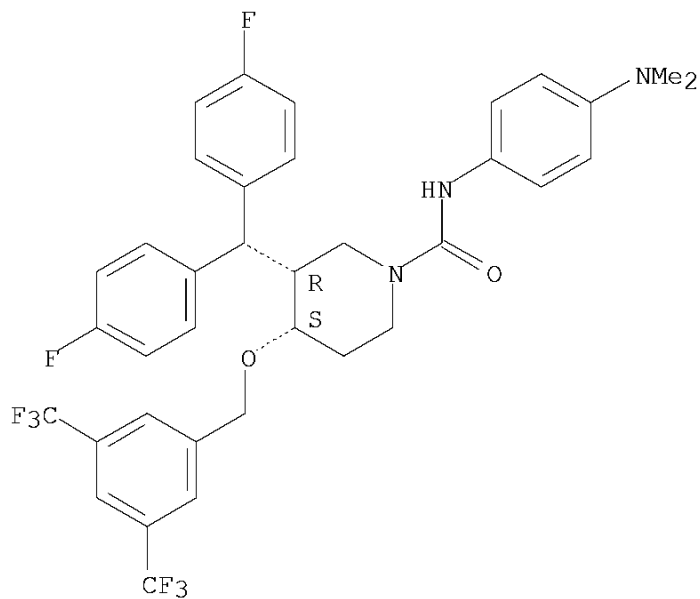
Relative stereochemistry.



RN 632346-24-8 CAPLUS

CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-[4-(dimethylamino)phenyl]-, (3R,4S)-rel- (CA INDEX NAME)

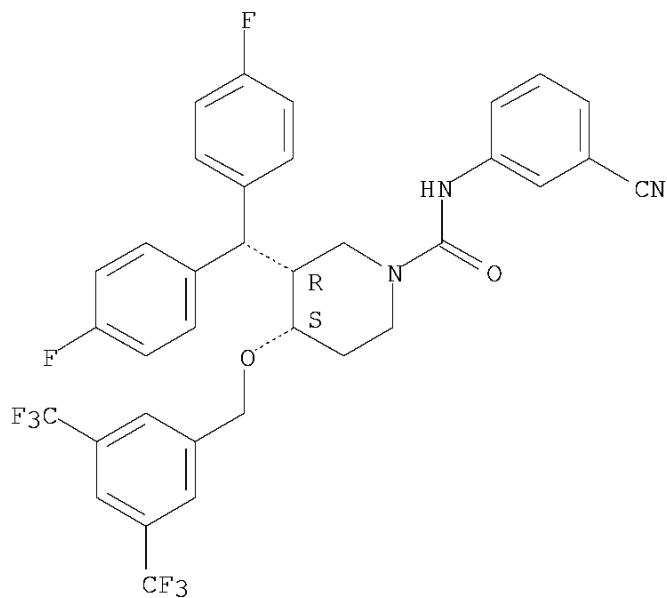
Relative stereochemistry.



RN 632346-28-2 CAPLUS

CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-(3-cyanophenyl)-, (3R,4S)-rel- (CA INDEX NAME)

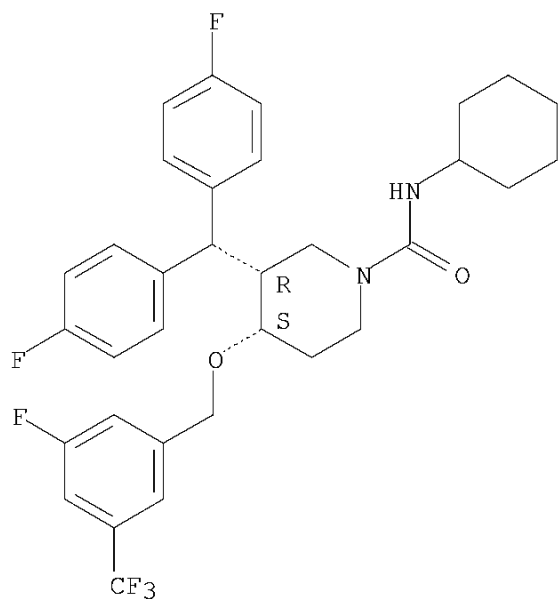
Relative stereochemistry.



RN 632346-69-1 CAPLUS

CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-N-cyclohexyl-4-[[3-fluoro-5-(trifluoromethyl)phenyl]methoxy]-, (3R,4S)-rel- (CA INDEX NAME)

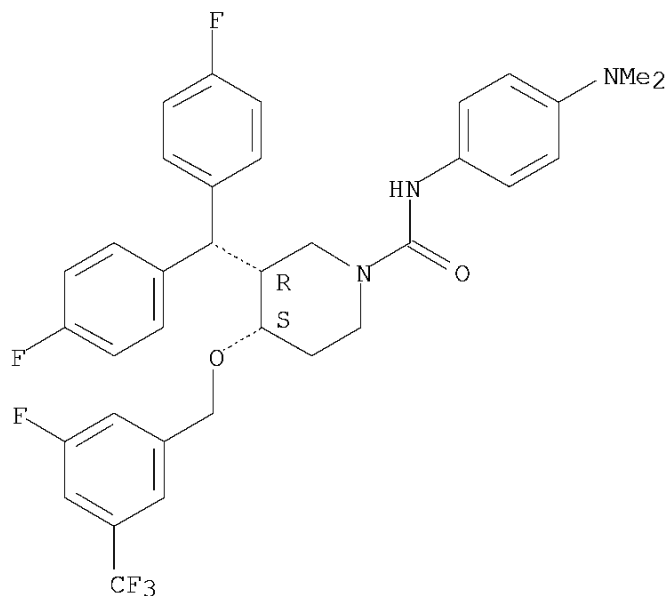
Relative stereochemistry.



RN 632346-71-5 CAPLUS

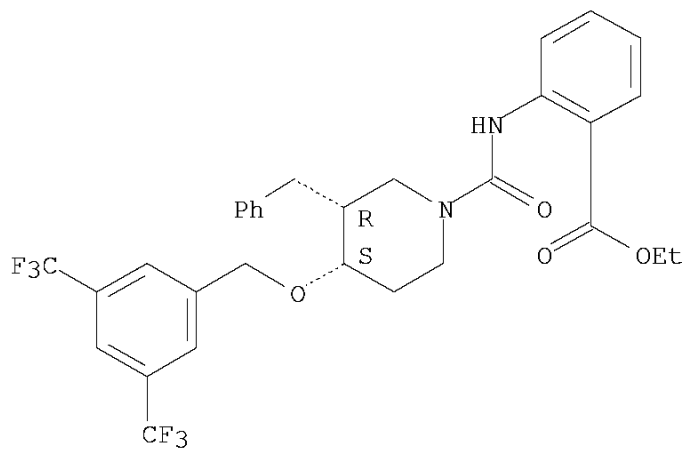
CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-N-[4-(dimethylamino)phenyl]-4-[[3-fluoro-5-(trifluoromethyl)phenyl]methoxy]-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 632348-39-1 CAPLUS
 CN Benzoic acid, 2-[[[(3R,4S)-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-3-(phenylmethyl)-1-piperidiny]carbonyl]amino]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

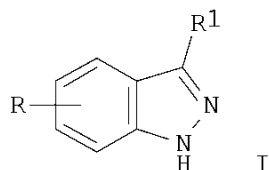


OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)
 REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 139 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2003:931339 CAPLUS
 DOCUMENT NUMBER: 140:5044
 TITLE: Preparation of 3-aminoindazole derivatives as kinase inhibitors
 INVENTOR(S): Martina, Katia; Brill, Wolfgang
 PATENT ASSIGNEE(S): Pharmacia Italia S.P.A., Italy
 SOURCE: PCT Int. Appl., 99 pp.

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097610	A1	20031127	WO 2003-EP4862	20030508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2486101	A1	20031127	CA 2003-2486101	20030508
CA 2486101	C	20090707		
AU 2003227741	A1	20031202	AU 2003-227741	20030508
EP 1506176	A1	20050216	EP 2003-725180	20030508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011291	A	20050329	BR 2003-11291	20030508
JP 2005534635	T	20051117	JP 2004-505343	20030508
MX 2004011417	A	20050214	MX 2004-11417	20041117
US 20060106083	A1	20060518	US 2004-990866	20041117
US 7632854	B2	20091215		
PRIORITY APPLN. INFO.:			US 2002-381092P	P 20020517
			WO 2003-EP4862	W 20030508
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): CASREACT 140:5044; MARPAT 140:5044				
GI				



AB The title compds. [I; R = halo, (un)substituted alkenyl, alkynyl, (hetero)aryl (attached to position 5 or 6 of the indazole ring); R1 = N:CHNR2R3, NHCOR4, NHCONR4R5, NHSO2R4, NHCOR4; R2, R3 = H, alkyl; R4, R5 = H, alkyl, cycloalkyl, aryl, etc.] and pharmaceutically acceptable salts thereof together with pharmaceutical compns. comprising them, as well as combinatorial libraries of compds. I, are disclosed. Preparation of compds. I is described in nine synthetic examples. Thus, treating the resin bearing 6-(4-methoxyphenyl)-1H-indazol-3-amine (preparation given) with iso-Pr isocyanate followed by treatment with aqueous NH4OH, and cleavage from the resin afforded N-isopropyl-N'-[6-(4-methoxyphenyl)-1H-indazol-3-yl]urea. The compds. I or compns. may be useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity (no biol. data given) such as cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases and neurodegenerative disorders.

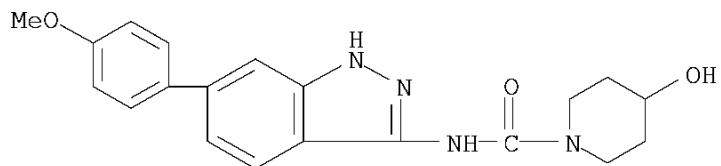
IT 627858-40-6P 627858-50-8P 627858-62-2P
 627858-74-6P 627858-86-0P 627858-99-5P

627859-10-3P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of 3-aminoindazole derivs. as kinase inhibitors)

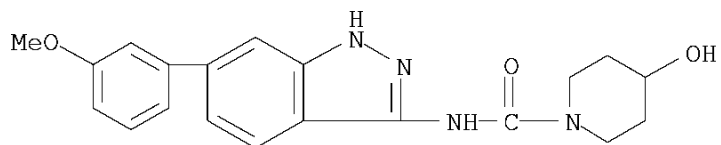
RN 627858-40-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[6-(4-methoxyphenyl)-1H-indazol-3-yl]-
(CA INDEX NAME)



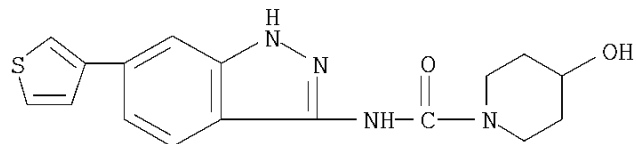
RN 627858-50-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[6-(3-methoxyphenyl)-1H-indazol-3-yl]-
(CA INDEX NAME)



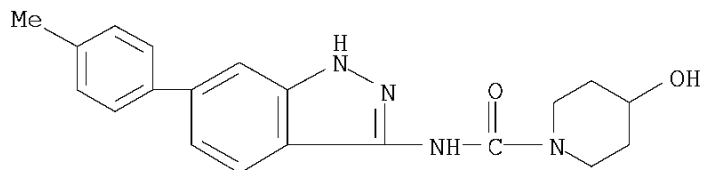
RN 627858-62-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[6-(3-thienyl)-1H-indazol-3-yl]- (CA INDEX NAME)



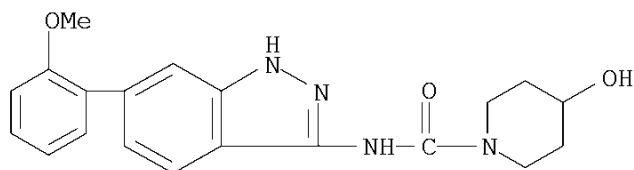
RN 627858-74-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[6-(4-methylphenyl)-1H-indazol-3-yl]-
(CA INDEX NAME)



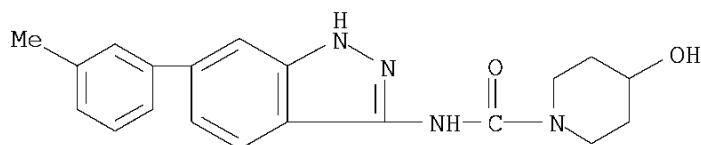
RN 627858-86-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[6-(2-methoxyphenyl)-1H-indazol-3-yl]-
(CA INDEX NAME)



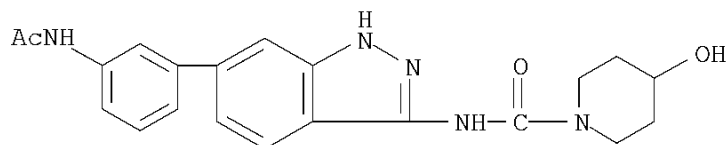
RN 627858-99-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[6-(3-methylphenyl)-1H-indazol-3-yl]-
(CA INDEX NAME)



RN 627859-10-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[6-[3-(acetylamino)phenyl]-1H-indazol-3-yl]-4-
hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS
RECORD (13 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 140 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:892757 CAPLUS
DOCUMENT NUMBER: 139:381501
TITLE: Preparation of N-[thio(oxo)carbonylaminophenyl]uracils
as herbicides
INVENTOR(S): Schwarz, Hans-Georg; Andree, Roland; Hoischen,
Dorothee; Kluth, Joachim; Linker, Karl-Heinz;
Vidal-Ferran, Anton; Drewes, Mark Wilhelm; Dahmen,
Peter; Feucht, Dieter; Pontzen, Rolf
PATENT ASSIGNEE(S): Bayer CropScience AG, Germany
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093244	A1	20031113	WO 2003-EP4138	20030422
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				

PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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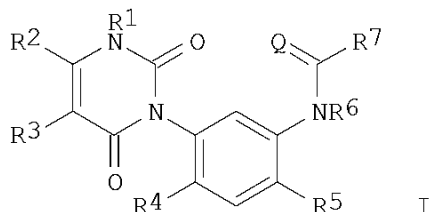
DE 10219434	A1	20031120	DE 2002-10219434	20020502
CA 2484280	A1	20031113	CA 2003-2484280	20030422
AU 2003240459	A1	20031117	AU 2003-240459	20030422
AU 2003240459	B2	20081120		
EP 1503994	A1	20050209	EP 2003-729934	20030422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009872	A	20050419	BR 2003-9872	20030422
JP 2005535585	T	20051124	JP 2004-501383	20030422
MX 2004010863	A	20050214	MX 2004-10863	20041101
US 20060089262	A1	20060427	US 2005-514153	20051121
US 7521396	B2	20090421		

PRIORITY APPLN. INFO.: DE 2002-10219434 A 20020502
 WO 2003-EP4138 W 20030422

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:381501

GI



AB Title compds. [I; Q = O, S; R1 = H, amino, (substituted) alkyl; R2 = carboxy, cyano, (thio)carbamoyl, (substituted) alkyl, alkoxy-carbonyl; R3 = H, halo, (halogenated) alkyl; R4 = H, cyano, (thio)carbamoyl, halo; R5 = cyano, (thio)carbamoyl, halo, (halogenated) alkyl, alkoxy; R6 = H, (substituted) alkyl, alkylcarbonyl, alkylsulfonyl, (halogenated) alkenyl, alkenylcarbonyl, etc.; R7 = (halogenated) alkoxy-carbonyl, alkoxy-carbonylalkylthio, hydroxyamino, cyanoalkylamino, (substituted) heterocycloxy, N-bonded (monocyclic) N-heterocyclyl, etc.], were prepared. Thus, a mixture of 3-(4-bromo-2-fluoro-5-isocyanatophenyl)-1-methyl-6-trifluoromethyl-1H-pyrimidin-2,4-one, piperidine-3-carboxylic acid Et ester, Et3N, and MeCN was stirred for 15 h at room temperature to give 42% 1-[2-bromo-4-fluoro-5-(3-methyl-2,6-dioxo-4-trifluoromethyl-3,6-dihydro-2H-pyrimidin-1-yl)phenylcarbonyl]piperidine-3-carboxylic acid Et ester. I were said to show strong pre- and postemergent herbicidal activity and good crop tolerance.

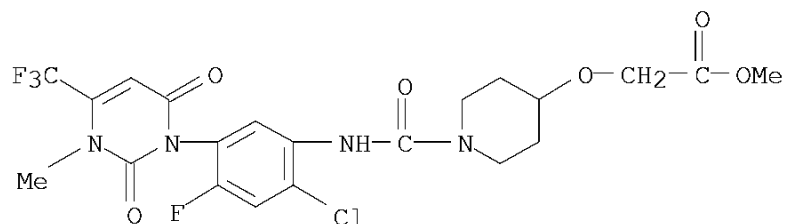
IT 1026097-82-4 1026351-06-3 1027036-44-7
 1027582-15-5

RL: PRPH (Prophetic)

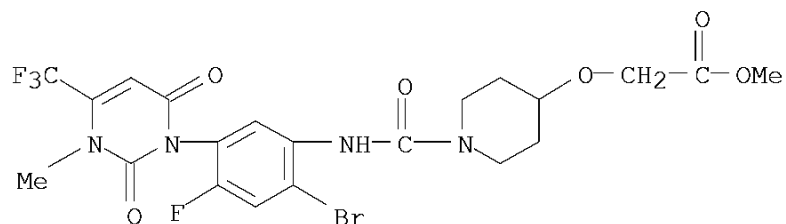
(Preparation of N-[thio(oxo)carbonylaminophenyl]uracils as herbicides)

RN 1026097-82-4 CAPLUS

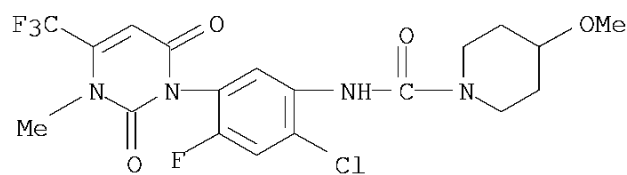
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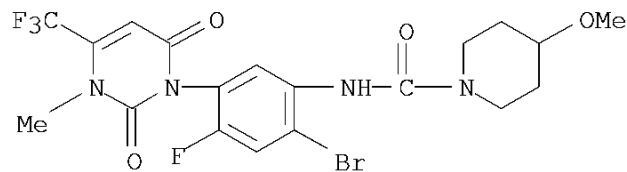
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CN INDEX NAME NOT YET ASSIGNED



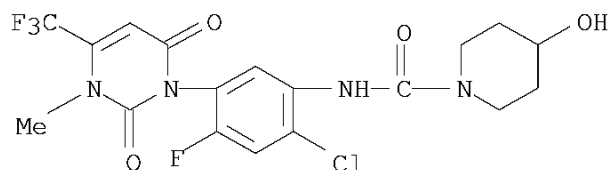
RN 1027036-44-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1027582-15-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

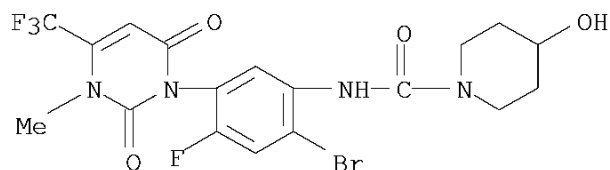


IT 623929-28-2P 623929-29-3P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [thio(oxo)carbonylaminophenyl]uracils as herbicides)
RN 623929-28-2 CAPLUS
CN 1-Piperidinecarboxamide, N-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenyl]-4-hydroxy- (CA INDEX NAME)



RN 623929-29-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[2-bromo-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenyl]-4-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 141 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:796492 CAPLUS

DOCUMENT NUMBER: 139:307786

TITLE: Preparation of 4-(phenylamino)quinazolines as inhibitors of EGF-receptor kinase

INVENTOR(S): Himmelsbach, Frank; Jung, Birgit; Solca, Flavio

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany

SOURCE: PCT Int. Appl., 148 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

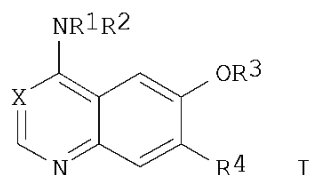
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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AU 2003226705	A1	20031013	AU 2003-226705	20030325
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BR 2003008902	A	20050104	BR 2003-8902	20030325
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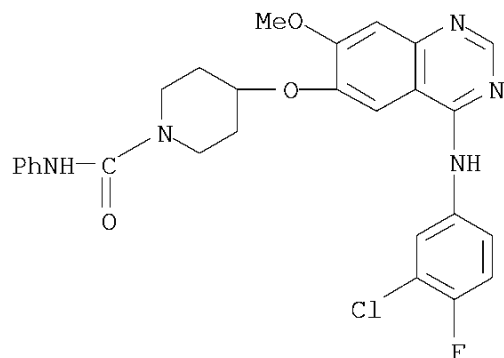
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 MX 2004009536 A 20050125 MX 2004-9536 20040930
 IN 2008DN07026 A 20080912 IN 2008-DN7026 20080818
 PRIORITY APPLN. INFO.: DE 2002-10214412 A 20020330
 DE 2002-10231711 A 20020713
 WO 2003-EP3062 W 20030325
 IN 2004-DN2255 A3 20040802
 OTHER SOURCE(S): MARPAT 139:307786
 GI



AB Title compds. [I; R1 = H, C1-4 alkyl; R2 = (substituted) Ph, 1-phenylethyl; R3 = (amino-substituted) cyclobutyl, cyclopentyl, cyclohexyl; R4 = H, F, Cl, Br, alkoxy, (fluorinated) OMe, OCH2CH3, (substituted) alkyl, etc.; X = N, cyano-substituted CH], tautomers, stereoisomers, mixts., and salts thereof, especially the physiol. acceptable salts thereof with organic and inorg. acids, were prepared Thus, 4-[(3-chloro-4-fluorophenyl)amino]-6-hydroxy-7-methoxyquinazoline in MeCN was treated with (R)-3-hydroxytetrahydrofuran and Ph3P followed by stirring with di-Et azodiformate over night at room temperature to give 15% 4-[(3-chloro-4-fluorophenyl)amino]-6-((S)-tetrahydrofuran-3-yloxy)-7-methoxyquinazoline. The latter inhibited EGF-receptor kinase with IC50 = 0.13 nM.

IT 610303-28-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of (phenylamino)quinazolines as inhibitors of EGF-receptor kinase)

RN 610303-28-1 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[4-[(3-chloro-4-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-phenyl- (CA INDEX NAME)



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OS.CITING REF COUNT:      17    THERE ARE 17 CAPLUS RECORDS THAT CITE THIS
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L4 ANSWER 142 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:622568 CAPLUS
DOCUMENT NUMBER: 139:164710
TITLE: Preparation of ureidoalkylpiperidines as modulators of
chemokine CCR3 receptor activity.
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.;
Santella, Joseph B., III; Wacker, Dean A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: U.S., 145 pp., Cont.-in-part of U.S. Ser. No. 465,286,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 108
PATENT INFORMATION:

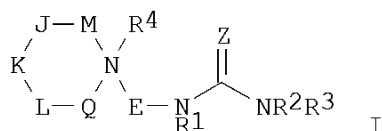
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W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1363881	A2	20031126	EP 2001-950358	20010620
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR			
JP 2004517803	T	20040617	JP 2002-504225	20010620
US 20030013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
US 20040002515	A1	20040101	US 2002-279416	20021024
US 6875776	B2	20050405		
US 20040006107	A1	20040108	US 2002-279231	20021024
US 6780857	B2	20040824		
US 20040058960	A1	20040325	US 2003-465191	20030619
US 6906066	B2	20050614		
US 20050192291	A1	20050901	US 2004-21042	20041223
PRIORITY APPLN. INFO.:			US 1998-112717P	P 19981218
			US 1999-161243P	P 19991022
			US 1999-465286	B2 19991217
			US 1999-161137P	P 19991022
			US 1999-161184P	P 19991022
			US 1999-161222P	P 19991022
			US 1999-465287	A3 19991217
			US 1999-465288	A3 19991217
			US 1999-465948	A3 19991217
			US 2000-213051P	P 20000621
			US 2000-598821	20000621
			WO 2001-US19745	W 20010620
			US 2002-279416	A1 20021024
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): MARPAT 139:164710				

GI



AB [Title compds. I; M = CH₂, CHR₅, CHR₁₃, CR₁₃R₁₃, CR₅R₁₃; Q = CH₂, CHR₅, CHR₁₃, CR₁₃R₁₃, CR₅R₁₃; J, L = CH₂, CHR₅, CHR₆, CR₆R₆, CR₅R₆; Z = O, S; M = CH₂, CHR₅, CHR₁₃, CR₁₃R₁₃, CR₅R₁₃; K = CHR₅, CR₅R₆; Z = O, S; E = (CHR₇)(CHR₉)v(CR₁₁R₁₂); R₁, R₂ = H, alkyl, alkenyl, alkynyl, (substituted) alkylcycloalkyl; R₂R₃ = atoms to form a (substituted) 5-7 membered ring; R₃, R₅ = (substituted) (alkyl)cycloalkyl, (alkyl)heterocyclyl; R₄ = null, O, alkyl, alkenyl, alkynyl, etc.; R₄ with R₇, R₉, or R₁₁ = atoms to form a 5-7 membered ring; R₆ = alkyl, alkenyl, alkynyl, etc.; R₇, R₉ = H; R₄R₇, R₄R₉ = (substituted) spirocyclyl; R₁₃ = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R₁₁R₁₂ = pyrrolidinyl, tetrahydrofuryl, piperidinyl, tetrahydropyranyl; v = 1, 2], were prepared as modulators of chemokine activity (no data) for preventing asthma and other allergic diseases. Thus, 4-benzyl-1-(3-aminopropyl)piperidine (preparation given) in THF was treated with 3-cyanophenyl isocyanate to give N-(3-cyanophenyl)-N'-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]urea. A pharmaceutical composition comprising the compound I was claimed. [This

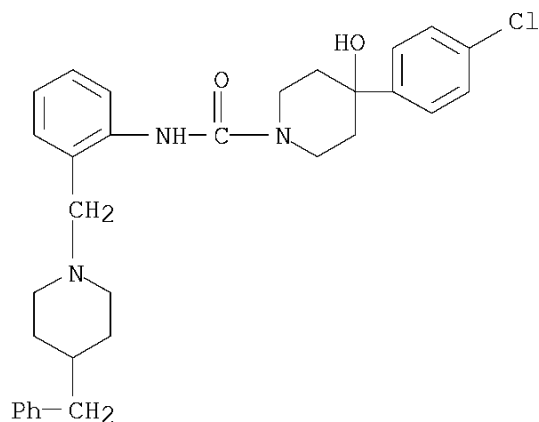
abstract

record is one of 15 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity)

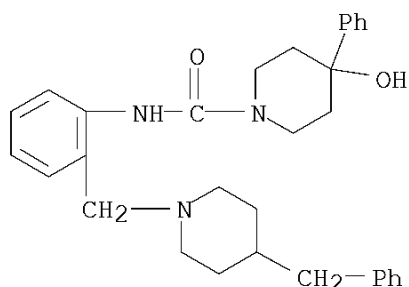
RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 143 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:511323 CAPLUS
DOCUMENT NUMBER: 139:85337
TITLE: Preparation of carboxamidobenzothiazoles as A2A
adenosine receptor ligands
INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross,
Roger David; Riemer, Claus
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053961	A1	20030703	WO 2002-EP13769	20021205
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20030144288	A1	20030731	US 2002-307698	20021202
US 6734179	B2	20040511		
CA 2469876	A1	20030703	CA 2002-2469876	20021205
AU 2002356628	A1	20030709	AU 2002-356628	20021205
AU 2002356628	B2	20080417		
BR 2002014837	A	20040831	BR 2002-14837	20021205
EP 1456202	A1	20040915	EP 2002-805304	20021205
EP 1456202	B1	20051109		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
CN 1602310	A	20050330	CN 2002-824848	20021205
CN 1286834	C	20061129		
JP 2005521647	T	20050721	JP 2003-554677	20021205
JP 4283116	B2	20090624		
AT 309242	T	20051115	AT 2002-805304	20021205

ES 2251628	T3	20060501	ES 2002-805304	20021205
RU 2293736	C2	20070220	RU 2004-121683	20021205
MX 2004005554	A	20040910	MX 2004-5554	20040608
PRIORITY APPLN. INFO.:			EP 2001-129273	A 20011212
			WO 2002-EP13769	W 20021205

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 139:85337
 GI

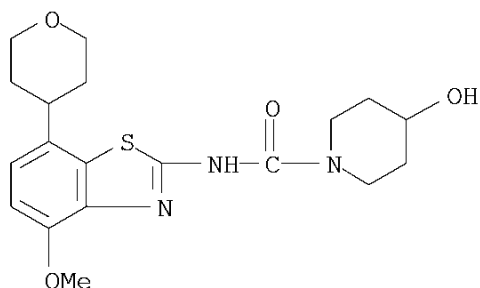
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = (un)substituted 3,6-dihydro-2H-pyran-4-yl, 5,6-dihydro-4H-pyran-3-yl, 5,6-dihydro-4H-pyran-2-yl, tetrahydropyranyl, cyclohex-1-enyl, cyclohexyl, 1,2,3,6-tetrahydropyridin-4-yl, or piperidin-4-yl; R2 = (un)substituted alkyl, piperidinyl, Ph, morpholinyl, or pyridinyl; and their pharmaceutically acceptable acid addition salts] were prepared as A2A adenosine receptor ligands. For example, II was prepared by Pd cross coupling of (7-iodo-4-methoxybenzothiazol-2-yl)carbamic acid Me ester with tributyl(3,6-dihydro-2H-pyran-4-yl)stannane at 100 °C for 16 h. I have a good affinity to the A2A-receptor and may be used in the treatment of diseases related to this receptor. For instance, all except one tested invention compds. showed binding to the human A2A adenosine receptor with pKi >8.0.

IT 554411-95-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (A2A receptor ligand; preparation of carboxamidobenzothiazoles as AA adenosine receptor ligands)

RN 554411-95-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methoxy-7-(tetrahydro-2H-pyran-4-yl)-2-benzothiazolyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 144 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:511317 CAPLUS

DOCUMENT NUMBER: 139:85234

TITLE: Preparation of carboxamidobenzothiophenes as A2A adenosine receptor modulators

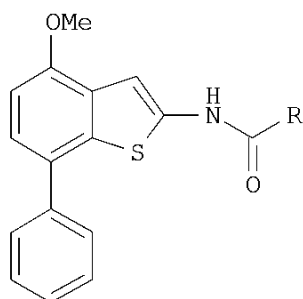
INVENTOR(S): Alanine, Alexander; Flohr, Alexander

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

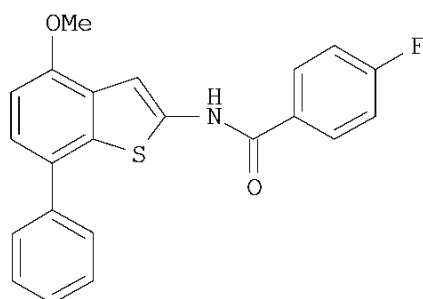
SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053954	A1	20030703	WO 2002-EP13704	20021204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469872	A1	20030703	CA 2002-2469872	20021204
AU 2002358597	A1	20030709	AU 2002-358597	20021204
AU 2002358597	B2	20071206		
EP 1456196	A1	20040915	EP 2002-792882	20021204
EP 1456196	B1	20090107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014936	A	20041214	BR 2002-14936	20021204
CN 1602309	A	20050330	CN 2002-824846	20021204
CN 1296368	C	20070124		
JP 2006500313	T	20060105	JP 2003-554670	20021204
JP 4197649	B2	20081217		
RU 2299882	C2	20070527	RU 2004-121682	20021204
AT 420082	T	20090115	AT 2002-792882	20021204
US 20030149030	A1	20030807	US 2002-315821	20021210
US 6730670	B2	20040504		
MX 2004005632	A	20041206	MX 2004-5632	20040610
PRIORITY APPLN. INFO.:				
			EP 2001-129269	A 20011212
			WO 2002-EP13704	W 20021204
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
GI				



I



II

AB Title compds. I [wherein R = (un)substituted aryl, pyridinyl, NR₁R₂ = (un)substituted morpholinyl, thiomorpholinyl, piperidinyl, piperazinyl; n = 0-2; and their pharmaceutically acceptable acid addition salts] were prepared as A2A adenosine receptor modulators. For example, II was prepared by acylation of (4-methoxy-7-phenyl-benzo[b]thiophen-2-yl)-amine with 4-fluorobenzoyl chloride at 200 for 2 h. I have a good affinity to the

A2A-receptor and may be used in the treatment of diseases related to this receptor. For instance, all the compds. I showed binding to the human A2A adenosine receptor with pKi > 6.4.

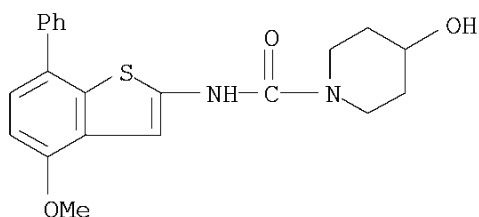
IT 554457-87-3P 554457-89-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(A2A adenosine receptor modulator; preparation of carboxamidobenzothiophenes as A2A adenosine receptor modulators)

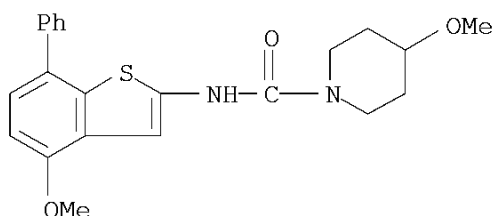
RN 554457-87-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-(4-methoxy-7-phenylbenzo[b]thien-2-yl)- (CA INDEX NAME)



RN 554457-89-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-methoxy-N-(4-methoxy-7-phenylbenzo[b]thien-2-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 145 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:490975 CAPLUS

DOCUMENT NUMBER: 139:69297

TITLE: Benzodiazepinone derivatives as bradykinin B2 receptor antagonists, preparation thereof, and use for treating pain

INVENTOR(S): Leung, Carmen; Santhakumar, Vijayaratnam; Tomaszewski, Mirosław; Woo, Simon

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 203 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

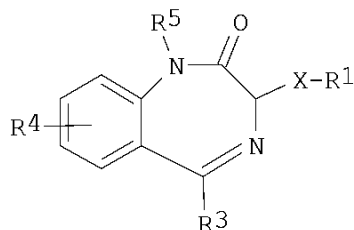
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003051275	A2	20030626	WO 2002-SE2309	20021211
WO 2003051275	A3	20031030		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,				
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,				
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002359126	A1	20030630	AU 2002-359126	20021211
PRIORITY APPLN. INFO.:			SE 2001-4248	A 20011214
			WO 2002-SE2309	W 20021211
OTHER SOURCE(S):			MARPAT 139:69297	
GI				



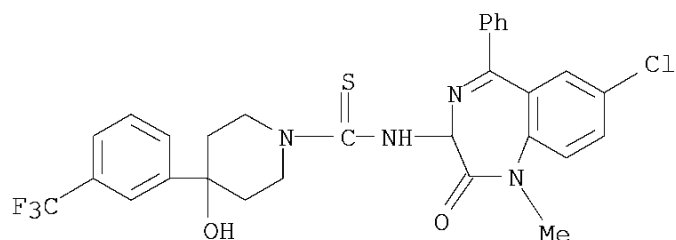
AB A method is claimed of treating pain in a warm-blooded animal, comprising the step of administering a therapeutically effective amount of benzodiazepinones (shown as I; variables defined below; e.g. N-(7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-N'-(5-isoquinolinyl)thiourea), pharmaceutically acceptable salts thereof, diastereomers thereof, enantiomers thereof, or mixts. thereof. For I: R1 = (un)substituted acyl, alkyloxycarbonyl, alkyl, heteroalkyl, cycloalkyl, aryl, heterocyclyl; aryl-C1-6-alkyl, and heterocyclyl-C1-6-alkyl, or a divalent C1-12 group that together with a 2nd N of X form a ring; X is a divalent group including a 1st N atom and the 2nd N atom, wherein a 1st group is linked to the 1st N atom and R1 is linked to the 2nd N atom, and wherein the 1st and 2nd N atoms are separated by either one C atom, or two C atoms wherein said two C atoms have a double bond there between. R3 is (un)substituted aryl, C1-12alkyl, C3-12cycloalkyl, or heterocyclyl; R4 = H, halogen, (un)substituted alkyl, (un)substituted heteroalkyl, nitro, cyano, hydroxy, OR6, SR6, S(O)R6, S(O)2R6, C(O)R6, C(S)R6, NR7R6, C(O)N7R6, NR7C(O)R6, SO2NR7R6, NR7SO2R6, or C(O)OR6; and R5, R6 and R7 = H, (un)substituted C1-6alkyl. Thirty-three examples of I were tested for binding to B2 bradykinin and ranged from 43-3110 nM (dissociation constant); no individual values are reported. Although the methods of preparation are not claimed, 26 example preps. of I and 31 of intermediates are included. More than 1100 examples of I prepared combinatorially are tabulated with LCMS anal. results.

IT 548741-22-6P 548741-23-7P 548741-70-4P
548743-17-5P 548745-01-3P 548745-20-6P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of benzodiazepinone derivs. as bradykinin B2 receptor antagonists and use for treating pain)

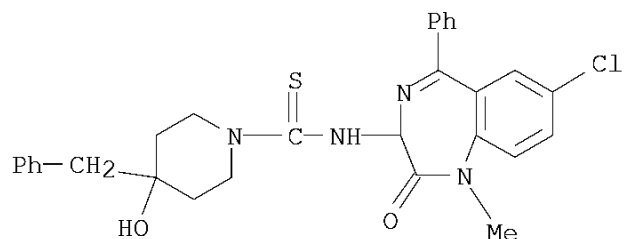
RN 548741-22-6 CAPLUS

CN 1-Piperidinecarbothioamide, N-(7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-4-hydroxy-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



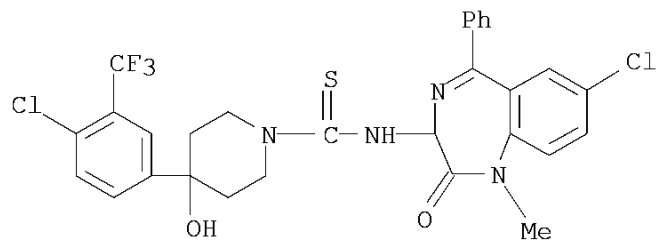
RN 548741-23-7 CAPLUS

CN 1-Piperidinecarbothioamide, N-(7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-4-hydroxy-4-(phenylmethyl)- (CA INDEX NAME)



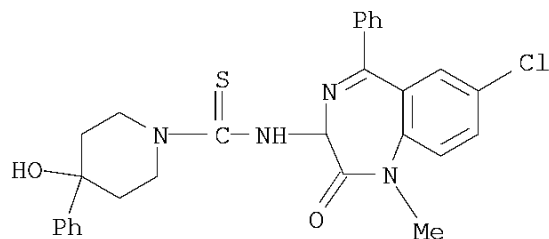
RN 548741-70-4 CAPLUS

CN 1-Piperidinecarbothioamide, N-(7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)

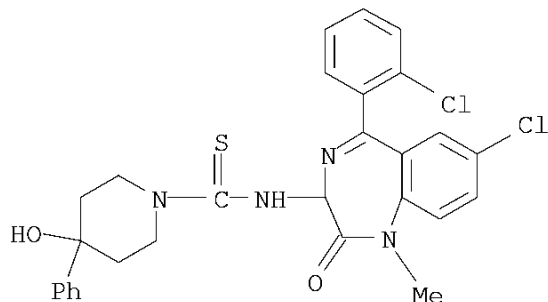


RN 548743-17-5 CAPLUS

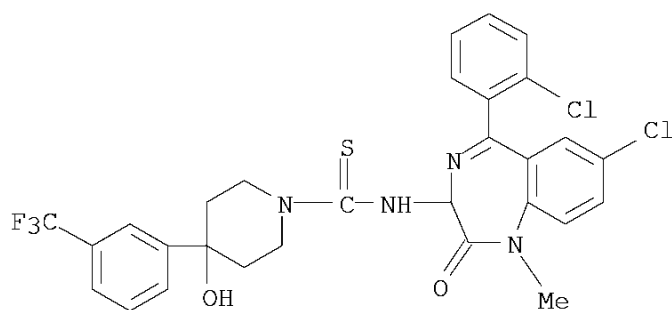
CN 1-Piperidinecarbothioamide, N-(7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-4-hydroxy-4-phenyl- (CA INDEX NAME)



RN 548745-01-3 CAPLUS
 CN 1-Piperidinecarbothioamide, N-[7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-4-hydroxy-4-phenyl- (CA INDEX NAME)



RN 548745-20-6 CAPLUS
 CN 1-Piperidinecarbothioamide, N-[7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-4-hydroxy-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 146 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2003:490974 CAPLUS
 DOCUMENT NUMBER: 139:69296
 TITLE: Preparation of benzodiazepinones and a benzodiazepinone combinatorial library as potential bradykinin receptor antagonists
 INVENTOR(S): Leung, Carmen; Santhakumar, Vijayaratnam; Tomaszewski, Mirosław; Woo, Simon
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
 SOURCE: PCT Int. Appl., 207 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003051274	A2	20030626	WO 2002-SE2306	20021211
WO 2003051274	A3	20031030		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2468448	A1	20030626	CA 2002-2468448	20021211
AU 2002359123	A1	20030630	AU 2002-359123	20021211
EP 1458691	A2	20040922	EP 2002-793634	20021211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005516918	T	20050609	JP 2003-552208	20021211
US 20050176699	A1	20050811	US 2004-497565	20040603
US 7375101	B2	20080520		

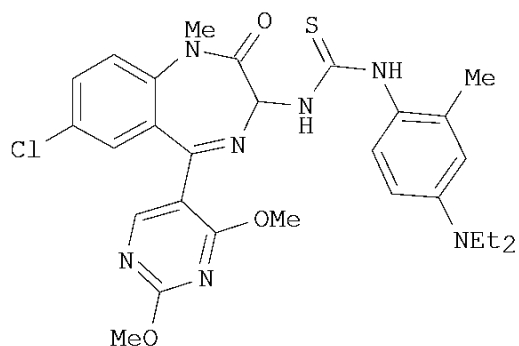
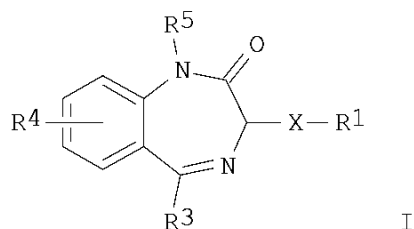
PRIORITY APPLN. INFO.:

SE 2001-4250	A	20011214
WO 2002-SE2306	W	20021211

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:69296

GI



AB Benzodiazepines I [R1 = alkyl, cycloalkyl, heteroalkyl, aryl, heterocyclyl, aralkyl, heteroarylalkyl, acyl, alkoxycarbonyl; R3 = alkyl, cycloalkyl, aryl, heteroaryl; R4 = H, halogen, alkyl, heteroalkyl, O2N, cyano, HO, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, acyl, alkylthiocarbonyl, amino, aminocarbonyl, aminosulfonyl, alkylsulfonylamino, alkoxycarbonyl; R5 = h, (un)substituted C1-6 alkyl; X = (un)substituted aminomethylamino or aminoethenylamino; R1 and X may form a ring; R1, R3, R4, X may all be substituted with alkyl groups] are prepared both by classic synthetic techniques and as members of a combinatorial

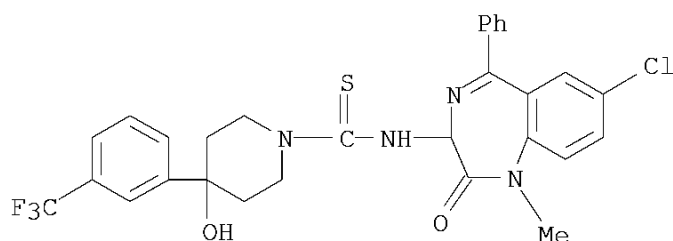
library; I are human B2 bradykinin receptor antagonists with K_i values between 43 and 3110 nM. Thus, treatment of 6-chloro-1-methyl-2H-3,1-benzoxazinone with glycine, chlorination with POCl_3 , Pd-catalyzed coupling of the resultant chloroimine with 2,4-dimethoxy-5-pyrimidineboronic acid, azidation with trisyl azide, Staudinger reaction of the azide with resin-bound triphenylphosphine, acylation of the free amine with thiophosgene, and addition of 4-(diethylamino)-2-methylaniline to the isothiocyanate yields the benzodiazepine II. Methods for the synthesis of combinatorial libraries of I by alkylation of the N1 site of benzodiazepin-2-ones followed by deprotection, acylation of the free amine with either phosgene or thiophosgene, and addition of amines to the isocyanates or isothiocyanates formed in the previous step are claimed. Methods for the synthesis of I by palladium-mediated coupling of boronic acids with 5-halobenzo-1,4-diazepin-2-ones followed by regioselective azidation at the 3-position of the benzodiazepinone and Staudinger reaction of the azide with triphenylphosphine are also claimed. I may be useful as potential analgesics (no data).

IT 548741-22-6P 548741-70-4P 548743-17-5P
548745-01-3P 548745-20-6P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(preparation of a combinatorial library of benzodiazepinones as potential human B2 bradykinin receptor antagonists)

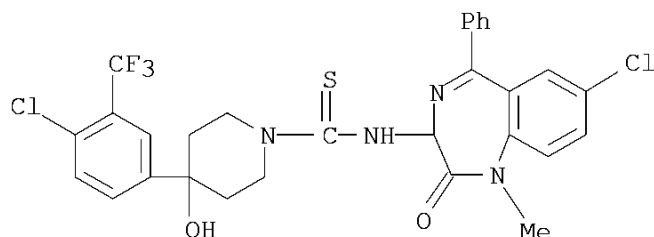
RN 548741-22-6 CAPLUS

CN 1-Piperidinecarbothioamide, N-(7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-4-hydroxy-4-[3-(trifluoromethyl)phenyl]-
(CA INDEX NAME)



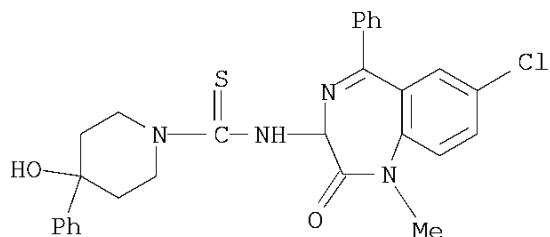
RN 548741-70-4 CAPLUS

CN 1-Piperidinecarbothioamide, N-(7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy-
(CA INDEX NAME)



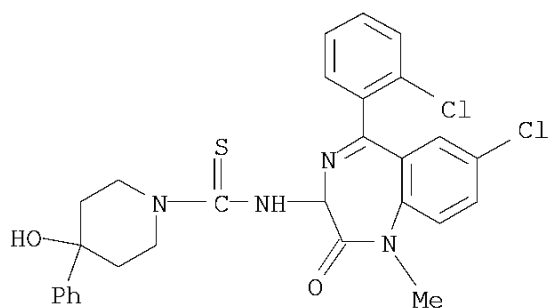
RN 548743-17-5 CAPLUS

CN 1-Piperidinecarbothioamide, N-(7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-4-hydroxy-4-phenyl-
(CA INDEX NAME)



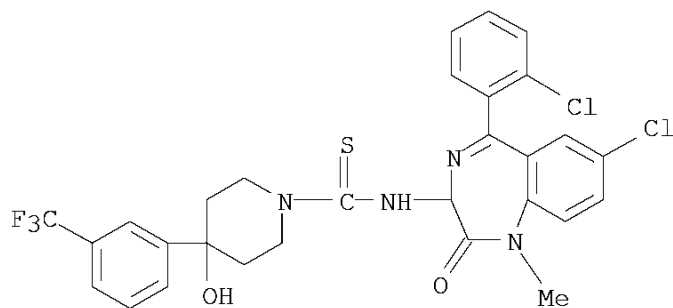
RN 548745-01-3 CAPLUS

CN 1-Piperidinecarbothioamide, N-[7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-4-hydroxy-4-phenyl- (CA INDEX NAME)



RN 548745-20-6 CAPLUS

CN 1-Piperidinecarbothioamide, N-[7-chloro-5-(2-chlorophenyl)-2,3-dihydro-1-methyl-2-oxo-1H-1,4-benzodiazepin-3-yl]-4-hydroxy-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 147 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:472390 CAPLUS

DOCUMENT NUMBER: 139:53026

TITLE: Preparation of ureidobenzothiazoles as adenosine receptor ligands

INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

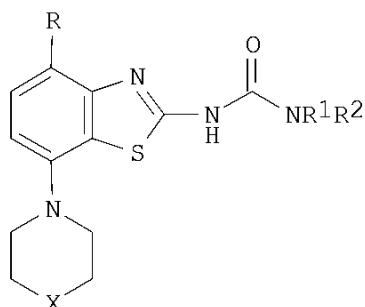
SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049741	A1	20030619	WO 2002-EP13761	20021205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030149036	A1	20030807	US 2002-308338	20021203
US 6727247	B2	20040427		
CA 2469596	A1	20030619	CA 2002-2469596	20021205
AU 2002356626	A1	20030623	AU 2002-356626	20021205
AU 2002356626	B2	20071129		
BR 2002014825	A	20040914	BR 2002-14825	20021205
EP 1455792	A1	20040915	EP 2002-804578	20021205
EP 1455792	B1	20070418		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1602196	A	20050330	CN 2002-824654	20021205
JP 2005516006	T	20050602	JP 2003-550790	20021205
JP 4245483	B2	20090325		
AT 359792	T	20070515	AT 2002-804578	20021205
ES 2283652	T3	20071101	ES 2002-804578	20021205
RU 2311905	C2	20071210	RU 2004-121166	20021205
US 20040229893	A1	20041118	US 2003-691770	20031023
US 7019001	B2	20060328		
MX 2004005444	A	20041011	MX 2004-5444	20040604
PRIORITY APPLN. INFO.:				
			EP 2001-129228	A 20011210
			US 2002-308338	A3 20021203
			WO 2002-EP13761	W 20021205

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:53026

GI



I

AB Title compds. [I; R = alkoxy, halo; R1, R2 = H, alkyl, cycloalkyl,

tetrahydropyran-4-yl; R1R2N = (substituted)
 2-oxa-5-azabicyclo[2.2.1]heptyl, 3-endo-hydroxy-8-azabicyclo[3.2.1]octyl,
 2-azabicyclo[2.2.2]octyl, 1-oxo-2,8-diazaspiro[4.5]decyl,
 3-azaspiro[5.5]undecyl, 8-azaspiro[4.5]decyl, 1-oxa-8-azaspiro[4.5]decyl,
 1,8,8-trimethyl-3-azabicyclo[3.2.1]octyl, 1,4-oxazepanyl,
 2-oxa-5-azabicyclo[2.2.2]octyl, 8-oxa-3-azabicyclo[3.2.1]octyl,
 1,4-diazabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.1]heptyl,
 3-azabicyclo[3.2.1]octyl, piperazinyl, piperidin-1-yl; X = O, CH₂; n =
 0-4], were prepared Thus, 4-methoxy-7-morpholin-4-ylbenzothiazol-2-ylamine
 in CH₂Cl₂ was treated with pyridine and Ph chloroformate and the resulting
 solution stirred for 45 min at ambient temperature;
 (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane was added and the mixture stirred
 at ambient temperature for 15 min and at 40° for 2.5 h. to give
 (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane-5-carboxylic acid
 (4-methoxy-7-morpholin-4-ylbenzothiazol-2-yl)amide. This bound to human
 A_{2a} receptors with pK_i = 8.5.

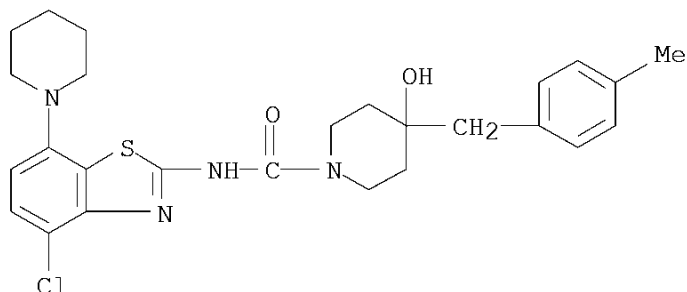
IT 546093-51-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of ureidobenzothiazoles as adenosine receptor ligands)

RN 546093-51-0 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-chloro-7-(1-piperidinyl)-2-benzothiazolyl]-4-
 hydroxy-4-[(4-methylphenyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
 (10 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 148 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:434303 CAPLUS

DOCUMENT NUMBER: 139:36445

TITLE: Preparation of 2-aminoquinolines as melanin
 concentrating hormone receptor (MCH-1R) antagonists.
 INVENTOR(S): Devita, Robert J.; Chang, Lehua; Chaung, Danny; Hoang,
 Myle; Jiang, Jinlong; Lin, Peter; Sailer, Andreas W.;
 Young, Jonathan R.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 178 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045313	A2	20030605	WO 2002-US37556	20021122

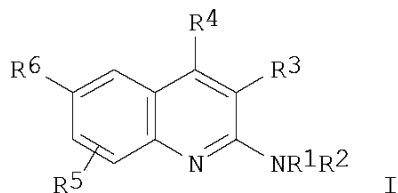
WO 2003045313 A3 20030904
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2468015 A1 20030605 CA 2002-2468015 20021122
AU 2002352878 A1 20030610 AU 2002-352878 20021122
AU 2002352878 B2 20071122
EP 1450801 A2 20040901 EP 2002-789837 20021122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
JP 2005519876 T 20050707 JP 2003-546818 20021122
US 20050026915 A1 20050203 US 2004-496615 20040525
US 7084156 B2 20060801

PRIORITY APPLN. INFO.: US 2001-333581P P 20011127
WO 2002-US37556 W 20021122

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:36445

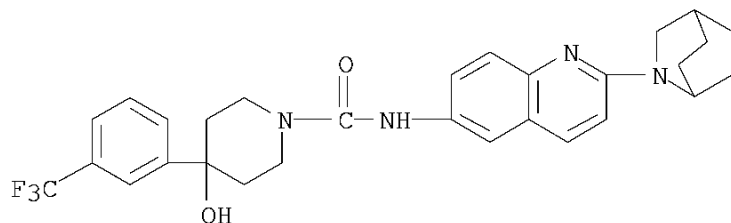
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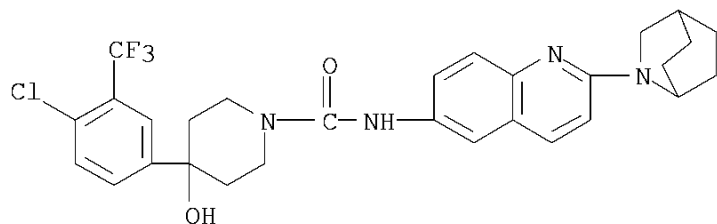
AB Title compds. [I; R₁, R₂ = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, aralkyl, etc.; R₁R₂N = 4-11 membered (bridged) (substituted) heterocyclyl; R₃, R₄ = H, halo, (substituted) alkyl, alkenyl, alkynyl, perfluoroalkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaralkyl, OR₇, N(R₇)₂, cyano, etc.; R₃R₄ = atoms to form 5-7 membered (substituted) ring; R₅ = H, halo, alkyl, perfluoroalkyl, OR₇, N(R₇)₂; R₆ = (CH₂)_nR₇, (CH₂)_nCN, (CH₂)_nCO₂R₇, (CH₂)_nOR₇, (CH₂)_nN(R₇)₂, etc.; R₇ = H, alkyl, aryl, heteroaryl, cycloalkyl, aralkyl, aralkenyl, cycloalkylalkenyl, etc.; n = 0-5], were prepared for the treatment or prevention of obesity, eating disorders, osteoarthritis, cancer, AIDS wasting, cachexia, frailty, mental disorders, stress, cognitive disorders, sexual function, reproductive function, kidney function, locomotor disorders, attention deficit disorder (ADD), substance abuse disorders and dyskinesias, Huntington's disease, epilepsy, memory function, and spinal muscular atrophy. Thus, 2-piperidin-1-ylquinolin-6-amine and (2E)-3-(4-chlorophenyl)prop-2-enoyl chloride were stirred 3 h in HOAc to give (2E)-3-(4-chlorophenyl)-N-(2-piperidin-1-ylquinolin-6-yl)prop-2-enamide hydrochloride. I bound to MCH-1R receptors with IC₅₀ = 0.1-10000 nM.

IT 539854-86-9P 539854-87-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of 2-aminoquinolines as melanin concentrating hormone

receptor (MCH-1R) antagonists)
 RN 539854-86-9 CAPLUS
 CN 1-Piperidinecarboxamide, N-[2-(2-azabicyclo[2.2.2]oct-2-yl)-6-quinolinyl]-
 4-hydroxy-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 539854-87-0 CAPLUS
 CN 1-Piperidinecarboxamide, N-[2-(2-azabicyclo[2.2.2]oct-2-yl)-6-quinolinyl]-
 4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



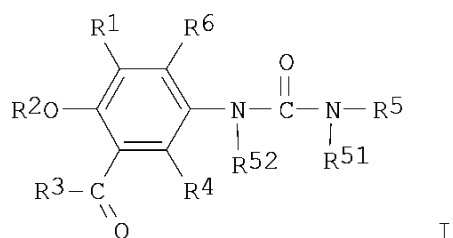
OS.CITING REF COUNT: 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS
 RECORD (41 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 149 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2003:282524 CAPLUS
 DOCUMENT NUMBER: 138:304064
 TITLE: Preparation of phenylurea derivatives as vanilloid
 receptor agonists
 INVENTOR(S): Matsumoto, Takahiro; Yamamoto, Masataka; Nagabukuro,
 Hiroshi; Mochizuki, Manabu
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 293 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

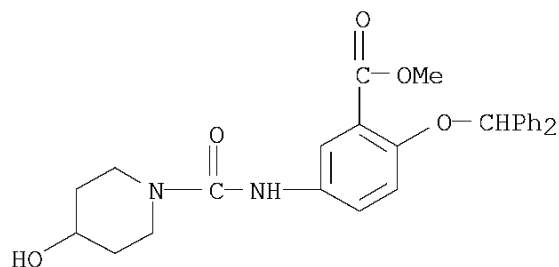
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029199	A1	20030410	WO 2002-JP9995	20020927
WO 2003029199	A9	20030925		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
 UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

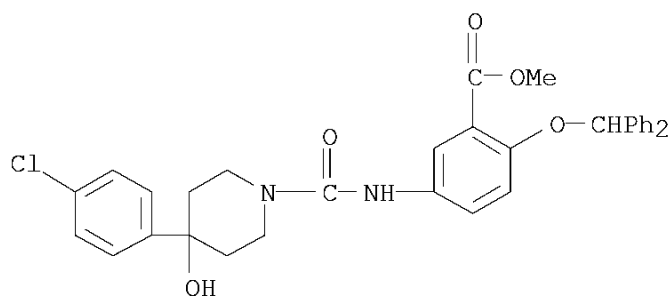
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 AU 2002332331 A1 20030414 AU 2002-332331 20020927
 EP 1437344 A1 20040714 EP 2002-768103 20020927
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
 JP 2004339061 A 20041202 JP 2002-282514 20020927
 US 20040259912 A1 20041223 US 2004-489621 20040312
 PRIORITY APPLN. INFO.: JP 2001-300564 A 20010928
 WO 2002-JP9995 W 20020927
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 138:304064
 GI



AB The title compds. I [R1, R4 and R6 are each independently hydrogen, halogeno, or hydrocarbyl; R2 is hydrocarbyl or a heterocyclic group; R3 is hydrocarbyl, etc.; R5 is hydrocarbyl or a heterocyclic group (except quinolyl) and R51 is hydrogen or hydrocarbyl, or R5 and R51 together with the nitrogen atom adjacent thereto may form a ring; and R52 is hydrogen or hydrocarbyl] are prepared I are useful for the treatment of pain, urinary incontinence, etc. In a tail flick test using mice, one compound of this invention showed a min. ED of 1 mg/kg.
 IT 508216-23-7P 508216-25-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of phenylurea derivs. as vanilloid receptor agonists)
 RN 508216-23-7 CAPLUS
 CN Benzoic acid, 2-(diphenylmethoxy)-5-[[4-(4-hydroxy-1-piperidinyl)carbonyl]amino]-, methyl ester (CA INDEX NAME)



RN 508216-25-9 CAPLUS
 CN Benzoic acid, 5-[[[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]carbonyl]amino]-2-(diphenylmethoxy)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(6 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 150 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:154246 CAPLUS
DOCUMENT NUMBER: 138:187764
TITLE: Preparation of 2-(azacycylcarbonylamino)thiazoles as
tyrosine kinase inhibitors
INVENTOR(S): Hartman, George D.; Tucker, Thomas J.; Sisko, John T.;
Smith, Anthony M.; Lumma, William C., Jr.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

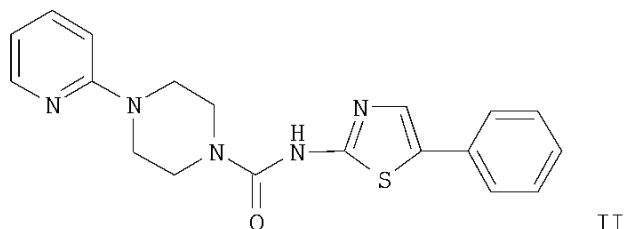
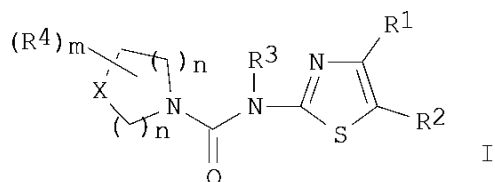
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003015778	A1	20030227	WO 2002-US27156	20020813
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002326758	A1	20030303	AU 2002-326758	20020813
US 20040192926	A1	20040930	US 2004-486574	20040211
US 7265134	B2	20070904		

PRIORITY APPLN. INFO.: US 2001-313234P P 20010817
WO 2002-US27156 W 20020813

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

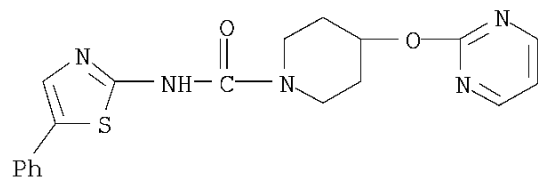
OTHER SOURCE(S): MARPAT 138:187764

GI



AB Title ureas I [wherein X = CH or NR3a; m = 1-6; n = independently 0-2; R1 = H, halo, alkyl, or alkoxy; R2 = (un)substituted aryl, CN, CONRaRb, halo, cycloalkyl, or C.tplbond.CRc; R3 = H, alkyl, SO2Rd, CORd, or CO2Rd; R3a = per the definition of R3 or substituted alkyl; R4 = H, alkylene-NR5R6, CO2H, CO2Rd, halo, OH, alkoxy, or (un)substituted alkyl; R5 and R6 = independently H, alkyl, SO2Rd, CO2Rd, CORd, alkylene-NRaRb, alkylene-CONRaRb, or (un)substituted alkylene-heterocyclyl or aryl; or NR5R6 = (un)substituted heterocyclyl; Ra and Rb = independently H, (cyclo)alkyl, Ph, CO2Rd, CORd, or SO2Rd; Rc = H, Ph, or alkyl; Rd = Ph or alkyl; or pharmaceutically acceptable salts or stereoisomers thereof] were prepared for the inhibition, regulation, and/or modulation tyrosine kinase signal transduction. For example, reaction of 2-[(4-nitrophenoxy)carbonyl]amino]-5-phenylthiazole with 4-(2-pyridyl)piperazine in the presence of DIEA in DMF at 60° for 1 h gave II. Tested I inhibited VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values between 0.01 - 5.0 μM. I are useful for the treatment of tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals (no data).

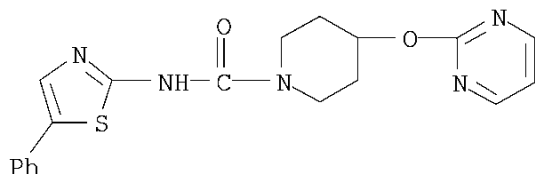
IT 499240-46-9P 499240-47-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (tyrosine kinase inhibitor; preparation of (azacycllylcarbonylamino)thiazole tyrosine kinase inhibitors as angiogenesis inhibitors)
 RN 499240-46-9 CAPLUS
 CN 1-Piperidinecarboxamide, N-(5-phenyl-2-thiazolyl)-4-(2-pyrimidinylloxy)- (CA INDEX NAME)



RN 499240-47-0 CAPLUS
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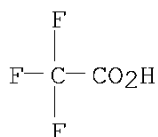
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REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2003:150534 CAPLUS

DOCUMENT NUMBER: 138:204946

TITLE: Preparation of N-ureidoalkylpiperidines as modulators of CCR3 chemokine receptor activity for the prevention of asthma and other allergic diseases

INVENTOR(S) : Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim,
Ui Tae; Wacker, Dean A.; Zheng, Changsheng

PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA

SOURCE: U.S., 126 pp., Cont.-in-part of U.S. Ser. No. 466,442.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 108

PATENT INFORMATION:

[illegible]

US 6525069	B1	20030225	US 2000-597400	20000621
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
CA 2413421	A1	20011227	CA 2001-2413421	20010620
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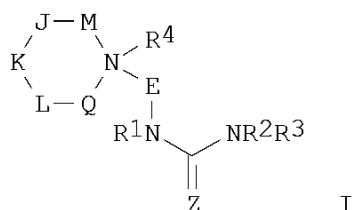
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US 6897234	B2	20050524		
US 20040002515	A1	20040101	US 2002-279416	20021024
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			US 1999-161221P	P 19991022
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WO 2001-US19752	W 20010620
US 2002-180869	A1 20020626
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 138:204946

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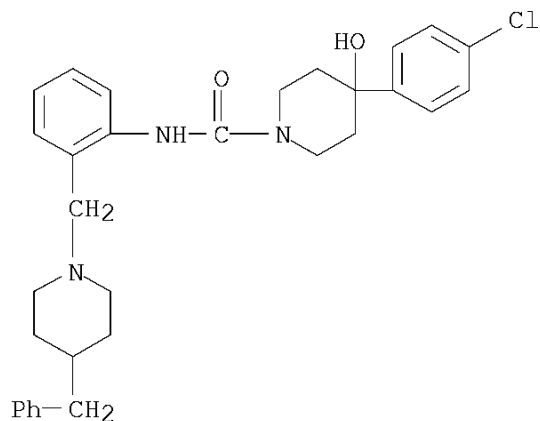


AB Title compds. [I; M, Q = CH₂, CHR₅, CHR₁₃, CR₁₃R₁₃, CR₅R₁₃; J, K, L = CH₂, CHR₅, CHR₆, CR₆R₆, CR₅R₆; ≥1 of J, K, L contains R₅; Z = O, S, NR_{1a}, CHCN, CHNO₂, C(CN)₂; R_{1a} = H, alkyl, cycloalkyl, CN, NO₂, etc.; E = (substituted) C₃-6 carbocyclyl, methylenecarbocyclyl, ethylenecarbocyclyl, etc.; R₁, R₂ = H, alkyl, alkenyl, alkynyl; R₃ = (substituted) alkyl, alkenyl, alkynyl; R₄ = null, N-oxide, alkyl, alkenyl, alkynyl, cycloalkylalkyl, etc.; R₅ = (substituted) alkylencarbocyclyl, alkyleneheterocyclyl; R₆ = alkyl, alkenyl, alkynyl, alkylcycloalkyl, perfluoroalkyl, hydroxyalkyl, mercaptoalkyl, aminoalkyl, CN, etc.; R₁₃ = alkyl, alkenyl, alkynyl, cycloalkyl, perfluoroalkyl, aminoalkyl, hydroxyalkyl, carboxyalkyl, mercaptoalkyl, acylaminoalkyl, (substituted) phenylalkyl, etc.], were prepared as CCR₃ modulators (no data). Thus, 4-benzyl-1-(3-aminopropyl)piperidine (preparation given) and 3-cyanophenyl isocyanate were stirred 30 min. in THF to give N-3-cyanophenyl-N'-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]urea. [This abstract record is one of 8 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-ureidoalkylpiperidines as modulators of chemokine receptor activity)

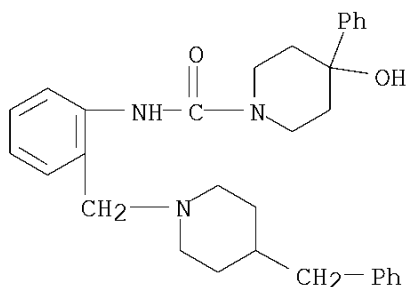
RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 152 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:728879 CAPLUS

DOCUMENT NUMBER: 137:263038

TITLE: Preparation of triazoles as pharmaceuticals for treatment of autoimmune disease and inflammation
INVENTOR(S): Tsuboi, Katsunori; Nakatsuka, Masashi; Kanai, Toshio; Fukuda, Nobuhisa

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 80 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

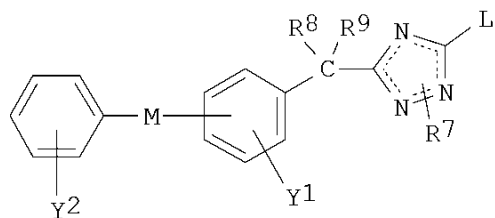
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002275165	A	20020925	JP 2001-300485	20010928
PRIORITY APPLN. INFO.:			JP 2001-4881	A 20010112
OTHER SOURCE(S):	MARPAT 137:263038			

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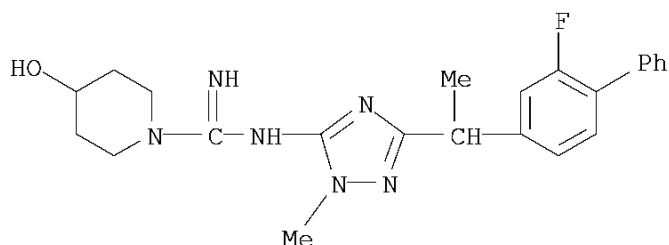
AB The compds. I [M = single bond, O, S, SO, SO₂, CQ, etc.; CQ = 1,3-dioxane ring, 1,3-dioxolane ring; Y1Y2 = H, halo, alkyl, haloalkyl, NO₂, cyano, etc.; 0-3 Y1 and Y2 exists resp.; R₈, R₉ = H, alkyl; R₈R₉ = hydrocarbon ring; R₇ = H, R₂₈, COR₂₈, SO₂R₂₈, CO₂R₂₈, etc.; R₇ is connected with N in triazole ring; R₂₈ = alkyl, alkenyl, alkynyl, aryl, etc.; L = N:C(NR₂R₃)NR₁R₄, NR₁C(:NR₄)NR₂R₃, NR₅R₆; R₁-R₄ = H, OH, NO₂, cyano, R₂₉, OR₂₉, COR₂₉, etc.; R₂₉ = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl; R₅, R₆ = H, OH, R₂₉, OR₂₉, COR₂₉, etc.] or their pharmaceutically acceptable salts are prepared 4,3-PhFC₆H₃CHMeCO₂Et (20 g) was treated with aminoguanidine hydrochloride in the presence of NaOMe in EtOH under reflux for 13 h to give 4.0 g 3-[1-(2-fluoro-1,1'-biphenyl-4-yl)ethyl]-1H-1,2,4-triazole-5-amine showing good inhibitory activity against adjuvant arthritis in rats.

IT 462637-62-3P 462639-10-7P 462639-80-1P,
N'-[5-[1-(2-Fluoro-1,1'-biphenyl-4-yl)ethyl]-1-methyl-1H-1,2,4-triazol-3-yl]-4-hydroxypiperidine-1-carboximidamide 462639-81-2P
462642-70-2P 462644-15-1P 462644-17-3P
462644-19-5P 462646-83-9P,
N'-[3-[1-(2-Fluoro-1,1'-biphenyl-4-yl)ethyl]-1H-1,2,4-triazol-5-yl]-4-methoxypiperidine-1-carboximidamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazoles as pharmaceuticals for treatment of autoimmune disease and inflammation)

RN 462637-62-3 CAPLUS

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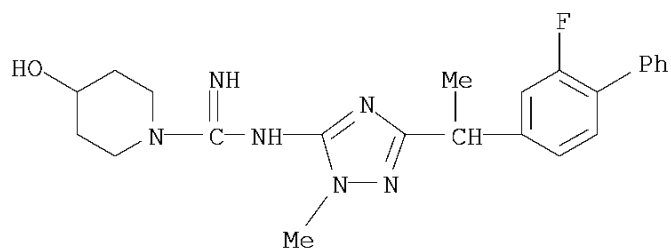
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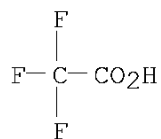
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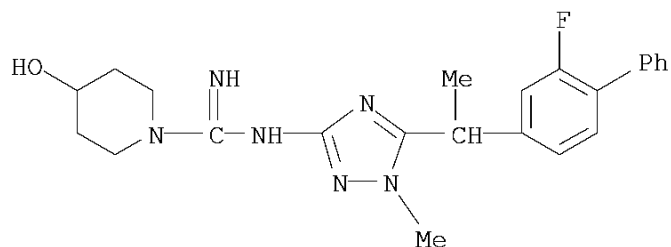
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RN 462639-80-1 CAPLUS

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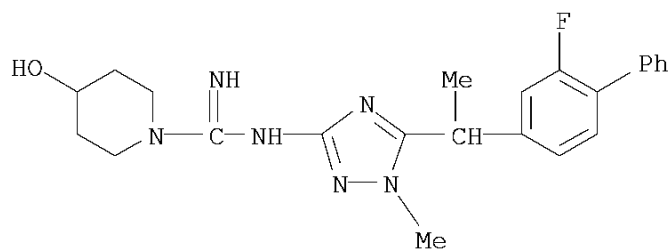
RN 462639-81-2 CAPLUS

CN 1-Piperidinecarboximidamide, N-[5-[1-(2-fluoro[1,1'-biphenyl]-4-yl)ethyl]-1-methyl-1H-1,2,4-triazol-3-yl]-4-hydroxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 462639-80-1

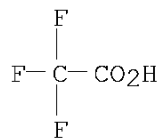
CMF C23 H27 F N6 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



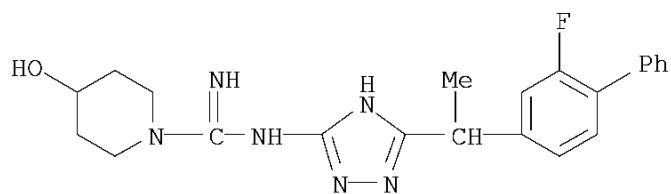
RN 462642-70-2 CAPLUS

CN 1-Piperidinecarboximidamide, N-[3-[1-(2-fluoro[1,1'-biphenyl]-4-yl)ethyl]-1H-1,2,4-triazol-5-yl]-4-hydroxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 462642-69-9

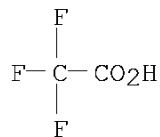
CMF C22 H25 F N6 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 462644-15-1 CAPLUS

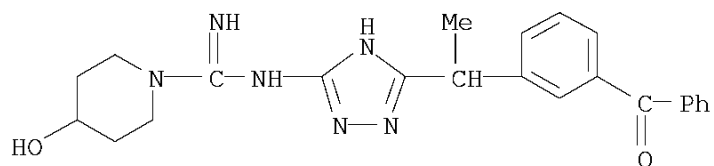
CN 1-Piperidinecarboximidamide, N-[3-[1-(3-benzoylphenyl)ethyl]-1H-1,2,4-

triazol-5-yl]-4-hydroxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 462644-14-0

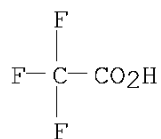
CMF C23 H26 N6 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



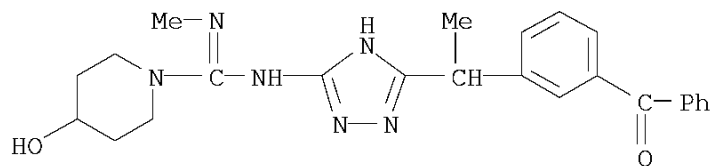
RN 462644-17-3 CAPLUS

CN 1-Piperidinecarboximidamide, N-[3-[1-(3-benzoylphenyl)ethyl]-1H-1,2,4-triazol-5-yl]-4-hydroxy-N'-methyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 462644-16-2

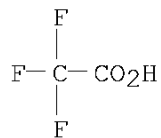
CMF C24 H28 N6 O2



CM 2

CRN 76-05-1

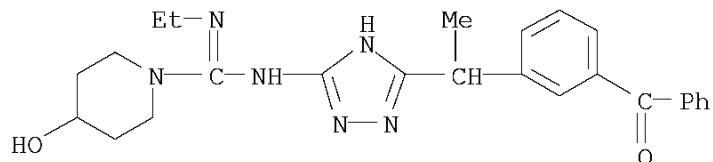
CMF C2 H F3 O2



RN 462644-19-5 CAPLUS
CN 1-Piperidinecarboximidamide, N-[3-[1-(3-benzoylphenyl)ethyl]-1H-1,2,4-triazol-5-yl]-N'-ethyl-4-hydroxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

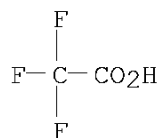
CM 1

CRN 462644-18-4
CMF C25 H30 N6 O2

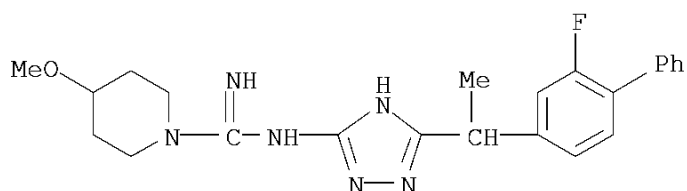


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 462646-83-9 CAPLUS
CN 1-Piperidinecarboximidamide, N-[3-[1-(2-fluoro[1,1'-biphenyl]-4-yl)ethyl]-1H-1,2,4-triazol-5-yl]-4-methoxy- (CA INDEX NAME)



L4 ANSWER 153 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:695940 CAPLUS

DOCUMENT NUMBER: 137:216688

TITLE: Preparation of substituted sulfonylalkylcarboxamides as selective pde3b inhibitors and use of the same in therapy

INVENTOR(S): Snyder, Peter B.; Beaton, Graham; Rueter, Jaimie K.; Fanning, Dewey L.; Warren, Stephen D.; Hadida-Ruah, Sara S.

PATENT ASSIGNEE(S): Icos Corporation, USA

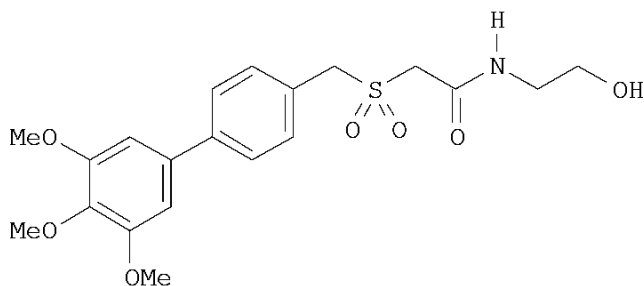
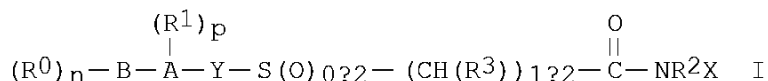
SOURCE: PCT Int. Appl., 220 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070469	A2	20020912	WO 2002-US5624	20020226
WO 2002070469	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002247208	A1	20020919	AU 2002-247208	20020226
PRIORITY APPLN. INFO.:			US 2001-273497P	P 20010305
			WO 2002-US5624	W 20020226
OTHER SOURCE(S):		MARPAT 137:216688		
GI				



II

AB Title compds. I [A = (un)substituted aryl or heteroaryl; B = (un)substituted aryl or heteroaryl which may optionally be a fused bicyclic or polycyclic aromatic ring system; Y = CHR⁴, CH₂CHR⁴, CHR⁴CH₂, NR^c, CO(CH₂)₁₋₂S(CH₂)₀₋₂, O(CH₂)₀₋₄, NR^cCO(CH₂)₀₋₂, and SO₂NHR^a(CH₂)₀₋₂; X = H, OH, alkoxy, cycloalkyl, CH(R^c)CH₂OH, NR^aR^b, bond between NR² and an atom of ring A or B, etc.; R⁰ = halo, alkyl, alkenyl, haloalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.; R¹ = alkyl or halo; R² = H, alkyl, aryl, heteroaryl, alkylenearyl, etc.; alternatively R² and X may together form an (un)substituted heterocycle; R³ and R⁴ independently = H, alkyl, aryl, heteroaryl, halo; R^a and R^b independently = H, alkyl, aryl, arylalkyl, etc.; or R^a and R^b together form a (un)substituted 5-6 membered ring optionally containing a heteroatom; R^c = H, aryl, heteroaryl, alkyl, cycloalkyl, etc.], and their pharmaceutically acceptable salts and solvates thereof, are prepared and disclosed as selective PDE3B inhibitors. Thus, II was prepared via Suzuki coupling of 3,4,5-trimethoxyboronic acid with 4-bromophenylmethanesulfonyl-N-hydroxyethyl acetamide. In vitro

assays against phosphodiesterase 3b indicated compds. of the invention possess IC50 values in the range of 0.01-8.5 μ M.

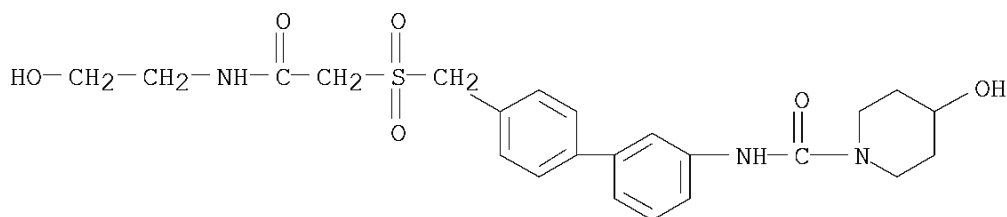
IT 1106059-69-1

RL: PRPH (Prophetic)

(Preparation of substituted sulfonylalkylcarboxamides as selective pde3b inhibitors and use of the same in therapy)

RN 1106059-69-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4'-[[[2-[(2-hydroxyethyl)amino]-2-oxoethyl]sulfonyl]methyl][1,1'-biphenyl]-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 154 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:504757 CAPLUS

DOCUMENT NUMBER: 137:78855

TITLE: Preparation of carbazoles as neuropeptide Y5 receptor ligands

INVENTOR(S): Block, Michael Howard; Foote, Kevin Michael; Donald, Craig Samuel; Schofield, Paul

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

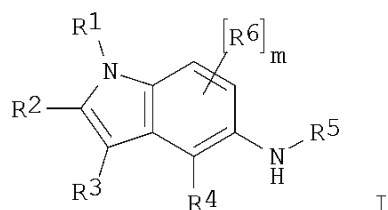
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051806	A1	20020704	WO 2001-GB5577	20011217
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2432008	A1	20020704	CA 2001-2432008	20011217
AU 2002217269	A1	20020708	AU 2002-217269	20011217
BR 2001016388	A	20030930	BR 2001-16388	20011217
EP 1358157	A1	20031105	EP 2001-272068	20011217
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004520324	T	20040708	JP 2002-552903	20011217
CN 1531527	A	20040922	CN 2001-822825	20011217
NZ 526623	A	20041126	NZ 2001-526623	20011217

ZA 2003004764	A	20040920	ZA 2003-4764	20030619
NO 2003002842	A	20030818	NO 2003-2842	20030620
MX 2003005648	A	20031006	MX 2003-5648	20030620
US 20040067999	A1	20040408	US 2003-450928	20031010
PRIORITY APPLN. INFO.:			GB 2000-31382	A 20001222
			GB 2001-21919	A 20010911
			WO 2001-GB5577	W 20011217

OTHER SOURCE(S): MARPAT 137:78855

GI



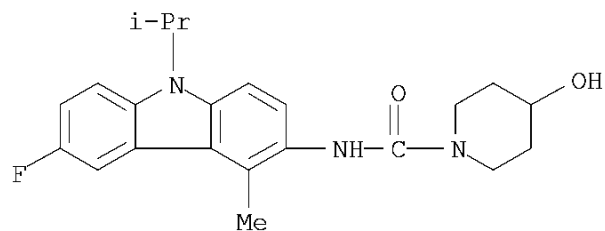
AB The title compds. [I; R1 = alkyl, alkanoyl, alkylsulfonyl, etc.; R2, R3 = Me; or R2 and R3 together = (un)substituted (CH₂)₄ or (CH)₄; R4 = alkyl; R5 = CONR₉R₁₀, COR₉, COCOR₉; R6 = halo, CN, OH, etc.; R₉, R₁₀ = H, alkyl, alkoxy, etc.; or NR₉R₁₀ = (un)substituted heterocyclic ring; m = 0-2], useful as NPY 5 inhibitors in treating eating disorders, were prepared and formulated. Thus, amidation of 4-morpholinecarbonyl chloride with 3-amino-2,4-dimethyl-9-isopropyl-9H-carbazole in the presence of Et₃N in DCM afforded I [R1 = iso-Pr; R2 and R3 together = (CH)₄; R4 = Me; R5 = morpholinocarbonyl; R6 = 2-Me; m = 1]. In general, compds. I possess an IC₅₀ in the range 0.0002 to 200 μM against NPY₅.

IT 439861-94-6P 439862-12-1P 439863-74-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carbazoles as neuropeptide Y₅ receptor ligands)

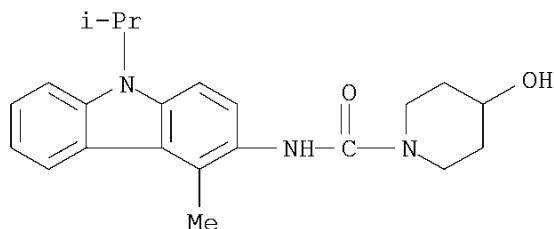
RN 439861-94-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[6-fluoro-4-methyl-9-(1-methylethyl)-9H-carbazol-3-yl]-4-hydroxy- (CA INDEX NAME)

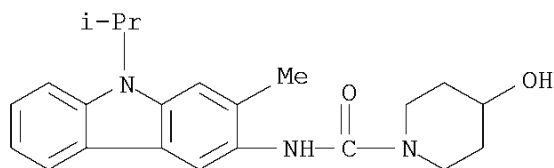


RN 439862-12-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methyl-9-(1-methylethyl)-9H-carbazol-3-yl]- (CA INDEX NAME)

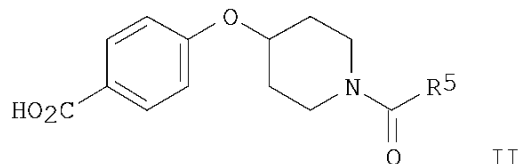
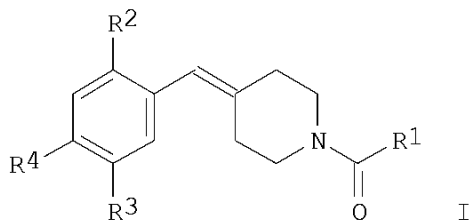


RN 439863-74-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-hydroxy-N-[2-methyl-9-(1-methylethyl)-9H-carbazol-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 155 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2002:488375 CAPLUS
 DOCUMENT NUMBER: 137:216843
 TITLE: Synthesis and Evaluation of 2'-Substituted 4-(4'-Carboxy- or 4'-carboxymethylbenzylidene)-N-acylpiperidines: Highly Potent and in Vivo Active Steroid 5 α -Reductase Type 2 Inhibitors
 AUTHOR(S): Picard, Franck; Barassin, Stephan; Mokhtarian, Armand; Hartmann, Rolf W.
 CORPORATE SOURCE: Pharmaceutical and Medicinal Chemistry, Saarland University, Saarbruecken, D-66041, Germany
 SOURCE: Journal of Medicinal Chemistry (2002), 45(16), 3406-3417
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 137:216843
 GI



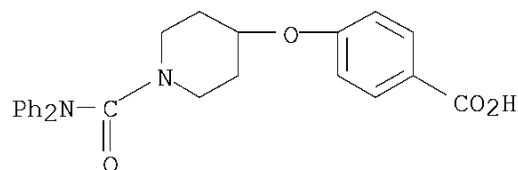
AB Sixteen N-acylpiperidines I (R1 = Ph2CH, Ph2CHCH2, dicyclohexylmethyl, 1-adamantyl; R2 = H, F, MeO; R3 = H, HO2C; R4 = H, HO2C, HO2CCH2) and II (R5 = Ph2CH, Ph2N, Me3CO, 1-adamantyl), bearing carboxylic acid moieties, were synthesized and evaluated for inhibition of rat and human steroid 5 α -reductase isoenzymes types 1 and 2. In the dicyclohexylacetyl series (R1 = dicyclohexylmethyl), fluorination in the 2-position of the benzene nucleus, exchange of the carboxy group by a carboxymethyl moiety, and combination of both structural modifications led to highly active inhibitors of the human type 2 isoenzyme [IC50 values: I [R2 = F, R3 = H, R4 = HO2C; (III)], 11 nM; I (R2 = R3 = H, R4 = HO2CCH2), 6 nM; I (R2 = F, R3 = H, R4 = HO2CCH2), 7 nM; finasteride, 5 nM]. In vivo all compds. tested markedly reduced the prostate wts. in castrated testosterone-treated rats. Oral activity was shown for compound I (R1 = dicyclohexylmethyl, R2 = R3 = H, R4 = HO2C). From the finding that III is active in the rat, although it is a rather poor inhibitor of the rat enzyme and is a strong inhibitor of the human enzyme, it is concluded that it should be highly potent in men.

IT 455323-75-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of steroid 5 α -reductase inhibiting acylpiperidines)

RN 455323-75-8 CAPLUS

CN Benzoic acid, 4-[[1-[(diphenylamino)carbonyl]-4-piperidinyl]oxy]- (CA INDEX NAME)



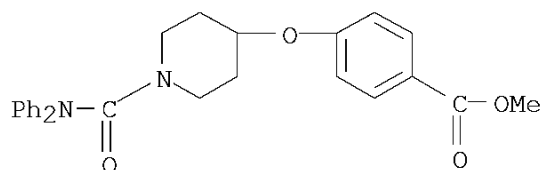
IT 455323-70-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of steroid 5 α -reductase inhibiting acylpiperidines via N-acylation of phenoxy piperidines)

RN 455323-70-3 CAPLUS

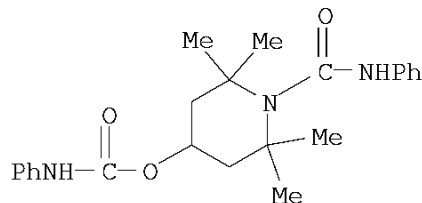
CN Benzoic acid, 4-[[1-[(diphenylamino)carbonyl]-4-piperidinyl]oxy]-, methyl

ester (CA INDEX NAME)

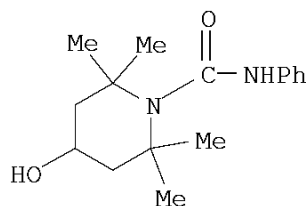


OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 156 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:319364 CAPLUS
DOCUMENT NUMBER: 137:125070
TITLE: Study of the reactions of 2,2,6,6-tetramethyl-4-piperidinol with aromatic mono- and diisocyanates
AUTHOR(S): Bolcu, Constantin; Seiman, Corina
CORPORATE SOURCE: Facultatea de Chimie-Biologie-Geografie, Universitatea de Vest Timisoara, Timisoara, 1900, Rom.
SOURCE: Revista de Chimie (Bucharest, Romania) (2002), 53(2), 150-156
CODEN: RCBUAU; ISSN: 0034-7752
PUBLISHER: SYSCOM 18 SRL
DOCUMENT TYPE: Journal
LANGUAGE: Romanian
OTHER SOURCE(S): CASREACT 137:125070
AB The reactions of bifunctional photostabilizer 2,2,6,6-tetramethyl-4-piperidinol with Ph isocyanate, diphenylmethane 4,4'-diisocyanate, and toluene 2,4-diisocyanate were studied. Urethanes and allophanates are among possible products, which were analyzed by IR and UV-Vis spectroscopies, inverse phase HPLC, and thermal anal. The study of these reactions is useful in order to clear up some aspects concerning the way in which photostabilizers of this type bind with polyurethane mols. during the reactive photostabilization of the latter.
IT 444200-95-7P 444200-96-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of tetramethylpiperidinol with aromatic mono- and diisocyanates)
RN 444200-95-7 CAPLUS
CN 1-Piperidinecarboxamide, 2,2,6,6-tetramethyl-N-phenyl-4-[[[(phenylamino)carbonyl]oxy]- (CA INDEX NAME)



RN 444200-96-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-2,2,6,6-tetramethyl-N-phenyl- (CA INDEX NAME)



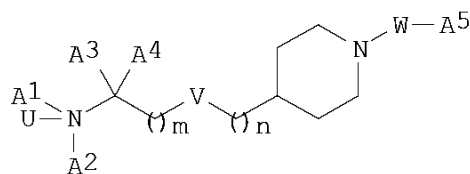
L4 ANSWER 157 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2002:185080 CAPLUS
 DOCUMENT NUMBER: 136:247497
 TITLE: Synthesis of piperidine derivatives as inhibitors of
 2,3-oxidosqualene-lanosterol cyclase (OSC)
 INVENTOR(S): Ackermann, Jean; Aebi, Johannes; Chucholowski,
 Alexander; Dehmlow, Henrietta; Morand, Olivier;
 Wallabaum, Sabine; Weller, Thomas
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
 SOURCE: PCT Int. Appl., 81 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020483	A1	20020314	WO 2001-EP9941	20010829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20020068753	A1	20020606	US 2001-939872	20010827
US 6964974	B2	20051115		
CA 2419588	A1	20020314	CA 2001-2419588	20010829
CA 2419588	C	20090922		
AU 2001085912	A	20020322	AU 2001-85912	20010829
EP 1317432	A1	20030611	EP 2001-965225	20010829
EP 1317432	B1	20080116		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013752	A	20030729	BR 2001-13752	20010829
JP 2004508354	T	20040318	JP 2002-525105	20010829
CN 1231466	C	20051214	CN 2001-816941	20010829
AT 384047	T	20080215	AT 2001-965225	20010829
ES 2298253	T3	20080516	ES 2001-965225	20010829
ZA 2003001818	A	20040621	ZA 2003-1818	20030305
MX 2003002034	A	20030724	MX 2003-2034	20030307
PRIORITY APPLN. INFO.:			EP 2000-119677	A 20000908
			WO 2001-EP9941	W 20010829

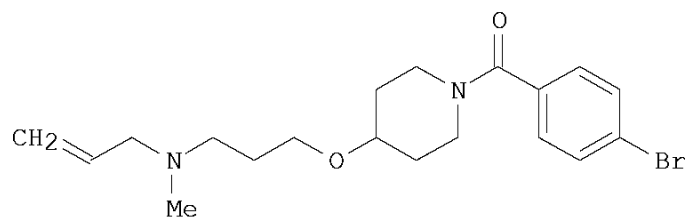
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:247497

GI



I



II

AB Title compds. I [U = O, lone pair; V = O, CH₂, CH=CH, C.tplbond.C; m, n = 0-7 and m + n = 0-7; W = CO, COO, CONR₁, CSO, CSNR₁, SO₂, or SO₂NR₁, with the proviso that : (a) V is not CH₂ if W is CO, (b) m+n is 1 to 2 if V = CH₂ and W = SO₂, (c) m = n = 0 if V is CH=CH and W = CO or SO₂, (d) m = 1-7 if V = O, (e) n = 1-6 or m+n = 1-3 if V = O and W is CO or SO₂; A₁ = H, alk(en)yl; A₂ = cycloalkyl, alkenyl, alkynyl; A₃₋₄ = H, alkyl; or A₁₋₂ or A₁ and A₃ are bonded to each other to form a ring; A₅ = alk(en)yl, cycloalkyl, (hetero); R₁ = H, alkyl] were prepared For instance, 1-Boc-4-hydroxymethylpiperidine was alkylated with the O-trifluoromethanesulfonate ester of 3-bromo-1-propanol. This intermediate was deprotected (4N HCl, dioxane), acylated 4-bromobenzoyl chloride (CH₂Cl₂, i-PrNEt₂) and reacted with allyl Me amine (acetone, K₂CO₃) to yield example compound [4-[3-(N-Allyl-N-methylamino)propoxy]piperidin-1-yl] (4-bromophenyl)methanone (II) isolated as the fumarate salt. Compds. I inhibit 2,3-oxidosqualene-lanosterol cyclase (OSC) and are useful in the treatment of hypercholesterolemia, hyperlipemia, arteriosclerosis, etc.

IT 403799-26-8P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(4-fluoro-3-trifluoromethylphenyl)amide
403799-29-1P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (2,4-difluorophenyl)amide 403799-31-5P,
4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (2,4-dimethoxyphenyl)amide 403799-33-7P,
4-[6-(N-Allyl-N-methylamino)-hexyloxy]piperidine-1-carboxylic acid N-(4-fluorophenyl)amide 403799-35-9P,
4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(4-methoxyphenyl)amide 403799-37-1P,
4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(p-tolyl)amide 403799-39-3P,
4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (4-methoxy-2-methylphenyl)amide 403799-41-7P,
4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (2,4-dimethylphenyl)amide 403799-42-8P,
4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (3,4,5-trimethoxyphenyl)amide 403799-44-0P,
4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (3,4-dimethylphenyl)amide 403799-46-2P,
4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(4-acetylphenyl)amide 403799-48-4P,
4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(4-butylphenyl)amide 403799-50-8P,
4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (4-methylsulfanylphenyl)amide 403799-53-1P,

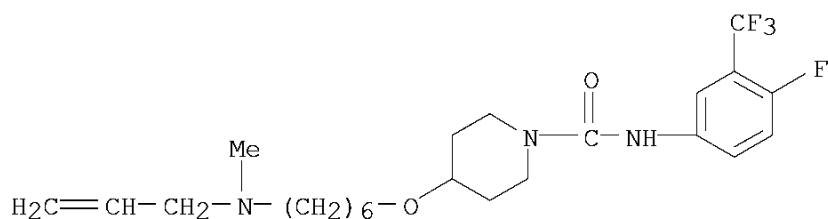
4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid
 N-(4-isopropylphenyl) amide 403799-55-3P,
 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid
 (3,4-dichlorophenyl) amide 403799-57-5P,
 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid
 N-(4-bromophenyl) amide 403799-59-7P,
 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid
 N-(naphthalen-2-yl) amide 403799-62-2P,
 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid
 N-(naphthalen-1-yl) amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug; synthesis of piperidine derivs. as inhibitors of
 2,3-oxidosqualene-lanosterol cyclase (OSC))

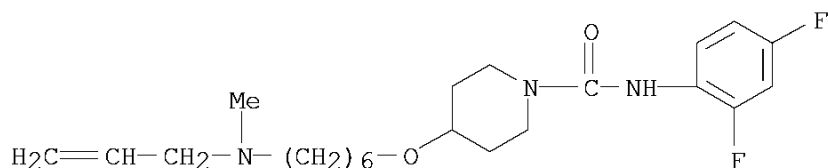
RN 403799-26-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



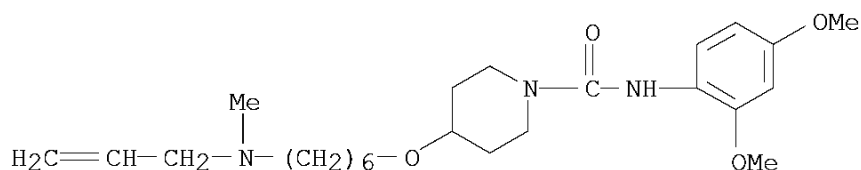
RN 403799-29-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,4-difluorophenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



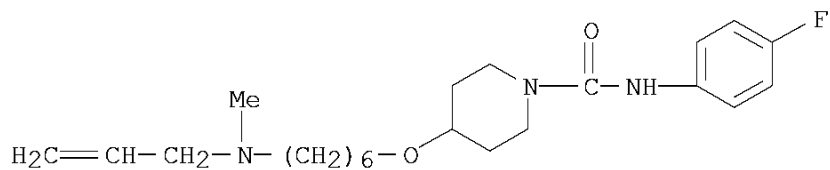
RN 403799-31-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,4-dimethoxyphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



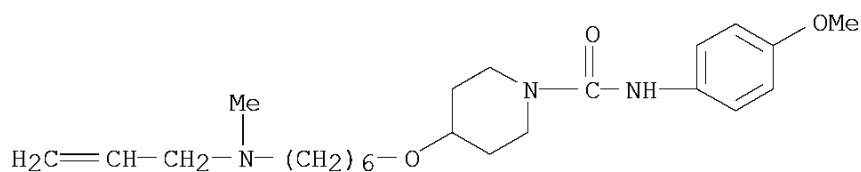
RN 403799-33-7 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-fluorophenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



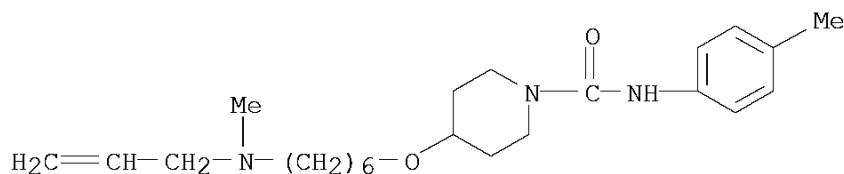
RN 403799-35-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-methoxyphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



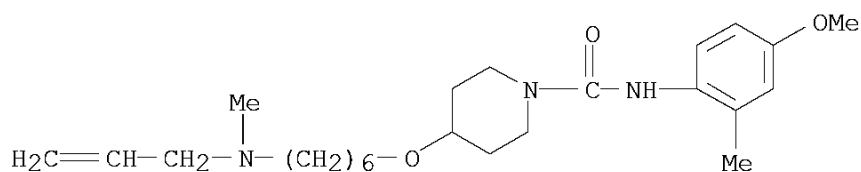
RN 403799-37-1 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-methylphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



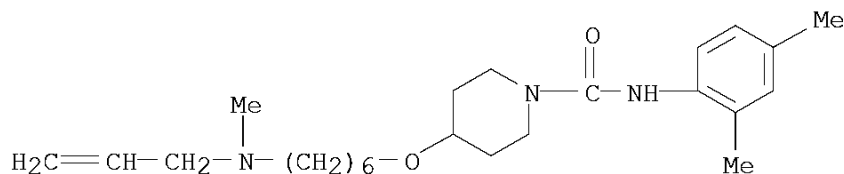
RN 403799-39-3 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-methoxy-2-methylphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



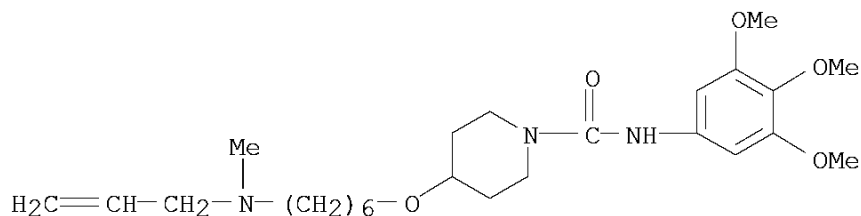
RN 403799-41-7 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,4-dimethylphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



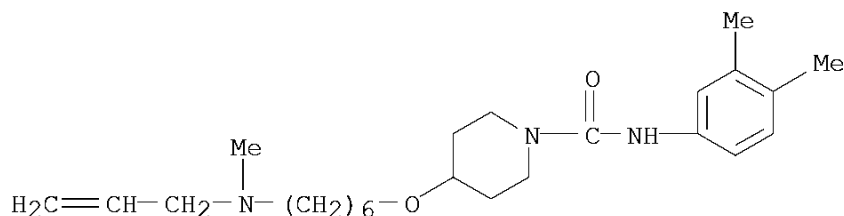
RN 403799-42-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]-N-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



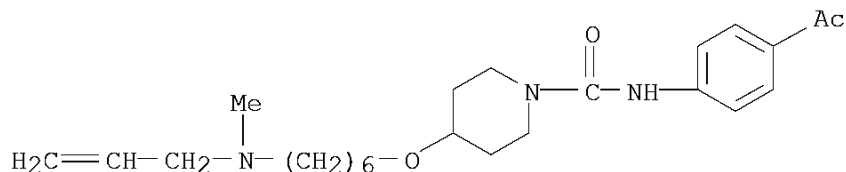
RN 403799-44-0 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,4-dimethylphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



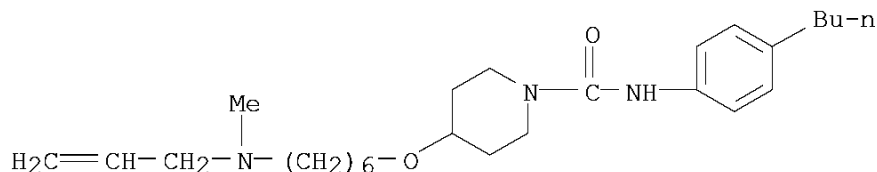
RN 403799-46-2 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-acetylphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



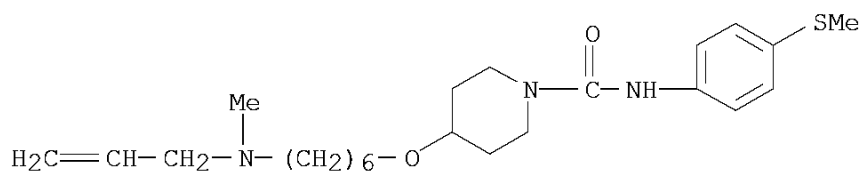
RN 403799-48-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-butylphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



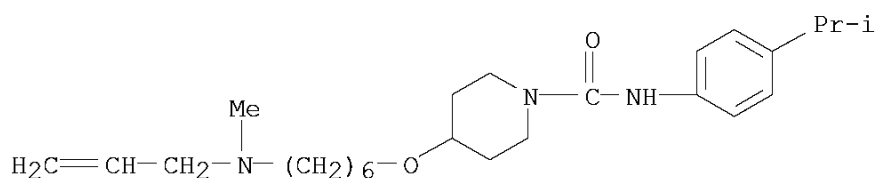
RN 403799-50-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]-N-[4-(methylthio)phenyl]- (CA INDEX NAME)



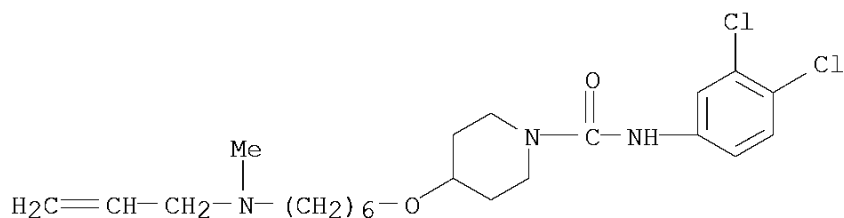
RN 403799-53-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-(1-methylethyl)phenyl]-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



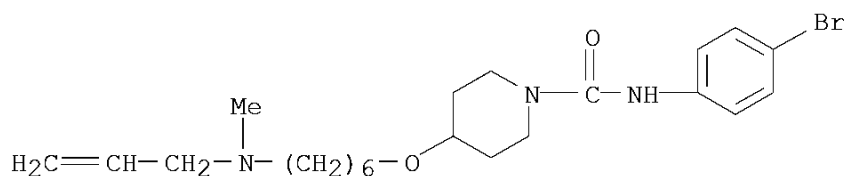
RN 403799-55-3 CAPLUS

CN 1-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



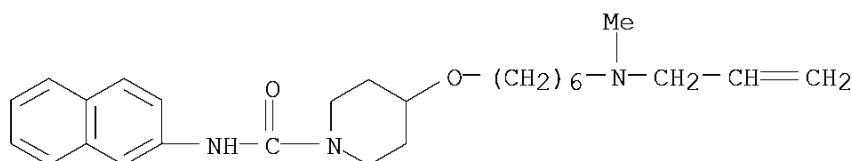
RN 403799-57-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(4-bromophenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)

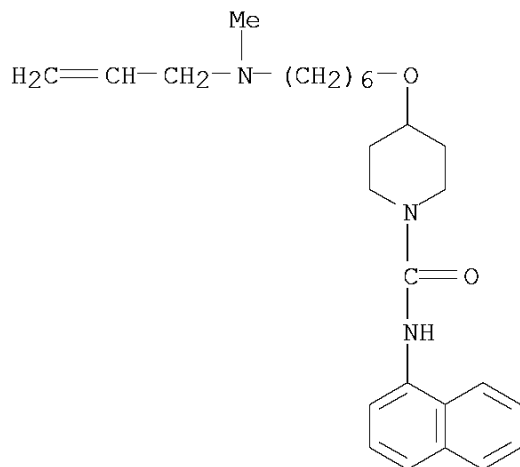


RN 403799-59-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]-N-2-naphthalenyl- (CA INDEX NAME)



RN 403799-62-2 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]-N-1-naphthalenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
 REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 158 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2002:72044 CAPLUS
 DOCUMENT NUMBER: 136:134675
 TITLE: Preparation of heterocyclic amino alcohol beta-3 adrenergic receptor agonists
 INVENTOR(S): Ashwell, Mark Anthony; Solvibile, William Ronald; Quagliato, Dominick Anthony; Molinari, Albert John
 PATENT ASSIGNEE(S): American Home Products Corporation, USA
 SOURCE: PCT Int. Appl., 208 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006229	A2	20020124	WO 2001-US22327	20010716
WO 2002006229	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20020028832	A1	20020307	US 2001-903841	20010712
US 6451814	B2	20020917		
US 20030018045	A1	20030123	US 2002-189312	20020702
US 6605618	B2	20030812		

PRIORITY APPLN. INFO.:

US 2000-218628P

P 20000717

US 2001-903841

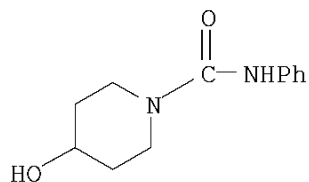
A1 20010712

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB This invention provides A-U-CH(OH)CH₂NHCH₂CH₂VC₆H₄WZ-p (1; Z = (1-Y-X-substituted piperidin-4-yl)) or a pharmaceutically acceptable salt thereof, which are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension and frequent urination; and are particularly useful in the treatment or inhibition of type II diabetes. β 3-Adrenergic receptor EC₅₀ and maximal response (IA; % activity compound/% activity isoproterenol) values are reported for .apprx.100 example compds., e.g. 0.032 μ M and 1.04 for 4-[4-[2-[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propylamino]ethyl]phenylamino]piperidine-1-carboxylic acid 2,6-difluorobenzylamide. In 1, A is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, N, and S, substituted with (R1)m; (b) a Ph ring substituted with (R1)m; (c) a naphthyl ring substituted with (R1)m; or (d) a Ph fused heterocycle selected from (R1)m-substituted 1,3-dihydro-2-oxo-2H-benzimidazol-4-yl, 1,3-benzodioxol-5-yl, 1,2,3,4-tetrahydro-2-oxoquinolin-5-yl, 1,2,3,4-tetrahydro-1-naphthylideneamino. U is -OCH₂- or a bond; V is O or a bond; W is O, S(O)a, NR₂, NC(O)R₂; X = SO₂, C(O), -(CH₂)_b, a bond, Ar; Y is -NR₃R₄, Het, Ar, alkyl of 1-8 C atoms, O(CH₂)dR₅. R₁ is alkyl of 1-8 C atoms, -OR₆, halogen, cyano, cycloalkyl of 3-8 C atoms, trifluoromethyl, CO₂R₆, -NR₆R₇, -C(O)NR₆R₇, -NHC(O)R₆, -NR₆C(O)NR₈R₈, -NHSO₂R₈, -S(O)aR₆, -NO₂, -O(CH₂)eCO₂R₇, -OC(O)NR₆R₇, -O(CH₂)fOR₆, or a 5-6 membered heterocyclic ring containing 1 to 4 heteroatoms selected from O, S, and N. R₂ is H, alkyl of 1-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R₃ and R₄ are each, independently, H, alkyl of 1-8 C atoms, cycloalkyl of 3-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl group, -(CH₂)gR₉, -(CH₂)hCOR₉, -(CH₂)jCR₁₀R₁₁(CH₂)jR₉, or -(CH₂)kCONR₁₂R₁₃; or R₃ and R₄ may be taken together together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S, and said heterocycle may optionally be substituted with R₁₄. R₅ is H; alkyl of 1-8 C atoms optionally substituted by 1-3 substituents selected from hydroxy, halogen and aryl; cycloalkyl of 1-8 C atoms; Ar or Het; R₆, R₇, and R₈ are each, independently, H, or alkyl of 1-8 C atoms, or aryl of 6-10 C atoms, cycloalkyl of 3-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R₉ is H; alkyl optionally substituted with 1-3 substituents selected from hydroxy, halogen, and aryl; cycloalkyl of 3-8 C atoms; Ar, or Het; R₁₀ and R₁₁ are each, independently, H, alkyl, or aryl optionally substituted with alkyl of 1-8 C atoms or halogen; or R₁₀ and R₁₁ are taken together to form a spiro fused cycloalkyl ring of 3-8 C atoms. R₁₂ and R₁₃ are each, independently, H, alkyl of 1-8 C atoms, aryl optionally substituted with alkyl of 1-8 C atoms or halogen; or R₁₂ and R₁₃ are taken together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S, and said heterocycle may optionally be substituted with R₁₄; R₁₄ is CO₂R₁₅ or aryl optionally substituted with a 1-3 substituents selected from -OR₁₅ and cycloalkyloxy of 3-8 C atoms; R₁₅ is alkyl of 1-8 C atoms or arylalkyl having 1-8 C atoms in the alkyl moiety. Ar is an aromatic ring system containing 1-2 carbocyclic aromatic rings having 6-10 C atoms optionally mono, di, or trisubstituted with R₁₆; Het is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, S, and N which may be optionally mono- or disubstituted with R₁₆; or (b) a heterocyclic ring system optionally mono- or disubstituted by R₁₆ containing a 5-6 membered heterocyclic ring fused to one or two carbocyclic or heterocyclic rings such that the heterocyclic ring system contains 1-4 heteroatoms selected from O, S, and N; R₁₆ is aryl, halogen, alkyl of 1-8

C atoms, -OR17, cycloalkyl of 3-8 C atoms, trifluoromethyl, cyano, -CO2R17, -CONR17R18, -SO2NR17R18, -NR17OR18, -NR19CONR17R18, -NR17R18, -NR17COR18, -NO2, -O(CH2)pCO2R17, -OCONR17R18, -S(O)nR17, -O(CH2)qOR17, or a 5-6 membered heterocyclic ring containing 1-4 heteroatoms selected from O, S and N. R17, R18, and R19 are each, independently, H, alkyl of 1-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl moiety, or aryl optionally mono, di, or trisubstituted with halogen, cyano, nitro, hydroxy, alkyl of 1-8 C atoms, or alkoxy of 1-8 C atoms; or when R17 and R18 are contained on a common N, R17 and R18 may be taken together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S. A = 0-2; b = 1-6; d = 0-3; e = 1-6; f = 1-6; g = 0-6; h = 0-6; j = 0-6; k = 0-6; m = 0-2; p = 1-6; q = 1-6. Methods of preparation are claimed, comprising (a) reacting AOCH2-substituted oxirane or a protected form thereof in which a reactive substituent group is protected, with H2NCH2CH2VC6H4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 (U = -OCH2-). (b) reacting A-substituted oxirane or a protected form thereof in which any reactive substituent group is protected, with H2NCH2CH2VC6H4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U represents a bond;. (c) reacting ACH(OPr)CH2I, wherein Pr is a protecting group, with H2NCH2CH2VC6H4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U = -OCH2-. (d) reacting ACH(OH)CH2NH2 or a protected form thereof in which any reactive substituent group is protected, with HO2CCH2VC6H4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U = -OCH2-. (e) removing any protecting group from 1 in which at least one substituent carries a protecting group to give 1; or (f) converting a basic compound 1 to a salt thereof by reaction with a pharmaceutically acceptable acid; or (g) converting 1 having one or more reactive substituent groups to a different 1; or (h) isolating an isomer of 1 from a mixture thereof. More than 100 example preps. are included.

IT 392628-39-6P, 4-Hydroxy-N-phenyl-1-piperidinecarboxamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of heterocyclic amino alc. beta-3 adrenergic receptor agonists)
 RN 392628-39-6 CAPLUS
 CN 1-Piperidinecarboxamide, 4-hydroxy-N-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 159 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2002:71877 CAPLUS
 DOCUMENT NUMBER: 136:134783
 TITLE: Preparation of piperazine(or piperidine)-1-carboxamides as CCR5 modulators

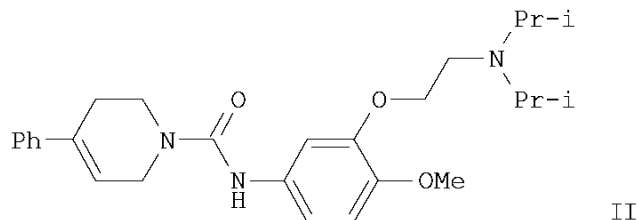
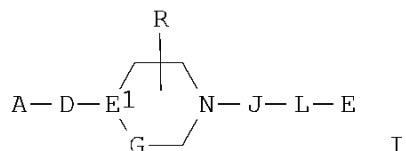
INVENTOR(S): Bondinell, William E.; Neeb, Michael J.
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 79 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005819	A1	20020124	WO 2001-US22529	20010713
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 2001080599 A 20020130 AU 2001-80599 20010713 EP 1313477 A1 20030528 EP 2001-958995 20010713 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 20040038982 A1 20040226 US 2003-343880 20030205 PRIORITY APPLN. INFO.: US 2000-218509P P 20000715 WO 2001-US22529 W 20010713				

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:134783

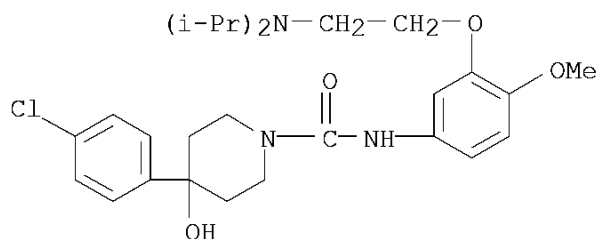
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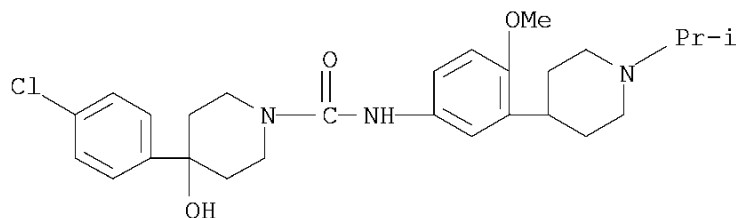
AB The title compds. [I; the basic N atom in moiety E may be optionally quaternized with alkyl or optionally present as the N-oxide; A = (un)substituted (hetero)aryl or (hetero)aryl fused to a saturated or partly unsatd. 5-7 membered ring; D = a bond, CO, SO₂, etc.; E1G = NC(R₂₆)₂, NC(R₂₆)₂C(R₂₆)₂, CR₂₇C(R₂₆)₂, C:CR₂₆; R₂₆ = H, alkyl; R₂₇ = H, CN, NO₂, etc.; R = H, alkyl, O; J = CO, SO₂; L = NR₃₀, O, C(R₃₀)₂; R₃₀ = H, alkyl; E = 3-(2-diisopropylamino)ethoxy-4-methoxyphenyl, etc.] which are

modulators, agonists or antagonists, of the CCR5 receptor, and therefore are useful in the treatment and prevention of disease states mediated by CCR5, including, but not limited to, asthma and atopic disorders (for example, atopic dermatitis and allergies), rheumatoid arthritis, sarcoidosis, or idiopathic pulmonary fibrosis and other fibrotic diseases, atherosclerosis, psoriasis, autoimmune diseases such as multiple sclerosis, treating and/or preventing rejection of transplanted organs, and inflammatory bowel disease, were prepared. Thus, treating 4-phenyl-1,2,3,6-tetrahydropyridine.HCl with triphosgene in the presence of Et3N in CH2Cl2 followed by addition of 3-(2-diisopropylamino)ethoxy-4-methoxyaniline afforded II. The compds. I showed CCR5 receptor modulator activity having IC50 values in the range of 0.0001-100 μ M. Furthermore, since CD8+ T cells have been implicated in COPD, CCR5 may play a role in their recruitment and therefore antagonists to CCR5 could provide potential therapeutic in the treatment of COPD. Also, since CCR5 is a co-receptor for the entry of HIV into cells, selective receptor modulators may be useful in the treatment of HIV infection.

IT 391881-92-8P 391882-01-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperazine(or piperidine)-1-carboxamides as CCR5 modulators)
 RN 391881-92-8 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-4-(4-chlorophenyl)-4-hydroxy- (CA INDEX NAME)



RN 391882-01-2 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[4-methoxy-3-[1-(1-methylethyl)-4-piperidinyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 160 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2001:935575 CAPLUS
 DOCUMENT NUMBER: 136:69739
 TITLE: Preparation of piperidinoalkylureas as chemokine

receptor modulators

INVENTOR(S) : Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Wacker, Dean A.; Zheng, Changsheng

PATENT ASSIGNEE(S) : Dupont Pharmaceuticals Company, USA

SOURCE : PCT Int. Appl., 333 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 108

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098270	A2	20011227	WO 2001-US19752	20010620
WO 2001098270	A3	20020530		
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 PRIORITY APPLN. INFO.:
 US 2000-213208P P 20000621
 US 2000-597400 A 20000621
 US 1998-112717P P 19981218
 US 1999-161221P P 19991022
 US 1999-466442 A2 19991217
 WO 2001-US19752 W 20010620

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:69739

AB The title compds. were prepared as chemokine receptor modulators (no data). Thus, PhCH₂Z(CH₂)₃NHR (Z = piperidine-4,1-diyl) (I; R = H) (preparation given) was amidated by 3-(NC)C₆H₄NCO to give I [R = CONHC₆H₄(CN)-3]. [This abstract record is one of 9 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

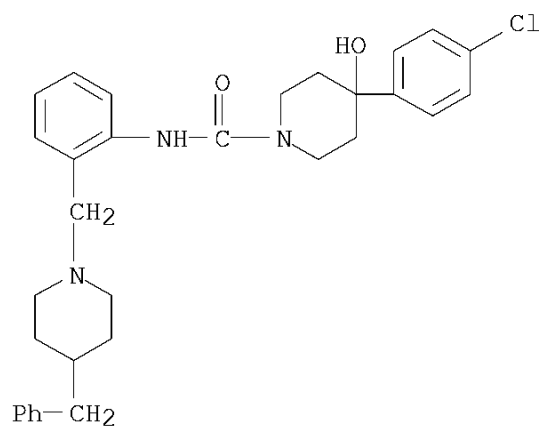
IT 275810-67-8P 275810-68-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinoalkylureas as chemokine receptor modulators)

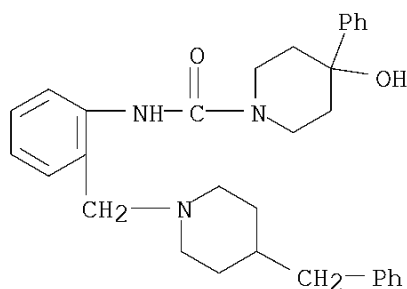
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CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 30 THERE ARE 30 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 161 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:935574 CAPLUS

DOCUMENT NUMBER: 136:69738

TITLE: Preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity.

INVENTOR(S) : Ko, Soo S.; Delucca, George V.; Duncia, John V.;
 Santella, Joseph B.; Wacker, Dean A.; Yao, Wenqing
 PATENT ASSIGNEE(S) : Dupont Pharmaceuticals Company, USA; Bristol-Myers
 Squibb Pharmaceutical Co.
 SOURCE: PCT Int. Appl., 446 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 108
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098269	A2	20011227	WO 2001-US19745	20010620
WO 2001098269	A3	20030710		
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CA 2413274	A1	20011227	CA 2001-2413274	20010620
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WO 2001098269 A3 20030710
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WO 2001098269 A2 20011227 WO 2001-XJ19745 20010620
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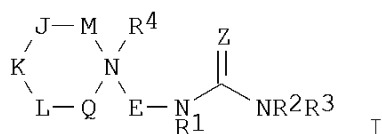
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WO 2001098269 A2 20011227 WO 2001-XM19745 20010620
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WO 2001098269 A2 20011227 WO 2001-XN19745 20010620
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 US 2000-598821 A 20000621
 US 1998-112717P P 19981218
 US 1999-161243P P 19991022
 US 1999-465286 B2 19991217
 WO 2001-US19745 W 20010620
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 136:69738
 GI

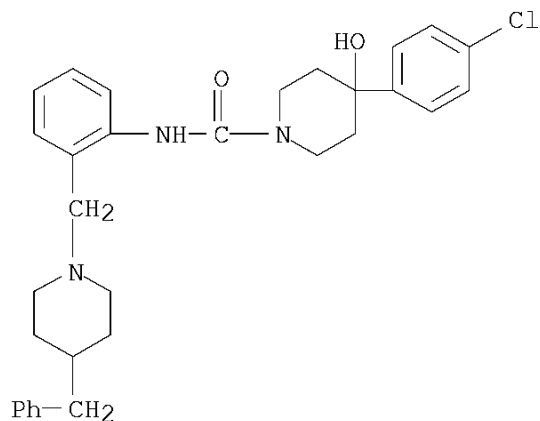


AB [Title compds. I; M = CH₂, CHR₅, CHR₁₃, CR₁₃R₁₃, CR₅R₁₃; Q = CH₂, CHR₅, CHR₁₃, CR₁₃R₁₃, CR₅R₁₃; J, L = CH₂, CHR₅, CHR₆, CR₆R₆, CR₅R₆; Z = O, S; M = CH₂, CHR₅, CHR₁₃, CR₁₃R₁₃, CR₅R₁₃; K = CHR₅, CR₅R₆; Z = O, S; E = (CHR₇)(CHR₉)v(CR₁₁R₁₂); R₁, R₂ = H, alkyl, alkenyl, alkynyl, (substituted) alkylcycloalkyl; R₂R₃ = atoms to form a (substituted) 5-7 membered ring; R₃, R₅ = (substituted) (alkyl)cycloalkyl, (alkyl)heterocyclyl; R₄ = null, O, alkyl, alkenyl, alkynyl, etc.; R₄ with R₇, R₉, or R₁₁ = atoms to form a 5-7 membered ring; R₇, R₉ = H; R₄R₇, R₄R₉ = (substituted) spirocyclyl; R₁₃ = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R₁₁R₁₂ = pyrrolidinyl, tetrahydrofuryl, piperidinyl, tetrahydropyranyl; v = 1, 2], were prepared as modulators of chemokine activity (no data). Thus, 4-benzyl-1-(3-aminopropyl)piperidine (preparation given) in THF was treated with 3-cyanophenyl isocyanate to give N-(3-cyanophenyl)-N'-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]urea. [This abstract record is one of 15 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity)

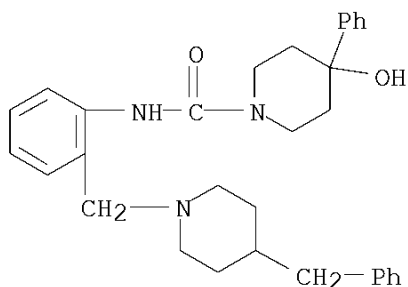
RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 162 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:935384 CAPLUS

DOCUMENT NUMBER: 136:69803

TITLE: Preparation of N-benzothiazol-2-yl amides having affinity toward the A2A adenosine receptor

INVENTOR(S): Alanine, Alexander; Flohr, Alexander; Miller, Aubry Kern; Norcross, Roger David; Riemer, Claus

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 160 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001097786	A2	20011227	WO 2001-EP6506	20010608
WO 2001097786	A3	20021212		

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2413086	A1	20011227	CA 2001-2413086	20010608
AU 2001081817	A	20020102	AU 2001-81817	20010608
EP 1303272	A2	20030423	EP 2001-960284	20010608
EP 1303272	B1	20080213		

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BR 2001012395	A	20030708	BR 2001-12395	20010608
HU 2003001315	A2	20030828	HU 2003-1315	20010608
HU 2003001315	A3	20071029		
JP 2003535887	T	20031202	JP 2002-503263	20010608
JP 3886897	B2	20070228		
RU 2251419	C2	20050510	RU 2003-100518	20010608
NZ 522928	A	20050527	NZ 2001-522928	20010608
AU 2001281817	B2	20051124	AU 2001-281817	20010608
CN 1234358	C	20060104	CN 2001-811534	20010608
EP 1797878	A2	20070620	EP 2007-3532	20010608
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PT 1303272	E	20080414	PT 2001-960284	20010608
ES 2299504	T3	20080601	ES 2001-960284	20010608
US 20020045615	A1	20020418	US 2001-881252	20010614
US 6521754	B2	20030218		
TW 309567	B	20090511	TW 2001-90114862	20010619
ZA 2002009730	A	20040301	ZA 2002-9730	20021129
US 20030125318	A1	20030703	US 2002-310508	20021205
US 6835732	B2	20041228		
NO 2002005978	A	20021212	NO 2002-5978	20021212
NO 324635	B1	20071126		
IN 2002CN02070	A	20050225	IN 2002-CN2070	20021212
MX 2002012596	A	20030410	MX 2002-12596	20021217
US 20030176695	A1	20030918	US 2002-322272	20021218
US 6963000	B2	20051108		
HK 1058148	A1	20060324	HK 2004-100949	20040212
US 20050026906	A1	20050203	US 2004-930361	20040830
US 20060003986	A1	20060105	US 2005-219577	20050902
US 7317007	B2	20080108		
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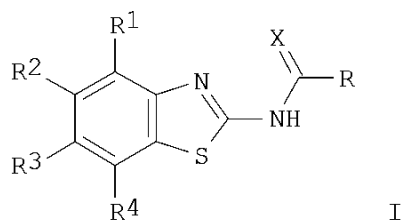
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US 2002-322272	A3	20021218
US 2005-219577	A1	20050902

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:69803

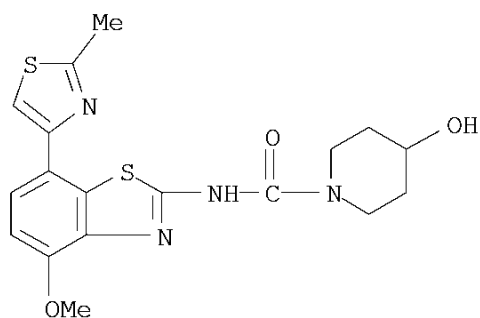
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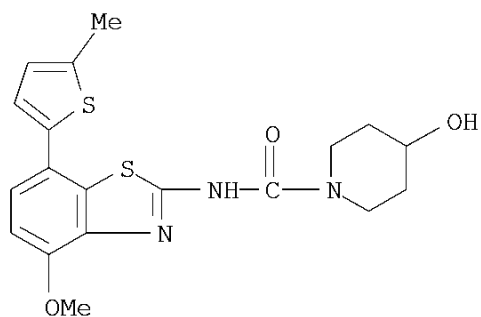
AB The title compds. [I; R1 = H, alkyl, alkoxy, etc.; R2, R3 = H, halo, alkyl, alkoxy; R4 = H, alkyl, alkenyl, etc.; R = (un)substituted Ph, (CH2)n(5-6 membered (non)aromatic heterocyclyl, (CH2)n+1Ph, etc.; n = 0-4; X = O, S, H2)], useful for the treatment of diseases related to the adenosine receptor, were prepared Thus, reacting 2-amino-4-methoxy-7-phenylbenzothiazole with benzoyl chloride in pyridine afforded 69% I [R1 = OMe; R2, R3 = H; R4 = Ph; R = Ph; X = O]. Biol. data for compds. I were given.

IT 383867-98-9P, 4-Hydroxypiperidine-1-carboxylic acid
 [4-methoxy-7-(2-methylthiazol-4-yl)benzothiazol-2-yl]amide
 383867-99-0P, 4-Hydroxypiperidine-1-carboxylic acid
 [4-methoxy-7-(5-methylthien-2-yl)benzothiazol-2-yl]amide
 383868-93-7P 383869-09-8P 383869-25-8P
 383869-27-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-benzothiazolyl amides having affinity toward A2A adenosine receptor)

RN 383867-98-9 CAPLUS
 CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methoxy-7-(2-methyl-4-thiazolyl)-2-benzothiazolyl]- (CA INDEX NAME)

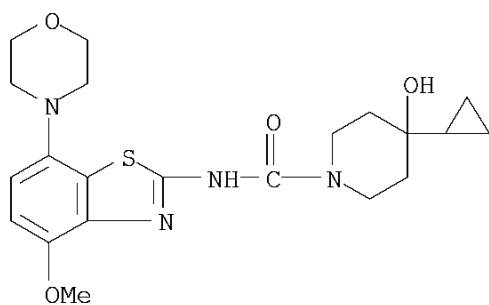


RN 383867-99-0 CAPLUS
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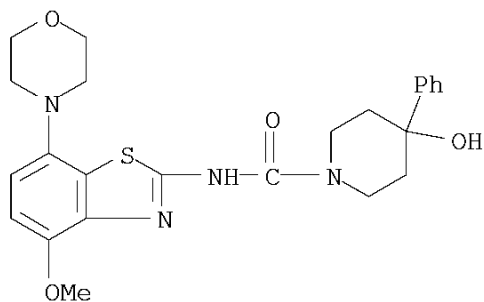
RN 383868-93-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-cyclopropyl-4-hydroxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (CA INDEX NAME)



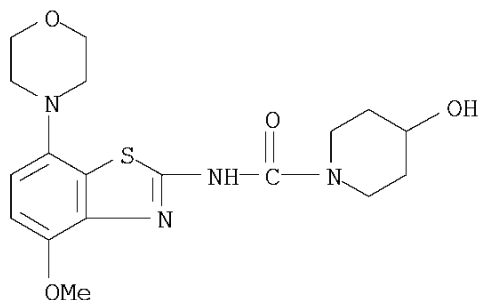
RN 383869-09-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-4-phenyl- (CA INDEX NAME)

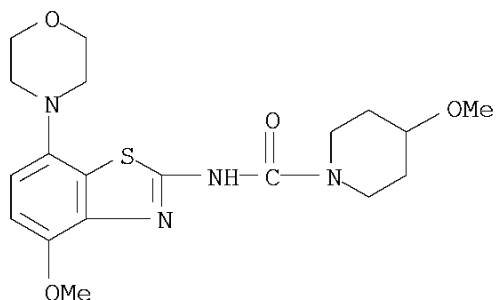


RN 383869-25-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (CA INDEX NAME)

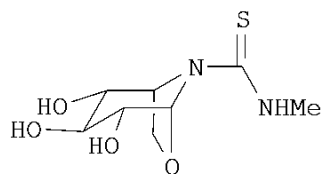


RN 383869-27-0 CAPLUS
 CN 1-Piperidinecarboxamide, 4-methoxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)
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L4 ANSWER 163 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2001:769617 CAPLUS
 DOCUMENT NUMBER: 136:69990
 TITLE: Synthesis and evaluation of calystegine B2 analogues as glycosidase inhibitors
 AUTHOR(S): Garcia-Moreno, M. Isabel; Benito, Juan M.; Ortiz Mellet, Carmen; Garcia Fernandez, Jose M.
 CORPORATE SOURCE: Departamento de Quimica Organica Facultad de Quimica, Universidad de Sevilla, Seville, E-41071, Spain
 SOURCE: Journal of Organic Chemistry (2001), 66(23), 7604-7614
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 136:69990
 GI



AB A practical synthesis of polyhydroxylated 6-oxa-nor-tropanes, e.g. I, incorporating the essential structural features of calystegine B2 from 5-deoxy-5-thioureido and 5-ureido-L-idofuranose precursors is presented. The methodol. relies on the ability of pseudoamide-type nitrogen atoms (thiourea, urea, and carbamate) to undergo nucleophilic addition to the masked aldehyde group of the monosaccharide. The generated hemiaminal functionality may further undergo in situ intramol. glycosidation to give the bicyclic aminoacetal compds., the whole process being favored by the anomeric effect. A series of derivs. bearing different substituents at nitrogen has been prepared and screened against several glycosidases in comparison with xyloojirimycin-type piperidine analogs. Interestingly, strong and highly specific inhibition of bovine liver -glucosidase was observed for 6-oxacalystegine B analogs incorporating aromatic pseudoaglyconic groups. On the basis of these data, a 1-aza-sugar inhibition mode is proposed for this family of glycomimetics.

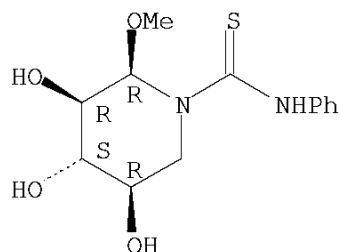
IT 260544-72-7P 260544-73-8P 260544-78-3P
260544-79-4P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of calystegine B2 analogs via nucleophilic addition/glycosidation, their glucosidase and galactosidase inhibitory activity as glycomimetics)

RN 260544-72-7 CAPLUS

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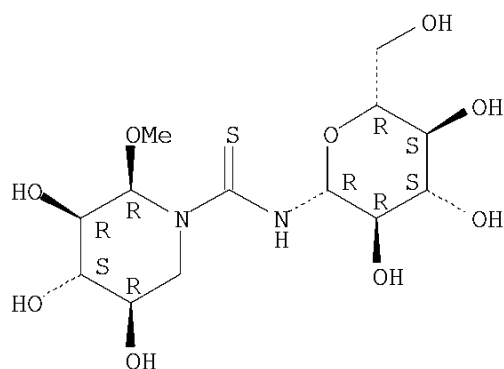
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RN 260544-73-8 CAPLUS

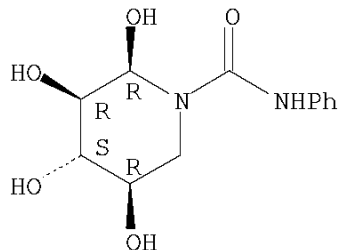
CN 1-Piperidinecarbothioamide, N-β-D-glucopyranosyl-3,4,5-trihydroxy-2-methoxy-, (2R,3R,4S,5R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



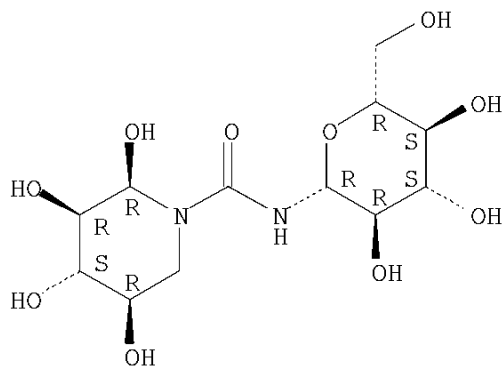
RN 260544-78-3 CAPLUS
CN 1-Piperidinecarboxamide, 2,3,4,5-tetrahydroxy-N-phenyl-, (2R,3R,4S,5R)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 260544-79-4 CAPLUS
CN 1-Piperidinecarboxamide, N-β-D-glucopyranosyl-2,3,4,5-tetrahydroxy-,
(2R,3R,4S,5R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS
RECORD (21 CITINGS)
REFERENCE COUNT: 91 THERE ARE 91 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 164 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:521913 CAPLUS
DOCUMENT NUMBER: 135:107323
TITLE: Preparation of aminothiazole inhibitors of cyclin
dependent kinases
INVENTOR(S): Kim, Kyoung S.; Kimball, S. David; Cai, Zhen-wei;
Rawlins, David B.; Misra, Raj N.; Poss, Michael A.;
Webster, Kevin R.; Hunt, John T.; Han, Wen-ching
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 164 pp., Cont.-in-part of U.S. 6,040,321.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 6262096	B1	20010717	US 1999-464511	19991215
US 6040321	A	20000321	US 1998-176239	19981021
US 6214852	B1	20010410	US 2000-616629	20000726
US 6515004	B1	20030204	US 2000-727957	20001201
CA 2394538	A1	20010621	CA 2000-2394538	20001206
WO 2001044217	A1	20010621	WO 2000-US33037	20001206
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,			ZW
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2000016420	A	20020820	BR 2000-16420	20001206
EP 1240153	A1	20020918	EP 2000-983935	20001206
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JP 2003516981	T	20030520	JP 2001-544707	20001206
HU 2003001213	A2	20030828	HU 2003-1213	20001206
HU 2003001213	A3	20090330		
IL 149757	A	20080120	IL 2000-149757	20001206
CA 2394544	A1	20010621	CA 2000-2394544	20001207
CA 2394552	A1	20010621	CA 2000-2394552	20001207
WO 2001044241	A1	20010621	WO 2000-US33113	20001207
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,			ZW
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
WO 2001044242	A1	20010621	WO 2000-US33501	20001207
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BR 2000016424	A	20020820	BR 2000-16424	20001207
EP 1240165	A1	20020918	EP 2000-982481	20001207
EP 1240165	B1	20050504		
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EP 1240166	A1	20020918	EP 2000-990204	20001207
EP 1240166	B1	20050216		
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SI 20975	A	20030228	SI 2000-20060	20001207
JP 2003516987	T	20030520	JP 2001-544732	20001207
EE 200200306	A	20030616	EE 2002-306	20001207
HU 2003000974	A2	20030828	HU 2003-974	20001207
HU 2003000974	A3	20090330		
HU 2003001032	A2	20030828	HU 2003-1032	20001207
HU 2003001032	A3	20090330		
JP 2003525872	T	20030902	JP 2001-544731	20001207
NZ 519120	A	20040326	NZ 2000-519120	20001207
AU 774381	B2	20040624	AU 2001-19506	20001207
AT 289306	T	20050315	AT 2000-990204	20001207

AT 294800	T	20050515	AT 2000-982481	20001207
ES 2236034	T3	20050716	ES 2000-990204	20001207
PT 1240166	E	20050729	PT 2000-990204	20001207
PT 1240165	E	20050930	PT 2000-982481	20001207
ES 2241678	T3	20051101	ES 2000-982481	20001207
AU 783719	B2	20051201	AU 2001-27264	20001207
IL 149755	A	20090922	IL 2000-149755	20001207
EG 24168	A	20080910	EG 2000-1523	20001209
TW 265930	B	20061111	TW 2000-89126395	20001211
TW 273103	B	20070211	TW 2000-89126788	20001214
US 20010004639	A1	20010621	US 2000-746059	20001222
US 6392053	B2	20020521		
US 20010006976	A1	20010705	US 2000-746060	20001222
US 6414156	B2	20020702		
US 20020137778	A1	20020926	US 2001-839751	20010420
US 6521759	B2	20030218		
US 20020072609	A1	20020613	US 2002-67723	20020205
US 6613911	B2	20030902		
US 20020099217	A1	20020725	US 2002-100129	20020318
US 6639074	B2	20031028		
IN 2002MN00673	A	20050304	IN 2002-MN673	20020524
IN 2002MN00674	A	20050304	IN 2002-MN674	20020524
ZA 2002004349	A	20030901	ZA 2002-4349	20020530
ZA 2002004356	A	20031007	ZA 2002-4356	20020530
NO 2002002817	A	20020814	NO 2002-2817	20020613
NO 323726	B1	20070702		
MX 2002005870	A	20030128	MX 2002-5870	20020613
MX 2002005879	A	20030128	MX 2002-5879	20020613
NO 2002002864	A	20020813	NO 2002-2864	20020614
HK 1049661	A1	20051007	HK 2003-100935	20030207
US 20030216440	A1	20031120	US 2003-407779	20030404
US 20040063767	A1	20040401	US 2003-639272	20030812
US 6897321	B2	20050524		

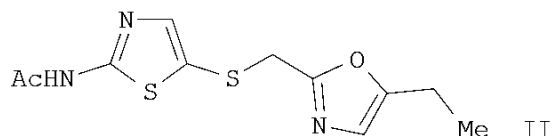
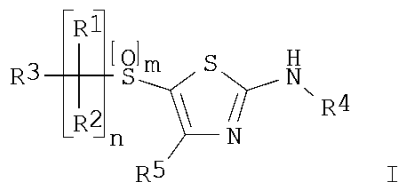
PRIORITY APPLN. INFO.:

US 1997-65195P	P	19971112
US 1998-176239	A2	19981021
US 1999-464511	A2	19991215
US 2000-616627	A2	20000726
US 2000-616629	A	20000726
WO 2000-US33037	W	20001206
WO 2000-US33113	W	20001207
WO 2000-US33501	W	20001207
US 2000-746059	A3	20001222
US 2000-746060	A3	20001222
US 2002-67723	A3	20020205

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:107323

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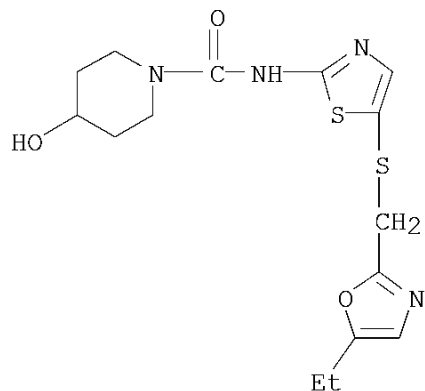
AB The title compds. I [R1, R2 = H, F, alkyl; R3 = aryl, heteroaryl; R4 = alkyl, cycloalkyl, aryl, etc.; R5 = H, alkyl; m = 0-2; n = 1-3] were prepared I are protein kinase inhibitors and are useful in the treatment and prevention of proliferative diseases, for example cancer, inflammation and arthritis. E.g., a multi-step synthesis of N-[5-[[5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]acetamide II which showed IC50 of < 50 μ M against cdc2/cyclin B1 kinase, against cdk2/cyclin E kinase, and against cdk4/cyclin D1 kinase, was given.

IT 224437-73-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminothiazole inhibitors of cyclin dependent kinases)

RN 224437-73-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[5-[[5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 165 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

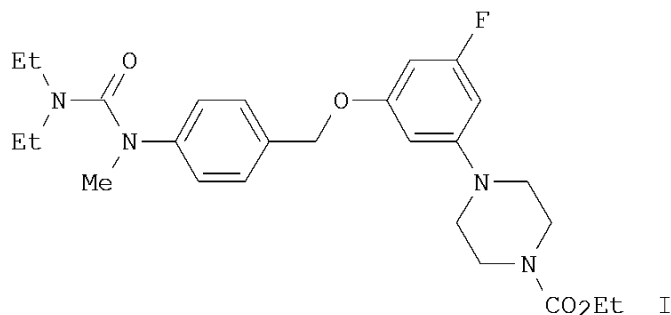
ACCESSION NUMBER: 2001:453046 CAPLUS

DOCUMENT NUMBER: 135:61352

TITLE: Preparation of interleukin 5 gene expression

inhibitors
 INVENTOR(S): Basha, Fatima Z.; Hinman, Mira M.; Kopecka, Hana A.;
 Searle, Xenia B.; Sowin, Thomas J.; Wodka, Dariusz;
 Surowy, Carol; Faltynek, Connie R.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: PCT Int. Appl., 178 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044223	A1	20010621	WO 2000-US34229	20001215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2393027	A1	20010621	CA 2000-2393027	20001215
EP 1250332	A1	20021023	EP 2000-986489	20001215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000012502	A	20030624	BR 2000-12502	20001215
JP 2003523956	T	20030812	JP 2001-544713	20001215
MX 2002005982	A	20030128	MX 2002-5982	20020614
PRIORITY APPLN. INFO.:			US 1999-466608	A 19991217
			WO 2000-US34229	W 20001215
OTHER SOURCE(S):		MARPAT 135:61352		
GI				



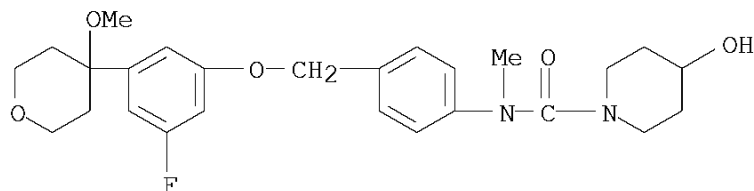
AB Title compds., e.g., RCONR1Z1CH2ZZ2R2 [R,R2 = e.g., heterocyclyl; R1 = H or alkyl; Z = CH2 or O; Z1 = (un)substituted 1,4-phenylene; Z2 = (un)substituted 1,3-phenylene or -pyridine-2,6-diyl] were prepared Thus, 4-(OCN)C6H4CO2Et was amidated by Et2NH and the N-methylated product reduced to give 4-(HOH2C)C6H4NMeCONEt2 which was etherified by 3,5-F2C6H3Br and the product aminated by Et piperazine-1-carboxylate to give title compound I. Data for biol. activity of title compds. were given.

IT 345656-80-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of interleukin 5 gene expression inhibitors)

RN 345656-80-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-[[3-fluoro-5-(tetrahydro-4-methoxy-2H-pyran-4-yl)phenoxy]methyl]phenyl]-4-hydroxy-N-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 166 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:228848 CAPLUS

DOCUMENT NUMBER: 134:266103

TITLE: Preparation of N-tetrahydronaphthalenyl carboxamides as melanin concentrating hormone antagonists

INVENTOR(S): Kato, Kaneyoshi; Terauchi, Jun; Mori, Masaaki; Suzuki, Nobuhiro; Shimomura, Yukio; Takekawa, Shiro; Ishihara, Yuji

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 363 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

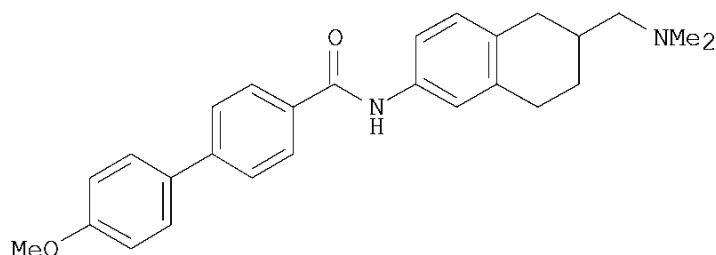
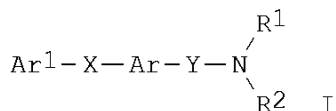
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021577	A2	20010329	WO 2000-JP6375	20000919
WO 2001021577	A3	20011004		
W:	AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2386474	A1	20010329	CA 2000-2386474	20000919
EP 1218336	A2	20020703	EP 2000-961075	20000919
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2002003370	A	20020109	JP 2000-290357	20000920
US 7115750	B1	20061003	US 2002-88771	20020319
US 20070173498	A1	20070726	US 2005-224744	20050912
PRIORITY APPLN. INFO.:			JP 1999-266298	A 19990920
			JP 1999-357889	A 19991216
			JP 2000-126272	A 20000420
			WO 2000-JP6375	W 20000919
			US 2002-88771	A3 20020319

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 134:266103

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AB The title compds. [I; Ar¹ = (un)substituted cyclic group; X = a spacer having a main chain of 1-6 atoms; Y = a bond, a spacer having a main chain of 1-6 atoms; Ar = (un)substituted monocyclic aromatic ring which may be condensed with a 4-8 membered non-aromatic ring; R¹, R² = H, a hydrocarbon group which may have substituents; NR¹R² may form a (un)substituted nitrogen-containing hetero ring; R² may form a spiro ring together with Ar; R², together with the adjacent nitrogen atom and Y, may form a (un)substituted nitrogen-containing hetero ring] and their salts, useful as agents for preventing or treating obesity, were prepared and formulated. Thus, reacting 6-amino-2-[(dimethylamino)methyl]tetralin with 4-(4-methoxyphenyl)benzoic acid in the presence of HOBT, WSCD, Et₃N and DMAP in DMF afforded the carboxamide II which showed IC₅₀ of 40 nM in GTPγS binding assay.

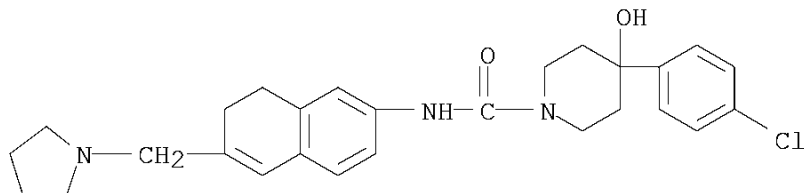
IT 331757-27-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-tetrahydronaphthalenyl carboxamides as melanin concentrating hormone antagonists)

RN 331757-27-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-N-[7,8-dihydro-6-(1-pyrrolidinylmethyl)-2-naphthalenyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 49 THERE ARE 49 CAPLUS RECORDS THAT CITE THIS RECORD (63 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

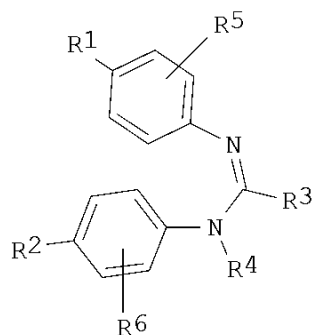
L4 ANSWER 167 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2001:78221 CAPLUS
 DOCUMENT NUMBER: 134:147167
 TITLE: Preparation of substituted guanidines and their use in
 the treatment of cancer and pain
 INVENTOR(S): Lipkowski, Andrzej W.; Gee, Kelvin
 PATENT ASSIGNEE(S): Kadmus Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 78 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007029	A2	20010201	WO 2000-US19938	20000721
WO 2001007029	A3	20010823		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, SZ, BE, CY, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2000062303	A	20010213	AU 2000-62303	20000721
EP 1202718	A2	20020508	EP 2000-948868	20000721
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003525213	T	20030826	JP 2001-511915	20000721
EP 1413302	A2	20040428	EP 2003-15696	20000721
EP 1413302	A3	20040512		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
US 6875759	B1	20050405	US 2000-625196	20000721
PRIORITY APPLN. INFO.:			US 1999-144810P	P 19990721
			EP 2000-948868	A3 20000721
			WO 2000-US19938	W 20000721

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 134:147167

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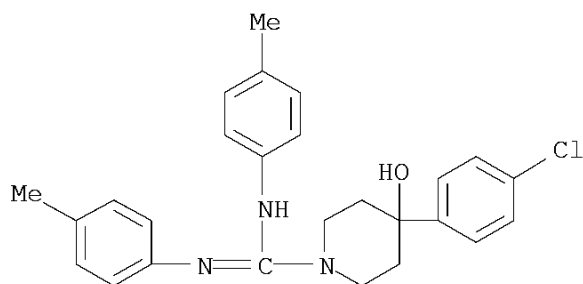
I

AB The title compds. I [R1, R2 = hydrogen, halogen, hydroxy, cyano, amino, nitro, acylamido, etc.; R3 = NR7R8, 2-amino sugar, etc.; R4 = hydrogen, aryl, fused aryl, carbocyclic, heterocyclic, heteroaryl, alkyl, alkenyl, alkynyl, etc.; R5, R6 = halogen, hydroxy, cyano, amino, nitro, acylamido, thiol, azido, formyl, carboxy, etc.], useful for the treatment of cancer and pain, were prepared E.g., reaction of 1,4-diaminobutane and 1,3-di-p-tolylcarbodiimide gave 1-amino-4-[(N,N'-di-p-tolyl)guanidiny]butane. Some examples of I have been tested for their cytotoxicity against human prostate, pancreas, and breast cancer cells grown in culture.

IT 322695-73-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of substituted guanidines and their use in the treatment of cancer and pain)

RN 322695-73-8 CAPLUS

CN 1-Piperidinecarboximidamide, 4-(4-chlorophenyl)-4-hydroxy-N,N'-bis(4-methylphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 168 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:756674 CAPLUS

DOCUMENT NUMBER: 133:309842

TITLE: Preparation of carbazole derivatives for treatment of neuropeptide Y-related diseases

INVENTOR(S): Nishikawa, Naoyuki; Sugai, Masaharu; Aoki, Kozo; Suzuki, Makoto; Ikegawa, Akihiko; Takahashi, Kazunobu; Ohsawa, Fukuichi; Takei, Naomi; Kakui, Nobukazu; Tanaka, Jiro; Tabata, Yuji; Asai, Kenji

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan; et al.

SOURCE: PCT Int. Appl., 142 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

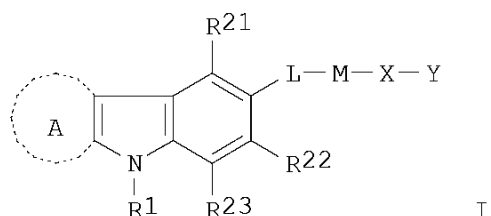
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063171	A1	20001026	WO 2000-JP2573	20000420
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,				

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 EP 1184373 A1 20020306 EP 2000-917373 20000420
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO
 US 6713473 B1 20040330 US 2002-926355 20020219
 PRIORITY APPLN. INFO.: JP 1999-111698 A 19990420
 JP 1999-200228 A 19990714
 WO 2000-JP2573 W 20000420
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 133:309842
 GI

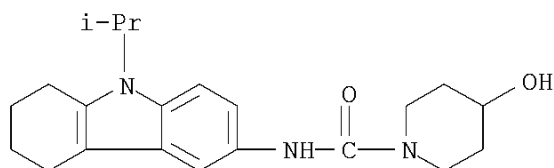


AB The title compds. I [A is a five- to seven-membered hydrocarbon ring; L is NR₃CO, CONR₃, or the like (wherein R₃ is hydrogen, lower alkyl, or lower acyl); M is an alkylene group (wherein the carbon atoms constituting the carbon chain may be each replaced by nitrogen, oxygen, or the like); X is S, O, NR₄, NR₅CO, a single bond, or the like (wherein R₄ and R₅ are each hydrogen, lower alkyl, or the like); Y is alkyl, aryl, amino, an aromatic heterocyclic group, or the like; R₁ is lower alkyl, lower alkenyl, lower alkynyl, or lower acyl; and R₂₁, R₂₂ and R₂₃ are each hydrogen, hydroxyl, lower alkyl, or the like] are prepared I are ligands for neuropeptide Y receptors. I are useful in the treatment of neuropeptide Y-related diseases, such as hyperphagia, etc. In in vitro tests for inhibition of binding to the Y₅ receptors, the title compds. at 10 μ M gave 67% to 100% inhibition.

IT 302556-67-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of carbazole derivs. for treatment of neuropeptide Y-related diseases)

RN 302556-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[2,3,4,9-tetrahydro-9-(1-methylethyl)-1H-carbazol-6-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
 REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

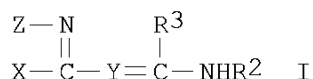
L4 ANSWER 169 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2000:508200 CAPLUS
 DOCUMENT NUMBER: 133:105054
 TITLE: Preparation of benzamidines as muscarinic receptor agonists
 INVENTOR(S): Villalobos, Anabella; Yohannes, Daniel; Nowakowski, Jolanta; Liston, Dane R.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S., 20 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6093733	A	20000725	US 1997-848359	19970430
AT 208767	T	20011115	AT 1997-302558	19970415
ES 2164990	T3	20020301	ES 1997-302558	19970415
CA 2203850	A1	19971030	CA 1997-2203850	19970428
CA 2203850	C	20021001		
JP 10072426	A	19980317	JP 1997-111186	19970428
JP 2834112	B2	19981209		
US 20020103194	A1	20020801	US 2000-504362	20000215
US 20030171349	A1	20030911	US 2003-376138	20030228
US 6911477	B2	20050628		

PRIORITY APPLN. INFO.:
 US 1996-16494P P 19960430
 US 1997-848359 A1 19970430
 US 2000-504362 A1 20000215

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 133:105054
 GI



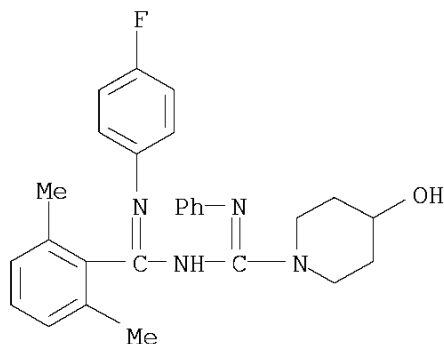
AB The title compds. I [X = NR₄R₅ (a proviso is given), C₁-10 alkyl or C₃-10 cycloalkyl; Y = CH or N; Z = NR₇R₈ (a proviso is given), C₃-10 cycloalkyl, C₁-10 alkyl, pyridyl, or phenyl; R₂, R₃ = (un)substituted phenyl], useful for the treatment or prevention of diseases the treatment or prevention of which is mediated by muscarinic receptor agonism (no data given), are prepared

IT 199120-04-2P 283593-57-7P 283593-59-9P
 283593-62-4P 283593-68-0P 283594-03-6P
 283594-04-7P 283594-14-9P 283594-15-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzamidines as muscarinic receptor agonists)

RN 199120-04-2 CAPLUS

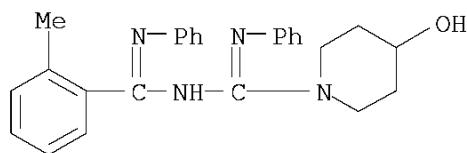
CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl)[(4-fluorophenyl)imino]methyl]-4-hydroxy-N'-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

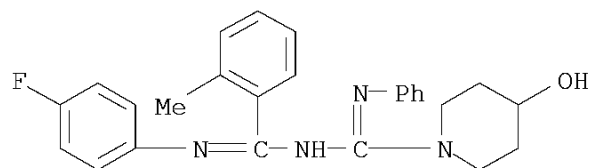
RN 283593-57-7 CAPLUS

CN 1-Piperidinecarboximidamide, 4-hydroxy-N-[(2-methylphenyl) (phenylimino)methyl]-N'-phenyl- (CA INDEX NAME)



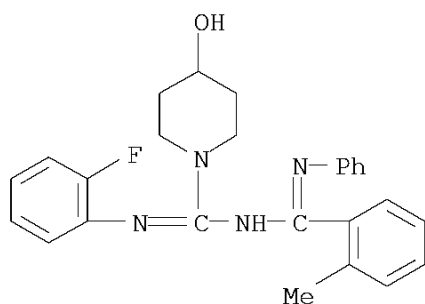
RN 283593-59-9 CAPLUS

CN 1-Piperidinecarboximidamide, N-[[(4-fluorophenyl) imino] (2-methylphenyl)methyl]-4-hydroxy-N'-phenyl- (CA INDEX NAME)



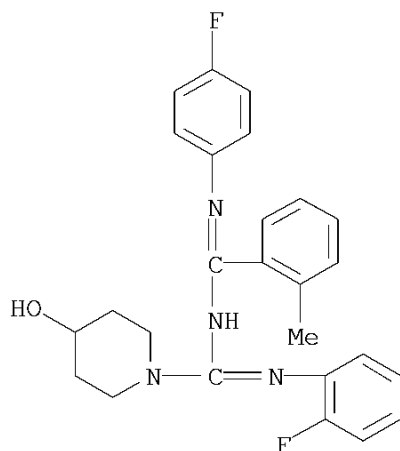
RN 283593-62-4 CAPLUS

CN 1-Piperidinecarboximidamide, N'-(2-fluorophenyl)-4-hydroxy-N-[(2-methylphenyl) (phenylimino)methyl]- (CA INDEX NAME)



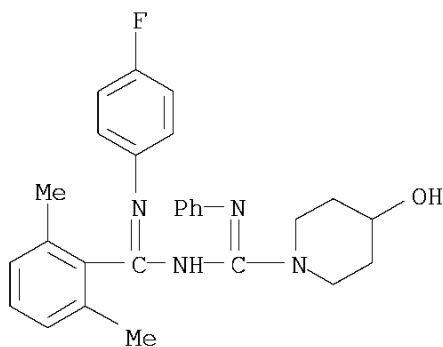
RN 283593-68-0 CAPLUS

CN 1-Piperidinecarboximidamide, N'-(2-fluorophenyl)-N-[[(4-fluorophenyl)imino] (2-methylphenyl)methyl]-4-hydroxy- (CA INDEX NAME)



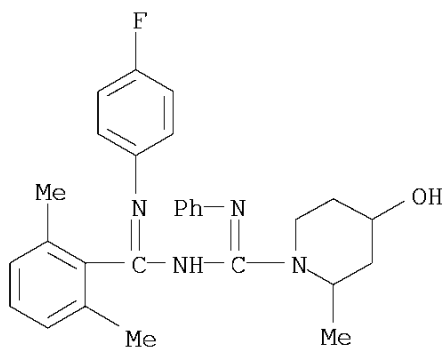
RN 283594-03-6 CAPLUS

CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl) [(4-fluorophenyl)imino]methyl]-4-hydroxy-N'-phenyl- (CA INDEX NAME)



RN 283594-04-7 CAPLUS

CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl) [(4-fluorophenyl)imino]methyl]-4-hydroxy-2-methyl-N'-phenyl- (CA INDEX NAME)

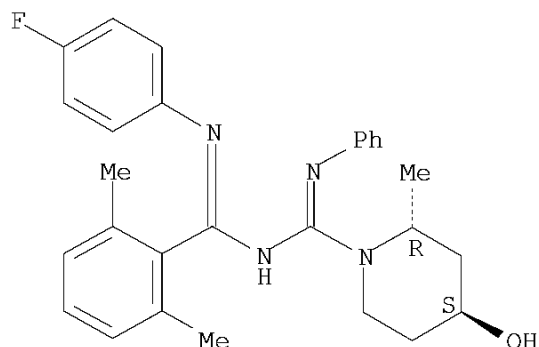


RN 283594-14-9 CAPLUS

CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl) [(4-

fluorophenyl)imino]methyl]-4-hydroxy-2-methyl-N'-phenyl-, (2R,4S)-rel-
(CA INDEX NAME)

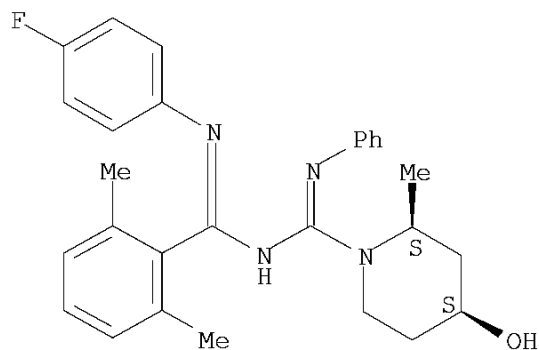
Relative stereochemistry.



RN 283594-15-0 CAPLUS

CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl) [(4-fluorophenyl)imino]methyl]-4-hydroxy-2-methyl-N'-phenyl-, (2R,4R)-rel-
(CA INDEX NAME)

Relative stereochemistry.



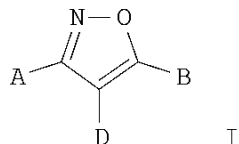
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 170 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:452481 CAPLUS
DOCUMENT NUMBER: 133:84260
TITLE: Pharmaceuticals comprising isoxazoles
INVENTOR(S): Nakatsuka, Masashi; Ueno, Yoshihide; Okada, Shinichiro; Nishikado, Fumio
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 90 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000186038	A	20000704	JP 1999-289718	19991012
JP 3244672	B2	20020107		
PRIORITY APPLN. INFO.:			JP 1998-291107	A 19981013

OTHER SOURCE(S):
GI

MARPAT 133:84260



AB The pharmaceuticals, e.g., therapeutic agents for autoimmune diseases or inflammatory diseases, antirheumatic agents, and antiinflammatory agents, comprise isoxazoles I [D = H, halo, OH, etc.; either A or B is Q; E = single bond, alkylene; either of the two dotted lines indicates a double bond and the other indicates a single bond; R1 is bonded to the N atom linked to the single bond; R1-R4 = H, halo, OH, etc.; two of R1-R4 may be combined to form a (substituted) heterocycle; the other of A or B is JG; G = (substituted) aryl, (substituted) heterocyclyl; J = CR8R9, C(:CR8R9); R8, R9 = H, (substituted) lower alkoxy, (substituted) lower alkyl; CR8R9 may form (substituted) hydrocarbon ring, 1,3-dioxane ring, or (substituted) 1,3-dioxolane ring] or their pharmacol. acceptable salts.
N-[3-[1-(2-fluorobiphenyl-4-yl)-ethyl]-isoxazol-5-yl]guanidine (prepared from 1-[3-[1-(2-fluorobiphenyl-4-yl)ethyl]isoxazol-5-yl]-2-methylisothiurea and NH₃) (at 10 mg/kg p.o.) showed 13.6% inhibition of mouse paw edema (type III allergic reaction). Formulation examples of tablets, capsules, and dispersions are given.

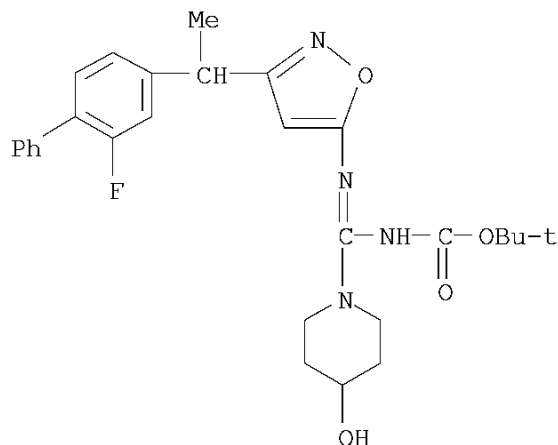
IT 215175-15-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of isoxazoles for treatment of autoimmune, inflammatory, and allergic diseases)

RN 215175-15-8 CAPLUS

CN Carbamic acid, [[[3-[1-(2-fluoro[1,1'-biphenyl]-4-yl)ethyl]-5-isoxazolyl]amino](4-hydroxy-1-piperidinyl)methylene]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 215175-23-8P

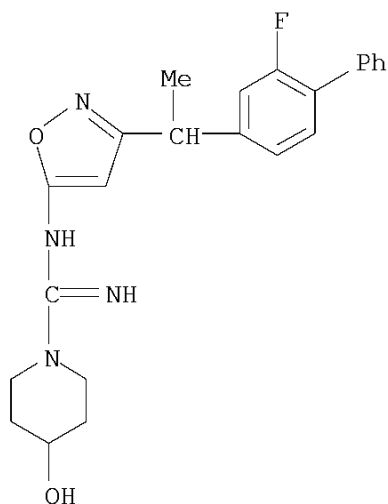
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoxazoles for treatment of autoimmune, inflammatory, and allergic diseases)

RN 215175-23-8 CAPLUS

CN 1-Piperidinecarboximidamide, N-[3-[1-(2-fluoro[1,1'-biphenyl]-4-yl)ethyl]-5-isoxazolyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 171 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:420964 CAPLUS

DOCUMENT NUMBER: 133:43445

TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity

INVENTOR(S): Ko, Soo S.; Duncia, John V. K.; Santella, Joseph B., III; Wacker, Dean A.; Kim, Ui Tae

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 351 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

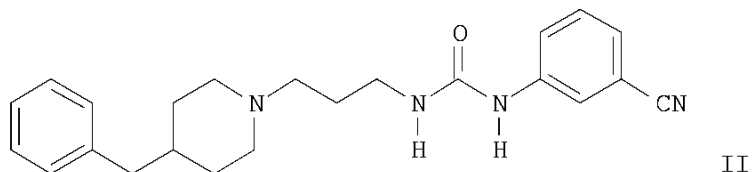
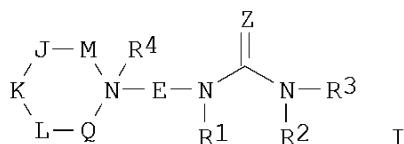
FAMILY ACC. NUM. COUNT: 108

PATENT INFORMATION:

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WO 2000035454	A1	20000622	WO 1999-XB30336	19991217

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US 6331541	B1	20011218	US 1999-465288	19991217
US 6492400	B1	20021210	US 1999-465287	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
US 20030013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
US 20040002515	A1	20040101	US 2002-279416	20021024
US 6875776	B2	20050405		
US 20040006107	A1	20040108	US 2002-279231	20021024
US 6780857	B2	20040824		
US 20050192291	A1	20050901	US 2004-21042	20041223
PRIORITY APPLN. INFO.:			US 1998-112717P	P 19981218
			US 1999-161184P	P 19991022
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			US 1999-465287	A3 19991217
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): MARPAT 133:43445				
GI				

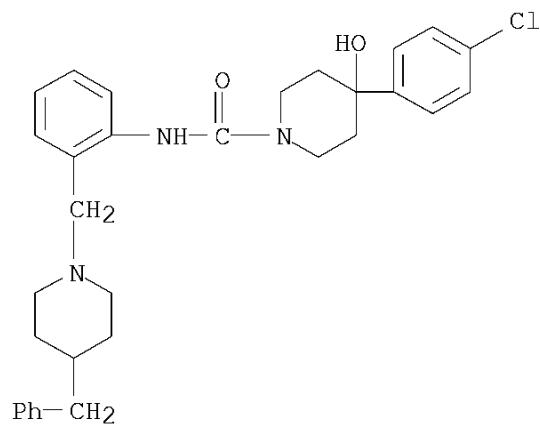


AB The title compds. [I; M = absent, CH₂, CH(CH₂Ph), etc.; Q = CH₂, CHR₅, etc.; J, K, L = CH₂, CH(CH₂Ph), etc.; Z = O, S; E = (CH₂)₂, (CH₂)₃, CH₂CH(OH)CH(Ph), etc.; R₁, R₂ = H, alkyl, alkenyl, etc.; R₂ and R₃ may join to form (un)substituted 5-7 membered ring; R₃ = (un)substituted Ph, naphthyl, adamantyl, etc.; R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/da (oral dosage). [This abstract record is one of 17 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

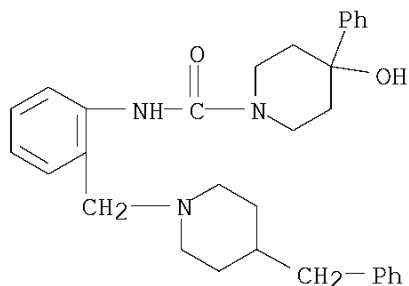
RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS
RECORD (16 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 172 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:420963 CAPLUS
DOCUMENT NUMBER: 133:43444
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators
of chemokine receptor activity
INVENTOR(S): Ko, Soo; Clark, Cheryl Mcardle; Delucca, George V.;
Duncia, John V.; Santella, Joseph B., III; Wacker,
Dean A.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA
SOURCE: PCT Int. Appl., 316 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 108
PATENT INFORMATION:

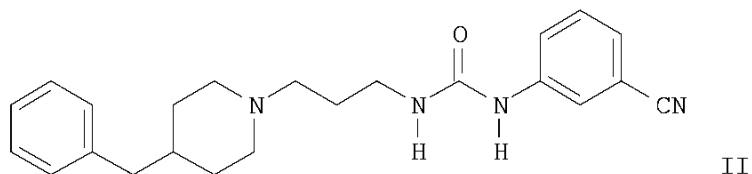
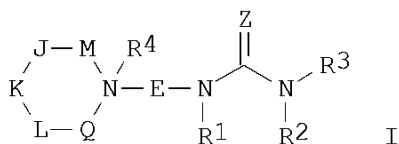
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US 20040002515	A1	20040101	US 2002-279416	20021024
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US 20040006107	A1	20040108	US 2002-279231	20021024
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PRIORITY APPLN. INFO.:			US 1998-112717P	P 19981218
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 133:43444

GI

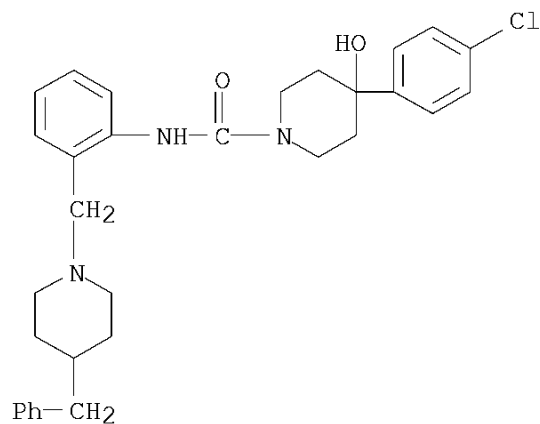


AB The title compds. [I; M = absent, CH₂, CH(CH₂Ph), etc.; Q = CH₂, CH(CH₂Ph), etc.; J, K, L = CH₂, CH(CH₂Ph), etc.; Z = O, S; E = (CH₂)₂, (CH₂)₃, CH₂CH(OH)CH(Ph), etc.; R₁, R₂ = H, alkyl, alkenyl, etc.; R₂ and R₃ may join to form (un)substituted 5-7 membered ring; R₃ = (un)substituted Ph, naphthyl, adamantyl, etc.; R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). [This abstract record is one of 9 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

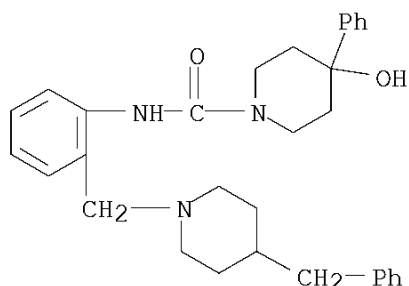
RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 173 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:420962 CAPLUS
DOCUMENT NUMBER: 133:43443
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Santella, Joseph B. Iii; Wacker, Dean A. K.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 388 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 108
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035452	A1	20000622	WO 1999-US30334	19991217
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WO 2000035452	A1	20000622	WO 1999-XG30334	19991217
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TR 200101859	T2	20011221	TR 2001-1859	19991217
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MX 2001006148	A	20010911	MX 2001-6148	20010615
US 20030013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
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US 6875776	B2	20050405		
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PRIORITY APPLN. INFO.:			US 1998-112717P	P 19981218
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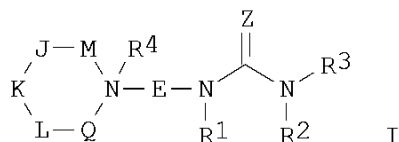
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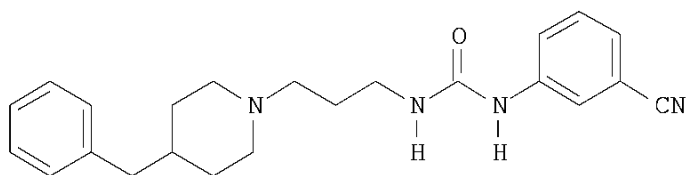
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 133:43443

GI



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II

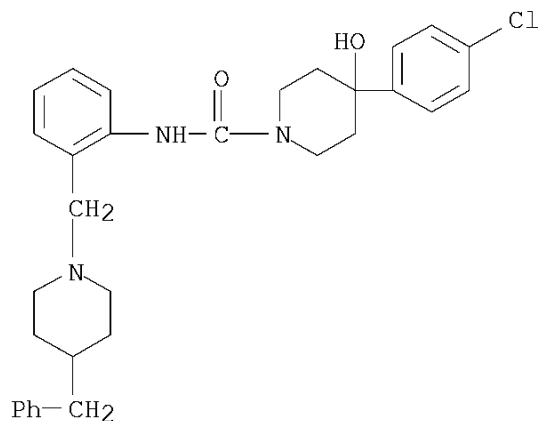
AB The title compds. [I; M = absent, CH₂, CH(CH₂Ph), etc.; Q = CH₂, CH(CH₂Ph), etc.; J, K, L = CH₂, CH(CH₂Ph), etc.; Z = O, S; E = (CH₂)₂, (CH₂)₃, CH₂CH(OH)CH(Ph), etc.; R₁, R₂ = H, alkyl, alkenyl, etc.; R₂ and R₃ may join to form (un)substituted 5-7 membered ring; R₃ = (un)substituted Ph, naphthyl, adamantyl, etc.; R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). [This abstract record is one of 9 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

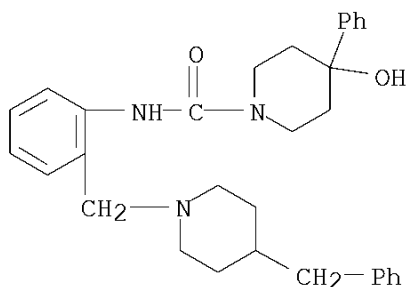
RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
 REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

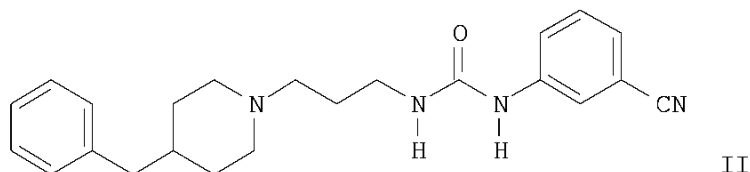
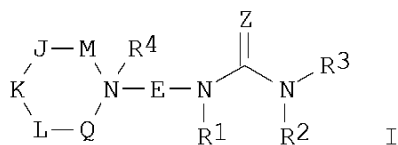
L4 ANSWER 174 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2000:420961 CAPLUS
 DOCUMENT NUMBER: 133:43442
 TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
 INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.; Watson, Paul S.; Varnes, Jeffrey G.
 PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 394 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 108
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035451	A1	20000622	WO 1999-US30332	19991217
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 WO 2000035451 A1 20000622 WO 1999-XF30332 19991217
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 NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ,
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EP 1140086	A1	20011010	EP 1999-964297	19991217
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
US 6331541	B1	20011218	US 1999-465288	19991217
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US 20030013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
US 20040002515	A1	20040101	US 2002-279416	20021024
US 6875776	B2	20050405		
US 20040006107	A1	20040108	US 2002-279231	20021024
US 6780857	B2	20040824		
US 20050192291	A1	20050901	US 2004-21042	20041223
PRIORITY APPLN. INFO.:			US 1998-112717P	P 19981218
			US 1999-161243P	P 19991022
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			US 1999-161222P	P 19991022
			US 1999-465287	A3 19991217
			US 1999-465288	A3 19991217
			US 1999-465948	A3 19991217
			WO 1999-US30332	W 19991217
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 133:43442
GI

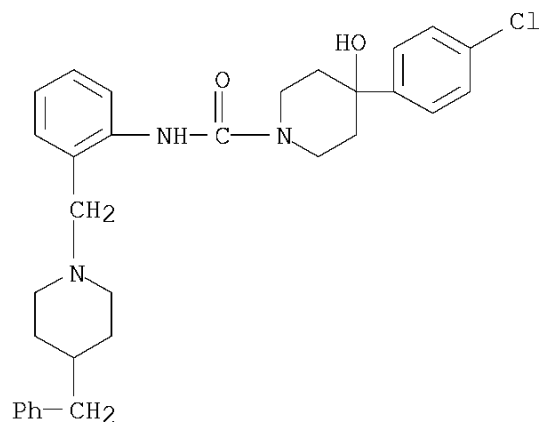


AB The title compds. [I; M = absent, CH₂, CH(CH₂Ph), etc.; Q = CH₂, CH(CH₂Ph), etc.; J, K, L = CH₂, CH(CH₂Ph), etc.; Z = O, S; E = (CH₂)₂, (CH₂)₃, CH₂CH(OH)CH(Ph), etc.; R₁, R₂ = H, alkyl, alkenyl, etc.; R₂ and R₃ may join to form (un)substituted 5-7 membered ring; R₃ = (un)substituted Ph, naphthyl, adamantyl, etc.; R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). [This abstract record is one of 17 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

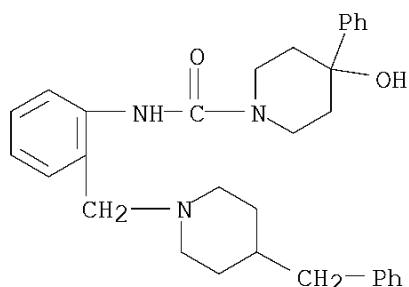
RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS
RECORD (13 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 175 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:420959 CAPLUS
DOCUMENT NUMBER: 133:43441
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators
of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.;
Santella, Joseph B., III; Gardner, Daniel S.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 327 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 108
PATENT INFORMATION:

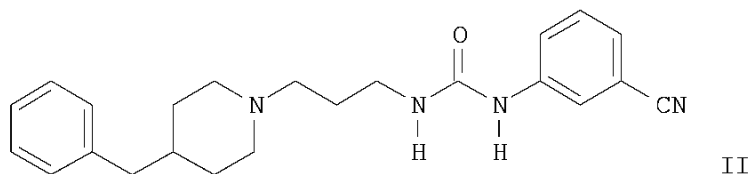
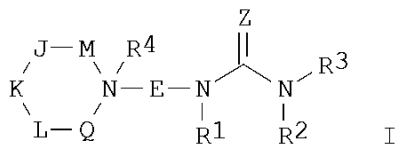
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TR 200101859	T2	20011221	TR 2001-1859	19991217
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ZA 2001003756	A	20020509	ZA 2001-3756	20010509
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			US 1999-465948	A3 19991217
			US 1999-466442	A3 19991217
			WO 1999-US30292	W 19991217
			US 2002-180869	A1 20020626
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 133:43441

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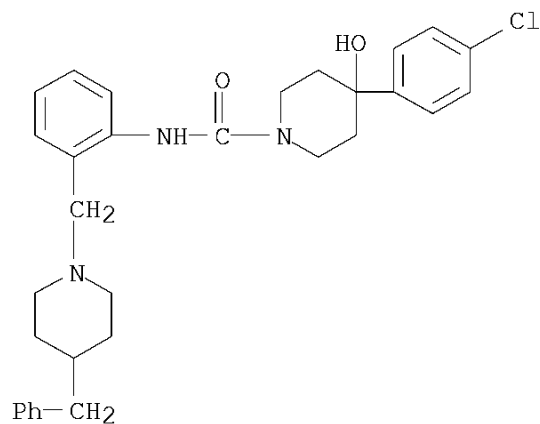


AB The title compds. [I; M = absent, CH₂, CH(CH₂Ph), etc.; Q = CH₂, CHR₅, etc.; J, K, L = CH₂, CH(CH₂Ph), etc.; Z = O, S; E = (CH₂)₂, (CH₂)₃, CH₂CH(OH)CH(Ph), etc.; R₁, R₂ = H, alkyl, alkenyl, etc.; R₂ and R₃ may join to form (un)substituted 5-7 membered ring; R₃ = (un)substituted Ph, naphthyl, adamantyl, etc.; R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). [This abstract record is one of 9 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

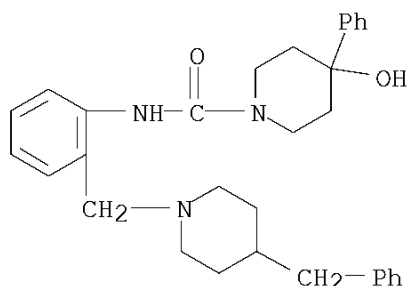
RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
 REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 176 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:401486 CAPLUS

DOCUMENT NUMBER: 133:43247

TITLE: Preparation of
 N β -cyclohexylcarbonyl- β -amino- α -
 ketoalkanamides as cathepsin K inhibitors

INVENTOR(S): Hosoda, Akihiko; Kobayashi, Nobuo; Tanabe, Naoko;
 Koji, Tsuneo; Shibata, Masahiro; Sekine, Akihiro;
 Dozen, Masaharu

PATENT ASSIGNEE(S): Fujirebio Kabushiki Kaisha, Japan; Seikagaku
 Corporation

SOURCE: Eur. Pat. Appl., 104 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1008592	A2	20000614	EP 1999-402811	19991112
EP 1008592	A3	20000802		
EP 1008592	B1	20060201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
JP 2000204071	A	20000725	JP 1999-313319	19991104
JP 3892187	B2	20070314		
US 6117870	A	20000912	US 1999-437438	19991110
KR 2000035402	A	20000626	KR 1999-49831	19991111
EP 1616867	A1	20060118	EP 2005-18360	19991112
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EP 1616859	A1	20060118	EP 2005-18361	19991112
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EP 1619189	A1	20060125	EP 2005-18359	19991112
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ES 2258318	T3	20060816	ES 1999-402811	19991112
JP 2004277427	A	20041007	JP 2004-144158	20040513
JP 2004277428	A	20041007	JP 2004-144160	20040513
JP 4265993	B2	20090520		
JP 2004277429	A	20041007	JP 2004-144162	20040513

JP 4312657	B2	20090812		
JP 2004292456	A	20041021	JP 2004-144161	20040513
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JP 4312672	B2	20090812		

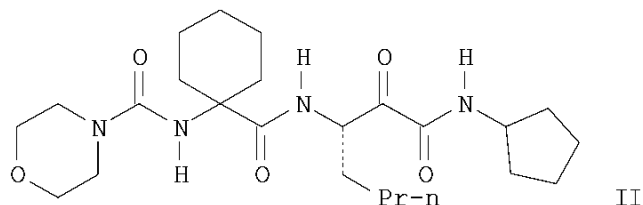
PRIORITY APPLN. INFO.:

JP 1998-322283	A	19981112
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EP 1999-402811	A3	19991112

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 133:43247

GI



AB R1CR2CONHCHR2COCOR3 [I; R2 = (heteroatom-interrupted) alkylene; R1 = (un)substituted NH2, -alk(en)yl, -alkoxy, -H2NCO, etc.; R2 = H, alkyl, (un)substituted aryl, etc.; R3 = H, OR4, NR5R6; R4-R6 = H, (cyclo)alkyl, aryl, etc.] were prepared Thus, 1-[(morpholinocarbonyl)amino]cyclohexanecarboxylic acid was amidated by (3S)-H2NCHBuCH(OH)CONHR5 (R5 = cyclopentyl) (preparation each given) and the product oxidized to give title compound II. Data for biol. activity of I were given.

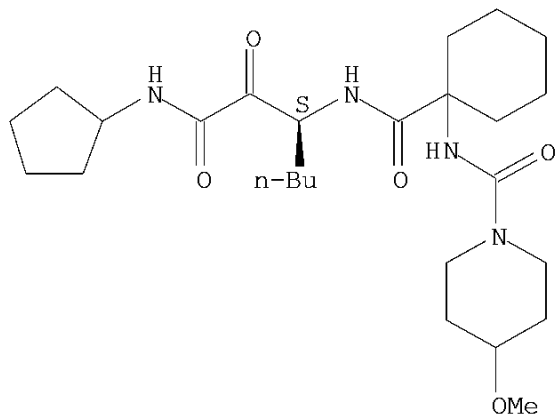
IT 274685-10-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N β -cyclohexylcarbonyl- β -amino- α -ketoalkanamides as cathepsin K inhibitors)

RN 274685-10-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[1-[[[(1S)-1-[2-(cyclopentylamino)-2-oxoacetyl]pentyl]amino]carbonyl]cyclohexyl]-4-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



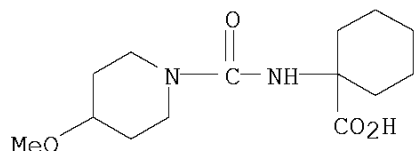
IT 274686-18-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N β -cyclohexylcarbonyl- β -amino- α -
ketoalkanamides as cathepsin K inhibitors)

RN 274686-18-9 CAPLUS

CN Cyclohexanecarboxylic acid, 1-[[(4-methoxy-1-piperidiny)carbonyl]amino]-
(CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS
RECORD (17 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 177 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:314688 CAPLUS

DOCUMENT NUMBER: 132:334455

TITLE: 2-Ureidothiazole derivatives, process for their
preparation, and their use as antitumor agents

INVENTOR(S): Pevarello, Paolo; Amici, Raffaella; Traquandi,
Gabriella; Villa, Manuela; Vulpetti, Anna; Isacchi,
Antonella

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy

SOURCE: PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026203	A1	20000511	WO 1999-EP8307	19991027
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2347060	A1	20000511	CA 1999-2347060	19991027
BR 9914868	A	20010703	BR 1999-14868	19991027
EP 1124811	A1	20010822	EP 1999-953959	19991027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001004167	A2	20020328	HU 2001-4167	19991027
HU 2001004167	A3	20031229		
JP 2002528538	T	20020903	JP 2000-579592	19991027
NZ 510967	A	20031031	NZ 1999-510967	19991027
AU 771166	B2	20040318	AU 2000-10447	19991027
ZA 2001002869	A	20011010	ZA 2001-2869	20010406
NO 2001002058	A	20010628	NO 2001-2058	20010426
MX 2001004277	A	20020208	MX 2001-4277	20010427
US 20030187040	A1	20031002	US 2001-830668	20010430
US 6863647	B2	20050308		
IN 2001CN00755	A	20050304	IN 2001-CN755	20010529
US 20040157827	A1	20040812	US 2004-770019	20040202

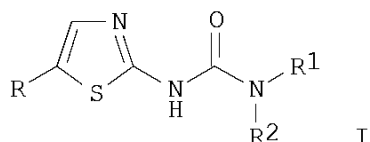
AU 2004202678
PRIORITY APPLN. INFO.:

A1 20040715

AU 2004-202678
GB 1998-23873
AU 2000-10447
WO 1999-EP8307
US 2001-830668

20040618
A 19981030
A3 19991027
W 19991027
A1 20010430

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 132:334455
GI



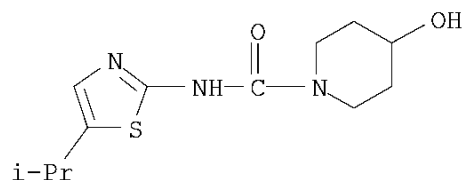
AB The title 2-ureido-1,3-thiazole derivs. I and their pharmaceutically acceptable salts are disclosed [wherein R = halo, nitro, (un)substituted amino, C1-6 alkyl, C3-6 cycloalkyl, aryl, or arylalkyl; R1 = (un)substituted C1-6 alkyl, 3- to 6-membered carbocycle or 5- to 7-membered heterocycle, aryl, arylcarbonyl, or arylalkyl; R2 = H, straight or branched C1-4 alkyl, C2-4 alkenyl, or alkynyl; or NR1R2 = (un)substituted, optionally benzo-condensed or bridged 5- to 7-membered heterocycle, or 9- to 11-membered spiro-heterocycle]. The compds. are active as cdk/cyclin inhibitors, and are useful for treating cell proliferative disorders associated with an altered cell dependent kinase activity. The proliferative disorders include cancer and a wide variety of other conditions, such as Alzheimer's disease, viral infections, autoimmune diseases, and neurodegenerative disorders. Over 230 invention compds. are claimed and/or prepared in examples. For instance, reaction of Ph isocyanate with 2-amino-5-bromo-1,3-thiazole hydrobromide in the presence of Et3N gave title compound I [R = Br, R1 = Ph, R2 = H]. The similarly prepared title compound I [R = iso-Pr, R1 = 3,5-dimethylphenyl, R2 = H] inhibited cdk2/cyclin A complex in vitro with an IC50 of 0.56 μ M.

IT 267430-42-2P, 4-Hydroxy-N-(5-isopropyl-1,3-thiazol-2-yl)-1-piperidinecarboxamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of ureidothiazole derivs. as antitumor agents)

RN 267430-42-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[5-(1-methylethyl)-2-thiazolyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 28 THERE ARE 28 CAPLUS RECORDS THAT CITE THIS RECORD (41 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 178 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:260231 CAPLUS

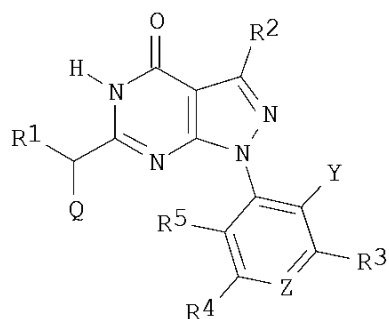
DOCUMENT NUMBER: 132:293770
 TITLE: Preparation of 6-substituted
 pyrazolo[3,4-d]pyrimidin-4-ones as cyclin dependent
 kinase inhibitors
 INVENTOR(S): Markwalder, Jay A.; Seitz, Steven P.; Sherk, Susan R.
 PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 155 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021926	A2	20000420	WO 1999-US23512	19991013
WO 2000021926	A3	20000803		
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6531477	B1	20030311	US 1999-416584	19991012
CA 2345809	A1	20000420	CA 1999-2345809	19991013
EP 1121363	A2	20010808	EP 1999-951875	19991013
EP 1121363	B1	20041222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537223	T	20021105	JP 2000-575835	19991013
AT 285411	T	20050115	AT 1999-951875	19991013
PT 1121363	E	20050429	PT 1999-951875	19991013
ES 2235528	T3	20050701	ES 1999-951875	19991013
US 20020013328	A1	20020131	US 2001-794825	20010227
US 6559152	B2	20030506		
CA 2431038	A1	20020906	CA 2002-2431038	20020227
WO 2002067654	A2	20020906	WO 2002-US6002	20020227
WO 2002067654	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002255614	A1	20020912	AU 2002-255614	20020227
EP 1383769	A2	20040128	EP 2002-725023	20020227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520407	T	20040708	JP 2002-567036	20020227
PRIORITY APPLN. INFO.:				
			US 1998-103957P	P 19981013
			US 1999-416584	A1 19991012
			WO 1999-US23512	W 19991013
			US 2001-794825	A 20010227
			WO 2002-US6002	W 20020227

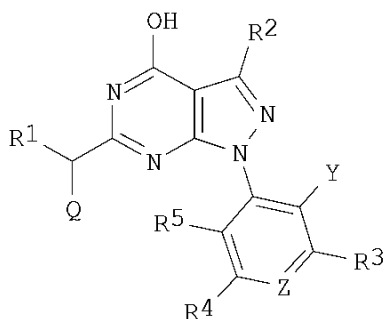
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 132:293770

GI



I



II

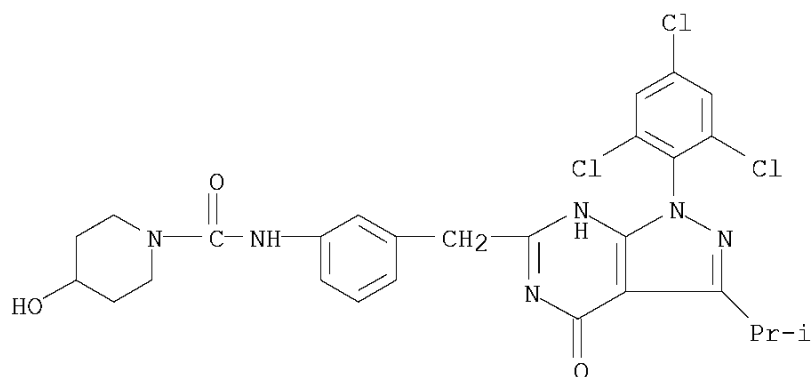
AB The title compds. [I, alternatively represented by tautomer II; Q = H, OH, Me, Et; Y = F, Cl, Br, I; Z = N, CR₆; R₁ = (un)substituted Ph, naphthyl, tropone, etc.; R₂ = alkyl, alkenyl, alkynyl, etc.; R₃ = H, F, Cl, etc.; R₄ = H, F, Cl, etc.; R₅ = H, alkyl, F, etc.; R₆ = H, F, Cl, etc.] which are potent inhibitors of the class of enzymes known as cyclin dependent kinases (no data), which relate to the catalytic subunits cyclin dependent kinase 1-8 and their regulatory subunits known as cyclins A-H, K, N, and T, and are useful in treating cancer or other proliferative diseases, were prepared Thus, reacting 5-amino-3-methylthio-1-(2,4,6-trichlorophenyl)pyrazole-4-carboxamide with 3-methoxyphenylacetyl chloride in the presence of NaOEt in EtOH afforded 92% I [Q = H; Y = Cl; R₁ = 3-MeOC₆H₄; R₂ = MeS; R₃, R₄ = H; R₅ = Cl; Z = CCl].

IT 264137-92-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-substituted pyrazolo[3,4-d]pyrimidin-4-ones as cyclin dependent kinase inhibitors)

RN 264137-92-0 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-[[4,5-dihydro-3-(1-methylethyl)-4-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]phenyl]-4-hydroxy- (CA INDEX NAME)

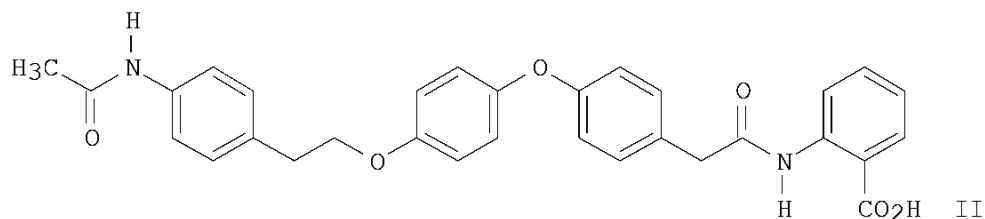
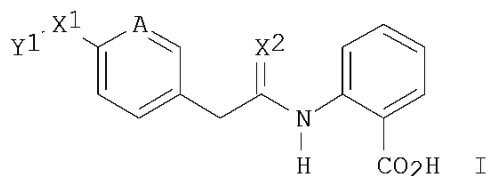


OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 179 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:84754 CAPLUS
DOCUMENT NUMBER: 132:151571

TITLE: Preparation of anthranilic acid derivatives as preventive or therapeutic agents
 INVENTOR(S): Tsuchiya, Naoki; Takeuchi, Susumu; Takeyasu, Takumi; Hase, Naoki; Yamori, Takao; Tsuruo, Takashi
 PATENT ASSIGNEE(S): Teijin Limited, Japan
 SOURCE: PCT Int. Appl., 213 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005198	A1	20000203	WO 1999-JP3969	19990723
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2337098	A1	20000203	CA 1999-2337098	19990723
CA 2337098	C	20080805		
AU 9948004	A	20000214	AU 1999-48004	19990723
AU 750670	B2	20020725		
EP 1101755	A1	20010523	EP 1999-931522	19990723
EP 1101755	B1	20041006		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1319086	A	20011024	CN 1999-811097	19990723
CN 1245380	C	20060315		
AT 278661	T	20041015	AT 1999-931522	19990723
PT 1101755	E	20050228	PT 1999-931522	19990723
ES 2230864	T3	20050501	ES 1999-931522	19990723
CN 1907960	A	20070207	CN 2006-10002570	19990723
US 6649656	B1	20031118	US 2001-744388	20010404
US 20030232811	A1	20031218	US 2003-355125	20030131
US 6890932	B2	20050510		
PRIORITY APPLN. INFO.:			JP 1998-209410	A 19980724
			JP 1998-258486	A 19980911
			JP 1998-369808	A 19981225
			JP 1998-369809	A 19981225
			CN 1999-811097	A3 19990723
			WO 1999-JP3969	W 19990723
			US 2001-744388	A3 20010404
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):	MARPAT 132:151571			
GI				



AB Title compds. [I; wherein Y1 = a group represented by (un)substituted-Ph, (un)substituted-2-naphthyl; X1 is O, S; X2 is O or S; A = CH, N] and stereoisomers are prepared and tested as antagonists of IgE antibody, therefore useful as preventive or therapeutic agents for allergic diseases and having cytotoxic activities useful as antitumor agents. The title compound II was prepared

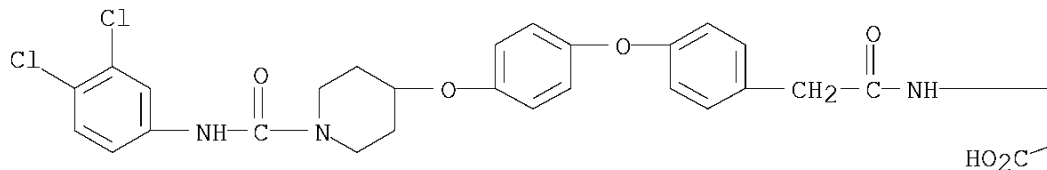
IT 257606-77-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anthranilic acid derivs. as preventive or therapeutic agents)

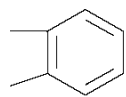
RN 257606-77-2 CAPLUS

CN Benzoic acid, 2-[[2-[4-[4-[[1-[(3,4-dichlorophenyl)amino]carbonyl]-4-piperidinyloxy]phenoxy]phenyl]acetyl]amino]- (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



OS.CITING REF COUNT:	3	THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT:	5	THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 180 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:42145 CAPLUS

DOCUMENT NUMBER: 132:208061

TITLE: Polyhydroxylated N-(thio)carbamoyl piperidines:
nojirimycin-type glycomimetics with controlled
anomeric configuration

AUTHOR(S): Garcia-Moreno, M. Isabel; Mellet, Carmen Ortiz;
Fernandez, Jose M. Garcia

CORPORATE SOURCE: Departamento de Quimica Organica, Facultad de Quimica,
Universidad de Sevilla, Sevilla, E-41071, Spain

SOURCE: Tetrahedron: Asymmetry (1999), 10(22), 4271-4275
CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB N-(Thio)carbamoyl D-xylo-nojirimycin derivs. have been prepared by intramol.
rearrangement of sugar thiourea precursors under basic conditions. The
stereochem. at the aminoketal stereocenter is under stereoelectronic
control, with the diastereomer having the pseudoanomeric group in axial
orientation being obtained in all cases.

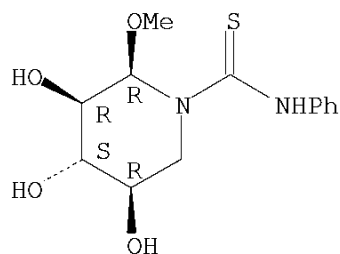
IT 260544-72-7P 260544-73-8P 260544-78-3P
260544-79-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of polyhydroxylated N-(thio)carbamoyl piperidines,
nojirimycin-type glycomimetics with controlled anomeric configuration)

RN 260544-72-7 CAPLUS

CN 1-Piperidinecarbothioamide, 3,4,5-trihydroxy-2-methoxy-N-phenyl-,
(2R,3R,4S,5R)- (CA INDEX NAME)

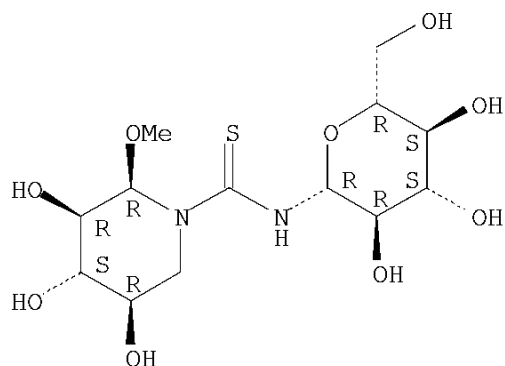
Absolute stereochemistry. Rotation (+).



RN 260544-73-8 CAPLUS

CN 1-Piperidinecarbothioamide, N-β-D-glucopyranosyl-3,4,5-trihydroxy-2-
methoxy-, (2R,3R,4S,5R)- (CA INDEX NAME)

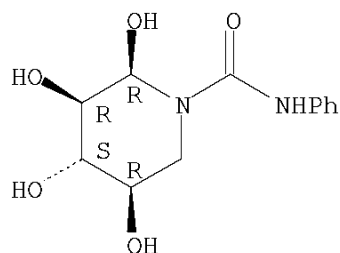
Absolute stereochemistry. Rotation (+).



RN 260544-78-3 CAPLUS

CN 1-Piperidinecarboxamide, 2,3,4,5-tetrahydroxy-N-phenyl-, (2R,3R,4S,5R)-
(CA INDEX NAME)

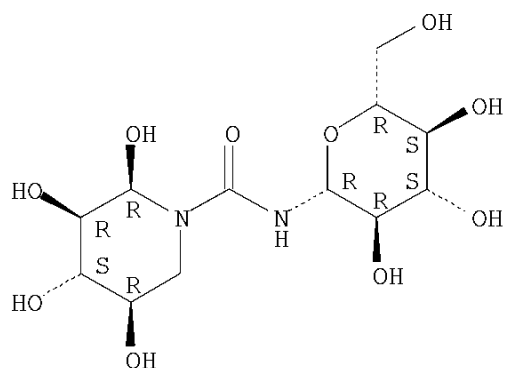
Absolute stereochemistry. Rotation (-).



RN 260544-79-4 CAPLUS

CN 1-Piperidinecarboxamide, N-β-D-glucopyranosyl-2,3,4,5-tetrahydroxy-,
(2R,3R,4S,5R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD
(9 CITINGS)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 181 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:811221 CAPLUS

DOCUMENT NUMBER: 132:35695

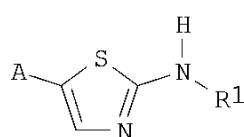
TITLE: Preparation of carbon substituted aminothiazole inhibitors of cyclin dependent kinases
 INVENTOR(S): Rawlins, David B.; Kimball, S. David; Misra, Raj N.; Kim, Kyoung S.; Webster, Kevin R.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 70 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965884	A1	19991223	WO 1999-US13034	19990611
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6407124	B1	20020618	US 1999-329616	19990610
CA 2332325	A1	19991223	CA 1999-2332325	19990611
AU 9944311	A	20000105	AU 1999-44311	19990611
AU 768751	B2	20040108		
EP 1087951	A1	20010404	EP 1999-927401	19990611
EP 1087951	B1	20050209		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518380	T	20020625	JP 2000-554710	19990611
AT 288904	T	20050215	AT 1999-927401	19990611
ES 2237919	T3	20050801	ES 1999-927401	19990611
US 20020165259	A1	20021107	US 2002-112133	20020329
US 6720347	B2	20040413		
PRIORITY APPLN. INFO.:			US 1998-89747P	P 19980618
			US 1999-329616	A3 19990610
			WO 1999-US13034	W 19990611

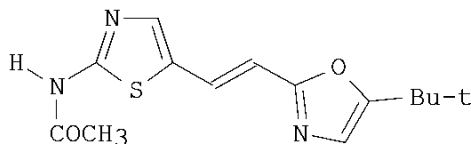
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 132:35695

GI



I



II

AB The title compds. [I; R1 = R2, COR3, CONH2, etc.; R2 = alkyl, cycloalkyl, heterocycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; A = (CR7R8)m(CR5R6)nR4 (wherein n = 0-2; m = 1-2 but both n and m cannot be 2), (CR7R8)jY(CR5R6)iR4 (i, j = 0-1 but cannot both be 1; Y = (un)substituted alkene, alkyne, any 2 adjacent carbon atoms of a cycloalkyl or cycloheteroalkyl ring of 3-7 atoms); R4 = alkyl, cycloalkyl, heterocycloalkyl, etc.; R5-R8 = H, alkyl, cycloalkyl, etc.], protein kinase inhibitors (no data) which are useful in the treatment of proliferative diseases, for example, cancer, inflammation, and arthritis, and also in the treatment of Alzheimer's disease, and cardiovascular

disease, were prepared E.g., a multi-step synthesis of (E)-II, starting with 2-aminothiazol-5-ylcarboxaldehyde, was given.

IT 252661-22-6P

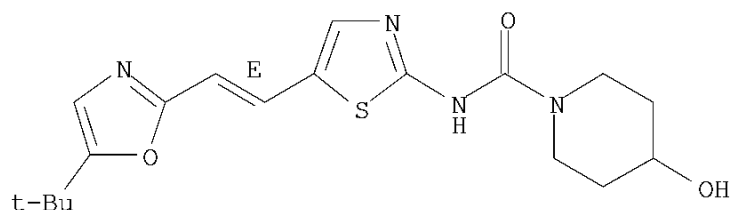
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carbon substituted aminothiazole inhibitors of cyclin dependent kinases)

RN 252661-22-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[5-[(1E)-2-[5-(1,1-dimethylethyl)-2-oxazolyl]ethenyl]-2-thiazolyl]-4-hydroxy- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (43 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 182 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:784087 CAPLUS

DOCUMENT NUMBER: 132:22961

TITLE: Preparation of isothiazolamide urea derivatives as anticancer agents

INVENTOR(S): Larson, Eric Robert; Noe, Mark Carl; Gant, Thomas George

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 132 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

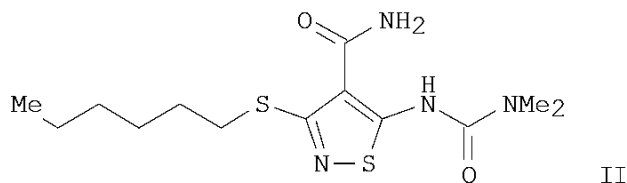
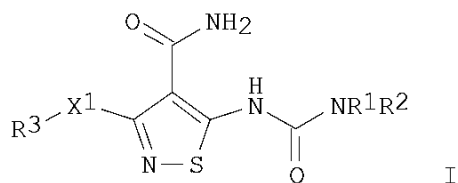
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962890	A1	19991209	WO 1999-IB797	19990503
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2333703	A1	19991209	CA 1999-2333703	19990503
CA 2333703	C	20050614		
CA 2475113	A1	19991209	CA 1999-2475113	19990503
CA 2475113	C	20080318		
AU 9933421	A	19991220	AU 1999-33421	19990503
BR 9910900	A	20010213	BR 1999-10900	19990503
EP 1084114	A1	20010321	EP 1999-914724	19990503
EP 1084114	B1	20040908		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
SI, LT, LV, FI, RO

TR 200003478	T2	20010321	TR 2000-3478	19990503
HU 2001002422	A2	20020529	HU 2001-2422	19990503
HU 2001002422	A3	20020628		
JP 2002517384	T	20020618	JP 2000-552102	19990503
JP 3735254	B2	20060118		
NZ 507009	A	20031128	NZ 1999-507009	19990503
AT 275553	T	20040915	AT 1999-914724	19990503
CN 1172918	C	20041027	CN 1999-806837	19990503
PT 1084114	E	20041231	PT 1999-914724	19990503
ES 2226368	T3	20050316	ES 1999-914724	19990503
CN 1616386	A	20050518	CN 2004-10076926	19990503
IL 138776	A	20060705	IL 1999-138776	19990503
CZ 298559	B6	20071107	CZ 2000-4451	19990503
PL 198151	B1	20080530	PL 1999-344691	19990503
SK 286405	B6	20080905	SK 2000-1778	19990503
US 6235764	B1	20010522	US 1999-316837	19990521
TW 561154	B	20031111	TW 1999-88108991	19990531
ZA 9903752	A	20001204	ZA 1999-3752	19990603
AP 1309	A	20040914	AP 1999-1560	19990603
BG 104998	A	20010731	BG 2000-104998	20001128
BG 65104	B1	20070228		
NO 2000006071	A	20001130	NO 2000-6071	20001130
NO 318798	B1	20050509		
MX 2000011849	A	20010521	MX 2000-11849	20001130
HR 2000000835	A1	20011231	HR 2000-835	20001204
HR 2000000835	B1	20080131		
US 20010020034	A1	20010906	US 2001-803296	20010309
US 6548526	B2	20030415		
HK 1036982	A1	20050401	HK 2001-107830	20011108
US 20030149048	A1	20030807	US 2003-357093	20030203
US 7405218	B2	20080729		
AU 2004202433	A1	20040701	AU 2004-202433	20040602
AU 2004202433	B2	20070419		
JP 2005002122	A	20050106	JP 2004-209396	20040716
AU 2007203344	A1	20070809	AU 2007-203344	20070718
US 20080300249	A1	20081204	US 2008-181803	20080729
PRIORITY APPLN. INFO.:			US 1998-87963P	P 19980604
			AU 1999-33421	A3 19990503
			CA 1999-2333703	A3 19990503
			JP 2000-552102	A3 19990503
			WO 1999-IB797	W 19990503
			US 1999-316837	A3 19990521
			US 2001-803296	A3 20010309
			US 2003-357093	A1 20030203
			AU 2004-202433	A3 20040602

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 132:22961
GI



AB Title compds. (I) [X1 = O or S; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, acyl, (CH2)t(hetero)aryl, C(O)(CH2)t(hetero)aryl, etc.; t = 0-5; R2 = R1, SO2(CH2)t(hetero)aryl, etc.; or R1 and R2 taken together with the attached N = 4-10 membered (un)substituted poly- or monocyclic ring or 5-10 membered (un)substituted heteroaryl ring; R3 = H, (un)substituted alkyl, alkenyl, alkynyl, (CH2)t(hetero)aryl, etc.] were prepared for use in the treatment of hyperproliferative disorders, such as cancer. Thus, 3-(4-cyano-3-mercaptoisothiazol-5-yl)-1,1-dimethylurea (preparation given) was alkylated with 1-iodohexane (51%) and the product treated with concentrated H2SO4 to yield the isothiazolamide (II) (78%). I are inhibitors of receptor tyrosine kinases and bind to or modulate the KDR/FLK-1 receptor (no data) and may be used to treat disorders related to vasculogenesis or angiogenesis.

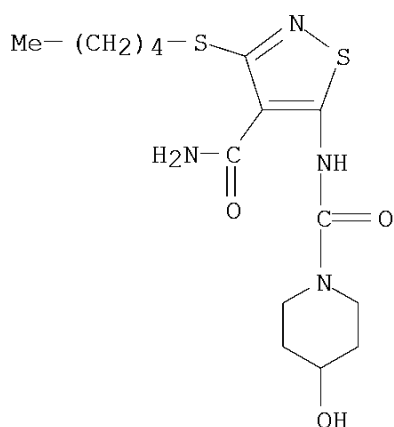
IT 1101899-44-8

RL: PRPH (Prophetic)

(Preparation of isothiazolamide urea derivatives as anticancer agents)

RN 1101899-44-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

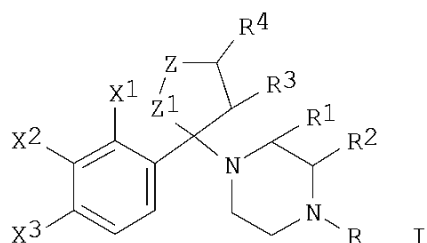


OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (28 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1999:733849 CAPLUS
 DOCUMENT NUMBER: 131:337032
 TITLE: Preparation of N-(1-phenylcycloalkyl)piperidines and analogs as neuropeptide Y1 receptor ligands
 INVENTOR(S): Blum, Charles A.; Hutchison, Alan; Peterson, John M.
 PATENT ASSIGNEE(S): Neurogen Corporation, USA
 SOURCE: U.S., 11 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5985873	A	19991116	US 1997-897044	19970718
PRIORITY APPLN. INFO.:			US 1997-897044	19970718
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):		MARPAT 131:337032		
GI				



AB Title compds. [I; R = Ph, pyridyl, thienyl, pyrimidinyl, etc.; R1,R2 = H or alkyl; R3,R4 = H, alkyl, alkoxy; 1 of X1-X3 = NR7COR8 and the others = H; R7 = H or alkyl; R8 = (thio)morpholino, (4-substituted) piperidino, (4-alkyl) piperazino; Z = O, NR5, CR5R6; R5 = alkyl, phenyl(alkyl), pyridyl(alkyl); R6 = H, NH2, alkyl, alkoxy, etc.; Z1 = (CH2)1-3] were prepared as neuropeptide Y1 receptor ligands (no data). Thus, 4-methylcyclohexanone was condensed with 1-phenylpiperazine and KCN and the product condensed with 3-[(Me3Si)2N]C6H4MgCl to give, after deprotection, cis-I (R = Ph, R1-R4 = X1 = X3 = H, Z = CHMe, Z1 = CH2CH2) (II; X2 = NH2) which was condensed with COCl2 and 1,4-dioxo-8-azaspiro[4.5]decane to give, after hydrolysis, II (X2 = 4-oxopiperidinocarbonylamino).

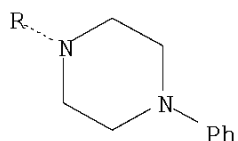
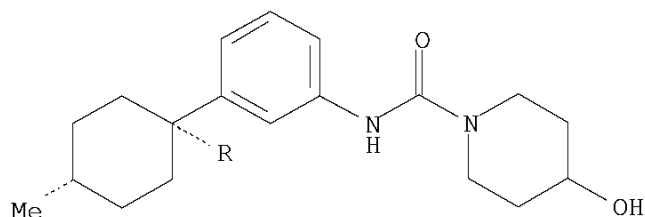
IT 249732-72-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-(1-phenylcycloalkyl)piperidines and analogs as neuropeptide Y1 receptor ligands)

RN 249732-72-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[3-[cis-4-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]-, hydrochloride (1:?) (CA INDEX NAME)

Relative stereochemistry.



●x HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 184 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:405112 CAPLUS

DOCUMENT NUMBER: 131:56155

TITLE: Methods for the simultaneous identification of novel biological targets and lead structures for drug development using combinatorial libraries and probes

INVENTOR(S): Heefner, Donald L.; Zepp, Charles M.; Gao, Yun; Jones, Steven W.

PATENT ASSIGNEE(S): Sepracor Inc., USA

SOURCE: PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931267	A1	19990624	WO 1998-US26894	19981218
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2314422	A1	19990624	CA 1998-2314422	19981218
AU 9919256	A	19990705	AU 1999-19256	19981218
EP 1049796	A1	20001108	EP 1998-964053	19981218
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002508507	T	20020319	JP 2000-539165	19981218
PRIORITY APPLN. INFO.:			US 1997-68035P	P 19971218
			WO 1998-US26894	W 19981218

AB The combinatorial screening assays and detection methods of the present invention encompass highly diversified libraries of compds. which act as

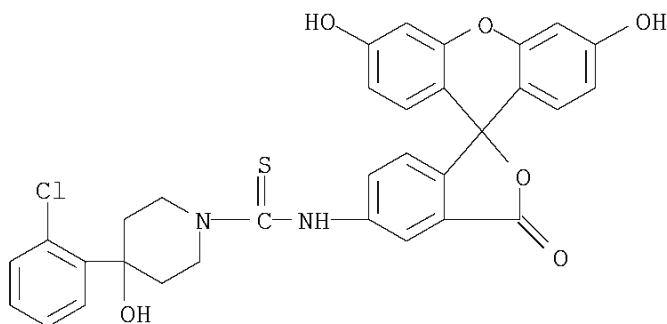
fingerprints to allow for the identification of specific mol. differences existing between biol. samples. The combinatorial screening assay and detection methods of the present invention utilize highly diversified libraries of compds. to interrogate and characterize complex mixts. in order to identify specific mol. differences existing between biol. samples, which may serve as targets for diagnosis of development of therapeutics. The invention is base, in part, on the design of sensitive, rapid, homogeneous assay systems that permit the evaluation, interrogation, and characterization of samples using complex, highly diversified libraries of mol. probes. The ability to run the high throughput assays in a homogeneous format increases sensitivity of screening. In addition, the homogeneous format allows the mols. which interact to maintain their native or active conformations. Moreover, the homogeneous assay systems of the invention utilize robust detection systems that do not require separation steps for detection of reaction products. The assays of the invention can be used for diagnostics, drug screening and discovery, target-driven discover, and in the field of proteomics and genomics for the identification of disease markers and drug targets.

IT 228112-07-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(ligand; identification of novel biol. targets and lead structures for drug development using combinatorial libraries and probes)

RN 228112-07-0 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(2-chlorophenyl)-N-(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 185 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:325920 CAPLUS

DOCUMENT NUMBER: 130:352265

TITLE: Preparation of aminothiazole inhibitors of cyclin dependent kinases

INVENTOR(S): Kim, Kyoung S.; Kimball, S. David; Poss, Michael A.; Misra, Raj N.; Cai, Zhen-Wei; Rawlins, David B.; Webster, Kevin; Hunt, John T.; Han, Wen-Ching

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 132 pp.

CODEN: PIXXD2

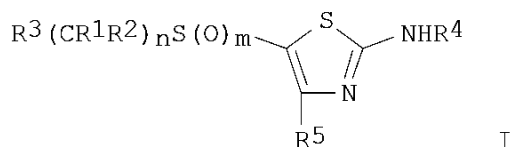
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924416	A1	19990520	WO 1998-US23197	19981102
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309551	A1	19990520	CA 1998-2309551	19981102
CA 2309551	C	20060328		
AU 9912955	A	19990531	AU 1999-12955	19981102
AU 730607	B2	20010308		
TR 200001344	T2	20000921	TR 2000-1344	19981102
BR 9814124	A	20001003	BR 1998-14124	19981102
EP 1042307	A1	20001011	EP 1998-956431	19981102
EP 1042307	B1	20071003		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
CN 1278806	A	20010103	CN 1998-811091	19981102
CN 1160343	C	20040804		
JP 2001522842	T	20011120	JP 2000-520430	19981102
JP 4344084	B2	20091014		
HU 2000004559	A2	20020429	HU 2000-4559	19981102
NZ 503828	A	20030328	NZ 1998-503828	19981102
RU 2211839	C2	20030910	RU 2000-115305	19981102
IL 135589	A	20040620	IL 1998-135589	19981102
CZ 297907	B6	20070425	CZ 2000-1744	19981102
AT 374771	T	20071015	AT 1998-956431	19981102
PT 1042307	E	20071115	PT 1998-956431	19981102
ES 2296347	T3	20080416	ES 1998-956431	19981102
TW 593292	B	20040621	TW 1998-87118625	19981109
ZA 9810332	A	20000511	ZA 1998-10332	19981111
EG 24028	A	20080326	EG 1998-1406	19981112
NO 2000002153	A	20000511	NO 2000-2153	20000427
NO 316773	B1	20040503		
MX 2000004488	A	20001110	MX 2000-4488	20000509
HK 1029109	A1	20080403	HK 2000-107675	20001130
PRIORITY APPLN. INFO.:			US 1997-65195P	P 19971112
			WO 1998-US23197	W 19981102
OTHER SOURCE(S):	MARPAT 130:352265			
GI				



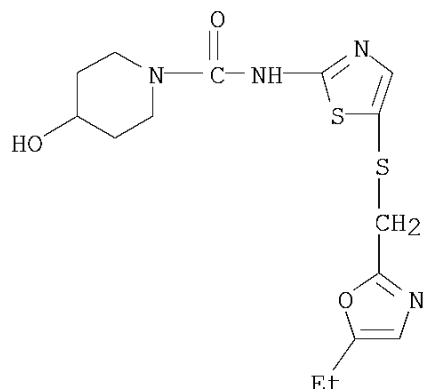
AB The title compds. I [R¹, R² = H, F, alkyl; R³ = aryl, heteroaryl; R⁴ = H, alkyl, cycloalkyl, aryl, etc.; R⁵ = H, alkyl; m = 0-2; n = 1-3] were prepared I are protein kinase inhibitors and are useful in the treatment and prevention of proliferative diseases, for example cancer, inflammation and arthritis (no data). E.g., N-[5-[[5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]acetamide was prepared

IT 224437-73-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminothiazole inhibitors of cyclin dependent kinases)

RN 224437-73-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[5-[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 36 THERE ARE 36 CAPLUS RECORDS THAT CITE THIS RECORD (51 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 186 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:261205 CAPLUS
DOCUMENT NUMBER: 130:267220
TITLE: Practical synthesis of ureas
INVENTOR(S): Thavonekham, Bounkham
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: Can. Pat. Appl., 39 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2215585	A1	19980317	CA 1997-2215585	19970916
CA 2215585	C	20040420		
US 5925762	A	19990720	US 1997-931006	19970915
PRIORITY APPLN. INFO.:			US 1996-26202P	P 19960917

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 130:267220; MARPAT 130:267220

AB The title process comprises treating Ph carbamates with an approx. stoichiometric amount of amine in DMSO at ambient temperature Thus, 4-(MeO2C)C6H4NH2 was amidated by ClCO2Ph and the product condensed with HNBu2 to give 94% (this step) 4-(MeO2C)C6H4NHCONBu2.

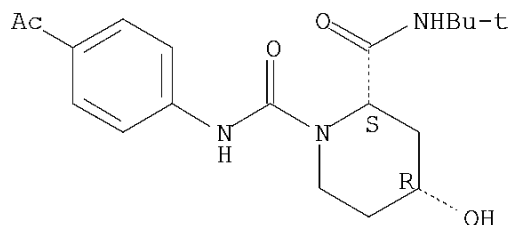
IT 199729-06-1P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(practical synthesis of ureas)

RN 199729-06-1 CAPLUS

CN 1,2-Piperidinedicarboxamide, N1-(4-acetylphenyl)-N2-(1,1-dimethylethyl)-4-hydroxy-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L4 ANSWER 187 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:126872 CAPLUS

DOCUMENT NUMBER: 130:196506

TITLE: Derivatives of 2,5- and 3,5-disubstituted anilines,
their preparation, and use as potassium channel
openers

INVENTOR(S): Dorwald, Florencio Zaragoza; Hansen, John Bondo;
Mogensen, John Patrick; Tagmose, Tina Moller; Pirotte,
Bernard; Lebrun, Philippe; De Tullio, Pascal; Boverie,
Stephane; Delarge, Jacques

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

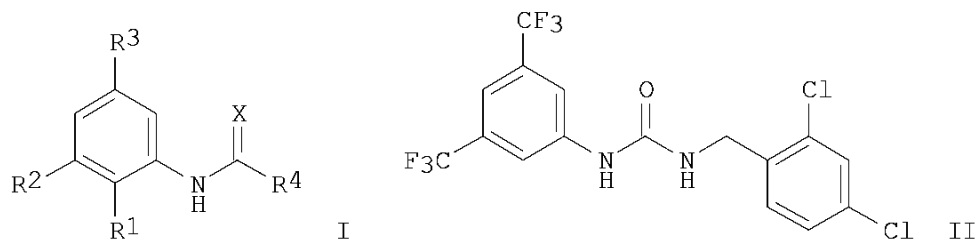
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907672	A1	19990218	WO 1998-DK337	19980724
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9885341	A	19990301	AU 1998-85341	19980724
EP 1019367	A1	20000719	EP 1998-936271	19980724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2003524574	T	20030819	JP 2000-507208	19980724
IN 1998MA01741	A	20050304	IN 1998-MA1741	19980804
ZA 9807026	A	20000207	ZA 1998-7026	19980805
PRIORITY APPLN. INFO.:			DK 1997-906	A 19970805
			US 1997-55193P	P 19970811
			WO 1998-DK337	W 19980724

OTHER SOURCE(S): MARPAT 130:196506

GI



AB Substituted anilines I [R1, R2 = H, CF3, halo, provided that both R1 and R2 \neq H; R3 = CF3 or halo; R4 = (un)substituted alkyl or YR5; Y = O or NR6; R5, R6 = (un)substituted alkyl; or R5 and R6 form a 3- to 8-membered ring; X = O or S], their compns., and methods for preparing them are described. I are useful for the treatment of diseases of the central nervous system, the cardiovascular system, the pulmonary system, the urogenital system, the gastrointestinal system and the endocrinol. system. In particular, the compds. are claimed as potassium channel openers useful in the treatment of endocrinol. diseases such as diabetes. Approx. 220 compds. are listed and claimed, and synthetic examples for several are provided. For instance, reaction of 2,4-dichlorobenzyl isocyanate with 3,5-bis(trifluoromethyl)aniline in PhMe at 90° in the presence of Et3N gave title compound II in 34% yield. The most active compds. showed IC50 values of 600 nM in an assay for potassium channel openers.

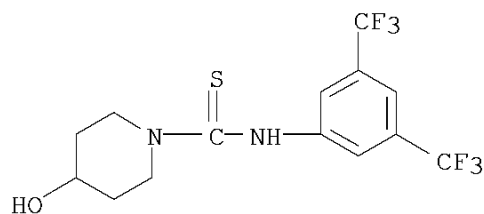
IT 220635-27-8P 220635-80-3P 220636-24-8P
220636-77-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of disubstituted aniline derivs. as potassium channel openers)

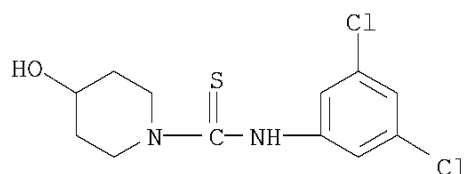
RN 220635-27-8 CAPLUS

CN 1-Piperidinecarbothioamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy-
(CA INDEX NAME)



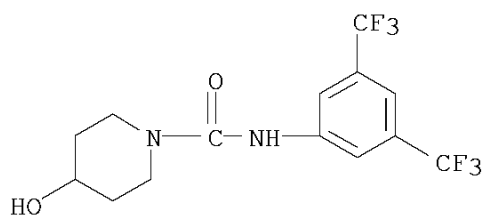
RN 220635-80-3 CAPLUS

CN 1-Piperidinecarbothioamide, N-(3,5-dichlorophenyl)-4-hydroxy- (CA INDEX NAME)

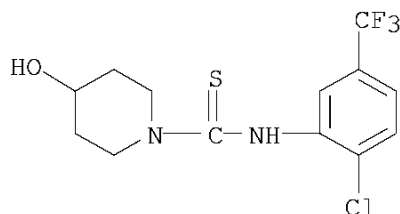


RN 220636-24-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy-
(CA INDEX NAME)



RN 220636-77-1 CAPLUS
 CN 1-Piperidinecarbothioamide, N-[2-chloro-5-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
 REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 188 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:791599 CAPLUS

DOCUMENT NUMBER: 130:110503

TITLE: Syntheses of 1-deoxynojirimycin-trehalamine-fused and -linked compounds and their biological activities

AUTHOR(S): Shiozaki, Masao; Yoshiike, Reiko; Ando, Osamu; Ubukata, Osamu; Haruyama, Hideyuki

CORPORATE SOURCE: Exploratory Chemistry Research Laboratories, Sankyo Co. Ltd., Tokyo, 140-8710, Japan

SOURCE: Tetrahedron (1998), 54(50), 15167-15182
 CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 1-Deoxynojirimycin-trehalamine-fused and -linked compds. were synthesized from 1-deoxy-2,3,4,6-tetra-O-benzylnojirimycin and trehalamine, which was obtained from natural trehalose as a degradation product. None of these synthetic compds. exceeded 1-deoxynojirimycin in the inhibitory activities towards rat intestinal maltase and yeast α -D-glucosidase.

IT 203130-20-5P 203130-21-6P

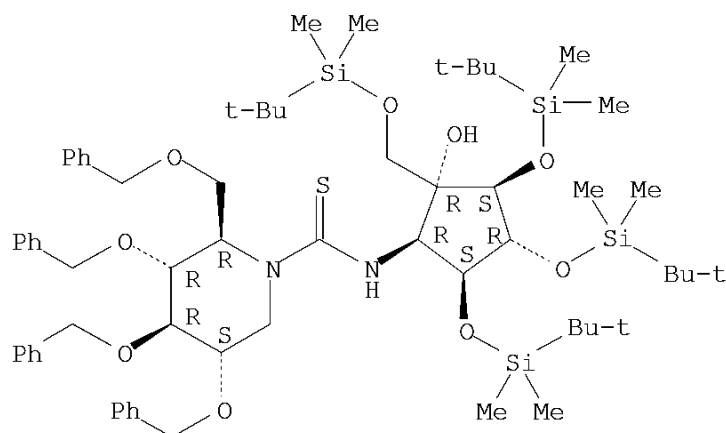
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of deoxynojirimycin-trehalamine fused and linked compds. and their enzyme inhibitory activity)

RN 203130-20-5 CAPLUS

CN 1-Piperidinecarbothioamide, 3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-N-[(1R,2R,3S,4R,5S)-3,4,5-tris[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-hydroxycyclopentyl]-, (2R,3R,4R,5S)- (CA INDEX NAME)

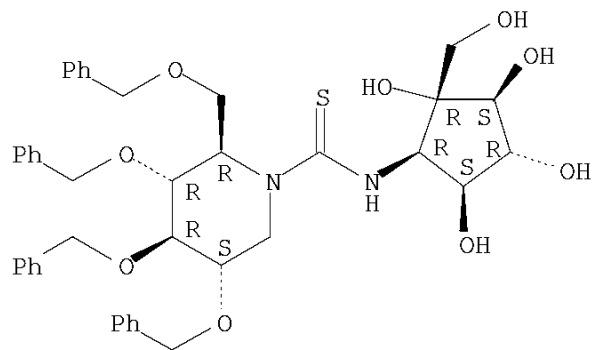
Absolute stereochemistry.



RN 203130-21-6 CAPLUS

CN 1-Piperidinecarbothioamide, 3,4,5-tris(phenylmethoxy)-2-
[(phenylmethoxy)methyl]-N-[(1R,2R,3S,4R,5S)-2,3,4,5-tetrahydroxy-2-
(hydroxymethyl)cyclopentyl]-, (2R,3R,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS
RECORD (10 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 189 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:709060 CAPLUS
DOCUMENT NUMBER: 129:330722
ORIGINAL REFERENCE NO.: 129:67455a,67458a
TITLE: Preparation of isoxazoles for the treatment or
prophylaxis of autoimmune or inflammatory diseases
INVENTOR(S): Nakatsuka, Masashi; Ueno, Yoshihide; Okada,
Shin-ichiro; Nishikaku, Fumio
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Company, Limited, Japan
SOURCE: PCT Int. Appl., 329 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9847880	A1	19981029	WO 1998-JP1770	19980417
W: CN, ID, KR, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9861934	A	19981022	AU 1998-61934	19980417
AU 733091	B2	20010503		
EP 979226	A1	20000216	EP 1998-914071	19980417
EP 979226	B1	20051109		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
CN 1138764	C	20040218	CN 1998-804398	19980417
AT 309228	T	20051115	AT 1998-914071	19980417
ES 2248894	T3	20060316	ES 1998-914071	19980417
CA 2235298	A1	19981021	CA 1998-2235298	19980420
CA 2235298	C	20080513		
JP 11240873	A	19990907	JP 1998-126908	19980420
JP 3237608	B2	20011210		
US 6100260	A	20000808	US 1998-62561	19980420
TW 442476	B	20010623	TW 1998-87106014	19980420
RU 2196770	C2	20030120	RU 1998-107337	19980420
ZA 9803338	A	19991021	ZA 1998-3338	19980421
NZ 330244	A	20000128	NZ 1998-330244	19980421
MX 9909477	A	20000228	MX 1999-9477	19991015
PRIORITY APPLN. INFO.:			JP 1997-118871	A 19970421
			JP 1997-367154	A 19971224
			US 1997-48757P	P 19970603
			WO 1998-JP1770	W 19980417
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): MARPAT 129:330722				
GI				

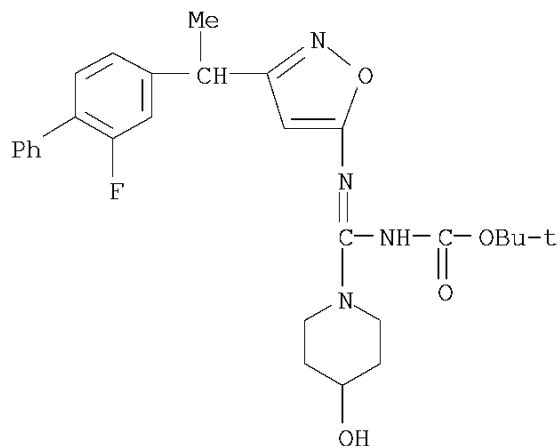
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; D = H, halo, OH, etc.; one of A and B = II (wherein E = a single bond, alkylene; one of the two broken lines = a double bond together with the solid line, while the other = a single bond together with the other solid line; R1 is bonded to the nitrogen atom bonded through the single bond represented by the broken line and the solid line; R1-R4 = H, halo, OH, etc.) and the other of A and B = JG (wherein G = (un)substituted aryl, heterocyclyl; J = C(R8R9), C(:CR8R9); R8, R9 = H, (un)substituted lower alkoxy, lower alkyl)] and their salts, useful as therapeutic drugs for autoimmune diseases, inflammatory diseases, etc., were prepared and formulated. Thus, heating isoxazole III (preparation described) in DMF with MeNH₂-H₂O-AcOH solution afforded the title compound IV.HCl which showed 18.4% edema inhibition at 50 mg/kg in male SD rats.

IT 215175-15-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of isoxazoles for the treatment or prophylaxis of autoimmune or inflammatory diseases)

RN 215175-15-8 CAPLUS

CN Carbamic acid, [[[3-[1-(2-fluoro[1,1'-biphenyl]-4-yl)ethyl]-5-isoxazolyl]amino](4-hydroxy-1-piperidinyl)methylene]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



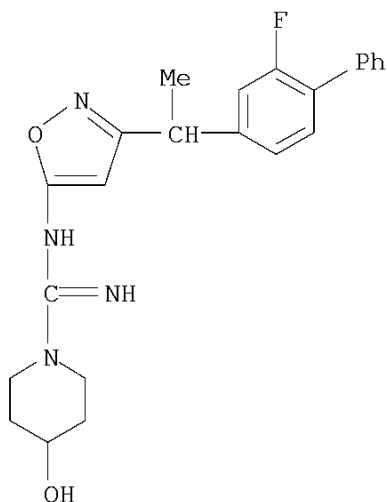
IT 215175-23-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoxazoles for the treatment or prophylaxis of autoimmune or inflammatory diseases)

RN 215175-23-8 CAPLUS

CN 1-Piperidinecarboximidamide, N-[3-[1-(2-fluoro[1,1'-biphenyl]-4-yl)ethyl]-5-isoxazolyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (41 CITINGS)

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 190 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:259658 CAPLUS

DOCUMENT NUMBER: 128:294701

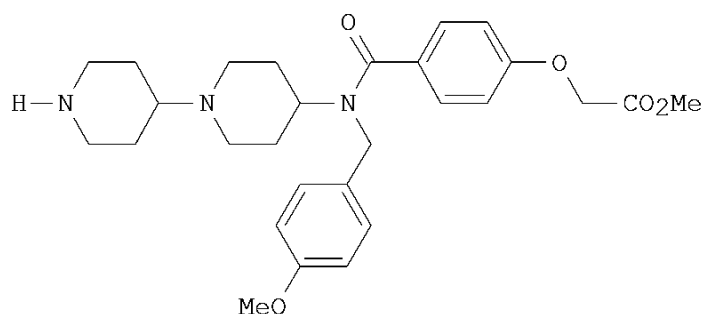
ORIGINAL REFERENCE NO.: 128:58407a, 58410a

TITLE: Preparation of N-bipiperidinylbenzamides and analogs as cell adhesion inhibitors

INVENTOR(S): Pieper, Helmut; Linz, Guenter; Austel, Volkhard;

Himmelsbach, Frank; Guth, Brian; Weisenberger, Johannes
PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.H., Germany
SOURCE: Ger. Offen., 40 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19643331	A1	19980423	DE 1996-19643331	19961021
WO 9817646	A1	19980430	WO 1997-EP5683	19971015
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9748674	A	19980515	AU 1997-48674	19971015
PRIORITY APPLN. INFO.:			DE 1996-19643331	A 19961021
			WO 1997-EP5683	W 19971015
OTHER SOURCE(S):			MARPAT 128:294701	
GI				



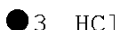
II

AB RaZNRbABD [I; A = Z1Z2; B = CO, CH2CO, OCH2CO, NHCH2CO, etc.; D = OH, (phenyl)alkoxy, cycloalkyloxy, etc.; Ra = H, (ar)alkyl, metabolically labile group, etc.; Rb = H, (cyclo)alkyl, aryl(alkyl), pyridyl(alkyl), ZRa, etc.; Z = 4,1'-bipiperidine-1,4'-diyl; Z1 = CO, CH2, CONH; Z2 = cyclohexylene, phenylene, etc.] were prepared. Thus, 4-(MeO)C6H4CH2NH2 was reductively condensed with 1-tert-butoxycarbonyl-4-piperidone and the product amidated by 4-(HO2C)C6H4OCH2CO2Me to give, in 3 addnl. steps, title compound II. Data for biol. activity of I were given.

IT 1099009-82-1 1099010-55-5
RL: PRPH (Prophetic)
(Preparation of N-bipiperidinylbenzamides and analogs as cell adhesion inhibitors)

RN 1099009-82-1 CAPLUS

CN Butanoic acid, 2-methyl-, 1-[[[1,4'-bipiperidin]-4-yl(phenylmethyl)amino]carbonyl]-4-piperidinyl ester, hydrochloride (1:3)
(CA INDEX NAME)



RN 1099010-55-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CC(C)C(=O)O[C@H]1CCCCN1C(=O)N(Cc2ccccc2)C3CCN(C3)N4CCCCN4C(=O)OC(C)C

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

L4 ANSWER 191 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:225309 CAPLUS
DOCUMENT NUMBER: 128:270801
ORIGINAL REFERENCE NO.: 128:53609a,53612a
TITLE: Synthesis of 1-deoxynojirimycin-trehalamine fused
compound and its related compounds
AUTHOR(S): Shiozaki, Masao; Ubukata, Osamu; Haruyama, Hideyuki;
Yoshiike, Reiko
CORPORATE SOURCE: Exploratory Chemistry Research Laboratories, Sankyo
Co. Ltd., Tokyo, 140, Japan
SOURCE: Tetrahedron Letters (1998), 39(14), 1925-1928
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:270801
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 1-Deoxynojirimycin-trehalamine fused compound I as a mixture together with II and its related compound III (n=2) were synthesized. The enzyme inhibitory activities of the mixture, III (n=1), and III (n=2) exhibited IC₅₀ values of 0.68, 4.2, and 1.5 μg/mL, resp., toward rat intestinal maltase.

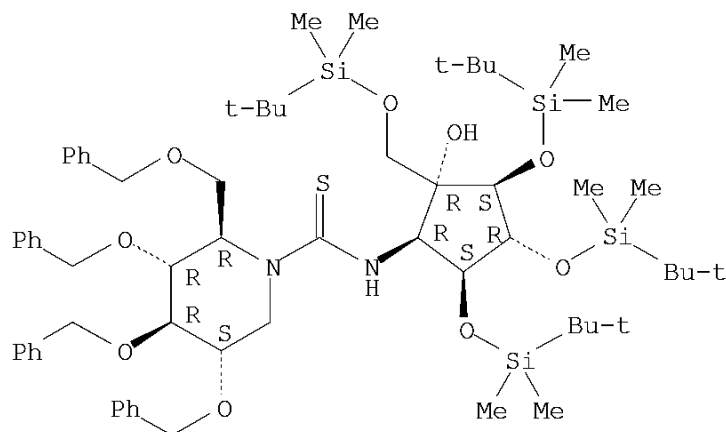
IT 203130-20-5P 203130-21-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of deoxynojirimycin-trehalamine fused compds.)

RN 203130-20-5 CAPLUS

CN 1-Piperidinecarbothioamide, 3,4,5-tris(phenylmethoxy)-2-

[(phenylmethoxy)methyl]-N-[(1R,2R,3S,4R,5S)-3,4,5-tris[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-hydroxycyclopentyl]-, (2R,3R,4R,5S)- (CA INDEX NAME)

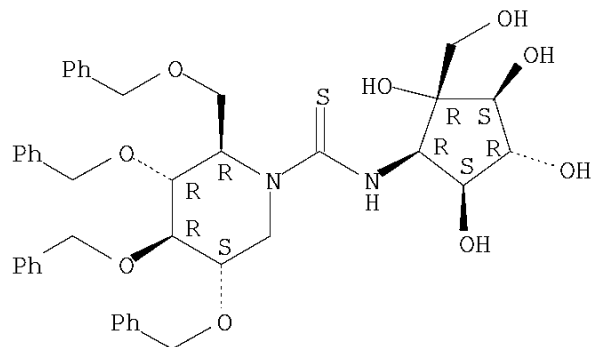
Absolute stereochemistry.



RN 203130-21-6 CAPLUS

CN 1-Piperidinecarbothioamide, 3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-N-[(1R,2R,3S,4R,5S)-2,3,4,5-tetrahydroxy-2-(hydroxymethyl)cyclopentyl]-, (2R,3R,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 192 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:87720 CAPLUS

DOCUMENT NUMBER: 128:154098

ORIGINAL REFERENCE NO.: 128:30372h,30373a

TITLE: Preparation of certain substituted benzylamine derivatives such as amides of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane as a new class of neuropeptide Y1 specific ligands

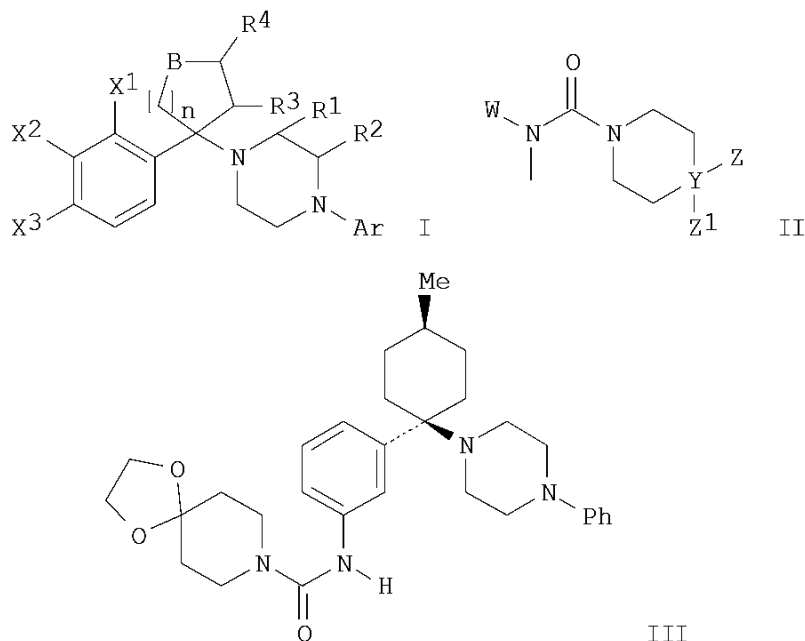
INVENTOR(S): Blum, Charles A.; Hutchison, Alan; Peterson, John M.

PATENT ASSIGNEE(S): Neurogen Corp., USA

SOURCE: PCT Int. Appl., 32 pp.

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9803493	A1	19980129	WO 1997-US12616	19970718
W: CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2260982	A1	19980129	CA 1997-2260982	19970718
EP 915860	A1	19990519	EP 1997-934218	19970718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000515151	T	20001114	JP 1998-507103	19970718
MX 9900868	A	20000331	MX 1999-868	19990122
PRIORITY APPLN. INFO.:			US 1996-22329P	P 19960723
			WO 1997-US12616	W 19970718
OTHER SOURCE(S):		MARPAT 128:154098		
GI				



AB The title compds. [I; one of X1, X2 and X3 = II and the remaining X1, X2 and X3 = H; W = H, C1-6 alkyl; Y = C, N, O, S; when Y = C then ZZ1 = N(OH), O, O(CH2)mO (wherein m = 2-3) or Z1 = H and Z = H, OH, NH2, etc.; when Y = N then Z = H, C1-6 alkyl and Z1 does not exist; Ar = (un)substituted Ph, pyridyl, thienyl, pyrimidyl; B = S, O, N(R5), C(R5)(R6); n = 1-3; R1, R2 = H, C1-6 alkyl; R3, R4 = H, C1-6 alkyl, C1-6 alkoxy; R5 = H, C1-6 alkyl, Ph, etc.; R6 = H, OH, NH2, etc.], useful in the diagnosis and treatment of feeding disorders such as obesity and bulimia and cardiovascular diseases such as essential hypertension and congestive heart failure due to the binding of these compds. to human neuropeptide Y1 receptors, were prepared Thus, treatment of

cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane (preparation described) with phosgene in the presence of Et₃N in CH₂Cl₂ followed by addition of 1,4-dioxo-8-azaspiro[4.5]decane afforded the title compound cis-III. Compds. I are effective at 0.1-140 mg/kg/day.

IT 202472-22-8P 202472-28-4P

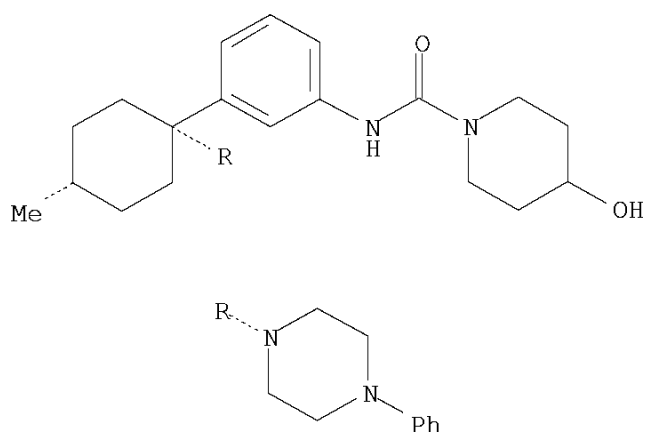
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of certain substituted benzylamine derivs. such as amides of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane as a new class of neuropeptide Y1 specific ligands)

RN 202472-22-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[3-[cis-4-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]- (CA INDEX NAME)

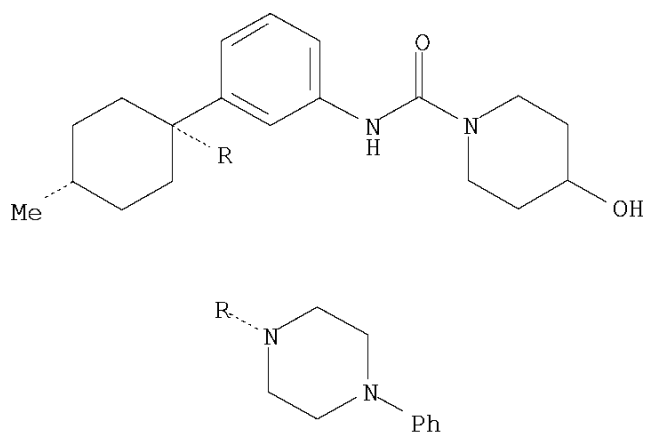
Relative stereochemistry.



RN 202472-28-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[3-[cis-4-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.



● HCl

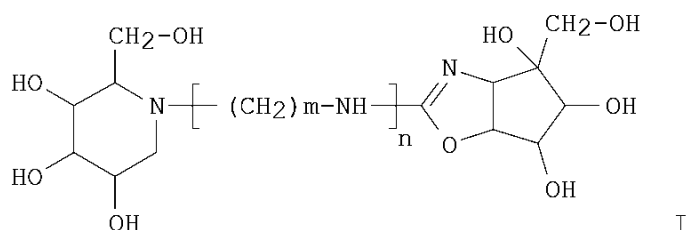
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD

(5 CITINGS)
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 193 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1998:59366 CAPLUS
 DOCUMENT NUMBER: 128:180632
 ORIGINAL REFERENCE NO.: 128:35651a,35654a
 TITLE: Preparation of cyclopentoxazolylnojirimycins as
 antiobesity, antidiabetic, and anti-HIV agents
 INVENTOR(S): Shiozaki, Masao
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10017565	A	19980120	JP 1996-166127	19960626
PRIORITY APPLN. INFO.:			JP 1996-166127	19960626
OTHER SOURCE(S):	MARPAT	128:180632		

GI



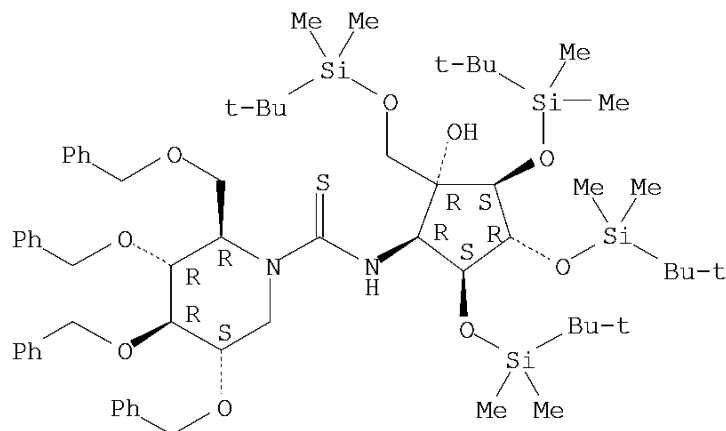
AB Title compds. I ($m = 1-20$; $n = 0, 1$), useful as antiobesity, antidiabetic, and anti-HIV agents (no data), are prepared
 N-[[1R-(1 α , 2 β , 3 α , 4 β , 5 β)]-(1-(hydroxymethyl)-1,2,3,4-(tetrahydroxy)cyclopent-5-yl]aminothiocarbonyl]-(1-deoxy-2,3,4,6-tetra-O-benzyl)nojirimycin was cyclocondensed in the presence of 2-chloro-3-ethylbenzoxazolium tetrafluoroborate in MeCN at 0° for 1 h to give 95% N-[[3aR-(3 $\alpha\alpha$, 4 α , 5 β , 6 α , 6 $\alpha\alpha$)]-4-(hydroxymethyl)-3a,5,6,6a-tetrahydro-4,5,6-trihydroxy-4H-cyclopentoxazol-2-yl]-(1-deoxy-2,3,4,6-tetra-O-benzyl)nojirimycin, which was hydrogenated using palladium hydroxide/C in MeOH at 60° for 40 min to give 32% I ($m = 0$).

IT 203130-20-5P 203130-21-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of cyclopentoxazolylnojirimycins as antiobesity, antidiabetic, and anti-HIV agents)

RN 203130-20-5 CAPLUS

CN 1-Piperidinecarbothioamide, 3,4,5-tris(phenylmethoxy)-2-[(phenylmethoxy)methyl]-N-[(1R,2R,3S,4R,5S)-3,4,5-tris[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-hydroxycyclopentyl]-, (2R,3R,4R,5S)- (CA INDEX NAME)

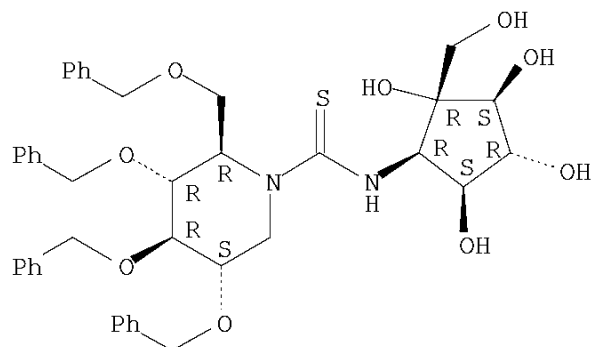
Absolute stereochemistry.



RN 203130-21-6 CAPLUS

CN 1-Piperidinecarbothioamide, 3,4,5-tris(phenylmethoxy)-2-
[(phenylmethoxy)methyl]-N-[(1R,2R,3S,4R,5S)-2,3,4,5-tetrahydroxy-2-
(hydroxymethyl)cyclopentyl]-, (2R,3R,4R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L4 ANSWER 194 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:740226 CAPLUS

DOCUMENT NUMBER: 128:13259

ORIGINAL REFERENCE NO.: 128:2581a,2584a

TITLE: Novel antidiabetic compounds having hypolipidemic,
antihypertensive properties, process for their
preparation and pharmaceutical compositions containing
them

INVENTOR(S): Lohray, Vidya Bhushan; Lohray, Braj Bhushan; Alla,
Sekar Reddy; Ramanujam, Rajagopalan; Chakrabarti,
Ranjan

PATENT ASSIGNEE(S): Dr. Reddy's Research Foundation, India;
Reddy-Cheminor, Inc.; Lohray, Vidya Bhushan; Lohray,
Braj Bhushan; Alla, Sekar Reddy; Ramanujam,
Rajagopalan; Chakrabarti, Ranjan

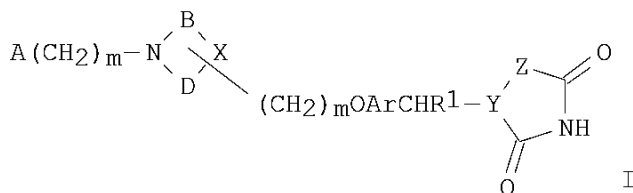
SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

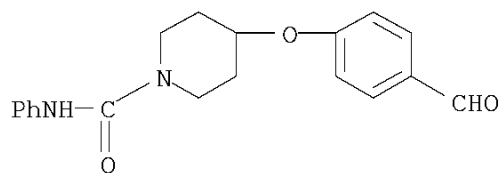
LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9741119	A1	19971106	WO 1997-US7417	19970502
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9729307	A	19971119	AU 1997-29307	19970502
EP 981526	A1	20000301	EP 1997-923526	19970502
EP 981526	B1	20040225		
R: CH, DE, FR, GB, LI, SE				
JP 2001518069	T	20011009	JP 1997-539253	19970502
PRIORITY APPLN. INFO.:			WO 1997-US7417	W 19970502
OTHER SOURCE(S):			CASREACT 128:13259; MARPAT 128:13259	
GI				

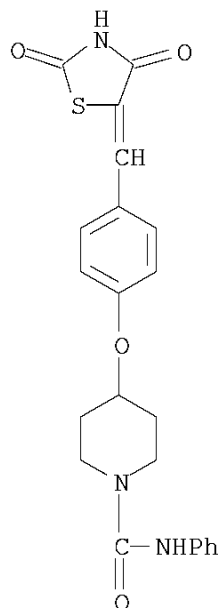


AB New thiazolidine-2,4-dione derivs. I (A = substituted or unsubstituted, single or fused, aromatic group or substituted or unsubstituted, single or fused, heterocyclic group with 1 or more hetero atoms selected from N, O, S; W = O, S, NR2 where R2 = H or lower alkyl group; Q = heteroatom of O, S or NR3 group where R3 = H or lower alkyl or lower alkoxy group; B and D = substituted or unsubstituted hydrocarbon linking group between N and X which may be saturated or may contain 1 or more double bonds; X = CH2 or hetero atom of N, S or O; Ar = optionally substituted divalent single or fused aromatic or optionally substituted single or fused heterocyclic group; R1 = H, OH, alkoxy, halo or lower alkyl group or forms a bond together with adjacent group Y; Y = N or CR6 group where R6 = H, OH, alkoxy, halo or lower alkyl group or R2 forms a bond together with R1; Z = O or S when Y = CR2 and Z = O when Y = N; m = 1-4; n = 0-4) their tautomeric forms, their derivs., their stereoisomers, their polymorphs, their pharmaceutical acceptable salts, their pharmaceutically acceptable solvates and pharmaceutically acceptable compns. containing them are claimed. Methods for their preparation and their use as antidiabetic compds. are claimed.

IT 199103-25-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (for preparation of thiazolidine-2,4-dione derivs. as antidiabetic and antihypertensives and hypolipemic agents)
 RN 199103-25-8 CAPLUS
 CN 1-Piperidinecarboxamide, 4-(4-formylphenoxy)-N-phenyl- (CA INDEX NAME)



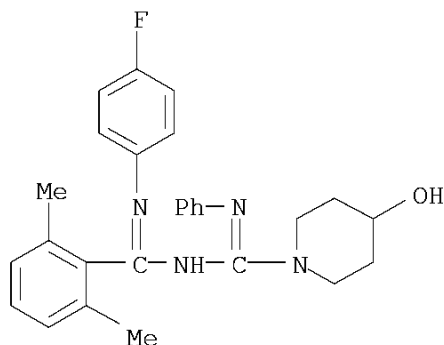
IT 199103-16-7P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of thiazolidine-2,4-dione derivs. as antidiabetic and antihypertensives and hypolipemic agents)
 RN 199103-16-7 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]-N-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

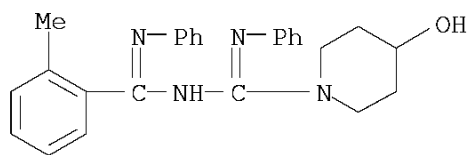
L4 ANSWER 195 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1997:732136 CAPLUS
 DOCUMENT NUMBER: 128:13209
 ORIGINAL REFERENCE NO.: 128:2569a
 TITLE: Preparation of N-phenyl-N'-(iminomethyl)benzamidines and analogs as muscarinic agonists
 INVENTOR(S): Liston, Dane R.; Nowakowski, Jolanta; Villalobos, Anabella; Yohannes, Daniel
 PATENT ASSIGNEE(S): Pfizer Inc., USA
 SOURCE: Eur. Pat. Appl., 51 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 805153	A1	19971105	EP 1997-302558	19970415
EP 805153	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
AT 208767	T	20011115	AT 1997-302558	19970415
ES 2164990	T3	20020301	ES 1997-302558	19970415
CA 2203850	A1	19971030	CA 1997-2203850	19970428
CA 2203850	C	20021001		
JP 10072426	A	19980317	JP 1997-111186	19970428
JP 2834112	B2	19981209		
PRIORITY APPLN. INFO.:			US 1996-16494P	P 19960430
OTHER SOURCE(S):			MARPAT 128:13209	
AB Title compds., e.g., RN:CR1N:CHR3NHR2 [I; R = (cyclo)alkyl, NR7R8, pyridyl, Ph, etc.; R1 = (cyclo)alkyl, NR4R5, etc.; R2,R3 = (un)substituted Ph; R4,R5,R7,R8 = alkyl; NR4R5,NR7R8 = heterocyclyl] were prepared Thus, PhN:CCl2 was aminated by pyrrolidine and the ammoniated product condensed with PhC(:NPh)Cl to give I (R = R2 = R3 = Ph, R1 = pyrrolidino). Data for biol. activity of I were given.				
IT	199120-04-2P	199120-19-9P	199120-20-2P	
	199120-23-5P	199120-28-0P	199120-78-0P	
	199120-91-7P	199120-93-9P		
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-phenyl-N'-(iminomethyl)benzamidines and analogs as muscarinic agonists)				
RN	199120-04-2 CAPLUS			
CN	1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl) [(4-fluorophenyl)imino]methyl]-4-hydroxy-N'-phenyl-, hydrochloride (1:1) (CA INDEX NAME)			



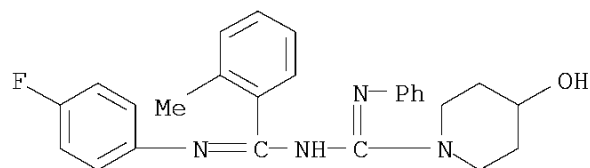
● HCl

RN 199120-19-9 CAPLUS
CN 1-Piperidinecarboximidamide, 4-hydroxy-N-[(2-methylphenyl) (phenylimino)methyl]-N'-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



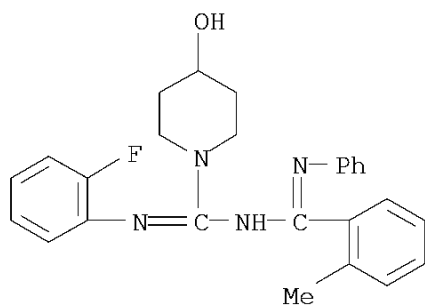
● HCl

RN 199120-20-2 CAPLUS
 CN 1-Piperidinecarboximidamide, N-[[(4-fluorophenyl)imino] (2-methylphenyl)methyl]-4-hydroxy-N'-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



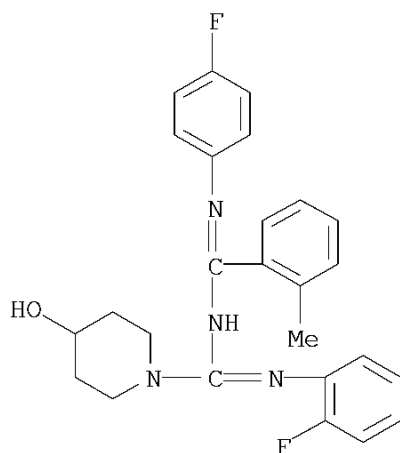
● HCl

RN 199120-23-5 CAPLUS
 CN 1-Piperidinecarboximidamide, N'-(2-fluorophenyl)-4-hydroxy-N-[(2-methylphenyl)(phenylimino)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



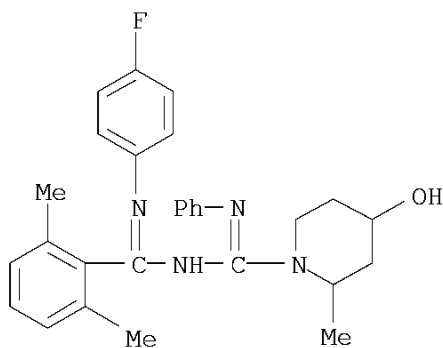
● HCl

RN 199120-28-0 CAPLUS
 CN 1-Piperidinecarboximidamide, N'-(2-fluorophenyl)-N-[[(4-fluorophenyl)imino] (2-methylphenyl)methyl]-4-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

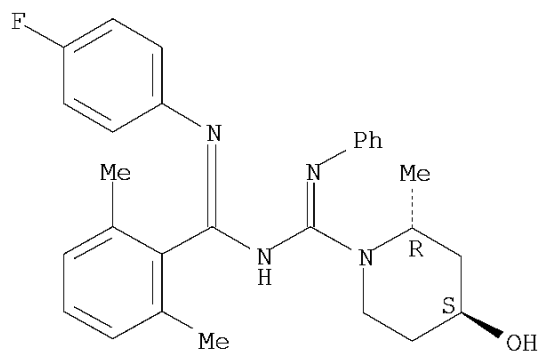
RN 199120-78-0 CAPLUS
 CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl) [(4-fluorophenyl)imino]methyl]-4-hydroxy-2-methyl-N'-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 199120-91-7 CAPLUS
 CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl) [(4-fluorophenyl)imino]methyl]-4-hydroxy-2-methyl-N'-phenyl-, hydrochloride (1:1), (2R,4S)-rel- (CA INDEX NAME)

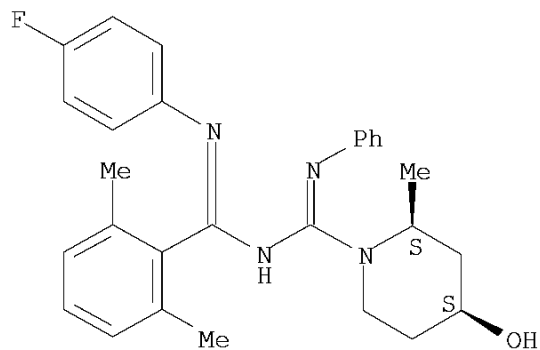
Relative stereochemistry.



● HCl

RN 199120-93-9 CAPLUS
 CN 1-Piperidinecarboximidamide, N-[(2,6-dimethylphenyl) [(4-fluorophenyl) imino]methyl]-4-hydroxy-2-methyl-N'-phenyl-, hydrochloride (1:1), (2R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



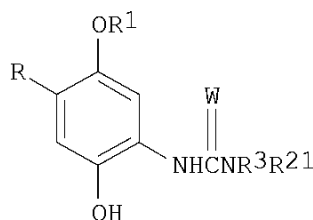
● HCl

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

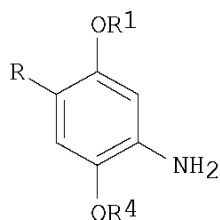
L4 ANSWER 196 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1997:731400 CAPLUS
 DOCUMENT NUMBER: 128:3549
 ORIGINAL REFERENCE NO.: 128:767a,770a
 TITLE: Preparation of N-(2,5-dihydroxyphenyl)urea derivatives having antioxidant and active oxygen-quenching activities
 INVENTOR(S): Suzuki, Toshikazu; Omizu, Hiroshi; Hashimura, Yoshimasa; Kubota, Hitoshi; Saito, Keiko
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

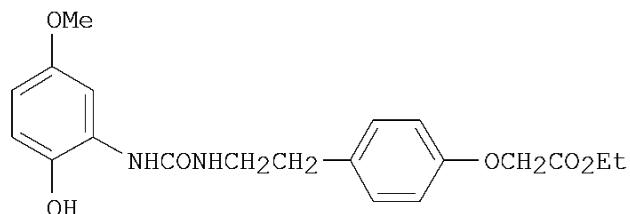
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09278737	A	19971028	JP 1997-28583	19970213
PRIORITY APPLN. INFO.:			JP 1996-28843	A 19960216
OTHER SOURCE(S):	MARPAT	128:3549		
GI				



I



II



III

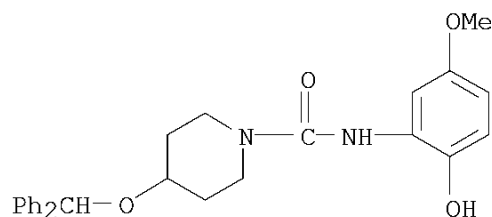
AB The title phenol derivs. [I; R = H, lower alkyl or alkoxy; R1 = lower alkyl; W = O, S, NR5; wherein R5 = H, lower alkyl, aryl, OH, lower alkoxy; R21 = substituted alkyl; R3 = H, (un)substituted lower alkyl; or NR21R3 = N-containing heterocyclyl] and pharmacol. acceptable salts thereof are prepared by reaction of 2,5-dihydroxyaniline derivs. (II; R, R1 = same as above; R4 = protecting group for the HO group) with COCl2 or triphosgene and then with HNR21R3 (R3, R21 = same as above) followed by deprotection. These compds. I also possess excellent activities for inhibiting lipid peroxidn., foam cell formation of macrophages, oxidative LDL formation, ACAT, and reperfusion-induced arrhythmia and are reduced in toxicity and thereby are useful for treatment and prevention of arteriosclerosis, ischemic diseases such as cerebral and myocardial infarction, cell damages during ischemia and/or reperfusion, inflammation, and arrhythmia (no data). Thus, a cooled (-78°) solution of COCl2 in CH2Cl2 was added dropwise to a solution of (2-amino-4-methoxyphenoxy)methoxymethane and Et3N in CH2Cl2 and after warming to 0°, the solvent was evaporated under reduced pressure to give a residue. The latter residue was dissolved in CH2Cl2, followed by adding dropwise a solution of 2-(4-ethoxycarbonylmethoxyphenyl)ethylamine hydrochloride and Et3N in CH2Cl2, and the resulting mixture was stirred at room temperature for 1 h to give,

after treatment with a mixture of concentrated HCl and EtOH, the title compound (III).

IT 198756-65-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(dihydroxyphenyl)urea derivs. having antioxidant and active oxygen-quenching activities for treatment of diseases)

RN 198756-65-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-(diphenylmethoxy)-N-(2-hydroxy-5-methoxyphenyl)-
(CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

L4 ANSWER 197 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:702201 CAPLUS

DOCUMENT NUMBER: 128:34510

ORIGINAL REFERENCE NO.: 128:6801a,6804a

TITLE: A practical synthesis of ureas from phenyl carbamates

AUTHOR(S): Thavonekham, Bounkham

CORPORATE SOURCE: Bio-Mega Research Division, Boehringer Ingelheim Ltd.,
Laval, QC, H7S 2G5, Can.

SOURCE: Synthesis (1997), (10), 1189-1194

CODEN: SYNTBF; ISSN: 0039-7881

PUBLISHER: Thieme

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 128:34510

AB Using DMSO as solvent, a mild and efficient procedure for the synthesis of unsym. N,N'-disubstituted ureas from Ph carbamates is described. The carbamates are treated with a stoichiometric amount of amine at ambient temperature, generating the ureas in high yield and high purity. The reaction is mild, fast, and easily scaled up.

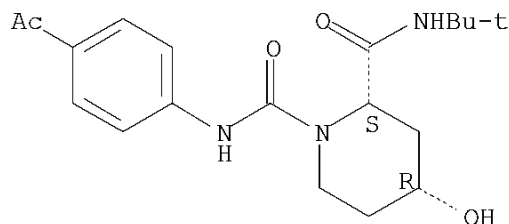
IT 199729-06-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of ureas from Ph carbamates)

RN 199729-06-1 CAPLUS

CN 1,2-Piperidinedicarboxamide, N1-(4-acetylphenyl)-N2-(1,1-dimethylethyl)-4-hydroxy-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 33 THERE ARE 33 CAPLUS RECORDS THAT CITE THIS
RECORD (33 CITINGS)

L4 ANSWER 198 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:613831 CAPLUS

DOCUMENT NUMBER: 127:278203

ORIGINAL REFERENCE NO.: 127:54337a,54340a
 TITLE: Benzoxazinone and benzopyrimidinone piperidinyl
 tocolytic oxytocin receptor antagonists
 INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Williams, Peter D.;
 Freidinger, Roger M.; Pettibone, Douglas J.; Hobbs,
 Doug W.; Anderson, Paul S.
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: U.S., 140 pp., Cont.-in-part of U.S. Ser. No. 92,840,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5665719	A	19970909	US 1995-470693	19950606
PRIORITY APPLN. INFO.:			US 1993-92840	B2 19930716
OTHER SOURCE(S):	MARPAT	127:278203		

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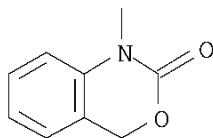
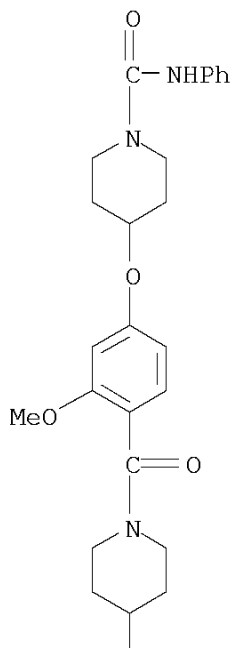
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I [X = O, NH, or NR₈; Y = CH₂, CHR₈, or C(R₈)₂; R₁ = camphor-10-yl, alkoxy, styryl, hydroxystyryl, furyl, (un)substituted thienyl, naphthyl, indolyl, tetrahydronaphthyl, (un)substituted pyridyl, pyrazinyl, (un)substituted cyclohexyl or Ph; R₂ = H, alkoxy, alkyl, amino, alkylcarbonylamino, nitro, or halo; R₃ = H, alkoxycarbonyl, cyano, or carbamoyl; and m = 0 or 1] and various analogs are disclosed. The compds. as useful as oxytocin (OT) and vasopressin receptor antagonists. Over 275 synthetic examples are given. For instance, Me 2,4-dihydroxybenzoate underwent Mitsunobu etherification with N-(tert-butoxycarbonyl)-4-piperidinol (51%), followed by O-methylation of the remaining hydroxyl (88%), saponification of the Me ester (95%), and coupling of the resultant acid with 1-(4-piperidinyl)-1,2-dihydro-4H-3,1-benzoxazin-2-one (HCl salt) using EDC and HOBT (88%), to give title compound II [R = CO₂Bu-tert]. The latter was deprotected with HCl in dioxane (93%) and acetylated with Ac₂O (89%) to give title compound II [R = Ac]. The latter inhibited binding of [3H]-OT to rat uterine OT receptors in vitro with an IC₅₀ of 47 nM.

IT 162043-43-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzoxazinone and benzopyrimidinone derivs. as oxytocin and vasopressin receptor antagonists)

RN 162043-43-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[3-methoxy-4-[[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]carbonyl]phenoxy]-N-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 199 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:533632 CAPLUS

DOCUMENT NUMBER: 127:220673

ORIGINAL REFERENCE NO.: 127:43009a,43012a

TITLE: Novel aromatic piperazines derived from substituted cycloazanes, method for preparing same, pharmaceutical compositions, and use thereof as drugs

INVENTOR(S): Halazy, Serge; Jorand-Lebrun, Catherine; Pauwels, Peter; Chopin, Philippe; Marien, Marc

PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.; Halazy, Serge; Jorand-Lebrun, Catherine; Pauwels, Peter; Chopin, Philippe; Marien, Marc

SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2

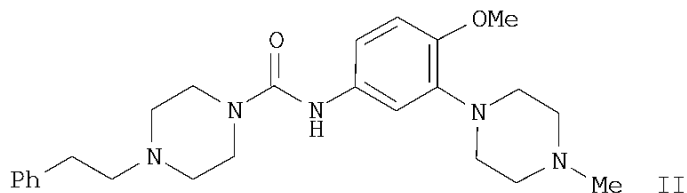
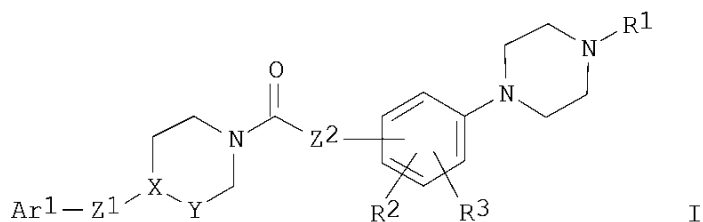
DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

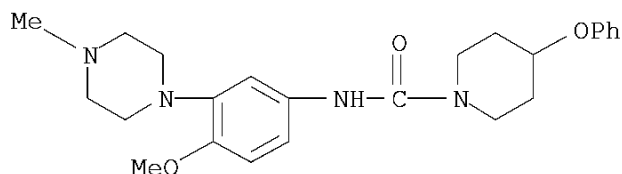
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9728141	A1	19970807	WO 1997-FR203	19970203
W: AU, BR, CA, CN, JP, KR, MX, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2744449	A1	19970808	FR 1996-1273	19960202
FR 2744449	B1	19980424		
CA 2245718	A1	19970807	CA 1997-2245718	19970203
AU 9716074	A	19970822	AU 1997-16074	19970203
EP 880512	A1	19981202	EP 1997-902427	19970203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9707251	A	19990406	BR 1997-7251	19970203
CN 1214047	A	19990414	CN 1997-193122	19970203
JP 2000505795	T	20000516	JP 1997-527377	19970203
PRIORITY APPLN. INFO.:			FR 1996-1273	A 19960202
			WO 1997-FR203	W 19970203
OTHER SOURCE(S):			CASREACT 127:220673; MARPAT 127:220673	
GI				



AB Title compds. I [R1 = H, alkyl; R2, R3 = H, alkyl, alkoxy, thioether, nitrile, CF3, F, Cl, Br, I; or R2R3 form a 5- or 6-membered ring; XY = NCH2, CHCH2, C:CH, N, NCH2CH2; Z1 = (CH2)n, (CH2)nCO, CO, CO(CH2)n, SO2, SO2(CH2)n, O(CH2)n, O(CH2)nCO, OCO, NH(CH2)n, NH(CH2)nCO, NHCO, NHCO(CH2)n, NH(CH2)SO2, NHSO2, NHSO2(CH2)n, CH:CHCO, C.tplbond.CCO, (CH2)nSO2, O(CH2)nSO2, O, NH, CONH, OCONH, O(CH2)nO, etc.; Z2 = O, NH, CH2O, CH2NH; n = 1-6; Ar1 = (un)substituted Ph, naphthyl, or pyridyl; with provisos] are disclosed. The compds. are strong and selective antagonists of 5-HT1D receptors, and are useful for treatment of a variety of conditions, including depression, anxiety, schizophrenia, neurodegenerative disorders, and some cancers. Synthetic examples are given for 42 compds. and their fumarate salts. For instance, 4-methoxy-3-(4-methylpiperazin-1-yl)aniline underwent reaction with triphosgene, and subsequent amidation with 4-phenethylpiperazine, to give 84% title compound II. In a test for inhibition of sumatriptan-induced thymidine uptake by C6 glial cells transfected with the 5-HT1D β and 5-HT1D α receptor genes, I had IC50 values in the range of 10-100 nM. In 5-HT receptor assays, II had Ki values of 2.1 nM and 1.9 nM for

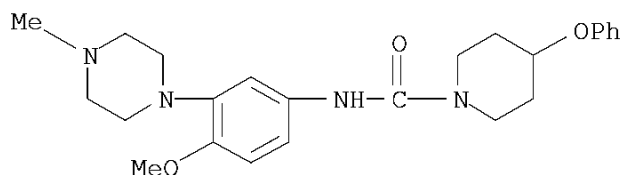
subtypes 1D α and 1D β , resp., vs. 3500 nM for subtype 1A.
 IT 194943-08-3P 194943-09-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of piperazine derivs. as 5-HT1D antagonists)
 RN 194943-08-3 CAPLUS
 CN 1-Piperidinecarboxamide, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-4-phenoxy- (CA INDEX NAME)



RN 194943-09-4 CAPLUS
 CN 1-Piperidinecarboxamide, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-4-phenoxy-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

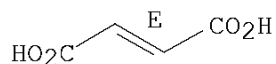
CRN 194943-08-3
 CMF C24 H32 N4 O3



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



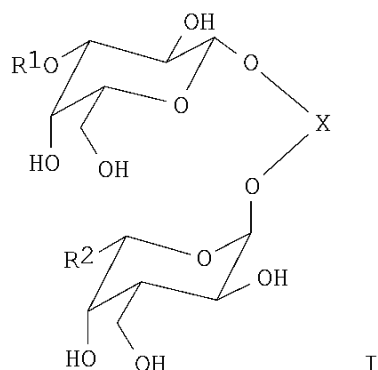
OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)
 REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 200 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1997:187030 CAPLUS
 DOCUMENT NUMBER: 126:186312
 ORIGINAL REFERENCE NO.: 126:35985a,35988a
 TITLE: Diglycosylated 1,2-diols as mimetics of sialyl-Lewis X and sialyl-Lewis A
 INVENTOR(S): Kolb, Hartmuth Christian
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.; Kolb, Hartmuth Christian

SOURCE: PCT Int. Appl., 136 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9701569	A1	19970116	WO 1996-EP2785	19960626
W: AL, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2224346	A1	19970116	CA 1996-2224346	19960626
AU 9663053	A	19970130	AU 1996-63053	19960626
AU 707474	B2	19990708		
EP 836610	A1	19980422	EP 1996-922034	19960626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1196731	A	19981021	CN 1996-196452	19960626
HU 9801805	A2	19981228	HU 1998-1805	19960626
HU 9801805	A3	20020128		
BR 9609285	A	19990511	BR 1996-9285	19960626
JP 11508548	T	19990727	JP 1997-504171	19960626
NZ 311686	A	20000128	NZ 1996-311686	19960626
PRIORITY APPLN. INFO.:			CH 1995-1914	A 19950629
			WO 1996-EP2785	W 19960626

OTHER SOURCE(S): MARPAT 126:186312
 GI



AB Diglycosylated diols I (X = non-glycosidic aliphatic 1,2-diol, R1 = S-configured Me substituted with one carboxyl residue and one other substituent, R2 = H, alkyl, aryl) were prepared as mimetics of sialyl-Lewis X and sialyl-Lewis A. Thus, I [X = 1,2-cyclohexanediyl, R1 = (R)-PhCH₂CHMeCO₂Na, R2 = Me] was prepared via esterification using benzyl (R)-3-phenyl-2-(trifluoromethanesulfonyloxy)propionate, followed by hydrogenolysis of benzyl and benzylidene protecting groups. The product inhibited maximal binding of polySialylLeaHRP conjugate to immobilized E-selectin/human IgGchimera (relative inhibitory concentration, RIC₅₀, is 0.35).

IT 187404-04-2P

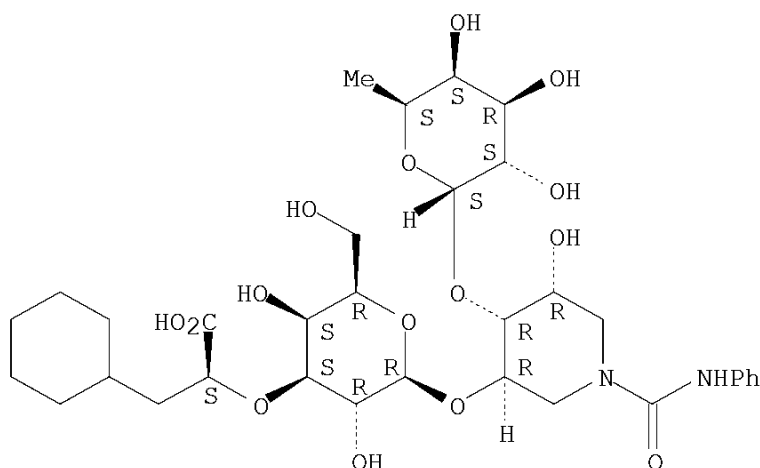
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(diglycosylated diols as mimetics of sialyl-Lewis X and sialyl-Lewis A)

RN 187404-04-2 CAPLUS

CN 1-Piperidinecarboxamide, 3-[[3-O-[(1S)-1-carboxy-2-cyclohexylethyl]- β -D-galactopyranosyl]oxy]-4-[(6-deoxy- α -L-galactopyranosyl)oxy]-5-hydroxy-N-phenyl-, monosodium salt, (3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

IT 187402-67-1P

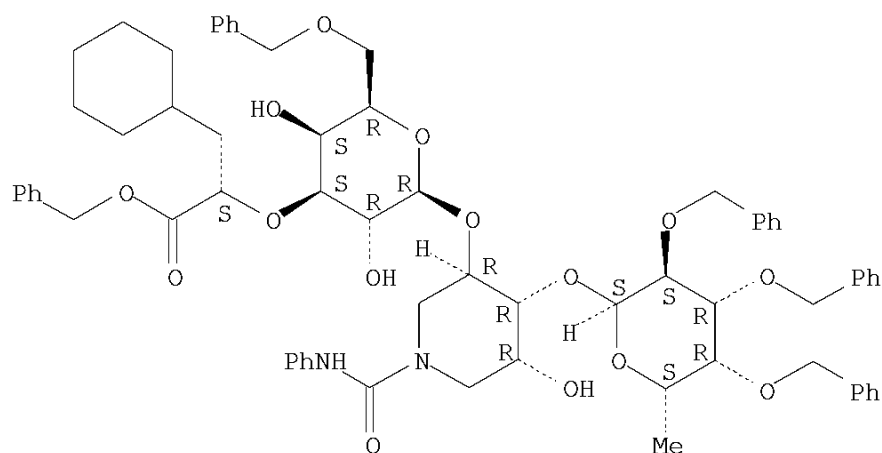
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(diglycosylated diols as mimetics of sialyl-Lewis X and sialyl-Lewis A)

RN 187402-67-1 CAPLUS

CN 1-Piperidinecarboxamide, 3-[[3-O-[(1S)-1-(cyclohexylmethyl)-2-oxo-2-(phenylmethoxy)ethyl]-6-O-(phenylmethyl)- β -D-galactopyranosyl]oxy]-4-[[6-deoxy-2,3,4-tris-O-(phenylmethyl)- α -L-galactopyranosyl]oxy]-5-hydroxy-N-phenyl-, (3R,4R,5R)- (CA INDEX NAME)

Absolute stereochemistry.

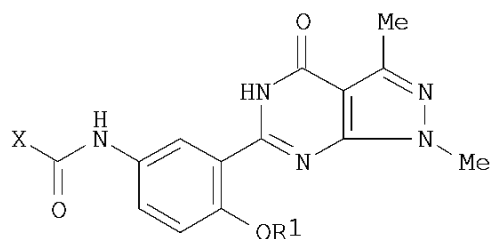


OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 201 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1996:751800 CAPLUS
 DOCUMENT NUMBER: 126:31225
 ORIGINAL REFERENCE NO.: 126:6353a,6356a
 TITLE: Preparation of 1H-pyrazolo[3,4-d]pyrimidin-4-one derivatives as phosphodiesterase inhibitors
 INVENTOR(S): Oota, Tomoki; Taguchi, Minoru; Kawashima, Yutaka; Hatayama, Katsuo; Tomizawa, Kazuyuki
 PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08253484	A	19961001	JP 1996-5930	19960117
JP 3713783	B2	20051109		
PRIORITY APPLN. INFO.:			JP 1995-6986	A 19950120
OTHER SOURCE(S):	MARPAT	126:31225		

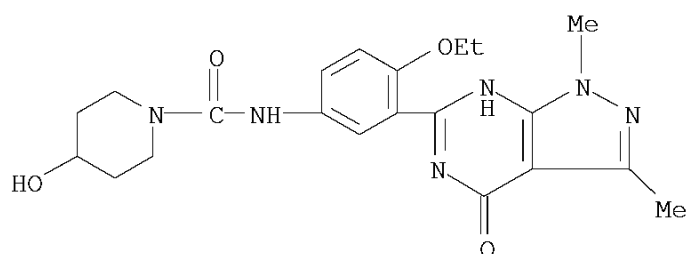
GI



AB Title compds. I [R1 = C1-4 alkyl; X = phenoxy, NR2R3; R2, R3 = H, C2-4 hydroxyalkyl, or NR2R3 = morpholino, piperidino, etc.], phosphodiesterase inhibitors and therefore useful for treatment of hypertension and other cardiovascular diseases, (no data), are prepared Thus, I [R1 = Pr, X = PhO] was prepared from 6-(5-amino-2-propoxyphenyl)-4,5-dihydro-1,3-dimethyl-1H-pyrazolo[3,4-d]pyrimidin-4-one (preparation given) and Ph chloroformate. This was further reacted with morpholine to give I [R1 = Pr, X = morpholino]. In an in vitro study, this had an IC50 of 2.4 μ M against phosphodiesterase.

IT 184356-81-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 1H-pyrazolo[d]pyrimidinone derivs. as phosphodiesterase inhibitors)

RN 184356-81-8 CAPLUS
 CN 1-Piperidinecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L4 ANSWER 202 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:446568 CAPLUS

DOCUMENT NUMBER: 125:114672

ORIGINAL REFERENCE NO.: 125:21527a,21530a

TITLE: Preparation of quinazoline derivatives as cyclic GMP phosphodiesterase inhibitors

INVENTOR(S): Oota, Tomoki; Taguchi, Minoru; Kawashima, Yutaka; Hatayama, Katsuo

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

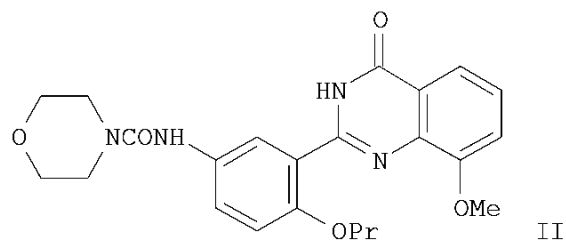
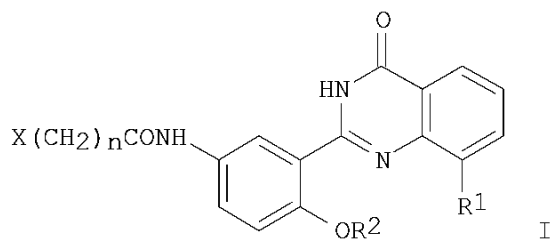
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 08104679	A	19960423	JP 1995-175879	19950712
JP 3702493	B2	20051005		
PRIORITY APPLN. INFO.:			JP 1995-175879	A 19950712
			JP 1994-190388	19940812
OTHER SOURCE(S):	MARPAT 125:114672			
GI				



AB The title compds. I [R1 = H, Me, etc.; R2 = alkyl; n = 0 or 1; X = halo, etc.] are prepared The title compound II (NMR data given) in vitro showed IC50 of 2.9 nM against cyclic GMP phosphodiesterase.

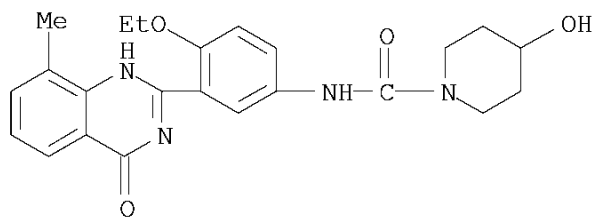
IT 178937-86-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline derivs. as cyclic GMP phosphodiesterase inhibitors)

RN 178937-86-5 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(3,4-dihydro-8-methyl-4-oxo-2-quinazolinyl)-4-ethoxyphenyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 203 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:241537 CAPLUS

DOCUMENT NUMBER: 124:289561

ORIGINAL REFERENCE NO.: 124:53702h,53703a

TITLE: Preparation of thienopyrimidinones as cyclic GMP phosphodiesterase inhibitors

INVENTOR(S): Oota, Tomoki; Kawashima, Yutaka; Hatayama, Katsuo

PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.

CODEN: JKXXAF

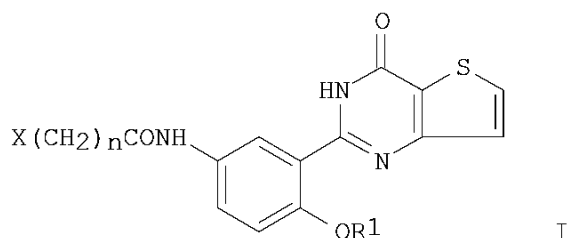
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07330777	A	19951219	JP 1994-126555	19940608
PRIORITY APPLN. INFO.:			JP 1994-126555	19940608
OTHER SOURCE(S):	MARPAT	124:289561		
GI				



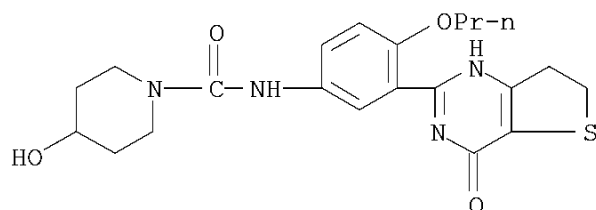
AB The title compds. I [R1 = alkyl; n = 0 or 1; X = halo, cycloalkyl, etc.] are prepared I [X = morpholino; n = 0; R1 = ethyl] (preparation given) at 28 µg/Kg decreased blood pressure in rats by 15 mmHg.

IT 175595-30-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienopyrimidinones as cyclic GMP phosphodiesterase inhibitors)

RN 175595-30-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-propoxy-3-(3,4,6,7-tetrahydro-4-oxothieno[3,2-d]pyrimidin-2-yl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 204 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:921905 CAPLUS

DOCUMENT NUMBER: 123:340203

ORIGINAL REFERENCE NO.: 123:61067a, 61070a

TITLE: Preparation of thienotriazolodiazepines as inflammation inhibitors

INVENTOR(S): Moriwaki, Minoru; Kitani, Hiroyuki; Ebara, Hideji; Komatsu, Hiroshi; Nagasawa, Mariko

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan; Mitsubishi Welpharma Co.

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

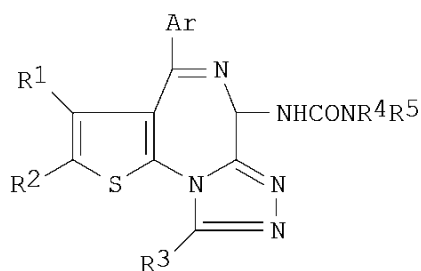
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07179471	A	19950718	JP 1994-279036	19941114
JP 3633008	B2	20050330		
PRIORITY APPLN. INFO.:			JP 1993-285328	A 19931115
OTHER SOURCE(S):	MARPAT 123:340203			
GI				



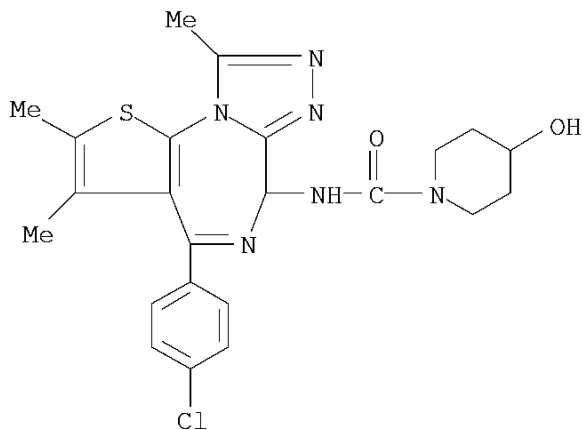
I

AB The title compds. I [Ar = Ph, etc.; R1 - R3 = Me, etc.; R4, R5 = hydroxyalkyl, etc.; or R4 and R5 may together form a ring] are prepared In the oxazolone challenge test, the average weight increase of ears treated with oxazolone in mice dosed with I [Ar = 4-ClC6H4; R1 = R2 = R3 = methyl; NR4R5 = NH(CH2)2OH] (preparation given) at 10 mg/Kg/day orally for 8 days was 11.2 ± 0.8 mg, vs. 17.7 ± 0.5 mg for controls treated with oxazolone alone.

IT 170365-98-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of thienotriazolodiazepines as inflammation inhibitors)

RN 170365-98-7 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-(4-chlorophenyl)-2,3,9-trimethyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepin-6-yl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

ACCESSION NUMBER: 1995:858623 CAPLUS
 DOCUMENT NUMBER: 123:256357
 ORIGINAL REFERENCE NO.: 123:45843a,45846a
 TITLE: Preparation of anthranilic acid amide derivative as cyclic guanosine monophosphate-phosphodiesterase inhibitors
 INVENTOR(S): Ozaki, Fumihiro; Ishibashi, Keiji; Ikuta, Hironori; Ishihara, Hiroki; Souda, Shigeru
 PATENT ASSIGNEE(S): Japan
 SOURCE: PCT Int. Appl., 204 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518097	A1	19950706	WO 1994-JP2262	19941227
W: AU, CA, CN, FI, HU, KR, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2155662	A1	19950706	CA 1994-2155662	19941227
AU 9512824	A	19950717	AU 1995-12824	19941227
AU 694465	B2	19980723		
EP 686625	A1	19951213	EP 1995-903999	19941227
EP 686625	B1	19990526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1118595	A	19960313	CN 1994-191311	19941227
JP 08188563	A	19960723	JP 1994-336920	19941227
JP 3837673	B2	20061025		
HU 74450	A2	19961230	HU 1995-2512	19941227
RU 2128644	C1	19990410	RU 1995-120194	19941227
AT 180468	T	19990615	AT 1995-903999	19941227
FI 9503968	A	19951019	FI 1995-3968	19950823
NO 9503305	A	19951025	NO 1995-3305	19950823
US 5716993	A	19980210	US 1995-507476	19950914
PRIORITY APPLN. INFO.:			JP 1993-347092	A 19931227
			JP 1994-299110	A 19941109
			WO 1994-JP2262	W 19941227
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):		MARPAT 123:256357		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Anthranilamide derivs. [I; R1, R2, R3, R4 = H, halo, OH, (halo)alkyl, (halo)alkoxy, nitro, hydroxyalkyl, cyano, (CH2)pNR9R10, S(O)qR13, (un)protected CO2H, (un)substituted tetrazolyl, CONH2, pyrazolyl, or imidazolyl; or adjacent two substituents selected from R1 - R4 together with the C atoms bonded to them forms a ring; wherein R9, R10 = H, (halo)alkyl, arylalkyl, heteroarylalkyl, acyl, (un)protected CO2H; or NR9R10 forms a ring; p = 0, 1-6; R13 = H, (halo)alkyl; q = 0, 1-2; R5, R6 = H, halo, OH, cyano, (halo)alkyl, (halo)alkoxy; or R5 and R6 together with the C atoms bonded to them form cycloalkane, oxolane, 1,3-dioxolane, or 1,4-dioxane ring; W = N, CH; R7, R8 = H, (halo)alkyl; or R1 and R7 together with the C atoms bonded to them form a ring optionally containing other N, O, or S atom; A = H, (halo)alkyl, X(CH2)mZ; wherein X = CO, CS, CH2, SO2; Z = OH, (halo)alkoxy, cyano, halo, etc.; Y = O, S; n = 0, 1-6] or pharmacol. acceptable salts thereof are prepared These compds. are

useful for the treatment of ischemic heart disease, angina pectoris, hypertension, pulmonary hypertension, heart failure, and asthma. Thus, 2-nitro-5-chlorobenzoic acid was refluxed with SOCl₂ in benzene for 4 h and concentrated to give 2-nitro-5-chlorobenzoyl chloride which was amidated with piperonylamine in the presence of Et₃N in THF to give a benzamide (II; R = NO₂). This compound was reduced by Fe powder in a mixture of AcOH, H₂O, and MeOH under gentle refluxing to give, after concentration and treatment with concentrated HCl in EtOH, N-piperonylanthranilamide derivative II. HCl (R

= NH₂). An anthranilamide derivative (III) showed IC₅₀ of 0.4 nM against cyclic guanosine monophosphate-phosphodiesterase preparation from pig aorta.

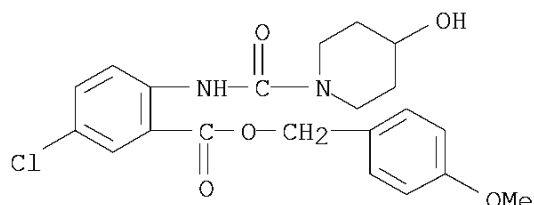
IT 169044-75-1P 169044-76-2P 169044-78-4P
169044-79-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate for preparation of anthranilamide derivs. as cyclic guanosine monophosphate-phosphodiesterase inhibitors)

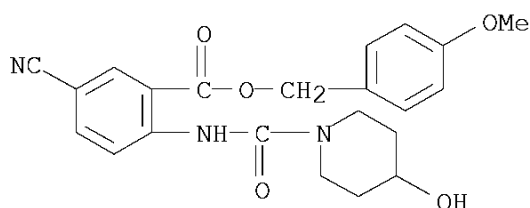
RN 169044-75-1 CAPLUS

CN Benzoic acid, 5-chloro-2-[[(4-hydroxy-1-piperidiny)carbonyl]amino]-, (4-methoxyphenyl)methyl ester (CA INDEX NAME)



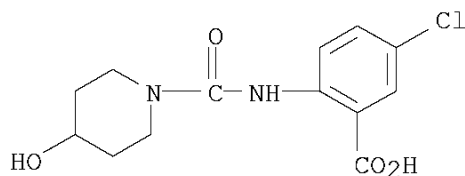
RN 169044-76-2 CAPLUS

CN Benzoic acid, 5-cyano-2-[[(4-hydroxy-1-piperidiny)carbonyl]amino]-, (4-methoxyphenyl)methyl ester (CA INDEX NAME)



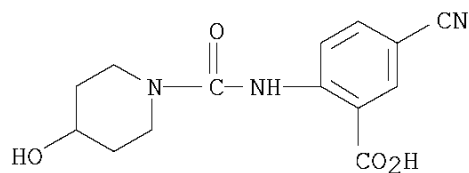
RN 169044-78-4 CAPLUS

CN Benzoic acid, 5-chloro-2-[[(4-hydroxy-1-piperidiny)carbonyl]amino]- (CA INDEX NAME)

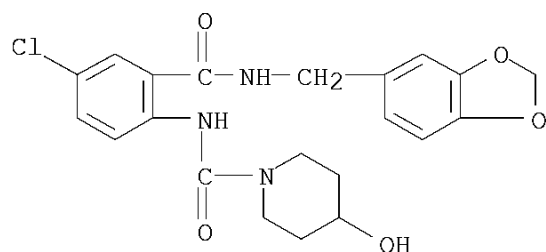


RN 169044-79-5 CAPLUS

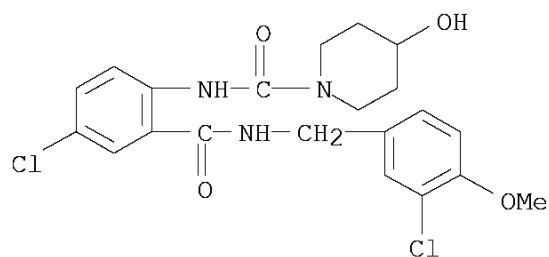
CN Benzoic acid, 5-cyano-2-[[(4-hydroxy-1-piperidiny)carbonyl]amino]- (CA INDEX NAME)



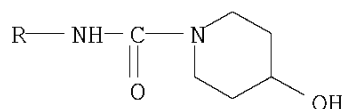
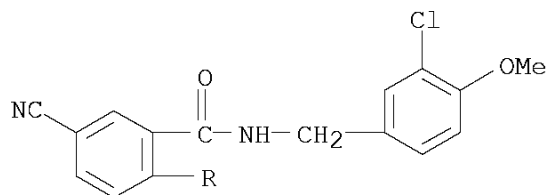
IT 169043-97-4P 169043-99-6P 169044-00-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of anthranilamide derivs. as cyclic guanosine monophosphate-phosphodiesterase inhibitors)
 RN 169043-97-4 CAPLUS
 CN 1-Piperidinecarboxamide, N-[2-[[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-chlorophenyl]-4-hydroxy- (CA INDEX NAME)



RN 169043-99-6 CAPLUS
 CN 1-Piperidinecarboxamide, N-[4-chloro-2-[[[(3-chloro-4-methoxyphenyl)methyl]amino]carbonyl]phenyl]-4-hydroxy- (CA INDEX NAME)



RN 169044-00-2 CAPLUS
 CN 1-Piperidinecarboxamide, N-[2-[[[(3-chloro-4-methoxyphenyl)methyl]amino]carbonyl]-4-cyanophenyl]-4-hydroxy- (CA INDEX NAME)

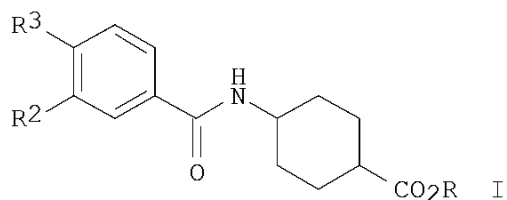


OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 206 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1995:849158 CAPLUS
 DOCUMENT NUMBER: 123:256522
 ORIGINAL REFERENCE NO.: 123:45879a,45882a
 TITLE: Preparation of amide group-containing compounds as antithrombotics
 INVENTOR(S): Himmelsbach, Frank; Linz, Guenter; Pieper, Helmut; Austel, Volkhard; Mueller, Thomas; Weisenberger, Johannes; Guth, Brian
 PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany
 SOURCE: Ger. Offen., 46 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4326344	A1	19950209	DE 1993-4326344	19930805
EP 638553	A1	19950215	EP 1994-111620	19940726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2129374	A1	19950206	CA 1994-2129374	19940803
JP 07179424	A	19950718	JP 1994-183292	19940804
PRIORITY APPLN. INFO.:			DE 1993-4326344	A 19930805
OTHER SOURCE(S):			CASREACT 123:256522; MARPAT 123:256522	

GI



AB R1Z1Z2ZZ3Z4R4 [R1 = (un)substituted (di)azacycloalkyl, pyridyl; R4 = CO2H,

alkoxycarbonyl, SO₂H, tetrazolyl, etc.; Z = CO₂Z, Z₅CO, Z₅CONH, NHCOZ₅, etc.; Z₁ = bond, alk(en)ylene, O, S, NH, etc.; Z₂ = (un)substituted phenylene, cycloalkylene, etc.; Z₃ = alk(en)ylene, phenylene, etc.; Z₄ = bond, OZ₅, SOO-2Z₅, NHZ₅, etc.; Z₅ = alkylene] were prepared. Thus, quinuclidine was condensed with the ylide from 3-(Ph₃P+H₂C)C₆H₄CO₂Me Br- and the reduced and saponified product condensed with Me trans-4-aminocyclohexanecarboxylate to give title compound trans-I.HCl (R = Me, R₂ = 4-quinuclidinylethyl, R₃ = H). Trans-I.HCl (R = R₂ = H, R₃ = 4-quinuclidinylmethoxy) had IC₅₀ of 85nM against BIBU 52 binding at human thrombocytes in vitro.

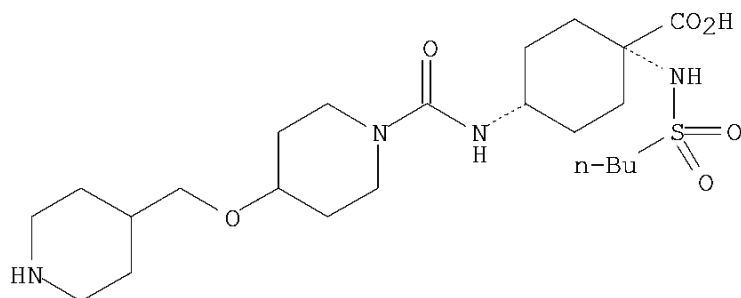
IT 168890-89-9P 168890-90-2P 168890-91-3P
 168891-26-7P 168891-63-2P 168891-64-3P
 168891-65-4P 168891-71-2P 168891-76-7P
 168892-34-0P 168892-35-1P 168892-36-2P
 168892-38-4P 168892-41-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amide group-containing compds. as antithrombotics)

RN 168890-89-9 CAPLUS

CN Cyclohexanecarboxylic acid, 1-[(butylsulfonyl)amino]-4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, trans- (9CI) (CA INDEX NAME)

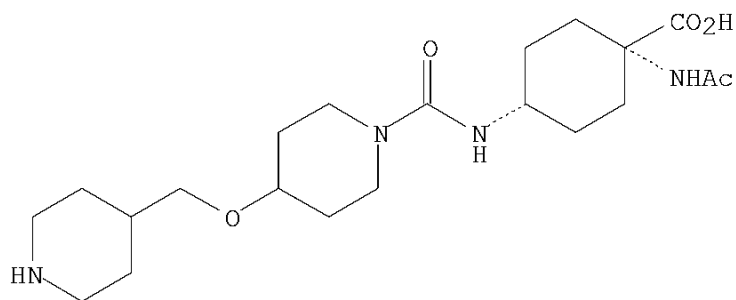
Relative stereochemistry.



RN 168890-90-2 CAPLUS

CN Cyclohexanecarboxylic acid, 1-(acetylamino)-4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, trans- (9CI) (CA INDEX NAME)

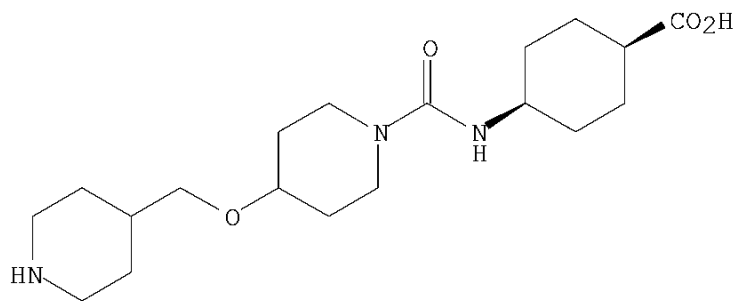
Relative stereochemistry.



RN 168890-91-3 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, cis- (CA INDEX NAME)

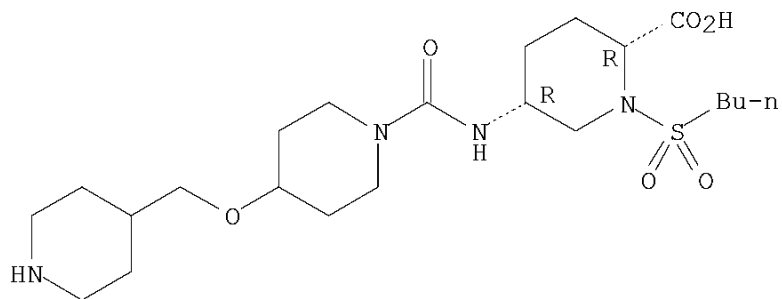
Relative stereochemistry.



RN 168891-26-7 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-(butylsulfonyl)-5-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, monohydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

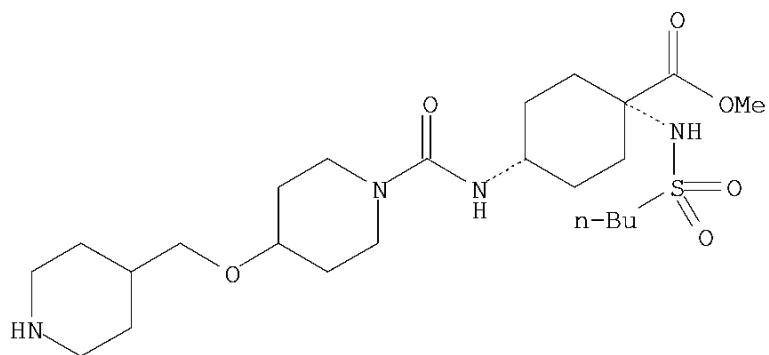


● HCl

RN 168891-63-2 CAPLUS

CN Cyclohexanecarboxylic acid, 1-[(butylsulfonyl)amino]-4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, methyl ester, monohydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

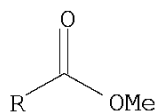
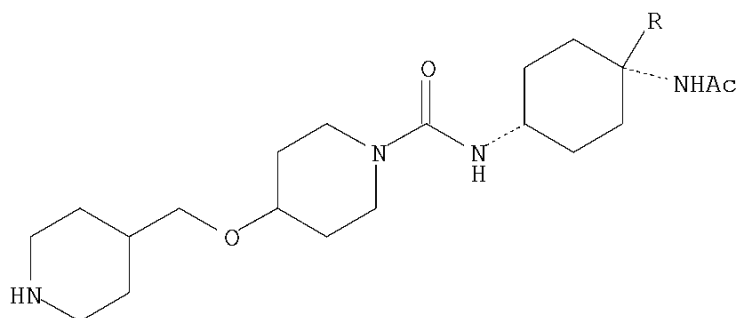


● HCl

RN 168891-64-3 CAPLUS
 CN Cyclohexanecarboxylic acid, 1-(acetylamino)-4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, methyl ester, monohydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

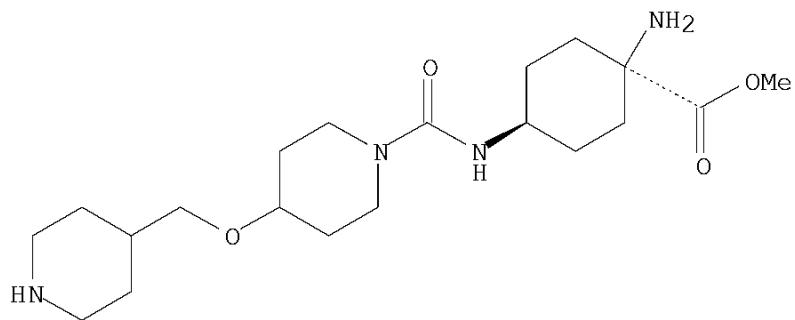


PAGE 2-A

● HCl

RN 168891-65-4 CAPLUS
 CN Cyclohexanecarboxylic acid, 1-amino-4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, methyl ester, dihydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

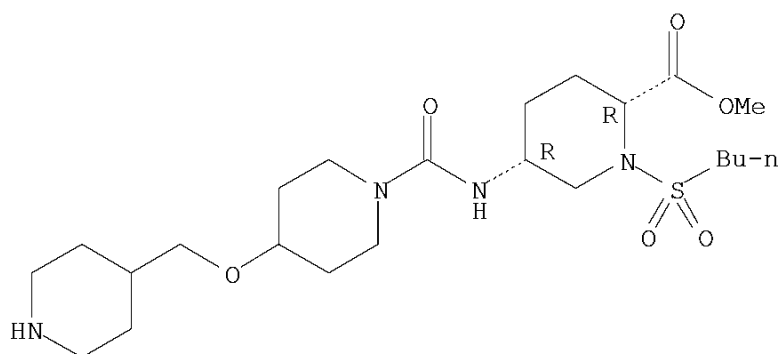


● 2 HCl

RN 168891-71-2 CAPLUS

CN 2-Piperidinecarboxylic acid, 1-(butylsulfonyl)-5-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, methyl ester, monohydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

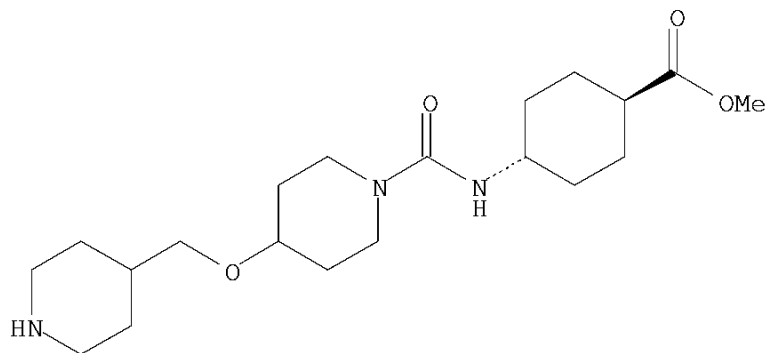


● HCl

RN 168891-76-7 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, methyl ester, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

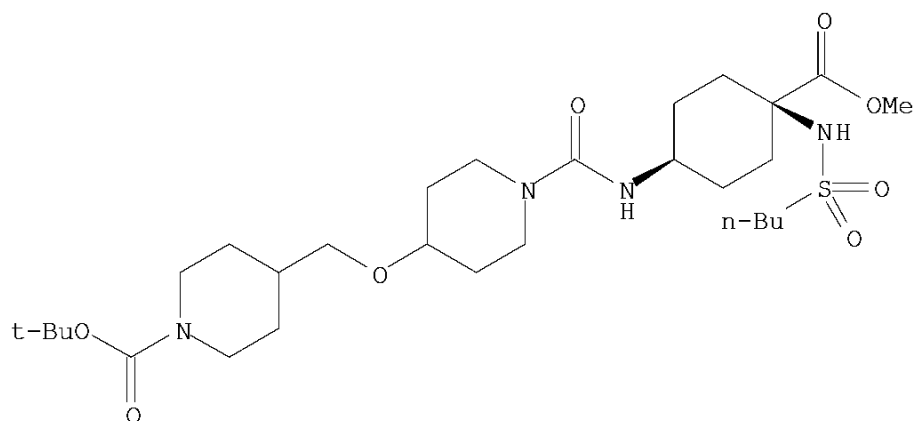


● HCl

RN 168892-34-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[1-[[[4-(butylsulfonyl)amino]-4-(methoxycarbonyl)cyclohexyl]amino]carbonyl]-4-piperidinyl]oxy]methyl]-, 1,1-dimethylethyl ester, cis- (9CI) (CA INDEX NAME)

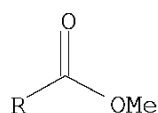
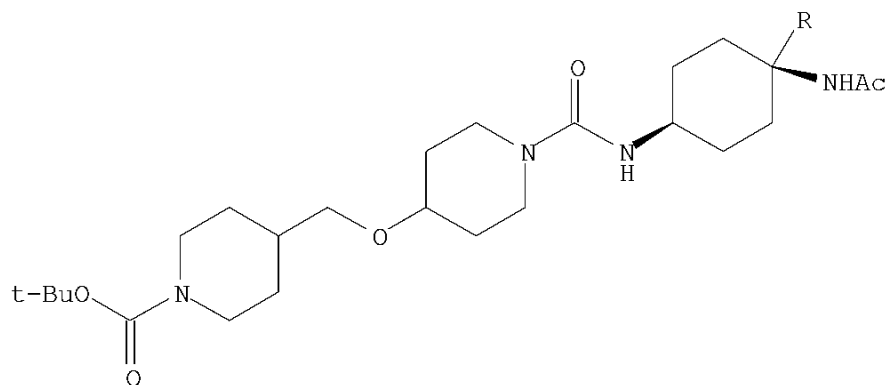
Relative stereochemistry.



RN 168892-35-1 CAPLUS

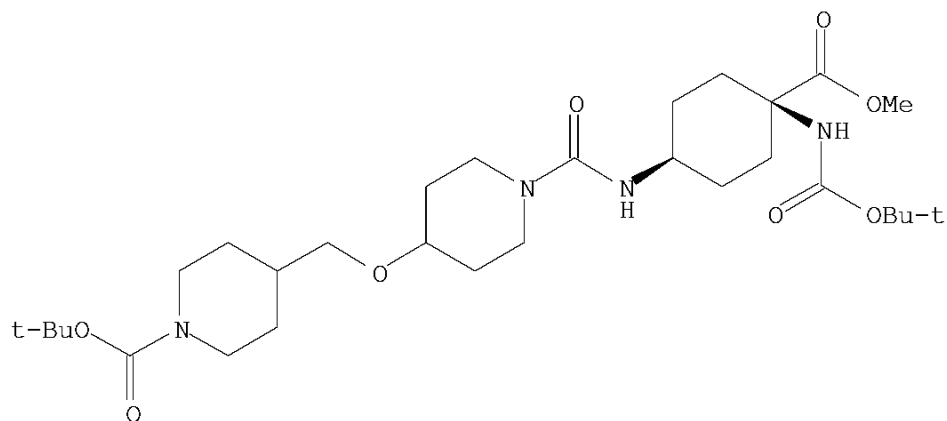
CN 1-Piperidinecarboxylic acid, 4-[[[1-[[[4-(acetylamino)-4-(methoxycarbonyl)cyclohexyl]amino]carbonyl]-4-piperidinyl]oxy]methyl]-, 1,1-dimethylethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



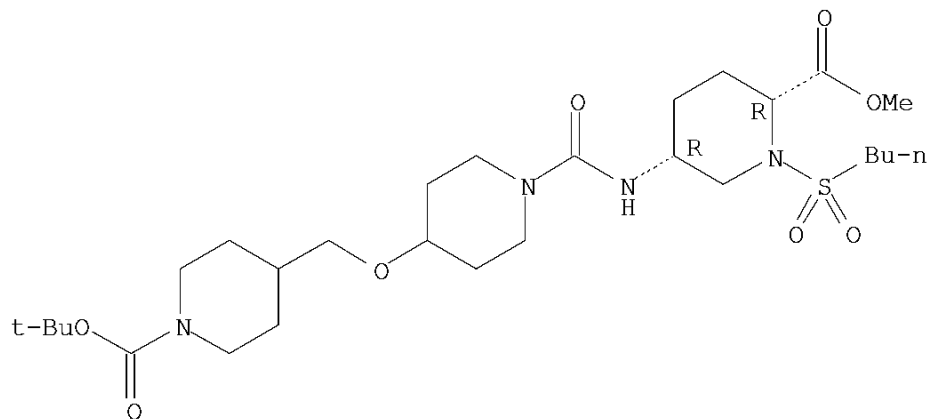
RN 168892-36-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[1-[[[4-[[(1,1-dimethylethoxy) carbonyl] amino]-4-(methoxycarbonyl) cyclohexyl] amino] carbonyl]-4-piperidinyl] oxy] methyl]-, 1,1-dimethylethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 168892-38-4 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[1-[[[1-(butylsulfonyl)-6-(methoxycarbonyl)-3-piperidinyl] amino] carbonyl]-4-piperidinyl] oxy] methyl]-, 1,1-dimethylethyl ester, cis- (9CI) (CA INDEX NAME)

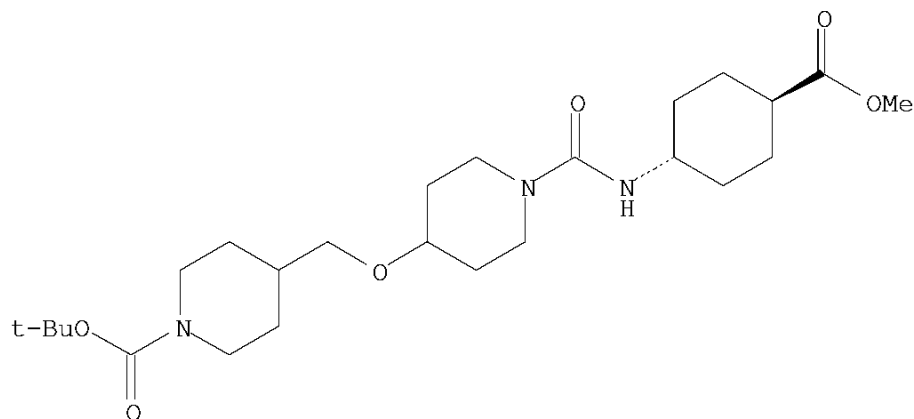
Relative stereochemistry.



RN 168892-41-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[1-[[[4-(methoxycarbonyl)cyclohexyl]amino]carbonyl]-4-piperidinyl]oxy]methyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 207 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:470323 CAPLUS

DOCUMENT NUMBER: 123:276051

ORIGINAL REFERENCE NO.: 123:49111a,49114a

TITLE: Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists

INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Hobbs, Doug W.; Williams, Peter D.; Anderson, Paul S.; Freidinger, Roger M.; Pettibone, Douglas J.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 385 pp.

CODEN: PIXXD2

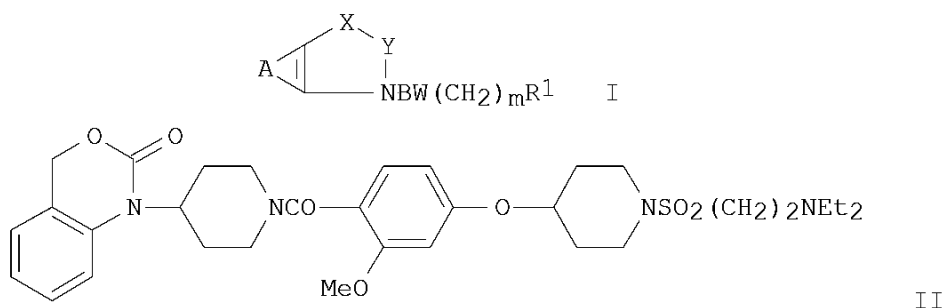
DOCUMENT TYPE: Patent

LANGUAGE: English

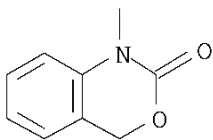
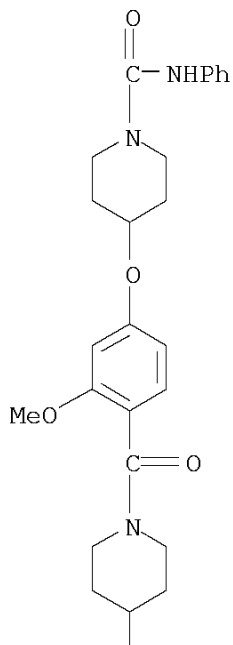
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9502405	A1	19950126	WO 1994-US7784	19940714
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2166975	A1	19950126	CA 1994-2166975	19940714
CA 2166975	C	20050405		
AU 9475132	A	19950213	AU 1994-75132	19940714
AU 691829	B2	19980528		
EP 714299	A1	19960605	EP 1994-925092	19940714
EP 714299	B1	20020424		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09500134	T	19970107	JP 1994-504656	19940714
AT 216580	T	20020515	AT 1994-925092	19940714
PRIORITY APPLN. INFO.:			US 1993-92840	A 19930716
			WO 1994-US7784	W 19940714
OTHER SOURCE(S):		MARPAT 123:276051		
GI				



- AB Fused N-containing heterocyclic ring system derivs. I [A completes a 5- or 6-membered carbocyclic or N- and/or S-containing heterocyclic ring; X = O, NH, (CH₂)_qO, CH₂NH, OCH₂, CH:CH, S, etc.; Y = CH₂, C:O, C:S, C:NH, C:NMe; B = (substituted) N-containing heterocyclic or heterobicyclic ring; W = CH₂, C:O, CO₂, SO₂, C(:NCH₂Ph), etc.; R₁ = (hetero)aryl, C1-5 alkoxy, camphor-10-yl] are useful as oxytocin and vasopressin receptor antagonists, e.g in treatment of preterm labor and dysmenorrhea and in stopping labor preparatory to cesarean delivery. Thus, in competitive radioligand binding assays on rat uterus membrane preps., high-affinity binding of oxytocin-3H was inhibited by 1-[1-[4-[1-[(diethylaminoethyl)sulfonyl]-4-piperidinyloxy]-2-methoxybenzoyl]piperidin-4-yl]-1,2-dihydro-4H-3,1-benzoxazin-2-one (II) with an IC₅₀ of 23 nM. II was prepared in 7 steps from Me 2,4-dihydroxybenzoate, N-tert-butyloxy-4-piperidinol, 1-(4-piperidinyl)-1,2-dihydro-4H-3,1-benzoxazin-2-one-HCl (preparation given), ClCH₂CH₂SO₂Cl, and HNEt₂. Preparation of 277 compds. of formula I is described.
- IT 162043-43-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists)
- RN 162043-43-8 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[3-methoxy-4-[[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]carbonyl]phenoxy]-N-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 208 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1992:530276 CAPLUS

DOCUMENT NUMBER: 117:130276

ORIGINAL REFERENCE NO.: 117:22605a,22608a

TITLE: 4-(carbonylamino)- and 4-(thiocarbonylamino)-3,4-dihydrobenzopyran derivatives, methods for their preparation and their use as antihypertensives and antiasthmatics

INVENTOR(S): Almansa, Carmen; Carmen, Torres Ma; Elena, Carceller; Javier, Bartroli

PATENT ASSIGNEE(S): Uriach, J., y Cia. S.A., Spain

SOURCE: Eur. Pat. Appl., 59 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

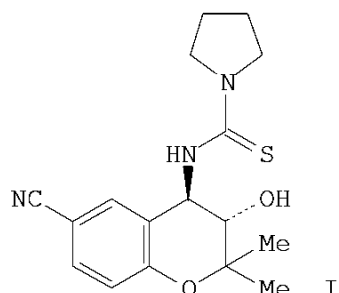
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 488301	A1	19920603	EP 1991-120417	19911128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2030627	A6	19921101	ES 1990-3264	19901128
PRIORITY APPLN. INFO.:			ES 1990-3264	A 19901128
OTHER SOURCE(S):	MARPAT 117:130276			

GI



AB Certain 4-(carbonylamino)-3,4-dihydrobenzopyran or certain 4-(thiocarbonylamino)-3,4-dihydrobenzopyranderivs. are claimed. A process for their preparation comprises the acylation of certain 4-amino-3,4-dihydrobenzopyran derivs. The use of these compds. for the manufacture of pharmaceuticals for the treatment of diseases related to smooth muscle contraction of the cardiovascular, respiratory, and cerebrovascular system and the gastrointestinal, urinary and uterine tract and for the treatment of hypertension or asthma is claimed. Treatment of 3,4-dihydro-2,2-dimethyl-3-hydroxy-4-[[(methylthio)thiocarbonyl]amino]-2H-1-benzopyran-6-carbonitrile with pyrrolidine gave trans-3,4-dihydro-2,2-dimethyl-3-hydroxy-4-[[(1-pyrrolidinyl)thiocarbonyl]amino]-2H-1-benzopyran-6-carbonitrile (I). I had antihypertensive activity in rats.

IT 143026-93-1P

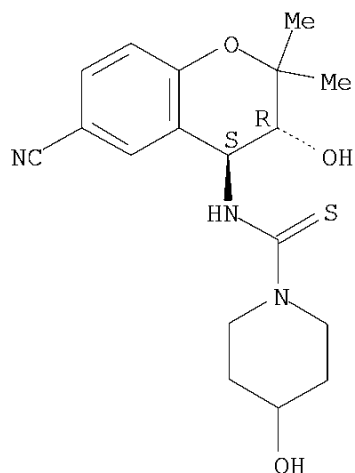
RL: PREP (Preparation)

(preparation of, as antihypertensive and antiasthmatic)

RN 143026-93-1 CAPLUS

CN 1-Piperidinecarbothioamide, N-(6-cyano-3,4-dihydro-3-hydroxy-2,2-dimethyl-2H-1-benzopyran-4-yl)-4-hydroxy-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

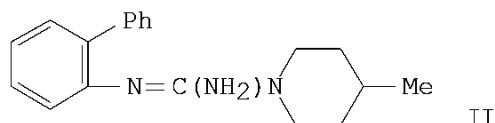
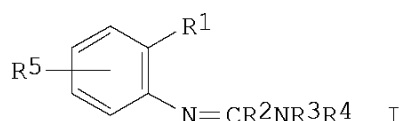


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

L4 ANSWER 209 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1992:151335 CAPLUS
 DOCUMENT NUMBER: 116:151335
 ORIGINAL REFERENCE NO.: 116:25597a,25600a
 TITLE: Preparation of N-(2-biphenyl)amidine derivatives
 INVENTOR(S): Gopalan, Balasubramanian
 PATENT ASSIGNEE(S): Boots Co. PLC, UK
 SOURCE: Brit. UK Pat. Appl., 71 pp.
 CODEN: BAXXDU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2244486	A	19911204	GB 1991-10306	19910513
GB 2244486	B	19940126		
IN 172842	A1	19931211	IN 1990-BO126	19900517
IL 98029	A	19951031	IL 1991-98029	19910502
CA 2041846	A1	19911118	CA 1991-2041846	19910506
AU 9176394	A	19911121	AU 1991-76394	19910507
AU 637695	B2	19930603		
ZA 9103438	A	19920826	ZA 1991-3438	19910507
CZ 280182	B6	19951115	CZ 1991-1334	19910507
WO 9200273	A1	19920109	WO 1991-EP911	19910515
W: BG, FI, JP, NO, PL, RO, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
EP 536151	A1	19930414	EP 1991-909161	19910515
EP 536151	B1	19940914		
R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE				
JP 05507686	T	19931104	JP 1991-508950	19910515
JP 08009589	B	19960131		
ES 2064103	T3	19950116	ES 1991-909161	19910515
PL 167657	B1	19951031	PL 1991-297374	19910515
RO 111764	B1	19970130	RO 1992-1617	19910515
HU 57710	A2	19911230	HU 1991-1650	19910516
HU 210200	B	19950228		
CN 1072173	A	19930519	CN 1991-110775	19911114

CN 1028521	C	19950524		
US 5302720	A	19940412	US 1992-899939	19920617
NO 9204783	A	19930223	NO 1992-4783	19921210
NO 179204	B	19960520		
NO 179204	C	19960828		
FI 95566	B	19951115	FI 1992-5871	19921223
FI 95566	C	19960226		
RU 2099323	C1	19971220	RU 1992-16545	19921225
PRIORITY APPLN. INFO.:			IN 1990-BO126	A 19900517
			GB 1990-14456	A 19900628
			WO 1991-EP911	W 19910515
			US 1991-701695	B1 19910516
OTHER SOURCE(S):	MARPAT 116:151335			
GI				



AB Title compds. I [R1 = (substituted) Ph; R2 = C1-4 alkyl, C3-7 cycloalkyl, R6R7N, wherein R6, R7 = H, C1-4 alkyl; R3 = H, C1-4 alkyl; R2R3 = (substituted) heterocyclyl; R4 = H, (substituted) C1-6 alkyl, C1-3 alkoxy, C1-3 alkylthio, (substituted) amino, (substituted) C3-7 carbocyclyl; R5 = H, halo C1-4 alkyl, C1-3 alkoxy, F3C, R8(O)mS, wherein R8 = C1-3 alkyl, m = 0-2] and a salt thereof, useful in treatment of diabetes, particularly hyperglycemia, are prepared N-Methylpivalamide in C6H6, 2-aminobiphenyl in C6H6 and POCl3 were heated at 65-70° for 12 h to give I (R1 = Ph, R2 = Me3C, R3 = Me, R4 = R5 = H).fumarate. The piperidine analog II also prepared, at 25 mg/kg in rats, reduced plasma glucose >25% at 2 and 4 h. Pharmaceutical formulations comprising I are given.

IT 139752-88-8P 139752-89-9P 139752-90-2P
 139752-91-3P 139752-92-4P 139752-93-5P
 139752-95-7P 139752-96-8P 139753-03-0P

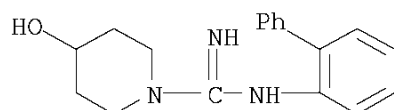
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as hypoglycemic)

RN 139752-88-8 CAPLUS

CN 1-Piperidinecarboximidamide, N-[1,1'-biphenyl]-2-yl-4-hydroxy-,
 (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

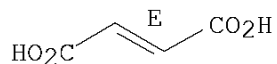
CRN 139752-87-7
 CMF C18 H21 N3 O



CM 2

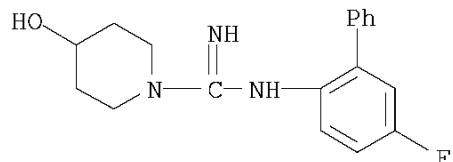
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



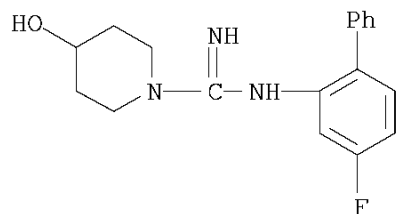
RN 139752-89-9 CAPLUS

CN 1-Piperidinecarboximidamide, N-(5-fluoro[1,1'-biphenyl]-2-yl)-4-hydroxy-
(CA INDEX NAME)



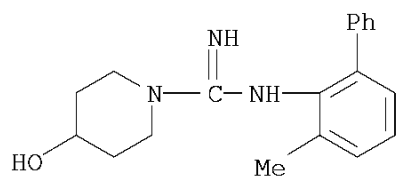
RN 139752-90-2 CAPLUS

CN 1-Piperidinecarboximidamide, N-(4-fluoro[1,1'-biphenyl]-2-yl)-4-hydroxy-
(CA INDEX NAME)



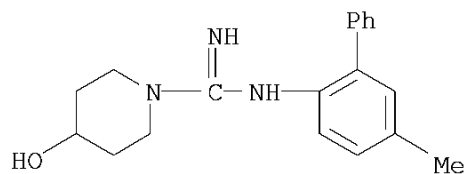
RN 139752-91-3 CAPLUS

CN 1-Piperidinecarboximidamide, 4-hydroxy-N-(3-methyl[1,1'-biphenyl]-2-yl)-
(CA INDEX NAME)



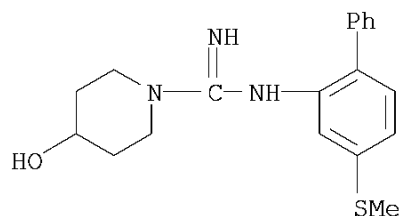
RN 139752-92-4 CAPLUS

CN 1-Piperidinecarboximidamide, 4-hydroxy-N-(5-methyl[1,1'-biphenyl]-2-yl)-
(CA INDEX NAME)



RN 139752-93-5 CAPLUS

CN 1-Piperidinecarboximidamide, 4-hydroxy-N-[4-(methylthio)[1,1'-biphenyl]-2-yl]- (CA INDEX NAME)



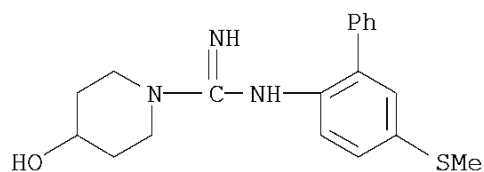
RN 139752-95-7 CAPLUS

CN 1-Piperidinecarboximidamide, 4-hydroxy-N-[5-(methylthio)[1,1'-biphenyl]-2-yl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 139752-94-6

CMF C19 H23 N3 O S

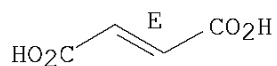


CM 2

CRN 110-17-8

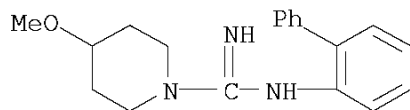
CMF C4 H4 O4

Double bond geometry as shown.



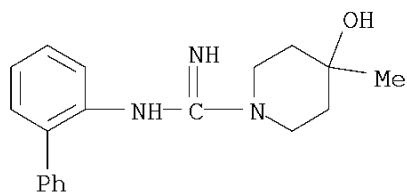
RN 139752-96-8 CAPLUS

CN 1-Piperidinecarboximidamide, N-[1,1'-biphenyl]-2-yl-4-methoxy- (CA INDEX NAME)

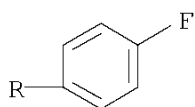
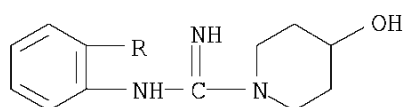


RN 139753-03-0 CAPLUS

CN 1-Piperidinecarboximidamide, N-[1,1'-biphenyl]-2-yl-4-hydroxy-4-methyl- (CA INDEX NAME)



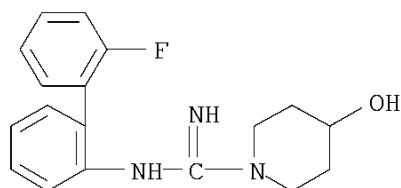
IT 139768-51-7P 139768-55-1P 139768-56-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as hypoglycemics)
 RN 139768-51-7 CAPLUS
 CN 1-Piperidinecarboximidamide, N-(4'-fluoro[1,1'-biphenyl]-2-yl)-4-hydroxy-
 (CA INDEX NAME)



RN 139768-55-1 CAPLUS
 CN 1-Piperidinecarboximidamide, N-(2'-fluoro[1,1'-biphenyl]-2-yl)-4-hydroxy-,
 (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

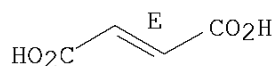
CRN 139768-54-0
 CMF C18 H20 F N3 O



CM 2

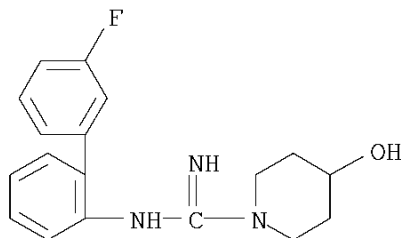
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 139768-56-2 CAPLUS

CN 1-Piperidinecarboximidamide, N-(3'-fluoro[1,1'-biphenyl]-2-yl)-4-hydroxy-
(CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)

L4 ANSWER 210 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1990:112093 CAPLUS
DOCUMENT NUMBER: 112:112093
ORIGINAL REFERENCE NO.: 112:18803a,18806a
TITLE: Tetrasubstituted urea cholinergic agents
INVENTOR(S): Butler, Donald E.; Lustgarten, David M.; Moos, Walter
H.; Thomas, Anthony J.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4782071	A	19881101	US 1986-926163	19861103
			US 1986-926163	19861103

PRIORITY APPLN. INFO.: US 1986-926163 19861103

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 112:112093; MARPAT 112:112093

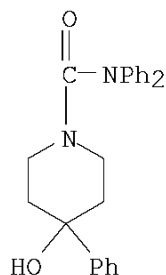
AB The title compds. R1R2NCONR3R4 [I; R1, R2, R4 = (un)substituted phenyl; R3 = pyridinyl], which are prepared, are useful as analgesics or for treating the symptoms of cognitive disorder in the elderly.
N-phenyl-4-pyridinamine was treated with diphenylcarbamic chloride in the presence of NET3 to give I (R1 = R2 = R4 = Ph, R3 = 4-pyridinyl). I (R1 = R2 = Ph; R4 = C6H4Me-4, R3 = 4-pyridinyl) reversed scopolamine-induced swimming activity by 54% at 3.2 mg/kg (dosage method not specified) in rats.

IT 125525-94-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cholinergic and analgesic activity of)

RN 125525-94-2 CAPLUS

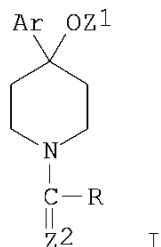
CN 1-Piperidinecarboxamide, 4-hydroxy-N,N,4-triphenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 211 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1990:55622 CAPLUS
DOCUMENT NUMBER: 112:55622
ORIGINAL REFERENCE NO.: 112:9547a,9550a
TITLE: Preparation of 4-aryl-4-aryloxypiperidines as
analgesics and anticonvulsants
INVENTOR(S): Helsley, Grover C.; Davis, Larry; Olsen, Gordon E.
PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA
SOURCE: U.S., 10 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4853384	A	19890801	US 1988-167929	19880314
EP 333025	A1	19890920	EP 1989-104173	19890309
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8901209	A	19890915	DK 1989-1209	19890313
JP 01275558	A	19891106	JP 1989-58071	19890313
PRIORITY APPLN. INFO.:			US 1988-167929	A 19880314
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):		CASREACT 112:55622		
GI				



AB The title compds. I [Ar = (substituted) Ph; Z1 = Ph optionally substituted
by ≥1 halo, NO2, amino, etc.; Z2 = O, S; R = H, lower alkyl, etc.],
useful as analgesics and anticonvulsants, were prepared Treatment of
1-acetyl-4-hydroxy-4-phenylpiperidine with NaH, followed by reaction with

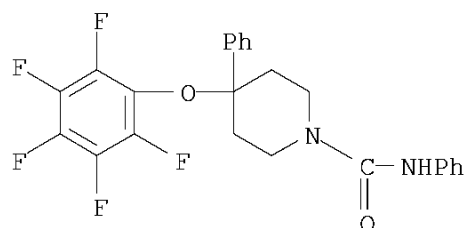
4-fluorobenzotrifluoride, gave 1-acetyl-4-phenyl-4-(4-trifluoromethylphenoxy)piperidine (II). II at 20 mg/kg s.c. gave 32% inhibition of writhing in a phenyl-p-quinone writhing assay. Aspirin at 20 mg/kg s.c. gave 33% inhibition of writhing.

IT 124866-62-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as analgesic and anticonvulsant)

RN 124866-62-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(2,3,4,5,6-pentafluorophenoxy)-N,4-diphenyl-
(CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 212 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1987:196271 CAPLUS

DOCUMENT NUMBER: 106:196271

ORIGINAL REFERENCE NO.: 106:31813a,31816a

TITLE: N-(3-Nitroquinolin-4-yl)guanidine derivatives as
radiosensitizers

INVENTOR(S): Berenyi, Edit; Varga, Laszlo; Pallos, Laszlo; Petocz,
Lujza; Ladanyi, Laszlo; Tompe, Peter; Hartai, Eva;
Kovacs, Agnes

PATENT ASSIGNEE(S): EGIS Gyogyszergyar, Hung.

SOURCE: Brit. UK Pat. Appl., 9 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

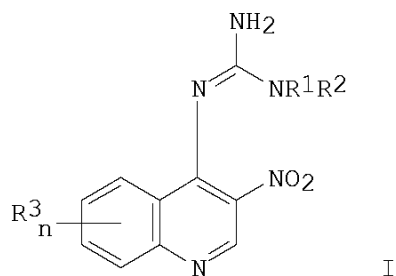
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2176185	A	19861217	GB 1986-13530	19860604
GB 2176185	B	19880928		
HU 41008	A2	19870330	HU 1985-2193	19850604
HU 195487	B	19880530		
CH 668069	A5	19881130	CH 1986-2153	19860528
DD 247448	A5	19870708	DD 1986-290766	19860530
BE 904864	A1	19861203	BE 1986-216734	19860603
CN 86103688	A	19870211	CN 1986-103688	19860603
CN 1012957	B	19910626		
AT 8601492	A	19900915	AT 1986-1492	19860603
AT 392469	B	19910410		
DK 8602621	A	19861205	DK 1986-2621	19860604
DK 162841	B	19911216		
DK 162841	C	19920504		
FI 8602381	A	19861205	FI 1986-2381	19860604
FI 82451	B	19901130		

FI 82451	C	19910311		
FR 2582835	A1	19861205	FR 1986-8039	19860604
FR 2582835	B1	19890106		
NO 8602230	A	19861205	NO 1986-2230	19860604
NO 165635	B	19901203		
NO 165635	C	19910313		
SE 8602524	A	19861205	SE 1986-2524	19860604
SE 466308	B	19920127		
SE 466308	C	19920527		
AU 8658344	A	19861211	AU 1986-58344	19860604
AU 588883	B2	19890928		
NL 8601434	A	19870102	NL 1986-1434	19860604
DE 3618724	A1	19870108	DE 1986-3618724	19860604
DE 3618724	C2	19940616		
JP 62048668	A	19870303	JP 1986-130006	19860604
JP 05015705	B	19930302		
US 4652562	A	19870324	US 1986-870396	19860604
SU 1398773	A3	19880523	SU 1986-4027590	19860604
CS 257793	B2	19880615	CS 1986-4112	19860604
PL 146498	B1	19890228	PL 1986-259871	19860604
IL 79024	A	19900209	IL 1986-79024	19860604
CA 1266650	A1	19900313	CA 1986-510779	19860604
PRIORITY APPLN. INFO.:			HU 1985-2193	A 19850604
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): CASREACT 106:196271; MARPAT 106:196271				
GI				

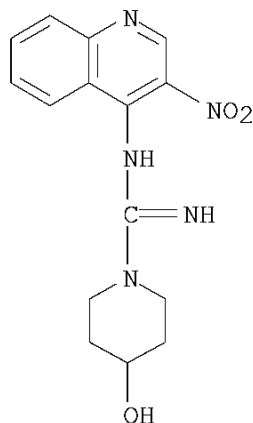


AB The title compds. [I; R1 = H; R2 = 5-membered heterocyclyl, heterocyclylmethyl; R1R2N = (substituted) 5- or 6-membered heterocyclyl; R3 = halo, alkoxy; n = 0-3] were prepared as radiosensitizers for use in radiotherapy. Thus, 4-chloro-3-nitroquinoline was aminated by 4-morpholinecarboximidine to give I (R1R2N = morpholino, n = 0) (II). The mean LD (Do) of radiation needed to kill hypoxic Chinese hamster ovary cells exposed to II was 1.7 Gy, vs. 2.5 Gy using misonidazole.

IT 108001-69-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as radiosensitizer)

RN 108001-69-0 CAPLUS

CN 1-Piperidinecarboximidamide, 4-hydroxy-N-(3-nitro-4-quinolinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L4 ANSWER 213 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:511953 CAPLUS
DOCUMENT NUMBER: 101:111953
ORIGINAL REFERENCE NO.: 101:17113a,17116a
TITLE: Polyalkyl piperidines
INVENTOR(S): Karrer, Friedrich
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

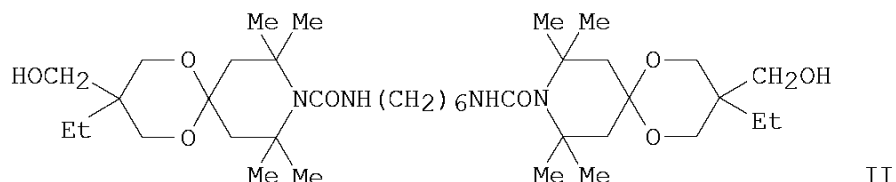
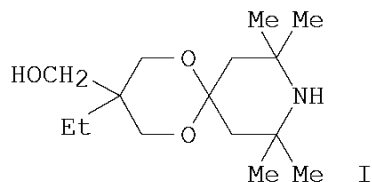
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 108709	A2	19840516	EP 1983-810447	19831003
EP 108709	A3	19861008		
R: DE, FR, GB, IT				
US 4569997	A	19860211	US 1983-537134	19830929
JP 60084268	A	19850513	JP 1983-189125	19831008
PRIORITY APPLN. INFO.:			CH 1982-5924	A 19821008

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 101:111953

GI



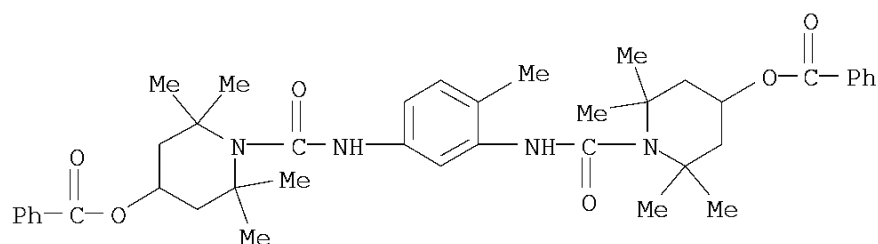
AB Hindered amines are prepared by reaction of 2,2,6,6-tetramethylpiperidine derivs. with di- or triisocyanates at -20° to $+50^{\circ}$ in an inert solvent, and are useful as light stabilizers for polymers, especially binders for lacquers. Thus, 0.2 mol I [53463-86-8] was treated with 0.1 mol hexamethylene diisocyanate [822-06-0] in THF at $22-25^{\circ}$, stirred overnight, and worked up to give the carbamoyl compound (II) [91815-75-7] with m.p. $113-115^{\circ}$. A film (0.1-mm thick) prepared from polypropylene [9003-07-0] 100, octadecyl β -(3,5-di-tert-butyl-4-hydroxyphenyl)propionate 0.2, Ca stearate 0.1, and II 0.25 part could be photoirradiated for >3420 h before the CO extinction value at 5.85μ reached .apprx.0.3, a value at which a control film became brittle and which was reached in the control after 900 h.

IT 91815-72-4 91815-73-5

RL: PEP (Physical, engineering or chemical process); PROC (Process)
(light stabilizers, for polymers)

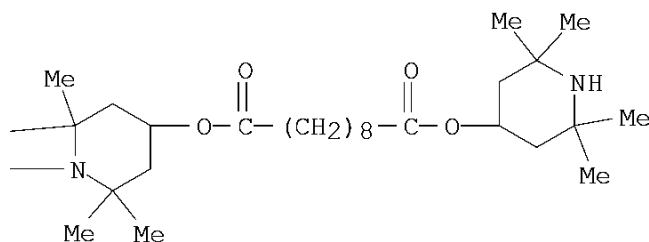
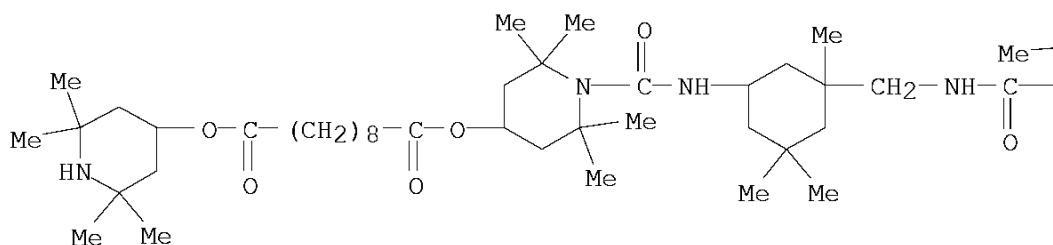
RN 91815-72-4 CAPLUS

CN 1-Piperidinecarboxamide, N,N'-(4-methyl-1,3-phenylene)bis[4-(benzoyloxy)-2,2,6,6-tetramethyl- (9CI) (CA INDEX NAME)



RN 91815-73-5 CAPLUS

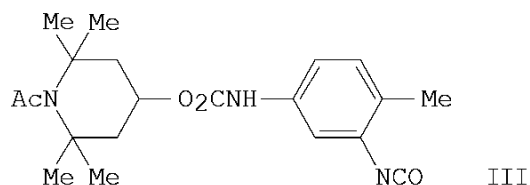
CN Decanedioic acid, 1-[[[3-[[[4-[[1,10-dioxo-10-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]decyl]oxy]-2,2,6,6-tetramethyl-1-piperidinyl]carbonyl]amino]methyl]-3,5,5-trimethylcyclohexyl]amino]carbonyl]-2,2,6,6-tetramethyl-4-piperidinyl 2,2,6,6-tetramethyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L4 ANSWER 214 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1984:193629 CAPLUS
 DOCUMENT NUMBER: 100:193629
 ORIGINAL REFERENCE NO.: 100:29443a,29446a
 TITLE: Polyalkylpiperidine derivatives containing isocyanate groups
 INVENTOR(S): Karrer, Freidrich
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
 SOURCE: Eur. Pat. Appl., 38 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 94343	A1	19831116	EP 1983-810168	19830421
R: CH, DE, FR, GB, IT, LI				
JP 58194862	A	19831112	JP 1983-74862	19830427
PRIORITY APPLN. INFO.:			CH 1982-2567	A 19820427
OTHER SOURCE(S):	MARPAT	100:193629		
GI				



AB A diisocyanate such as 2,4-tolylene diisocyanate (I) [584-84-9], isophorone diisocyanate [4098-71-9], or $\text{OCN}(\text{CH}_2)_6\text{NCO}$ [822-06-0] and a piperidine derivative containing 1 or 2 isocyanate-reactive groups, such as 1-acetyl-4-hydroxy-2,2,6,6-tetramethylpiperidine (II) [63941-51-5], 1-benzyl-4-hydroxy-2,2,6,6-tetramethylpiperidine [52185-71-4], 1,2,2,6,6-pentamethyl-4-(octylamino)piperidine [90075-87-9], 4-benzoyloxy-2,2,6,6-tetramethylpiperidine [26275-88-7], or 4-hydroxy-1-(2-hydroxyethyl)-2,2,6,6-tetramethylpiperidine [52722-86-8], are used to prepare isocyanate group-containing compds., such as compd. III [90075-88-0], which are useful as light stabilizers in polymers, especially in acrylic polymer coatings. The isocyanate groups react with functional groups of the polymers, preventing migration of the stabilizers. Thus, 34.8 g I in 100 mL THF was treated slowly at 50° with 100 mL THF containing 19.9 g II to give III.

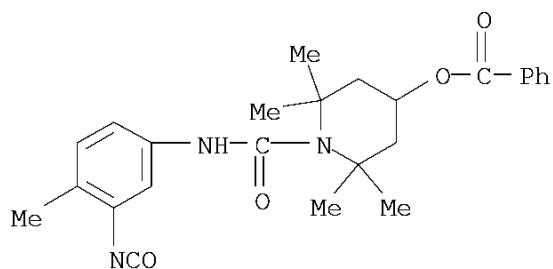
IT 90075-85-7P 90075-86-8P

RL: PREP (Preparation)

(preparation of, as reactive light stabilizer for polymers)

RN 90075-85-7 CAPLUS

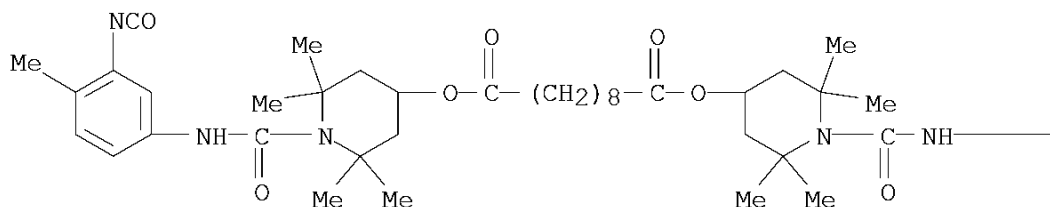
CN 1-Piperidinecarboxamide, 4-(benzoyloxy)-N-(3-isocyanato-4-methylphenyl)-2,2,6,6-tetramethyl- (CA INDEX NAME)

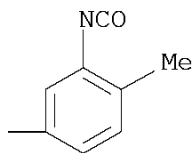


RN 90075-86-8 CAPLUS

CN Decanedioic acid, 1,10-bis[1-[[[3-isocyanato-4-methylphenyl)amino]carbonyl]-2,2,6,6-tetramethyl-4-piperidiny] ester (CA INDEX NAME)

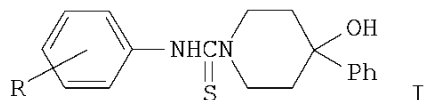
PAGE 1-A





OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L4 ANSWER 215 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1984:96168 CAPLUS
 DOCUMENT NUMBER: 100:96168
 ORIGINAL REFERENCE NO.: 100:14445a,14448a
 TITLE: Central nervous system depressant, analgesic and monoamine oxidase inhibitory properties of substituted piperidines
 AUTHOR(S): Pandey, B. R.; Agrawal, D. K.; Parmar, S. S.; Willson, W. W.; Mayer, G. G.
 CORPORATE SOURCE: Sch. Med., Univ. North Dakota, Grand Forks, ND, 58202, USA
 SOURCE: Research Communications in Chemical Pathology and Pharmacology (1984), 43(1), 173-6
 CODEN: RCOCB8; ISSN: 0034-5164
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB The 8 1-(arylaminothiocarbonyl)-4-hydroxy-4-Ph piperidines I (R = H, 2-Me, 2-OMe, 4-OMe, 2-OEt, 4-OEt, 4-Cl, 4-Br) were evaluated for their central nervous system depressant, analgesic, and monoamine oxidase [9001-66-5] inhibitory properties. The central nervous system depressant property of these substituted piperidines was reflected by their ability to potentiate pentobarbital-induced sleep in mice ranging from 18.3 to 58.5 min. The analgesic activity possessed by these substituted piperidines, with the exception of 1 compound, was shown by their ability to provide 16.7-50 % protection against the tail pinch response in mice. All substituted piperidines (1 mM) inhibited in vitro activity of rat brain monoamine oxidase with the degree of inhibition ranging from 17.2-18.3 %. Structure-activity relations are discussed.

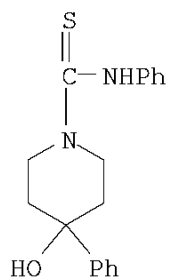
IT 65846-22-2 65846-22-2D, derivs. 65846-23-3
 65846-24-4 65846-25-5 65846-26-6
 65846-27-7 65846-28-8 65846-29-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

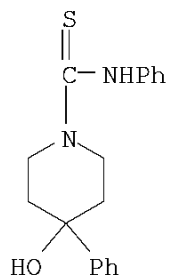
(pharmacol. activity of, structure in relation to)

RN 65846-22-2 CAPLUS

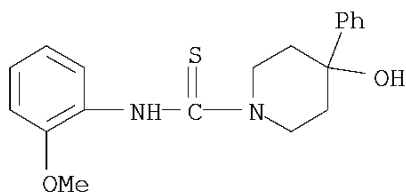
CN 1-Piperidinecarbothioamide, 4-hydroxy-N,4-diphenyl- (CA INDEX NAME)



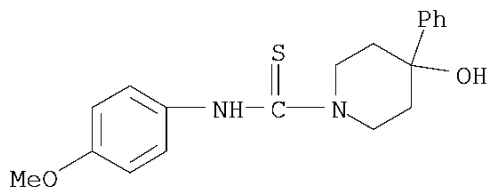
RN 65846-22-2 CAPLUS
 CN 1-Piperidinecarbothioamide, 4-hydroxy-N,4-diphenyl- (CA INDEX NAME)



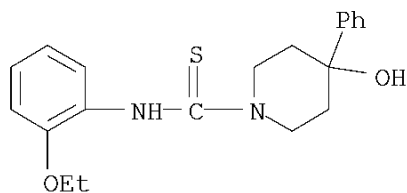
RN 65846-23-3 CAPLUS
 CN 1-Piperidinecarbothioamide, 4-hydroxy-N-(2-methoxyphenyl)-4-phenyl- (CA INDEX NAME)



RN 65846-24-4 CAPLUS
 CN 1-Piperidinecarbothioamide, 4-hydroxy-N-(4-methoxyphenyl)-4-phenyl- (CA INDEX NAME)

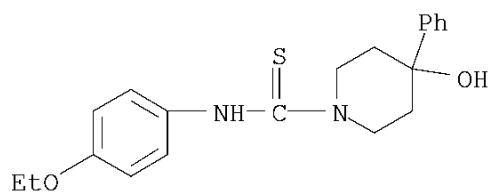


RN 65846-25-5 CAPLUS
 CN 1-Piperidinecarbothioamide, N-(2-ethoxyphenyl)-4-hydroxy-4-phenyl- (CA INDEX NAME)



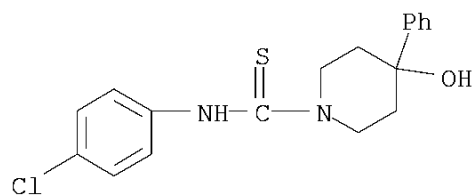
RN 65846-26-6 CAPLUS

CN 1-Piperidinecarbothioamide, N-(4-ethoxyphenyl)-4-hydroxy-4-phenyl- (CA INDEX NAME)



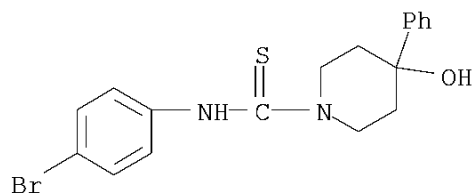
RN 65846-27-7 CAPLUS

CN 1-Piperidinecarbothioamide, N-(4-chlorophenyl)-4-hydroxy-4-phenyl- (CA INDEX NAME)



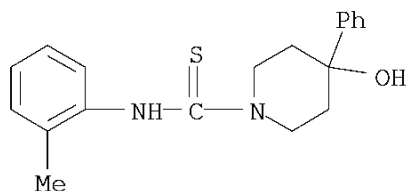
RN 65846-28-8 CAPLUS

CN 1-Piperidinecarbothioamide, N-(4-bromophenyl)-4-hydroxy-4-phenyl- (CA INDEX NAME)



RN 65846-29-9 CAPLUS

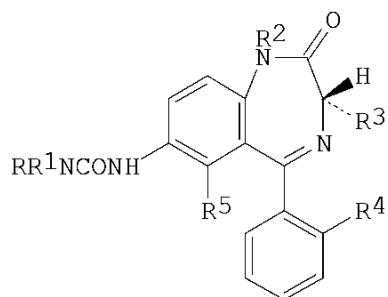
CN 1-Piperidinecarbothioamide, 4-hydroxy-N-(2-methylphenyl)-4-phenyl- (CA INDEX NAME)



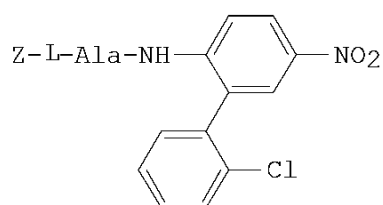
L4 ANSWER 216 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1983:595009 CAPLUS
 DOCUMENT NUMBER: 99:195009
 ORIGINAL REFERENCE NO.: 99:30027a,30030a
 TITLE: Benzodiazepines and medicines containing them
 INVENTOR(S): Cassal, Jean Marie; Fischli, Albert Eduard; Szente, Andre
 PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
 SOURCE: Eur. Pat. Appl., 32 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 84357	A1	19830727	EP 1983-100295	19830114
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CA 1202023	A1	19860318	CA 1982-416049	19821122
US 4474777	A	19841002	US 1982-450603	19821217
AU 8310313	A	19830728	AU 1983-10313	19830112
ZA 8300207	A	19831026	ZA 1983-207	19830112
IL 67675	A	19860131	IL 1983-67675	19830113
FI 8300134	A	19830720	FI 1983-134	19830114
JP 58124774	A	19830725	JP 1983-4318	19830117
HU 31150	A2	19840428	HU 1983-135	19830117
HU 191041	B	19861228		
DK 8300193	A	19830720	DK 1983-193	19830118
NO 8300161	A	19830720	NO 1983-161	19830118
PRIORITY APPLN. INFO.:			CH 1982-313	A 19820119
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S): MARPAT 99:195009				

GI



I



II

AB Title compds. I R = H, R1 = glucosyl, galactosyl, mannosyl, OH-substituted

alkyl; RR1N = OH-substituted azetidino, piperidino, pyrrolidino; R2 = alkyl, R3 = H, Me; R4, R5 = halo) were prepared as inhibitors of cholesterol absorption. Thus, Z-L-Ala-OH (Z = PhCH2O2C) was treated with SOCl2 and then amidated with 2-amino-5-nitro-2'-chlorobenzophenone to give anilide II. II was Z-deblocked by HBr/HOAc and then cyclized to give (S)-5-(2-chlorophenyl)-1,3-dihydro-3-methyl-7-nitro-2H-1,4-benzodiazepin-2-one, which was converted in 6 steps to I (R = H, R1 = (HOCH2)3C, R2 = R3 = Me, R4 = Cl, R5 = Br) (III). In mice, 100 µmol III/kg (oral) reduced intestinal absorption of cholesterol by 70%.

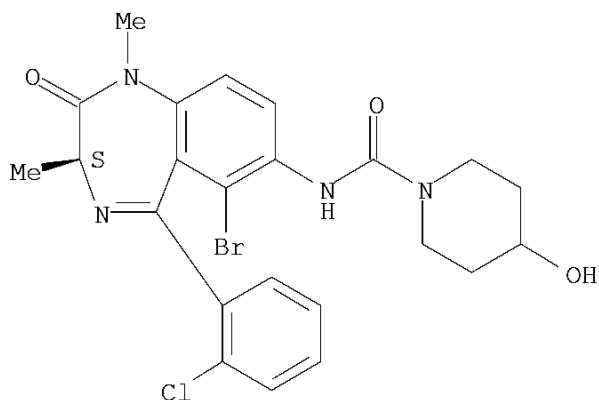
IT 87634-82-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 87634-82-0 CAPLUS

CN 1-Piperidinecarboxamide, N-[6-bromo-5-(2-chlorophenyl)-2,3-dihydro-1,3-dimethyl-2-oxo-1H-1,4-benzodiazepin-7-yl]-4-hydroxy-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 217 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1979:557614 CAPLUS

DOCUMENT NUMBER: 91:157614

ORIGINAL REFERENCE NO.: 91:25437a,25440a

TITLE: Benzamidopiperidine derivatives

INVENTOR(S): Wiskott, Erik

PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Switz.

SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX

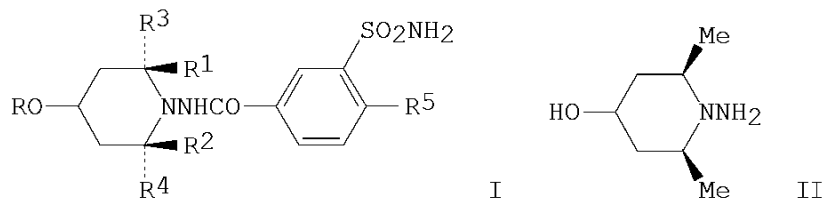
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 2802812	A1	19790726	DE 1978-2802812	19780123
PRIORITY APPLN. INFO.:			DE 1978-2802812	19780123
GI				



AB The saluretic (no data) compds. I [R = H, acyl, (substituted) Bz; R1-R4 = H, C1-4 alkyl; R1R2 = C2-3 alkylene; R5 = halogen, CF3] and their salts were prepared. Thus, II reacted with 4,3-Cl(H2NSO2)C6H3COCl in CHCl3 to give I (R = R3 = R4 = H, R1 = R2 = Me, R5 = Cl).

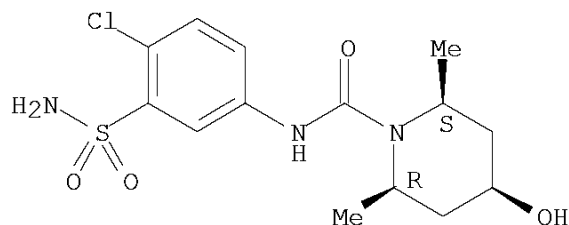
IT 71581-87-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 71581-87-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(aminosulfonyl)-4-chlorophenyl]-4-hydroxy-2,6-dimethyl-, (2 α ,4 α ,6 α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 218 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1979:203564 CAPLUS

DOCUMENT NUMBER: 90:203564

ORIGINAL REFERENCE NO.: 90:32373a,32376a

TITLE: Thiourea derivatives

INVENTOR(S): Atsumi, Toshio; Takebayashi, Yoshiaki; Yamamoto, Hisao

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Ger. Offen., 14 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

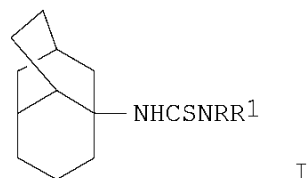
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2833073	A1	19790315	DE 1978-2833073	19780727
JP 54039061	A	19790324	JP 1977-103920	19770829
JP 54119455	A	19790917	JP 1978-26911	19780308
GB 2003866	A	19790321	GB 1978-33748	19780817
GB 2003866	B	19820210		
FR 2401910	A1	19790330	FR 1978-24823	19780828
FR 2401910	B1	19810130		
PRIORITY APPLN. INFO.:			JP 1977-103920	A 19770829
			JP 1978-26911	A 19780308
OTHER SOURCE(S):	MARPAT	90:203564		

GI

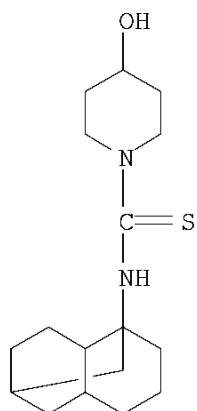


AB 4-Homoisotwistylthioureas I [R = H, R1 = H, alkyl, homoisotwistyl, adamantyl, Ph, etc., or RR1N = (substituted) piperidino or pyrrol-1-yl] were prepared as virucides. Thus, 4-homoisotwistan-3-yl isothiocyanate was added to 1-aminoadamantane to give I (R = H, R1 = 1-adamantyl).

IT 70219-38-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 70219-38-4 CAPLUS

CN 1-Piperidinecarbothioamide, 4-hydroxy-N-(octahydro-1,6-methanonaphthalen-4a(2H)-yl)- (CA INDEX NAME)



L4 ANSWER 219 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1979:22820 CAPLUS

DOCUMENT NUMBER: 90:22820

ORIGINAL REFERENCE NO.: 90:3763a,3766a

TITLE: 4-Acyloxypiperidine

INVENTOR(S): Nikles, Erwin; Karrer, Friedrich

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

SOURCE: Ger. Offen., 25 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

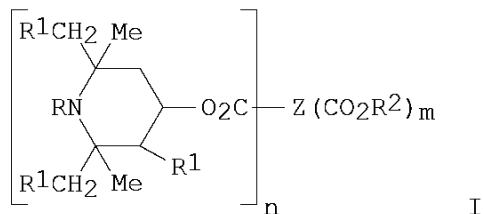
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 2805838	A1	19780831	DE 1978-2805838	19780211
DE 2805838	C2	19891207		
FR 2381754	A1	19780922	FR 1978-5071	19780222
FR 2381754	B1	19800516		

GB 1587779	A	19810408	GB 1978-7001	19780222
JP 53111077	A	19780928	JP 1978-19979	19780224
JP 01007985	B	19890210		
US 4344877	A	19820817	US 1981-224859	19810114
PRIORITY APPLN. INFO.:			CH 1977-2309	A 19770224
			US 1978-880662	A1 19780223
			US 1979-92890	A1 19791109

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 90:22820

GI



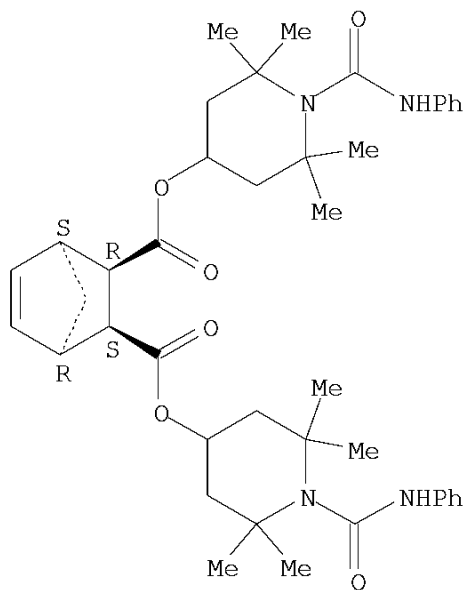
AB The piperidinol esters I (R = (substituted) C1-20 cyclo)aliphatic, aromatic, heterocyclic, or aliphatic group, (esterified) CO₂H, (substituted) CONH₂; R₁ = H, C1-8 alkyl; R₂ = (substituted) C1-30 (cyclo)aliphatic group, aralkyl, aryl; Z = 1-4-valent bicycloaliph. group; n = 1-4; m = 0-3; m + n = 1-4] were prepared for use as nondiscoloring stabilizers for synthetic materials, e.g., polyolefins, polyurethanes. Thus, the Diels-Alder adduct of cyclopentadiene and di-Me maleate reacted with LiNH₂ and 1-benzyl-2,2,6,6-tetramethyl-1-piperidinol in xylene solution to give I (R = PhCH₂, R₁ = H, Z = bicyclo[2.2.1]hept-5-ene-2,3-diyl, n = 2, m = 0; isomeric mixture).

IT 68548-28-7P 68548-29-8P 68548-30-1P
68548-31-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 68548-28-7 CAPLUS

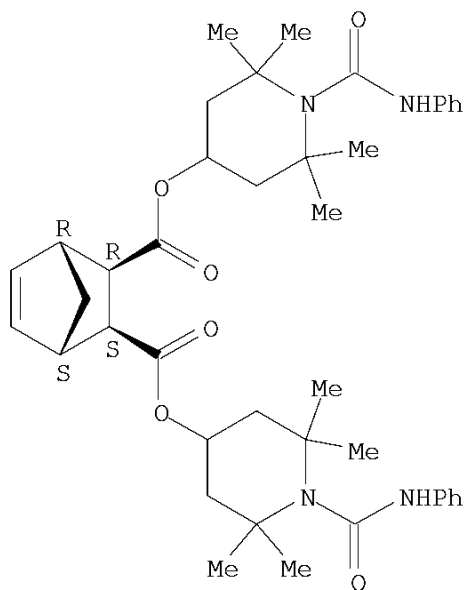
CN Bicyclo[2.2.1]hept-5-ene-2,3-dicarboxylic acid,
bis[2,2,6,6-tetramethyl-1-[(phenylamino)carbonyl]-4-piperidinyl] ester,
(endo,endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



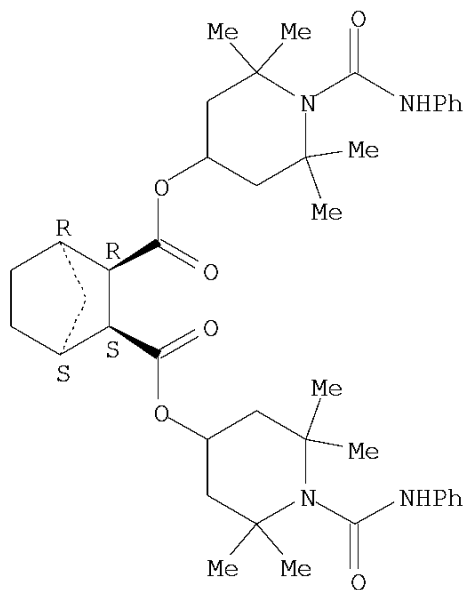
RN 68548-29-8 CAPLUS
 CN Bicyclo[2.2.1]hept-5-ene-2,3-dicarboxylic acid,
 bis[2,2,6,6-tetramethyl-1-[(phenylamino)carbonyl]-4-piperidinyl] ester,
 (exo,exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



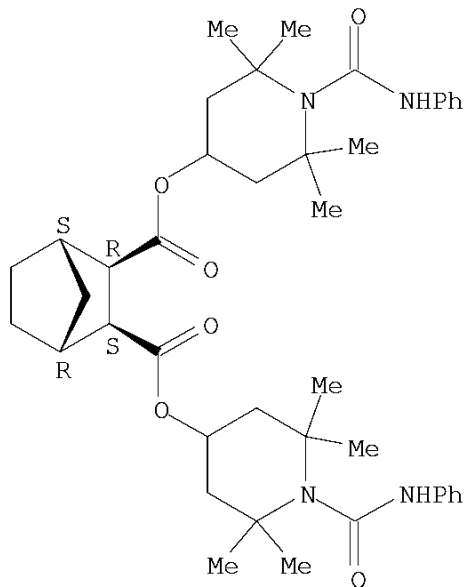
RN 68548-30-1 CAPLUS
 CN Bicyclo[2.2.1]heptane-2,3-dicarboxylic acid,
 bis[2,2,6,6-tetramethyl-1-[(phenylamino)carbonyl]-4-piperidinyl] ester,
 (endo,endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 68548-31-2 CAPLUS
 CN Bicyclo[2.2.1]heptane-2,3-dicarboxylic acid,
 bis[2,2,6,6-tetramethyl-1-[(phenylamino)carbonyl]-4-piperidinyl] ester,
 (exo,exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



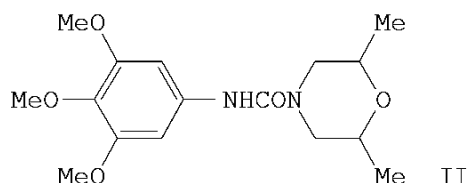
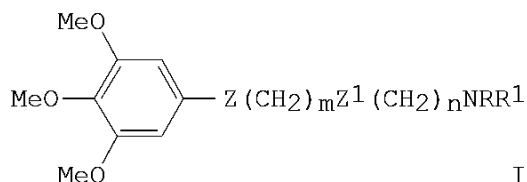
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)

L4 ANSWER 220 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1978:597599 CAPLUS
 DOCUMENT NUMBER: 89:197599
 ORIGINAL REFERENCE NO.: 89:30723a,30726a
 TITLE: Amide derivatives of 3,4,5-trimethoxybenzene

INVENTOR(S): Joullie, Maurice; Maillard, Gabriel; Warolin,
Christian Jean Marie; Lakah, Lucien
PATENT ASSIGNEE(S): METABIO, Fr.
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2801187	A1	19780720	DE 1978-2801187	19780112
PRIORITY APPLN. INFO.:			GB 1977-16055	A 19770114

GI



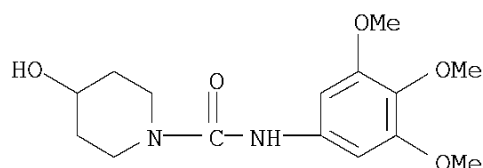
AB Sixty-six title compds. I [NRR1 = (un)substituted alkyl- or alkenylamino, cycloalkylamino, aralkylamino, tetrahydrofurfurylamino, pyrrolidino, piperidino, homopiperidino, isoxazolidinyl, morpholino, thiomorpholino, piperazino, tetrahydroquinolyl- or -isoquinolyl, tetrahydrobenzoxazinyl, tetrahydropyranylmethylamino; Z = O, NR2 (R2 = H, PhCH2, morpholinoethyl); Z1 = CO, CONH, CO2, SO2; m, n = 0, 1, 2], useful as tranquilizers, anticonvulsants, or sedative potentiators (data tabulated), were prepared by 9 methods. Thus, 2,6-dimethylmorpholine was added to a stirred solution of 3,4,5-(MeO)3C6H2NCO in ether and the mixture refluxed with stirring 7 h to give 79% carbamoylmorpholine II.

IT 68060-95-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

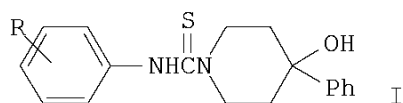
RN 68060-95-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
(7 CITINGS)

L4 ANSWER 221 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1978:130817 CAPLUS
DOCUMENT NUMBER: 88:130817
ORIGINAL REFERENCE NO.: 88:20463a,20466a
TITLE: Substituted piperidines as anticonvulsants
AUTHOR(S): Agrawal, D. K.; Kumar, Abhaya; Pandey, B. R.
CORPORATE SOURCE: King George's Med. Coll., Lucknow Univ., Lucknow,
India
SOURCE: Indian Journal of Pharmacy (1977), 39(6), 139-40
CODEN: IJPAAO; ISSN: 0019-5472
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 88:130817
GI



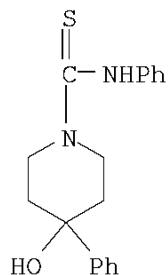
AB Several 1-(phenylaminothiocabonyl)-4-hydroxy-4-phenylpiperidines (I) with anticonvulsant activity were synthesized. 4-Hydroxy-4-phenylpiperidine [40807-61-2] (0.01 mol) was mixed with 0.01 mol of a suitable aryl isothiocyanate in 15 mL dry C₆H₆ and refluxed for 2 h to give the appropriate I. All compds. exhibited anticonvulsant activity in mice and the activity was maximum with I (R = 2-Me) [65846-29-9].

IT 65846-22-2P 65846-23-3P 65846-24-4P
65846-25-5P 65846-26-6P 65846-27-7P
65846-28-8P 65846-29-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anticonvulsant)

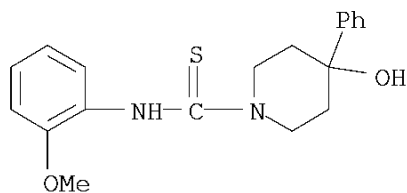
RN 65846-22-2 CAPLUS

CN 1-Piperidinecarbothioamide, 4-hydroxy-N,4-diphenyl- (CA INDEX NAME)



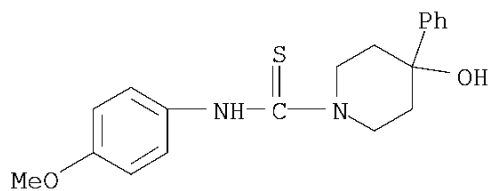
RN 65846-23-3 CAPLUS

CN 1-Piperidinecarbothioamide, 4-hydroxy-N-(2-methoxyphenyl)-4-phenyl- (CA INDEX NAME)



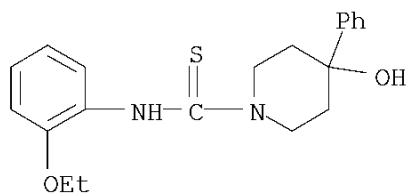
RN 65846-24-4 CAPLUS

CN 1-Piperidinecarbothioamide, 4-hydroxy-N-(4-methoxyphenyl)-4-phenyl- (CA INDEX NAME)



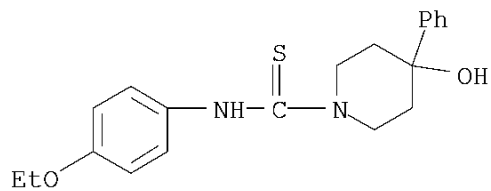
RN 65846-25-5 CAPLUS

CN 1-Piperidinecarbothioamide, N-(2-ethoxyphenyl)-4-hydroxy-4-phenyl- (CA INDEX NAME)



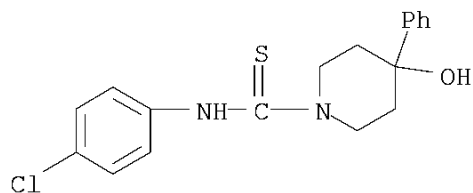
RN 65846-26-6 CAPLUS

CN 1-Piperidinecarbothioamide, N-(4-ethoxyphenyl)-4-hydroxy-4-phenyl- (CA INDEX NAME)



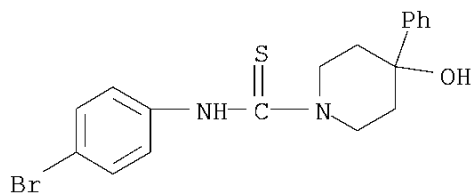
RN 65846-27-7 CAPLUS

CN 1-Piperidinecarbothioamide, N-(4-chlorophenyl)-4-hydroxy-4-phenyl- (CA INDEX NAME)



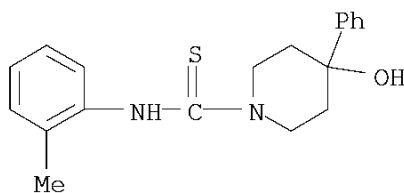
RN 65846-28-8 CAPLUS

CN 1-Piperidinecarbothioamide, N-(4-bromophenyl)-4-hydroxy-4-phenyl- (CA INDEX NAME)



RN 65846-29-9 CAPLUS

CN 1-Piperidinecarbothioamide, 4-hydroxy-N-(2-methylphenyl)-4-phenyl- (CA INDEX NAME)

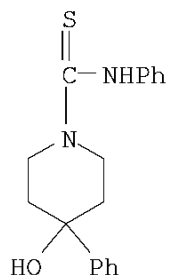


IT 65846-22-2DP, derivs.

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anticonvulsants)

RN 65846-22-2 CAPLUS

CN 1-Piperidinecarbothioamide, 4-hydroxy-N,4-diphenyl- (CA INDEX NAME)



L4 ANSWER 222 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1978:74324 CAPLUS

DOCUMENT NUMBER: 88:74324

ORIGINAL REFERENCE NO.: 88:11741a,11744a

TITLE: Psychoactive agents. IV. Synthesis and CNS depressant activity of some β -arylethyl- and β -styrylureas

AUTHOR(S): Arya, V. P.; David, J.; Grewal, R. S.

CORPORATE SOURCE: Ciba-Geigy Res. Cent., Bombay, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15B(7), 635-40

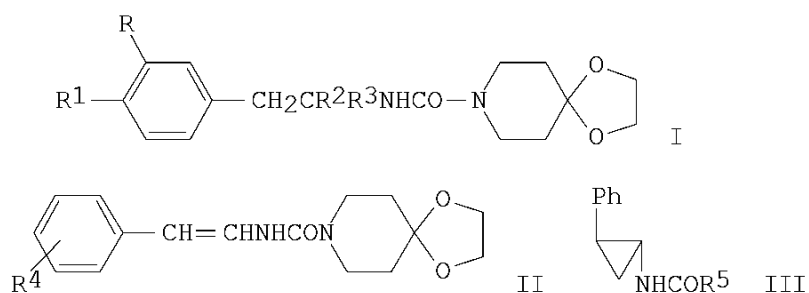
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 88:74324

GI



AB Treatment of 3,4-RR1C6H3CH2CR2R3NH2 (R = H, MeO; R1 = H, MeO, Cl, F; R2, R3 = H, Me) with COCl2 gave 3,4-RR1C6H3CH2CR2R3NCO, which reacted with 8-aza-1,4-dioxaspiro[4.5]decane to give the ureas I. Styrylureas II (R4 = H, Cl, F) and (phenylcyclopropyl)ureas III [R5 = Q-Q3, 4-hydroxy-4-(4-fluorophenyl)piperidino, (hexahydroazepin-1-yl)amino, ClCH2CH2CH2NH] were prepared similarly. (Arylethyl)ureas were prepared from 9-aza-3,3-dimethyl-1,5-dioxaspiro[5.5]undecane, 9-aza-1,4-dioxaspiro[4.5]decane, 1-azaspiro[4.5]decane and 3-azaspiro[5.5]undecane. The central nervous system (CNS) depressant and anticonvulsant activity of these compds. were reported.

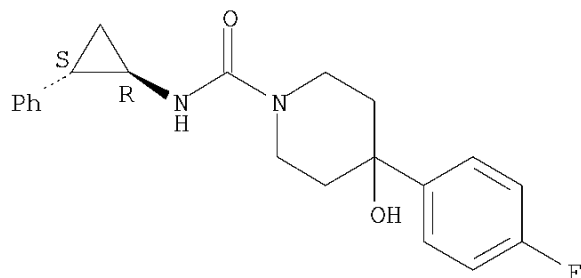
IT 65535-75-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 65535-75-3 CAPLUS

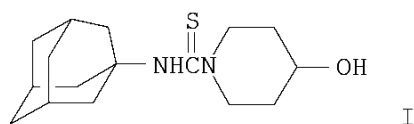
CN 1-Piperidinecarboxamide, 4-(4-fluorophenyl)-4-hydroxy-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

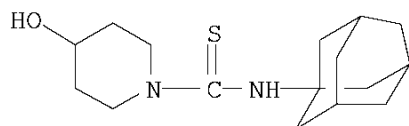


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

L4 ANSWER 223 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1977:545565 CAPLUS
 DOCUMENT NUMBER: 87:145565
 ORIGINAL REFERENCE NO.: 87:22933a,22936a
 TITLE: Antiviral agents. Part 9. Virustatic activity of
 N-(1-adamantyl)-thiourea deviatives based on cyclic
 secondary amines
 AUTHOR(S): Kreutzberger, A.; Schroeders, H. H.
 CORPORATE SOURCE: Inst. Pharm. Chem., Westfael. Wilhelms-Univ.,
 Muenster, Fed. Rep. Ger.
 SOURCE: Arzneimittel-Forschung (1977), 27(5), 969-72
 CODEN: ARZNAD; ISSN: 0004-4172
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 GI



AB By nucleophilic addition of pyrrolidine [123-75-1], piperidine [110-89-4],
 3-hydroxypiperidine [6859-99-0], and 4-hydroxypiperidine [5382-16-1] to
 1-adamantyl-isothiocyanate [4411-26-1], the N',N'-disubstituted
 N-(1-adamantyl)-thiourea derivs. are obtained.
 N-(1-adamantyl)thiocarbamoyl-4-hydroxypiperidine (I) [64120-65-6
] exhibited remarkable antiviral activity against vaccinia and herpes
 virus.
 IT 64120-65-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and virucidal activity of)
 RN 64120-65-6 CAPLUS
 CN 1-Piperidinecarbothioamide, 4-hydroxy-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (CA
 INDEX NAME)

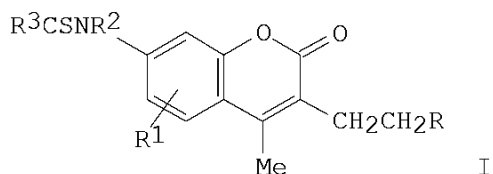


L4 ANSWER 224 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1976:432846 CAPLUS
 DOCUMENT NUMBER: 85:32846
 ORIGINAL REFERENCE NO.: 85:5325a,5328a
 TITLE: Coumarin derivatives
 INVENTOR(S): Boltze, Karl H.; Seidel, Peter R.; Jacobi, Haireddin;
 Dell, Hans D.
 PATENT ASSIGNEE(S): Troponwerke Dinklage und Co., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 47 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2448257	A1	19760422	DE 1974-2448257	19741010
PRIORITY APPLN. INFO.:			DE 1974-2448257	19741010

GI

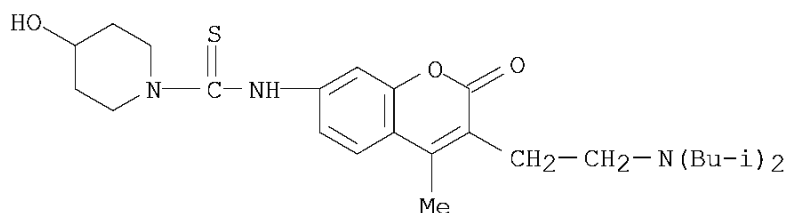


AB Coumarins I (R = tertiary amino; R1 = H, 6-Me, 8-Me; R2 = H, Me; R3 = secondary or tertiary amino) (111 compds.) were prepared by treating 7-aminobenzopyrans with CSCL2 and amine. I have coronary vasodilator, analgesic, sedative, and antiinflammatory properties.

IT 59636-67-8P 59636-84-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

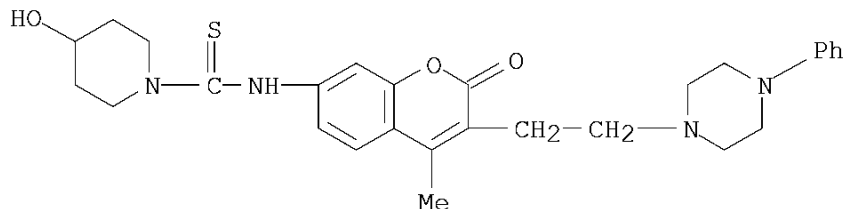
RN 59636-67-8 CAPLUS

CN 1-Piperidinecarbothioamide, N-[3-[2-[bis(2-methylpropyl)amino]ethyl]-4-methyl-2-oxo-2H-1-benzopyran-7-yl]-4-hydroxy- (CA INDEX NAME)



RN 59636-84-9 CAPLUS

CN 1-Piperidinecarbothioamide, 4-hydroxy-N-[4-methyl-2-oxo-3-[2-(4-phenyl-1-piperazinyl)ethyl]-2H-1-benzopyran-7-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 225 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1974:563495 CAPLUS

DOCUMENT NUMBER: 81:163495

ORIGINAL REFERENCE NO.: 81:25211a,25214a

TITLE: Synthesis of some N-carboxylic acid derivatives of 3-phenoxyppyrrolidines, 4-phenoxyppiperidines, and

3-phenoxyntropanes with muscle relaxant and anticonvulsant activities

AUTHOR(S) : Boswell, Robert F., Jr.; Helsley, Grover C.; Duncan, Robert L., Jr.; Funderburk, William H.; Johnson, David N.

CORPORATE SOURCE: Res. Lab., A. H. Robins Co., Inc., Richmond, VA, USA

SOURCE: Journal of Medicinal Chemistry (1974), 17(9), 1000-8
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

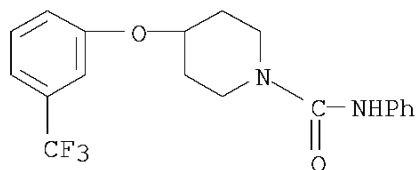
OTHER SOURCE(S): CASREACT 81:163495

AB A series of 43 title compds. were prepared by the reaction of the appropriate 3-phenoxy-pyrrolidine, 4-phenoxy-piperidine, or 3-phenoxyntropane intermediate with nitrourea [556-89-8], an isocyanate, disubstituted carbamoyl chloride, or by treating N-benzyl intermediates with cyanogen bromide [506-68-3] or phosgene. Anticonvulsant or muscle relaxant activities in mice and cats, were observed for several compds. 3-(M-Chlorophenoxy)-1-methylcarbamoylpyrrolidine (I) [28482-91-9] showed pronounced muscle relaxant activity comparable to mephesisin.

IT 28033-18-3P 28033-19-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, anticonvulsant and myorelaxant)

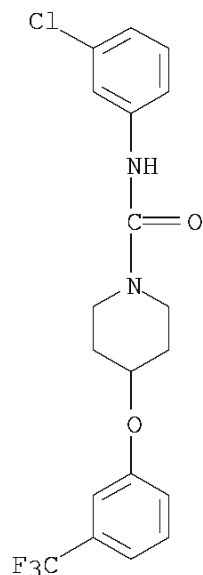
RN 28033-18-3 CAPLUS

CN 1-Piperidinecarboxamide, N-phenyl-4-[3-(trifluoromethyl)phenoxy]- (CA INDEX NAME)



RN 28033-19-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-[3-(trifluoromethyl)phenoxy]- (CA INDEX NAME)

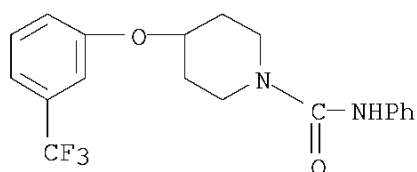


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(6 CITINGS)

L4 ANSWER 226 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1970:477073 CAPLUS
DOCUMENT NUMBER: 73:77073
ORIGINAL REFERENCE NO.: 73:12603a,12606a
TITLE: Muscle-relaxant, anticonvulsive, and tranquilizing
4-phenoxy piperidines
INVENTOR(S): Helsley, Grover C.
PATENT ASSIGNEE(S): A. H. Robins Co., Inc.
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

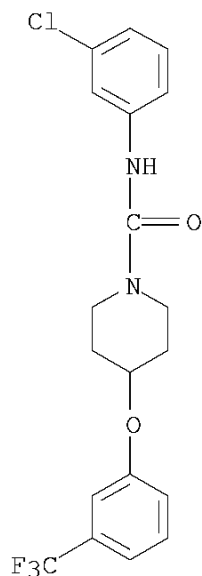
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 1964515	A	19700723	DE 1969-1964515	19691223
US 3542794	A	19701124	US 1969-874987	19691107
AT 299824	B	19720710	AT 1969-11443	19691209
BR 6915029	D0	19730524	BR 1969-215029	19691212
GB 1280699	A	19720705	GB 1969-1280699	19691219
FR 2026922	A5	19700925	FR 1969-44424	19691222
FR 2026922	B1	19730810		
CH 537387	A	19730713	CH 1969-19131	19691222
JP 49031990	B	19740827	JP 1969-102620	19691222
BE 746440	A	19700731	BE 1970-746440	19700224
DE 2009212	A	19701223	DE 1970-2009212	19700227
US 3743645	A	19730703	US 1970-82116	19701019
PRIORITY APPLN. INFO.:			US 1968-786392	A 19681223
			US 1969-874987	A 19691107
			DD 1969-140297	A1 19690605
			AT 1969-11443	A 19691209
			FR 1969-44424	A 19691222
			US 1970-874987	A3 19701107

GI For diagram(s), see printed CA Issue.
AB The muscle-relaxant, anticonvulsive, and tranquilizing title compds. (I) were prepared from II and RX. Thus, stirring II (R1 = m-CF3, R2 = H), Me2NCOCl, and K2CO3 in C6H6 16 hr and refluxing 1 hr gave 54% I (R = Me2NCO, R1 = m-CF3, R2 = H). Among .apprx.20 I prepared were the following (R, R1, and R2 given): PhNHCO, m-CF3, H; EtO2C, m-CF3, H; o-MeOC6H4CH2CH2, o-MeO, H; HOCH2CH(OH)CH2, m-CF3, H; p-FC6H4CO(CH2)3, 2-MeO, 4-Ac.
IT 28033-18-3P 28033-19-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 28033-18-3 CAPLUS
CN 1-Piperidinecarboxamide, N-phenyl-4-[3-(trifluoromethyl)phenoxy]- (CA INDEX NAME)



RN 28033-19-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-chlorophenyl)-4-[3-(trifluoromethyl)phenoxy]-
(CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)

L4 ANSWER 227 OF 227 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1961:59492 CAPLUS

DOCUMENT NUMBER: 55:59492

ORIGINAL REFERENCE NO.: 55:11409a-i,11410a-i,11411a-b

TITLE: 4-Hydroxypipericolic acid from Acacia species, and its stereoisomers

AUTHOR(S): Clark-Lewis, J. W.; Mortimer, P. I.

CORPORATE SOURCE: Univ. Adelaide, S. Australia

SOURCE: Journal of the Chemical Society (1961) 189-201

CODEN: JCSOA9; ISSN: 0368-1769

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB The title compound was isolated on a preparative scale from Acacia oswaldii leaves and separated from the accompanying acids through the Et2O soluble N-nitroso derivative (I). Hydrolysis of I and separation on an ion exchange column

gave (-)-pipercolic acid (II) and the hydroxy acid, which was shown by unequivocal degradations to be (-)-trans-4-hydroxy-L-pipercolic acid (III). III was converted by stereospecific transformations into cis-4-hydroxy-L- (IV) and -D-pipercolic acid (V), so that 3 of the 4 optically active forms of 4-hydroxypipercolic acid were now available. A. oswaldii leaves (5.5 g.) extracted with alc. and chromatographed on sulfonated polystyrene gave 95 g. amino acids. The imino acids were extracted into Et2O as the N-nitroso derivs. The imino acids (46 g.) dissolved in 58 cc. refluxing H2O, the solution diluted with alc., and cooled gave 4-hydroxypipercolic acid. Purification gave 23 g. III, m. 285-6° (decomposition); II was obtained as the HCl salt, m. 256-8° (6.5 g. from 17.3 kg. leaves), [α]18D -10.5° (c 8, H2O). Separation of II and III was also achieved by selective elution from Zeo-Karb 225; III was eluted with 0.02-0.4N HCl, and II (and proline) with 0.4-0.8N acid. The mother liquors from III from 20 kg. leaves treated this way, and the column finally washed with 1.6N HCl gave 1.66 g. compound, m. 231-4°

(decomposition), $[\alpha]_{24D} 15^\circ$ (c 1, H₂O). Milled heartwood of *A. excelsa* (2094 g.) similarly worked up gave 4 g. III and 0.35 g. II. Similar extns. of other samples of *A. excelsa* heartwood gave 0.017-0.08% III and 0.001-0.01% II. III (0.01-0.03%) was also obtained from *A. mollissima* heartwood and sapwood. III isolated as described above was obtained as prisms, m. 294° (decomposition) (alc.), $[\alpha]_{20D} -13^\circ$ (c 1, H₂O). III did not react with HIO₄; the 1-(2,4-dinitrophenyl) derivative formed prisms, m. 183° ; Cu salt, blue prisms, m. 229° (decomposition). III on paper chromatograms sprayed with ninhydrin and heated 5-10 min. at $100-10^\circ$ gave a greyish green to brownish purple color. III 1-benzoyl derivative obtained in 60-70% yield m. 174° , $[\alpha]_{15D} -54^\circ$ (c 1, alc.). Benzoylation of III with excess BzCl did not yield the dibenzoate. Heating the 1-benzoyl derivative of III caused epimerization at the 2-C atom. p-MeC₆H₄SO₂Cl (0.95 g.) in Me₂CO with 0.58 g. III gave 0.7 g.

(-)-trans-4-hydroxy-1-p-toluenesulfonyl-L-pipecolic acid, m. 162° (EtOAc-C₆H₆), $[\alpha]_{19D} -16^\circ$ (c 1, alc.). PhNCO (0.6 g.) was added slowly during 10 min. to 0.58 g. III in 4 cc. N NaOH, diphenylurea precipitated, and the solution acidified to give 0.48 g. (-)-trans-4-hydroxy-1-phenylcarbamoyle-L-pipecolic acid (VI), m. $181-97^\circ$, $[\alpha]_{26D} -24.5^\circ$ (c 1, alc.). VI (1.49 g.) in refluxing H₂O gave 1.05 g. (-)-trans-4'-hydroxy-3-phenylpiperidino[1',2':1,5] hydantoin (VII), prisms, m. $204-5^\circ$, $[\alpha]_{23D} -53^\circ$ (c 1, alc.). VII (0.61 g.) dissolved in 4.63 cc. N NaOH and the solution diluted gave $[\alpha]_D -17^\circ$, $[\alpha]_D -40^\circ$ (after 3 hrs.) and $[\alpha]_D -45.4^\circ$ after 24 hrs. III (0.725 g.) in 25 cc. 50% aqueous C₅H₅N adjusted to pH 10 with 1.4 cc. N NaOH, 1.2 cc. phenylisothiocyanate added, the mixture shaken, extracted with C₆H₆,

the

aqueous layer acidified, and the solid collected gave 0.56 g. (-)-trans-3-phenyl-4'-phenylthiocarbamoyloxypiperidino[1',2':1,5]-2-thiohydantoin, m. $213-14^\circ$ (alc.), $[\alpha]_{22D} -74^\circ$ (c 0.2, alc.). III (0.051 g.), 0.023 g. red P, and 1 cc. HI heated 6 hrs. at 145° in a sealed tube gave 0.0076 g. II. III (2 g.), 0.32 g. red P, and 20 cc. HI heated 12 hrs. at 150° in 4 sealed tubes and the solns. combined contained II and other components. The materials separated on Zeo-Karb gave 0.22 g. II.HCl. III (0.02 g.), 0.007 g. red P, and 0.2 HI was heated 12 hrs. at 145° , evaporated, the residue dissolved in H₂O, and examined by paper chromatography; III was absent and the chromatogram showed II and compds. that were apparently 4-iodopipecolic acids. In the 2nd experiment the reduction mixture treated with Ag₂CO₃, the solids removed,

and the

aqueous phase chromatographed showed the presence of 2-amino-4-pentenoic acid (VIII) and baikiaian (IX). VIII gave a purple color with ninhydrin at $110-15^\circ$ and IX gave a gray-green color with ninhydrin and a pink color with isatin. III (0.02 g.) was heated 9 hrs. at 145° with 0.0035 g. red P, and 0.2 cc. HI, evaporated, the residue treated in H₂O with Ag₂CO₃ and the Ag salts separated. Half the supernatant solution was

hydrogenated

over PtO₂ 3 hrs. and chromatograms showed the presence of 2-aminopentanoic acid (norvaline), II, and a minor component. III (2 g.) in 8 cc. PhAc heated 1.5 hrs. at 190° , diluted with Et₂O, and extracted with 2N HCl gave 0.52 g. 4-hydroxypiperidine, m. $55-65^\circ$; dimorphic 1-p-toluenesulfonate, m. $114-15^\circ$ or $123-4^\circ$. CrO₃ (8N) in 7.5 cc. aqueous H₂SO₄ added to 2.18 g. III in 150 cc. AcOH, left 1.5 hrs. at 20° , MeOH added, the next day the solution decanted, the solns. from 4 such reactions evaporated, diluted, and the components separated on Zeo-Karb

gave

β -alanine and II. The oxo acid fractions were combined and evaporated to give 1.28 g. 4-oxo-L-pipecolic acid-HCl-H₂O (X), decomposing 203° , $[\alpha]_{21D} 3.8^\circ$ (c 2, H₂O). The HCl salt (0.4 g.) eluted from a Zeo-Karb 225 column with N NH₄OH gave 0.19 g. (-)-4-oxo-L-pipecolic acid,

prisms, decomposing 240°, $[\alpha]_{23D} -14.8^\circ$ (c 1, H₂O). β -Alanine fractions collected and evaporated gave 0.59 g. containing II, converted into 0.27 g. of the phenylcarbamoyl derivs. Authentic N-phenylcarbamoyl- β -alanine was obtained as blades, m. 173-4° (H₂O). PhNCO (0.3 g.) added during 15 min. to 0.4 g. X in 8 cc. 0.5N NaOH, and the filtrate acidified gave 4'-oxo-3-phenylpiperidino(1',2':1,5)hydantoin (XI), m. 187°. XI (0.1 g.) in alc. showed mutarotation after 23 hrs. XI exhibited $[\alpha]_{23D} -87^\circ$ (c 0.366, alc.). X (2 g.) in 20 cc. H₂O at pH 9 treated 1 hr. at room temperature with 0.112 g. NaBH₄ and the product treated

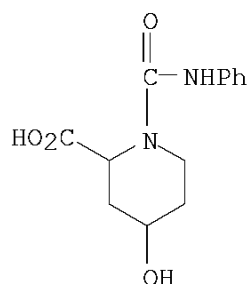
on

Zeo-Karb 225 gave IV. H₂O, plates, m. 265° (decomposition), $[\alpha]_{23D} -17^\circ$ (c 1.1, H₂O). IV. 2H₂O m. 265° (decomposition); Cu salt, blue plates, m. 245° (decomposition); N-(2,4-dinitrophenyl) derivative (62%), prisms, m. 134° (aqueous alc.). BzCl (0.15 g.) added portionwise to 0.163 g. IV. H₂O in 3.2 cc. 0.7N NaOH, and the filtrate acidified gave, after 14 hrs. at 0°, 0.119 g. N-benzoyl derivative, blades, m. 104°, $[\alpha]_{23D} -39.5^\circ$ (c 1, alc.). The same product was obtained when 2.2 equivs. BzCl were used. Me 4-chloropicolinate (3.43 g.) in PhCH₂OH treated portionwise with 1 g. Na in 30 cc. PhCH₂OH, the mixture refluxed 45 min., 50 cc. H₂O, 100 cc. Et₂O, and 50 cc. 2N HCl added, the mixture shaken, the Et₂O washed with dilute HCl, the acidic exts. combined, washed, and 50 cc. 5N NaOH added, and the mixture stored at 0° gave 3.65 g. Na 4-benzyloxypicolinate. Acidification gave 2.4 g. 4-benzyloxypicolinic acid (XII), prisms, m. 172° (alc.); 83% HCl.H₂O salt, m. 162°. The HCl salt heated at 200° gave a liquid distillate consisting of PhCH₂Cl and 0.15 g. 4-hydroxypicolinic acid (XIII), prisms, m. 258° (decomposition). Hydrogenation of 1 g. XII in 20 cc. 5N HCl at room temperature over PtO₂ during 29 hrs. gave 0.52 g. XIII, m. 255-8°. Hydrogenation was inhibited in 1.5N NH₃ but in AcOH at 65° hydrogenation gave II and III. XII (6.46 g.) in 50 cc. H₂O hydrogenated 24 hrs. at 105°/70 atmospheric over 0.285 g. PtO₂ and the acids isolated from the soluble mixture of 1.91 g. by paper chromatography gave after 24 hrs. bands of II and 4-hydroxypipicolic acids. The product (0.29 g.) in dilute HCl was concentrated to give 0.075 g. (\pm)-cis-4-hydroxypipicolic acid-HCl, prisms, m. 253-5° (decomposition). III (6 mg.) heated 9 hrs. at 145° in a sealed tube with 0.1 cc. N NaOH gave a mixture of cis and trans isomers; a trace of the epimer was similarly formed by heating in H₂O alone, but not in N HCl. The epimeric mixture of imino acids formed by heating 5 mg. III in 0.3 cc. saturated aqueous Ba(OH)₂ 12 hrs. at 155° in a sealed tube was compared with a number of compds. III 1-benzoyl derivative (2.49 g.) heated 5 min. at 200°, refluxed 6.5 hrs. with 100 cc. 6N HCl, BzOH removed, and the aqueous layer paper chromatographed showed the presence of cis and trans-4-hydroxy acids in equal amts. III (2.9 g.) refluxed 4 hrs. with 30 cc. AcOH and 10.2 cc. Ac₂O gave 1.1 g. (\pm)-1-acetyl-4-hydroxy-D-pipicolic lactone (XIV), plates, m. 148-9° (EtOAc), $[\alpha]_{24D} 181^\circ$ (c 1, alc.). XIV (1 g.) refluxed 3 hrs. with 50 cc. 2N HCl gave 0.74 g. V. 2H₂O, m. 266-9° (decomposition), $[\alpha]_{24D} 17^\circ$ (c 1, H₂O). II was obtained from A. excelsa heartwood in prisms, m. 273-5° (decomposition); HCl salt, $[\alpha]_{22D} -10.5^\circ$ (c 6, H₂O). N-Benzoyl-L-pipicolic acid crystallized as prisms, m. 133°, $[\alpha]_{22D} -72^\circ$ (c 1, alc.). 1-Phenylcarbamoyl-L-pipicolic acid (80%) formed prisms, m. 178°, $[\alpha]_{20D} -39^\circ$. Recrystn. from refluxing H₂O gave the optically inactive phenylhydantoin (XV), m. 159-60°. (\pm)-Pipicolic acid-HCl (m. 258-60°) was obtained in 91% yield by hydrogenation of 5 g. picolinic acid in 20 cc. 5N HCl over 0.2 g. PtO₂ 24 hrs. at 25 atmospheric/60°. This salt (0.66 g.) in 8 cc. N NaOH treated with 0.59 g. PhNCO gave 0.81 g. (\pm)-1-phenylcarbamoylpipicolic acid, m. 138° and 156-8°. Recrystn. after refluxing 1 hr. with H₂O gave XV. Et β -ethoxycarbonylaminopropionate (38.1 g.) and 34.4 g. Et fumarate

were added successively to 350 cc. C6H6 and 4.6 g. Na (the temperature rose to b.p. during 45 min.) the mixture finally refluxed 0.5 hr., diluted with Et2O, extracted with Et2O, washed, the strongly acidic solution saturated with NaCl, extracted

with EtOAc, washed, dried, and the solvent evaporated gave 53.5 g. oil. The oil dissolved in 10N HCl, evaporated, and the residue refluxed 4.5 hrs. with 150 cc. alc. saturated with HCl gave 24.2 g. Et 1-ethoxycarbonyl-3-oxopyrrolidine-2-ylacetate (XVI), b0.3 122-8°; semicarbazone, m. 124°; dimorphic 2,4-dinitrophenylhydrazone, orange plates, m. 112-13°, or prisms, m. 135°. NaBH4 (0.38 g.) in 1 cc. H2O added during 10 min. at 15° to 4.86 g. XVI gave after chromatography 0.51 g. 3-hydroxypyrrolidin-2-ylacetic acid-H2O, prisms, m. 215-16° (decomposition); N-(2,4-dinitrophenyl) derivative, prisms, m. 205° (aqueous alc.). The imino acid was recovered after treatment with HNO2. The phenylcarbamoyl derivative lost the elements of H2O to give the lactone, prisms, m. 168°. The lactone was recovered after heating 8 hrs. on a steam bath with 3N HCl.

IT 100616-43-1, Pipecolic acid, 4-hydroxy-1-phenylcarbamoyl-
(stereoisomers)
RN 100616-43-1 CAPLUS
CN 2-Piperidinecarboxylic acid, 4-hydroxy-1-[(phenylamino)carbonyl]- (CA
INDEX NAME)



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS
RECORD (16 CITINGS)

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

STN INTERNATIONAL LOGOFF AT 11:42:12 ON 04 MAR 2010